FP:(Emisphere Technologies) AND (oral formulations and diabetes)

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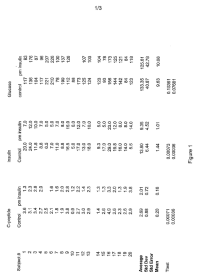
1. **2004204727** NIGHT-TIME ORAL INSULIN THERAPY — AU - 21.07.2005

Int.Class A61K 38/28 **Appl.No** 2004204727 **Applicant** Emisphere Technologies, Inc. **Inventor**

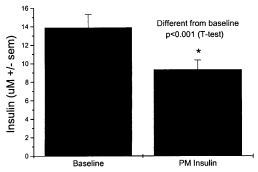
A method for protection of a mammal that has impaired glucose tolerance or early stage diabetes mellitus from developing overt or insulin dependent diabetes comprises administering an orally effective dose of a pharmaceutical formulation comprising insulin at nighttime, e.g., at or shortly before bedtime.



2. **WO/2004/062587** NIGHT-TIME ORAL INSULIN THERAPY — WO - 29.07.2004

Int.Class A61K 38/28 **Appl.No** PCT/US2004/000273 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor GOLDBERG, Michael

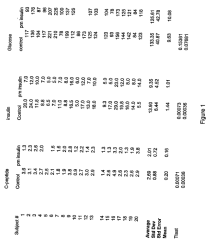
A method for protection of a mammal that has impaired glucose tolerance or early stage diabetes mellitus from developing overt or insulin dependent diabetes comprises administering an orally effective dose of a pharmaceutical formulation comprising insulin at nighttime, e.g., at or shortly before bedtime.



3. **20060178296** NIGHT-TIME ORAL INSULIN THERAPY — US - 10.08.2006

Int.Class A61K 38/28 **Appl.No** 10541433 **Applicant** Emisphere Technologies, Inc.
Inventor Goldberg Michael

A method for protection of a mammal that has impaired glucose tolerance or early stage diabetes mellitus from developing overt or insulin dependent diabetes comprises administering an orally effective dose of a pharmaceutical formulation comprising insulin at nighttime, e.g., at or shortly before bedtime.



4. **2005/05426** NIGHT-TIME ORAL INSULIN THERAPY — ZA - 27.09.2006

Int.Class A61K **Appl.No** 2005/05426 **Applicant** EMISPHERE TECHNOLOGIES INC
Inventor GOLDBERG MICHAEL

A method for protection of a mammal that has impaired glucose tolerance or early stage diabetes mellitus from developing overt or insulin dependent diabetes comprises administering an orally effective dose of a pharmaceutical formulation comprising insulin at night-time, e.g., at or shortly before bedtime.

16

Baseline PM Insulin

5. **20140206612** ORAL INSULIN THERAPIES AND PROTOCOL — US - 24.07.2014

Int.Class A61K 38/28 **Appl.No** 14222272 **Applicant** Emisphere Technologies, Inc. **Inventor** Arbit Ehud

Methods for treating impaired glucose tolerance and early and late stage diabetes in mammals, for prophylactically sparing β-cell function, aiding in preventing β-cell death, preventing the onset of overt diabetes in a mammal with type 2 diabetes, treating the current level of glycemic control dysfunction of a mammal with impaired glucose tolerance or

diabetes, comprising orally administering insulin and a delivery agent that facilitates insulin absorption from the gastrointestinal tract at the time of or shortly before mealtime, e.g., within about 10 minutes prior to ingestion of a meal, on a chronic basis. The methods also comprise, in addition to administering a rapid-acting insulin to provide a first insulin peak, administering a slow acting insulin to provide a second insulin peak occurring at a later time but of a longer duration. These methods achieve improved glycemic control without the risks of hypoglycemia, hyperinsulinemia and weight gain and the need for frequent blood glucose monitoring that are normally associated with insulin therapy.

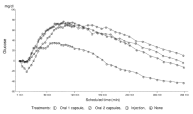


Figure 1

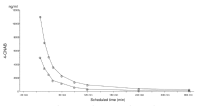


Figure 2

6. **20050203001** ORAL INSULIN THERAPIES AND PROTOCOL — US - 15.09.2005

Int.Class A61K 38/28 **Appl.No** 11072941 **Applicant** Emisphere Technologies, Inc. **Inventor** Arbit Ehud

Methods for treating impaired glucose tolerance and early and late stage diabetes in mammals, for prophylactically sparing β-cell function, aiding in preventing β-cell death, preventing the onset of overt diabetes in a mammal with type 2 diabetes, treating the current level of glycemic control dysfunction of a mammal with impaired glucose tolerance or diabetes, comprising orally administering insulin and a delivery agent that facilitates insulin absorption from the gastrointestinal tract at the time of or shortly before mealtime, e.g., within about 10 minutes prior to ingestion of a meal, on a chronic basis. The methods also comprise, in addition to administering a rapid-acting insulin to provide a first insulin peak, administering a slow acting insulin to provide a second insulin peak occurring at a later time but of a longer duration. These methods achieve improved glycemic control without the risks of hypoglycemia, hyperinsulinemia and weight gain and the need for frequent blood glucose monitoring that are normally associated with insulin therapy.

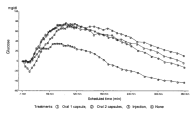


Figure 1

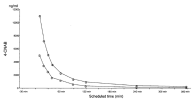


Figure 2

7. **WO/2004/080401** ORAL INSULIN THERAPIES AND PROTOCOL — WO - 23.09.2004

Int.Class A61K 9/20 **Appl.No** PCT/US2004/006943 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor ARBIT, Ehud

Methods for treating impaired glucose tolerance and early and late stage diabetes in mammals, for prophylactically sparing β-cell function, aiding in preventing β-cell death, preventing the onset of overt diabetes in a mammal with type 2 diabetes, treating the current level of glycemic control dysfunction of a mammal with impaired glucose tolerance or diabetes, comprising orally administering insulin and a delivery agent that facilitates insulin absorption from the gastrointestinal tract at the time of or shortly before mealtime, e.g., within about 10 minutes prior to ingestion of a meal, on a chronic basis. The methods also comprise, in addition to administering a rapid-acting insulin to provide a first insulin peak, administering a slow acting insulin to provide a second insulin peak occurring at a later time but of a longer duration. These methods achieve improved glycemic control without the risks of hypoglycemia, hyperinsulinemia and weight gain and the need for frequent blood glucose monitoring that are normally associated with insulin therapy.

8. **2518216** ORAL INSULIN THERAPIES AND PROTOCOL — CA - 23.09.2004

Int.Class A61K 38/28 **Appl.No** 2518216 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

Methods for treating impaired glucose tolerance and early and late stage diabetes in mammals, for prophylactically sparing p-cell function, aiding in preventing p-cell death, preventing the onset of overt diabetes in a mammal with type 2 diabetes, treating the current level of glycemic control dysfunction of a mammal with impaired glucose tolerance or diabetes, comprising orally administering insulin and a delivery agent that facilitates insulin absorption from the gastrointestinal tract at the time of or shortly before mealtime, e.g., within about 10 minutes prior to ingestion of a meal, on a chronic basis. The methods also comprise, in addition to administering a rapid-acting insulin to provide a first insulin peak, administering a slow acting insulin to provide a second insulin peak occurring at a later time but of a longer duration. These methods achieve improved glycemic control without the risks of hypoglycemia, hyperinsulinemia and weight gain and the need for frequent blood glucose monitoring that are normally associated with insulin therapy.

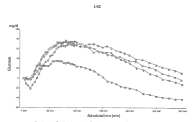


Figure 1

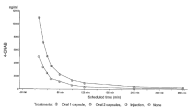


Figure 2

9. **20100048454** ANTIDIABETIC ORAL INSULIN-BIGUANIDE COMBINATION — US - 25.02.2010

Int.Class A61K 38/28 **Appl.No** 11632808 **Applicant** Emisphere Technologies, Inc. **Inventor** Arbit Ehud

Pharmaceutical dosage forms, comprising insulin, a delivery agent that facilitates insulin transport in a therapeutically effective amount to the bloodstream and a biguanide, such as metformin, are disclosed for oral administration to a patient for the treatment of diabetes. Also disclosed are methods for achieving improved glucose tolerance and glycemic control in a diabetic mammal without any statistically significant increase in weight, risk of hypoglycemia or hyperinsulinemia, and the need for monitoring blood glucose concentrations or HbAlc levels, and methods for reducing the incidence and/or severity of one or more disease states associated with chronic dosing of insulin; for prophylactically sparing {3-cell function or for preventing {3-cell death or dysfunction in a mammal with impaired glucose tolerance or early stage diabetes mellitus; and for long-term protection from developing {or delaying the onset of} overt or insulin dependent diabetes in a mammal with impaired glucose tolerance or early stage diabetes.

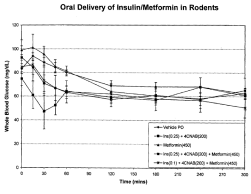


FIGURE 1

10. **WO/2006/017541** ANTIDIABETIC ORAL INSULIN-BIGUANIDE COMBINATION — WO - 16.02.2006

Int.Class A61K 38/28 **Appl.No** PCT/US2005/027499 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor ARBIT, Ehud

Pharmaceutical dosage forms, comprising insulin, a delivery agent that facilitates insulin transport in a therapeutically effective amount to the bloodstream and a biguanide, such as metformin, are disclosed for oral administration to a patient for the treatment of diabetes. Also disclosed are methods for achieving improved glucose tolerance and glycemic control in a diabetic mammal without any statistically significant increase in weight, risk of hypoglycemia or hyperinsulinemia, and the need for monitoring blood glucose concentrations or HbA1c levels, and methods for reducing the incidence and/or severity of one or more disease states associated with chronic dosing of insulin; for prophylactically sparing {3-cell function or for preventing {3-cell death or dysfunction in a mammal with impaired glucose tolerance or early stage diabetes mellitus; and for long-term protection from developing {or delaying the onset of} overt or insulin dependent diabetes in a mammal with impaired glucose tolerance or early stage diabetes.

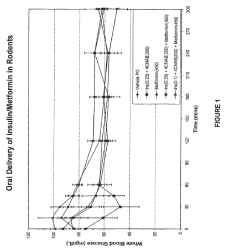
11. **2573856** ANTIDIABETIC ORAL INSULIN-BIGUANIDE COMBINATION — CA - 16.02.2006

Int.Class A61K 38/28 **Appl.No** 2573856 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor ARBIT, EHUD

Pharmaceutical dosage forms, comprising insulin, a delivery agent that facilitates insulin transport in a therapeutically effective amount to the bloodstream and a biguanide, such as metformin, are disclosed for oral administration to a patient for the treatment of diabetes. Also disclosed are methods for achieving improved glucose tolerance and glycemic control in a diabetic mammal without any statistically significant increase in weight, risk of hypoglycemia or hyperinsulinemia, and the need for monitoring blood glucose concentrations or HbA1c levels, and methods for reducing the incidence and/or severity of one or more disease states associated with chronic dosing of insulin; for prophylactically sparing {3-cell function or for preventing {3- cell death or dysfunction in a mammal with impaired glucose tolerance or early stage diabetes mellitus; and for long-term protection from developing {or delaying the onset of} overt or insulin dependent diabetes in a mammal with impaired glucose tolerance or early stage diabetes.

12. **20180161400** ANTIDIABETIC ORAL INSULIN BIGUANIDE COMBINATION — US - 14.06.2018

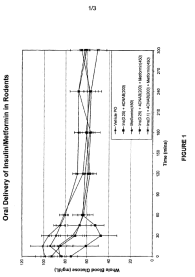
Int.Class A61K 38/28 **Appl.No** 15645851 **Applicant** Emisphere Technologies, Inc. **Inventor** Ehud ARBIT



Pharmaceutical dosage forms, comprising insulin, a delivery agent that facilitates insulin transport in a therapeutically effective amount to the bloodstream and a biguanide, such as metformin, are disclosed for oral administration to a patient for the treatment of diabetes. Also disclosed are methods for achieving improved glucose tolerance and glycemic control in a diabetic mammal without any statistically significant increase in weight, risk of hypoglycemia or hyperinsulinemia, and the need for monitoring blood glucose concentrations or HbA1c levels, and methods for reducing the incidence and/or severity of one or more disease states associated with chronic dosing of insulin; for prophylactically sparing {3-cell function or for preventing {3-cell death or dysfunction in a mammal with impaired glucose tolerance or early stage diabetes mellitus; and for long-term protection from developing {or delaying the onset of} overt or insulin dependent diabetes in a mammal with impaired glucose tolerance or early stage diabetes.

13. **2005271526** ANTIDIABETIC ORAL INSULIN-BIGUANIDE COMBINATION — AU - 15.02.2007

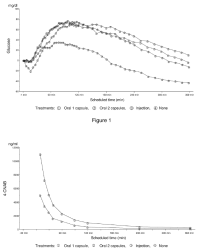
Int.Class A61K 38/28 **Appl.No** 2005271526 **Applicant** Emisphere Technologies, Inc. **Inventor**



Pharmaceutical dosage forms, comprising insulin, a delivery agent that facilitates insulin transport in a therapeutically effective amount to the bloodstream and a biguanide, such as metformin, are disclosed for oral administration to a patient for the treatment of diabetes. Also disclosed are methods for achieving improved glucose tolerance and glycemic control in a diabetic mammal without any statistically significant increase in weight, risk of hypoglycemia or hyperinsulinemia, and the need for monitoring blood glucose concentrations or HbA1c levels, and methods for reducing the incidence and/or severity of one or more disease states associated with chronic dosing of insulin; for prophylactically sparing {3-cell function or for preventing {3-cell death or dysfunction in a mammal with impaired glucose tolerance or early stage diabetes mellitus; and for long-term protection from developing {or delaying the onset of} overt or insulin dependent diabetes in a mammal with impaired glucose tolerance or early stage diabetes.

14. **20090253614** ORAL INSULIN THERAPIES AND PROTOCOL — US - 08.10.2009

Int.Class A61K 38/28 **Appl.No** 12485521 **Applicant** Ehud Arbit **Inventor** Ehud Arbit



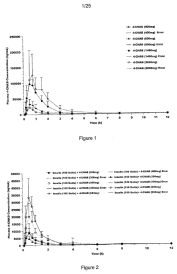
Methods for treating impaired glucose tolerance and early and late stage diabetes in mammals, for prophylactically sparing β-cell function, aiding in preventing β-cell death, preventing the onset of overt diabetes in a mammal with type 2 diabetes, treating the current level of glycemic control dysfunction of a mammal with impaired glucose tolerance or diabetes, comprising orally administering insulin and a delivery agent that facilitates insulin absorption from the gastrointestinal tract at the time of or shortly before mealtime, e.g., within about 10 minutes prior to ingestion of a meal, on a chronic basis. The methods also comprise, in addition to administering a rapid-acting insulin to provide a first insulin peak, administering a slow acting insulin to provide a second insulin peak occurring at a later time but of a longer duration. These methods achieve improved glycemic control without the risks of hypoglycemia, hyperinsulinemia and weight gain and the need for frequent blood glucose monitoring that are normally associated with insulin therapy.

15. **2003226436** ORAL INSULIN THERAPY — AU - 07.08.2003

Int.Class G01N 33/53 **Appl.No** 2003226436 **Applicant** Emisphere Technologies, Inc. **Inventor**

Pharmaceutical dosage forms for oral administration to a patient for the treatment of diabetes, comprising insulin and a delivery agent that facilitates insulin transport in a therapeutically effective amount to the bloodstream and that result

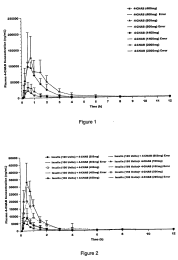
in a lower incidence of vascular diseases associated with the repeated administration of insulin are disclosed. Also disclosed is a method of attenuating the undesirable incidence of diseases associated with chronic dosing of insulin is provided whereby the oral administration to a patient of insulin along with a suitable delivery agent that facilitates the absorption of insulin from the gastrointestinal tract of the patient in a therapeutically effective amount, for treatment of diabetes.



16. **20060234913** ORAL INSULIN THERAPY — US - 19.10.2006

Int.Class A61K 38/28 **Appl.No** 10500822 **Applicant** Emisphere Technologies, Inc. **Inventor** Arbit Ehud

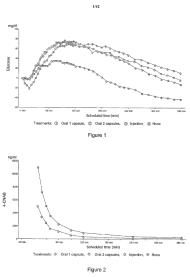
Pharmaceutical dosage forms for oral administration to a patient for the treatment of diabetes, comprising insulin and a delivery agent that facilitates insulin transport in a therapeutically effective amount to the bloodstream and that result in a lower incidence of vascular diseases associated with the repeated administration of insulin are disclosed. Also disclosed is a method of attenuating the undesirable incidence of diseases associated with chronic dosing of insulin is provided whereby the oral administration to a patient of insulin along with a suitable delivery agent that facilitates the absorption of insulin from the gastrointestinal tract of the patient in a therapeutically effective amount, for treatment of diabetes.



17. **2004220544** ORAL INSULIN THERAPIES AND PROTOCOL — AU - 27.10.2005

Int.Class A61K 6/00 **Appl.No** 2004220544 **Applicant** Emisphere Technologies, Inc. **Inventor**

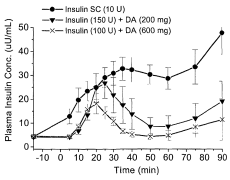
Methods for treating impaired glucose tolerance and early and late stage diabetes in mammals, for prophylactically sparing &bgr;-cell function, aiding in preventing &bgr;-cell death, preventing the onset of overt diabetes in a mammal with type 2 diabetes, treating the current level of glycemic control dysfunction of a mammal with impaired glucose tolerance or diabetes, comprising orally administering insulin and a delivery agent that facilitates insulin absorption from the gastrointestinal tract at the time of or shortly before mealtime, e.g., within about 10 minutes prior to ingestion of a meal, on a chronic basis. The methods also comprise, in addition to administering a rapid-acting insulin to provide a first insulin peak, administering a slow acting insulin to provide a second insulin peak occurring at a later time but of a longer duration. These methods achieve improved glycemic control without the risks of hypoglycemia, hyperinsulinemia and weight gain and the need for frequent blood glucose monitoring that are normally associated with insulin therapy.



18. **WO/2003/057170** ORAL INSULIN THERAPY — WO - 17.07.2003

Int.Class A61K 9/20 **Appl.No** PCT/US2003/000337 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor ARBIT, Ehud

Pharmaceutical dosage forms for oral administration to a patient for the treatment of diabetes, comprising insulin and a delivery agent that facilitates insulin transport in a therapeutically effective amount to the bloodstream and that result in a lower incidence of vascular diseases associated with the repeated administration of insulin are disclosed. Also disclosed is a method of attenuating the undesirable incidence of diseases associated with chronic dosing of insulin is provided whereby the oral administration to a patient of insulin along with a suitable delivery agent that facilitates the absorption of insulin from the gastrointestinal tract of the patient in a therapeutically effective amount, for treatment of diabetes.



19. **541058** NIGHT-TIME ORAL INSULIN THERAPY — NZ - 27.06.2008

Int.Class A61K 38/28 **Appl.No** 541058 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor Goldberg, Michael

Patent 541058 Disclosed is the use of insulin in the manufacture of a medicament which is to be orally administered at or shortly before bedtime for one or more of the following: prophylactically sparing beta cell function in a mammal which has impaired glucose intolerance or early stage diabetes mellitus; preventing beta cell death or dysfunction in a mammal which has impaired glucose intolerance or early stage diabetes mellitus; extended protection of a mammal which has impaired glucose tolerance or early stage diabetes mellitus from developing overt diabetes; or delaying the onset of overt or insulin dependent diabetes in a mammal which has impaired glucose tolerance or early stage diabetes mellitus.

20. **2471769** ORAL INSULIN THERAPY — CA - 17.07.2003

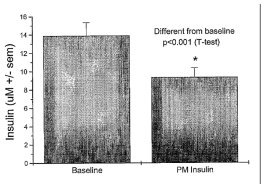
Int.Class A61K 38/28 **Appl.No** 2471769 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor ARBIT, EHUD

Pharmaceutical dosage forms for oral administration to a patient for the treatment of diabetes, comprising insulin and a delivery agent that facilitates insulin transport in a therapeutically effective amount to the bloodstream and that result in a lower incidence of vascular diseases associated with the repeated administration of insulin are disclosed. Also disclosed is a method of attenuating the undesirable incidence of diseases associated with chronic dosing of insulin is provided whereby the oral administration to a patient of insulin along with a suitable delivery agent that facilitates the absorption of insulin from the gastrointestinal tract of the patient in a therapeutically effective amount, for treatment of diabetes.

21. **2511530** NIGHT-TIME ORAL INSULIN THERAPY CA - 29.07.2004

Int.Class A61K 38/28 **Appl.No** 2511530 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor GOLDBERG, MICHAEL

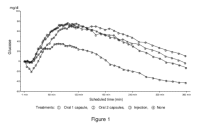
A method for protection of a mammal that has impaired glucose tolerance or early stage diabetes mellitus from developing overt or insulin dependent diabetes comprises administering an orally effective dose of a pharmaceutical formulation comprising insulin at nighttime, e.g., at or shortly before bedtime.

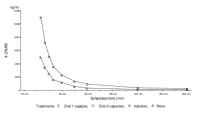


22. **20090318331** ORAL INSULIN THERAPIES AND PROTOCOL US - 24.12.2009

Int.Class A61K 38/28 **Appl.No** 12546283 **Applicant** Emisphere Technologies, Inc. **Inventor** Arbit Ehud

Methods for treating impaired glucose tolerance and early and late stage diabetes in mammals, for prophylactically sparing β-cell function, aiding in preventing β-cell death, preventing the onset of overt diabetes in a mammal with type 2 diabetes, treating the current level of glycemic control dysfunction of a mammal with impaired glucose tolerance or diabetes, comprising orally administering insulin and a delivery agent that facilitates insulin absorption from the gastrointestinal tract at the time of or shortly before mealtime, e.g., within about 10 minutes prior to ingestion of a meal, on a chronic basis. The methods also comprise, in addition to administering a rapid-acting insulin to provide a first insulin peak, administering a slow acting insulin to provide a second insulin peak occurring at a later time but of a longer duration. These methods achieve improved glycemic control without the risks of hypoglycemia, hyperinsulinemia and weight gain and the need for frequent blood glucose monitoring that are normally associated with insulin therapy.

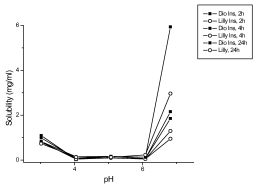




23. **WO/2007/121318** FORMULATIONS FOR DELIVERING INSULIN WO - 25.10.2007

Int.Class A61K 38/28 **Appl.No** PCT/US2007/066560 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor LEVCHIK, Halina

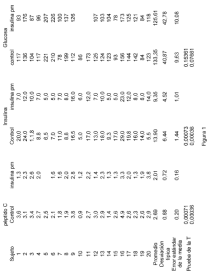
Oral insulin formulations and processes for preparing oral insulin formulations are provided.



24. **2465496** TERAPIA DE INSULINA ORAL NOCTURNA ES - 05.06.2014

Int.Class A61K 38/28 **Appl.No** 04700388 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor GOLDBERG, Michael

A method for protection of a mammal that has impaired glucose tolerance or early stage diabetes mellitus from developing overt or insulin dependent diabetes comprises administering an orally effective dose of a pharmaceutical formulation comprising insulin at nighttime, e.g., at or shortly before bedtime.



25. **20110178006** FORMULATION COMPRISING GLP-1 US - 21.07.2011

Int.Class A61K 38/00 **Appl.No** 13004964 **Applicant** Khan Mohammed Amin
Inventor Khan Mohammed Amin

The invention relates to formulations that demonstrate the feasibility of oral absorption comprising glucose-like peptide-1 compounds and specified delivery agents, and to methods of stimulating GLP-1 receptor in a subject in need of such stimulation, by administration of the formulation of the present invention.

26. **WO/2006/124047** PHARMACEUTICAL FORMULATIONS CONTAINING MICROPARTICLES OR NANOPARTICLES OF A DELIVERY AGENT WO - 23.11.2006

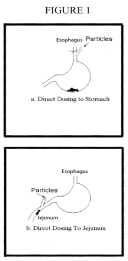
Int.Class A61K 9/14 **Appl.No** PCT/US2005/028991 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor MAJURU, Shingai

This invention relates to microparticles and/or nanoparticles containing a delivery agent and /or an active agent. This invention also relates to pharmaceutical formulations and solid dosage forms, including controlled release solid dosage forms of active agent and a delivery agent

27. **20190022228** PHARMACEUTICAL FORMULATIONS CONTAINING MICROPARTICLES OR NANOPARTICLES OF A DELIVERY AGENT US - 24.01.2019

Int.Class A61K 47/12 **Appl.No** 15894652 **Applicant** Emisphere Technologies, Inc. **Inventor** George Klein

This invention relates to microparticles and/or nanoparticles containing a delivery agent and/or an active agent. This invention also relates to pharmaceutical formulations and solid dosage forms, including controlled release solid dosage forms of active agent and a delivery agent.



28. **WO/1998/021951** METHODS AND COMPOSITIONS FOR INDUCING ORAL TOLERANCE IN MAMMALS WO - 28.05.1998

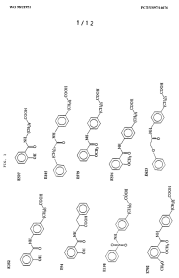
Int.Class A61K 39/00 **Appl.No** PCT/US1997/014676 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor HAAS, Susan

The present invention relates to methods and pharmaceutical formulations for orally delivering an antigen to induce tolerance. The antigen is combined with derivatized amino acids or salts thereof. The induction of oral tolerance may be applied clinically for the prevention or treatment of auto-immune diseases and clinical allergic hypersensitivities, and for the prevention of allograft rejection. The figure illustrates examples of the derivatized amino acids.

29. **2243643** METHODS AND COMPOSITIONS FOR INDUCING ORAL TOLERANCE IN MAMMALS CA - 28.05.1998

Int.Class A61K 47/16 **Appl.No** 2243643 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor HAAS, SUSAN

The present invention relates to methods and pharmaceutical formulations for orally delivering an antigen to induce tolerance. The antigen is combined with derivatized amino acids or salts thereof. The induction of oral tolerance may be applied clinically for the prevention or treatment of auto-immune diseases and clinical allergic hypersensitivities, and for the prevention of allograft rejection. The figure illustrates examples of the derivatized amino acids.



30. **20140005106** METHOD FOR ADMINISTERING GLP-1 MOLECULES US - 02.01.2014

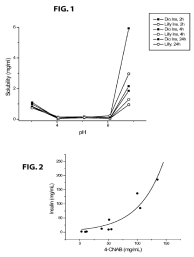
Int.Class A61K 38/26 **Appl.No** 13943610 **Applicant** Emisphere Technologies, Inc.
Inventor KHAN Mohammed Amin

The invention relates to formulations that demonstrate the feasibility of oral absorption comprising glucose-like peptide-1 compounds and specified delivery agents, and to methods of stimulating GLP-1 receptor in a subject in need of such stimulation, by administration of the formulation of the present invention.

31. **20150190344** FORMULATIONS FOR DELIVERING INSULIN US - 09.07.2015

Int.Class A61K 9/20 **Appl.No** 14589811 **Applicant** Emisphere Technologies, Inc.
Inventor Halina LEVCHIK

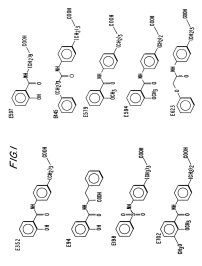
Oral insulin formulations and processes for preparing oral insulin formulations are provided.



32. **6391303** METHODS AND COMPOSITIONS FOR INDUCING ORAL TOLERANCE IN MAMMALS US - 21.05.2002

Int.Class A61K 39/00 **Appl.No** 09101921 **Applicant** Emisphere Technologies, Inc. **Inventor** Haas, Susan

The present invention relates to methods and pharmaceutical formulations for orally delivering an antigen to induce tolerance. The antigen is combined with derivatized amino acids or salts thereof. The induction of oral tolerance may be applied clinically for the prevention or treatment of auto-immune diseases and clinical allergic hypersensitivities, and for the prevention of allograft rejection.



33. **20150202296** METHOD FOR ADMINISTERING GLP-1 MOLECULES — US - 23.07.2015

Int.Class A61K 47/18 **Appl.No** 14603239 **Applicant** Emisphere Technologies, Inc.
Inventor Mohammed Amin KHAN

The invention relates to formulations that demonstrate the feasibility of oral absorption comprising glucose-like peptide-1 compounds and specified delivery agents, and to methods of stimulating GLP-1 receptor in a subject in need of such stimulation, by administration of the formulation of the present invention.

34. **20100016229** ORAL GLP-1 FORMULATIONS — US - 21.01.2010

Int.Class A61K 38/28 **Appl.No** 12497373 **Applicant** Sarubbi Donald J. **Inventor** Sarubbi Donald J.

The present invention provides pharmaceutical compositions comprising of at least one delivery agent and GLP-1. These pharmaceutical compositions facilitate the oral delivery of GLP-1, providing improved [e.g. increased] bioavailability of GLP-1 compared to administration of GLP-1 without a delivery agent.

35. **20060286129** ORAL GLP-1 FORMULATIONS — US - 21.12.2006

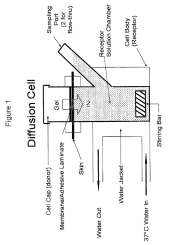
Int.Class A61K 38/26 **Appl.No** 11018180 **Applicant** Emisphere Technologies, Inc.
Inventor Sarubbi Donald J.

The present invention provides phamaceutical compositions comprising at least one delivery agent and GLP-1. These pharmaceutical compositions facilitate the oral delivery of GLP-1, providing improved [e.g. increased] bioavailability of GLP-1 compared to administration of GLP-1 without a delivery agent.

36. **20100004310** PHARMACEUTICAL FORMULATIONS FOR THE TREATMENT OF ALZHEIMER'S DISEASE — US - 07.01.2010

Int.Class A61K 31/4025 **Appl.No** 12375007 **Applicant** Ihor Shevchuk **Inventor** Ihor Shevchuk

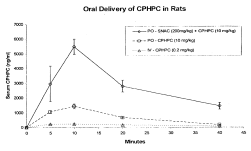
The present invention relate to pharmaceutical formulations that include a CPHPC component and a delivery agent compound.



37. **WO/2008/014232** PHARMACEUTICAL FORMULATIONS FOR THE TREATMENT OF ALZHEIMER'S DISEASE — WO - 31.01.2008

Int.Class A61K 31/40 **Appl.No** PCT/US2007/074176 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor DINH, Steven

The present invention relate to pharmaceutical formulations that include a CPHPC component and a delivery agent compound.



38. **2003208945** METHOD FOR ADMINISTERING GLP-1 MOLECULES — AU - 17.07.2003

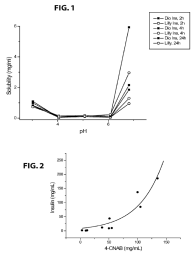
Int.Class C07K 14/605 **Appl.No** 2003208945 **Applicant** Emisphere Technologies, Inc **Inventor**

The invention encompasses formulations that demonstrate the feasibility of oral absorption comprising GLP–1 compounds and specified delivery agents.

39. **20100151009** FORMULATIONS FOR DELIVERING INSULIN — US - 17.06.2010

Int.Class A61K 38/28 **Appl.No** 12297147 **Applicant** Levchik Halina **Inventor** Levchik Halina

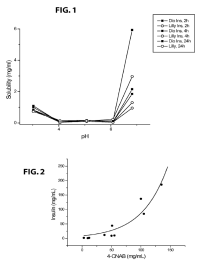
Oral insulin formulations and processes for preparing oral insulin formulations are provided.



40. **20180338923** FORMULATIONS FOR DELIVERING INSULIN — US - 29.11.2018

Int.Class A61K 9/20 **Appl.No** 15875397 **Applicant** Emisphere Technologies, Inc.
Inventor Halina LEVCHIK

Oral insulin formulations and processes for preparing oral insulin formulations are provided.



41. **WO/1995/011690** DESFERRIOXAMINE ORAL DELIVERY SYSTEM — WO - 04.05.1995

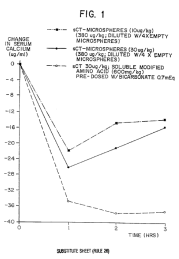
Int.Class A61K 9/16 **Appl.No** PCT/US1994/012333 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor MILSTEIN, Sam, J.

Modified amino acids and methods for their preparation and use as oral delivery systems for pharmaceutical agents are described. The modified amino acids are preparable by reacting single amino acids or mixtures of two or more kinds of amino acids with an amino modifying agent such as benzene sulfonyl chloride, benzoyl chloride, and hippuryl chloride. The modified amino acids may form encapsulating microspheres in the presence of the active agent under sphere-forming conditions. Alternatively, the modified amino acids may be used as a carrier by simply mixing the amino acids with the active agent. The preferred acylated amino acid carrier is salicyloyl-phenylalanine. The modified amino acids are particularly useful in delivering biologically active agents, e.g., desferrioxamine, insulin or cromolyn sodium, or other agents which are sensitive to the denaturing conditions of the gastrointestinal tract.

42. **2174961** DESFERRIOXAMINE ORAL DELIVERY SYSTEM — CA - 04.05.1995

Int.Class A61K 38/28 **Appl.No** 2174961 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor MILSTEIN, SAM J.

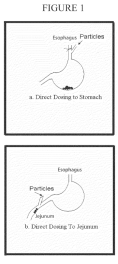
Modified amino acids and methods for their preparation and use as oral delivery systems for pharmaceutical agents are described. The modified amino acids are preparable by reacting single amino acids or mixtures of two or more kinds of amino acids with an amino modifying agent such as benzene sulfonyl chloride, benzoyl chloride, and hippuryl chloride. The modified amino acids may form encapsulating microspheres in the presence of the active agent under sphere-forming conditions. Alternatively, the modified amino acids may be used as a carrier by simply mixing the amino acids with the active agent. The preferred acylated amino acid carrier is salicyloyl- phenylalanine. The modified amino acids are particularly useful in delivering biologically active agents, e.g., desferrioxamine, insulin or cromolyn sodium, or other agents which are sensitive to the denaturing conditions of the gastrointestinal tract.



43. **20100055194** PHARMACEUTICAL FORMULATIONS CONTAINING MICROPARTICLES OR NANOPARTICLES OF A DELIVERY AGENT — US - 04.03.2010

Int.Class A61K 9/14 **Appl.No** 12550281 **Applicant** Emisphere Technologies, Inc.
Inventor Majuru Shingai

This invention relates to microparticles and/or nanoparticles containing a delivery agent and/or an active agent. This invention also relates to pharmaceutical formulations and solid dosage forms, including controlled release solid dosage forms of active agent and a delivery agent.

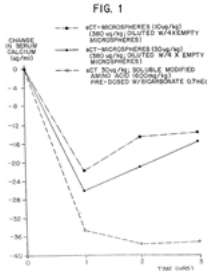


44. **5811127** DESFERRIOXAMINE ORAL DELIVERY SYSTEM — US - 22.09.1998

Int.Class A61K 9/16 **Appl.No** 08635921 **Applicant** Emisphere Technologies, Inc.
Inventor Milstein Sam J.

Modified amino acids and methods for their preparation and use as oral delivery systems for pharmaceutical agents are described. The modified amino acids are preparable by reacting single amino acids or mixtures of two or more kinds of amino acids with an amino modifying agent such as benzene sulfonyl chloride, benzoyl chloride, and hippuryl chloride. The modified amino acids may form encapsulating microspheres in the presence of the active agent under sphere-

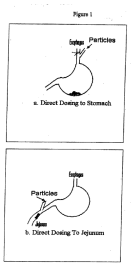
forming conditions. Alternatively, the modified amino acids may be used as a carrier by simply mixing the amino acids with the active agent. The preferred acylated amino acid carrier is salicyloyl-phenylalanine. The modified amino acids are particularly useful in delivering biologically active agents, e.g., desferrioxamine, insulin or cromolyn sodium, or other agents which are sensitive to the denaturing conditions of the gastrointestinal tract.



45. **20060078622** PHARMACEUTICAL FORMULATIONS CONTAINING MICROPARTICLES OR NANOPARTICLES OF A DELIVERY AGENT — US - 13.04.2006

Int.Class A61K 9/14 **Appl.No** 11204756 **Applicant** Emisphere Technologies, Inc.
Inventor Majuru Shingai

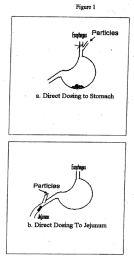
This invention relates to microparticles and/or nanoparticles containing a delivery agent and/or an active agent. This invention also relates to pharmaceutical formulations and solid dosage forms, including controlled release solid dosage forms of active agent and a delivery agent.



46. **20060078623** PHARMACEUTICAL FORMULATIONS CONTAINING MICROPARTICLES OR NANOPARTICLES OF A DELIVERY AGENT — US - 13.04.2006

Int.Class A61K 9/50 **Appl.No** 11204778 **Applicant** Emisphere Technologies, Inc. **Inventor** Dhoot Nikhil

This invention relates to microparticles and/or nanoparticles containing a delivery agent and/or an active agent. This invention also relates to pharmaceutical formulations and solid dosage forms, including controlled release solid dosage forms of active agent and a delivery agent.



47. **125435** COMPOSITIONS FOR INDUCING ORAL TOLERANCE IN MAMMALS COMPRISING AN ANTIGEN AND A DERIVATIZED AMINO ACID, AND THE USE THEREOF — IL - 20.02.2005

Int.Class **Appl.No** 125435 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

48. **534125** METHOD FOR ADMINISTERING GLP-1 MOLECULES — NZ - 30.11.2006

Int.Class A61K 9/00 **Appl.No** 534125 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor Khan, Mohammed Amin

Patent 534125 A formulation comprising a GLP-1 compound and a specified delivery agent.

49. **20090286735** METHOD FOR ADMINISTERING GLP-1 MOLECULES — US - 19.11.2009

Int.Class A61K 38/00 **Appl.No** 12421590 **Applicant** Emisphere Technologies, Inc.
Inventor Khan Mohammed Amin

The invention relates to formulations that demonstrate the feasibility of oral absorption comprising glucose-like peptide-1 compounds and specified delivery agents, and to methods of stimulating GLP-1 receptor in a subject in need of such stimulation, by administration of the formulation of the present invention.

50. **2004/06626** METHOD FOR ADMINISTERING GLP-1 MOLECULES ZA - 30.11.2005

Int.Class A61K **Appl.No** 2004/06626 **Applicant** EMISPHERE TECHNOLOGIES INC
Inventor Mohammed Amin KHAN

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[19] World Intellectual Property
Organization
Iniemaiional Bureau

[43] International Publication Date [10] International Publication Num]*cr
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[30] Priority Data:
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[71] Applicant [for all designated Suites except US]: ELI parent [BF. BJ. CF. CG. CI. CM. GA. GN. GQ. GW. ML LILLY AND COMPANY [US USJ; Lilly Corporate NE SN. TD. TG]
Center, Indianapolis, IN 46285 [US]. fas to the applicant 's entitlement to claim the priority of the
earlier application [Rule 4.17[iii]] for the following desig¬

[72] Inventor; and nations AE AG. AL AM. AT. AU. AZ BA. BB. BG. BR. BY. [75] Inventor/Applicant [for US only]: KHAN, Mohammed, BZ CA. CH. CN. CO. CR. CU. CZ DE DK. DM. DZ EC.

Amin IUS US]; 5163 Sue Drive, Carmel, IN 46033 [USV EE. ES. Ft. GB. GD. GE. GH. GM. HR. HU. ID. IL IN. IS.
JP. KE KG. KP. KR. KZ LC. LK. LR. LS. LT. LU. LV. MA.
MD. MG. MK. MN. MW. MX. MZ NO. NZ OM. PH. PL

[74] Agents: DAVIS, Paula, K. et al.; Eh' Lilly And Con any,
PT. RO. RU. SC. SD. SE SG. SK. SL TJ. TM. TN. TR. TT. P. O. Box 6288. Indianapolis, IN 46206-6288 [
TZ UA. UG. UZ VC. VN. YU. ZA. ZM. ZW. ARIPO patent
[GH. GM. KE LS. MW. MZ SD. SL SZ TZ UG. ZM. ZW].

[81] Designated Stales {national]: AE, AG, AL, AM, AT [utilEurasian patent [AM. AZ BY. KG. KZ MD. RU. TJ. TM]. ity model], AT, AU, AZ, BA, BB, BG, BB BY. BZ, CA, European patent [AT. BE BG. CH. CY. CZ DE DK. EE. CH, CN, CO, CR, CU, CZ [utility mod/i], CZ, DE [utilES. FI. FR. GB. GR. HU. IE IT. LU. MC. NL PT. SE SI. ity model], DE, DK [utility model]. D^ DM, DZ, EC, EE SK. TR]. OAPI patent [BF. BJ. CF. CG. CI. CM. GA. GN. [utility model]. EE, ES, FI [utility model], FI, GB, GD. GE, GQ. GW. ML MR. NE SN. TD. TG]
GH, GM, HR, HU, ID, rL, IN, IS, JP KE, KG. KP. KR, KZ, of inventorship [Rule 4.l7[iv]] for US only
LC, LK, LR, LS, LT, LU, LV. J¾A. MD, MG, MK, MN,
MW, MX, MZ, NO, NZ, OM PH, PL, PГ, RO, RU, SC, Published:
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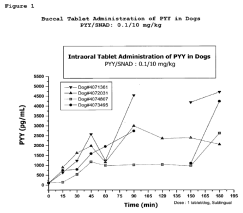
Eurasian patent CAM, AZ, BY. KG, KZ, MD, RU, TJ. TM], For two-letter codes and other abbreviations, refer to the "GuidEuropean paic/ft [AT, BE, BG, CH, CY. CZ DE, DK. EE, ance Note on Codes and Abbreviations" appearing at die beginES. П. FR, 0B, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, ning of eadi regular issue of tlte PCT Gazette.
IT]
T-H

f 5] Title: METHOD FOR ADMINISTERING GLP-1 MOLECULES
-fS^-Abslracl: The invention encompasses formulations that demonstrate the feasibility of oral absorption comprising GLP- 1 com- pounds and specified delivery agents.

51. **20160296599** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS US - 13.10.2016

Int.Class A61K 38/22 **Appl.No** 15190305 **Applicant** Emisphere Technologies, Inc. **Inventor** Steven DINH



The present invention provides a composition [e.g., a pharmaceutical composition] comprising at least one delivery agent compound and at least one of peptide YY [PYY] and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PPY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake.

52. **4056/DELNP/2008** FORMULATION FOR ORAL ADMINISTRATION FOR THE TREATMENT OF TYPE 2 DIABETES — IN - 01.08.2008

Int.Class A61K 9/00 **Appl.No** 4056/DELNP/2008 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor KHAN, MOHAMMED AMIN

The invention encompasses formulations that demonstrate the feasibility of oral absorption comprising GLP-1 compounds and specified delivery agents.

53. **530450** PHENOXY CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — NZ - 25.06.2004

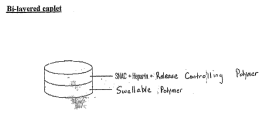
Int.Class C07C 65/40 **Appl.No** 530450 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor Leone-Bay, Andrea

Patent 530450 Described are phenoxy carboxylic acid compounds of formula 1, for which the substituents are defined herein. The compounds are used in the manufacture of pharmaceutical compositions for delivering active agents by way of oral, intracolonic, pulmonary, and other routes of administration.

54. **20080153779** GASTRIC RETENTION AND CONTROLLED RELEASE DELIVERY SYSTEM — US - 26.06.2008

Int.Class A61K 31/726 **Appl.No** 11815234 **Applicant** LIAO JUN **Inventor** Liao Jun

The present invention provice a device (FIG. **3**) for providing communication through power lines (FIG. **2**) comprised of multiple conductors by transmitting the data signals through a plurality of the conductors. One embodiment of the present invention comprises a transmit circuit communicatively coupled to a first conductor for applying the first voltage representing the data to the first energized conductor; the transmit circuit communicatively coupled to a second conductor for applying the second voltage representing the data to the second energized conductor; wherein the second voltage signal is opposite in polarity of the first voltage.



55. **2994/DELNP/2005** NIGHT-TIME ORAL DOSING OF INSULIN — IN - 29.12.2006

Int.Class A61K / **Appl.No** 2994/DELNP/2005 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor GOLDBERG, MICHAEL

A method for protection of a mammal that has impaired glucose tolerance or early stage diabetes mellitus from developing overt or insulin dependent diabetes comprises administering an orally effective dose of a pharmaceutical formulation comprising insulin at nighttime, e.g. at or shortly before bedtime.

56. **2011202574** USE OF A DPP-IV INHIBITOR TO REDUCE HYPOGLYCEMIC EVENTS — AU - 16.06.2011

Int.Class A61K 45/06 **Appl.No** 2011202574 **Applicant** Emisphere Technologies, Inc. **Inventor**

The invention relates to a method to reduce the hypoglycemic events, especially sever hypoglycemic events resulting from insulin treatment, wherein the patient is treated with a Dipeptidyl peptidase IV inhibitor (DPP-IV inhibitor) or a pharmaceutically acceptable salt thereof.

57. **230315** וחומצה 8–[(2–הידרוקסיבנזויל)אמינו]אוקטנוית ושימוש בהן להכנת תרופות GLP–1 פורמולציות הכוללות תרכובות — IL - 30.11.2016

Int.Class A61K 09//08 **Appl.No** 230315 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

58. **2525168** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS — CA - 02.12.2004

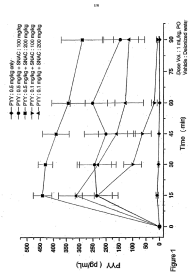
Int.Class A61K 38/00 **Appl.No** 2525168 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor DINH, STEVE

The present invention provides a composition (e.g., a pharmaceutical composition) comprising at least one delivery agent compound and at least one of peptide YY (PYY) and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PPY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake.

59. **2004241242** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS AU - 01.12.2005

Int.Class A61K 38/00 **Appl.No** 2004241242 **Applicant** Emisphere Technologies, Inc. **Inventor**

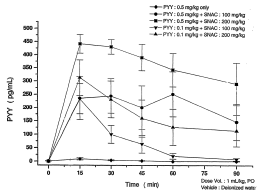
The present invention provides a composition (e.g., a pharmaceutical composition) comprising at least one delivery agent compound and at least one of peptide YY (PYY) and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PPY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake.



60. **WO/2004/104018** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS WO - 02.12.2004

Int.Class A61K 38/00 **Appl.No** PCT/US2004/015162 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor DINH, Steve

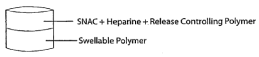
The present invention provides a composition (e.g., a pharmaceutical composition) comprising at least one delivery agent compound and at least one of peptide YY (PYY) and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PPY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake.



61. **20190216729** GASTRIC RETENTION AND CONTROLLED RELEASE DELIVERY SYSTEM US - 18.07.2019

Int.Class A61K 9/00 **Appl.No** 15845643 **Applicant** Emisphere Technologies, Inc. **Inventor** Jun Liao

The present invention relates to gastric retention delivery systems and controlled release compositions containing a pharmaceutically acceptable active agent and a delivery agent.



62. **2006292377** USE OF A DPP-IV INHIBITOR TO REDUCE HYPOGLYCEMIC EVENTS AU - 03.04.2008

Int.Class A61K 45/06 **Appl.No** 2006292377 **Applicant** Emisphere Technologies, Inc. **Inventor**

The invention relates to a method to reduce the hypoglycemic events, especially sever hypoglycemic events resulting from insulin treatment, wherein the patient is treated with a Dipeptidyl peptidase IV inhibitor (DPP-IV inhibitor) or a pharmaceutically acceptable salt thereof.

63. **2006/09809** SOLID DOSAGE FORM OF WETTED HEPARIN ZA - 30.04.2008

Int.Class A61K **Appl.No** 2006/09809 **Applicant** EMISPHERE TECHNOLOGIES INC
Inventor MAJURU SHINGAI

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(54) Title: SOLID DOSAGE FORM OF WETTED HEPARIN

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o (57) A bstract: The present invention relates to a solid pharmaceutical composition (such as a solid dosage form) comprising a deli very agent and welted heparin. The incl usion of wetted heparin rather than un-wetted heparin in the solid pharmaceutical composilion results in increased delivery of the heparin. Without being bound by any particular theory, applicants believe thai because the polymer chain of the welled heparin is already in an "open" form, while un-wctted heparin is not, less of the wetted heparin is hroken down in the gastroi ntesti nal tract and is more readily absorbed in the stomach .

64. **2564866** SOLID DOSAGE FORM OF WETTED HEPARIN — CA - 17.11.2005

Int.Class A61K 31/727 **Appl.No** 2564866 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor MAJURU, SHINGAI

The present invention relates to a solid pharmaceutical composition (such as a solid dosage form) comprising a delivery agent and wetted heparin. The inclusion of wetted heparin rather than un-wetted heparin in the solid pharmaceutical composition results in increased delivery of the heparin. Without being bound by any particular theory, applicants believe that because the polymer chain of the wetted heparin is already in an "open" form, while un- wetted heparin is not, less of the wetted heparin is broken down in the gastrointestinal tract and is more readily absorbed in the stomach.

65. **28774** FORME DE DOSAGE SOLIDE D'HEPARINE MOUILLEE — MA - 01.08.2007

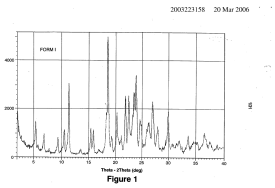
Int.Class A61K 31/727 **Appl.No** 29506 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor MAJURU, Shingai

L'invention concerne une composition pharmaceutique solide (telle qu'une forme de dosage solide) comprenant un agent d'administration et de l'héparine mouillée. L'inclusion d'héparine mouillée provoque, dans la composition pharmaceutique solide, beaucoup plus qu'une héparine non mouillée, une administration accrue de l'héparine. Sans aucun lien avec une théorie particulaire, les inventeurs estiment que du fait que la chaîne polymère d'héparine mouillée est déjà une forme « ouverte », alors que l'héparine non mouillée ne l'est pas, moins d'héparine mouillée est décomposée dans le tractus gastro-intestinal et est plus facilement absorbée dans l'estomac.

66. **2003223158** POLYMORPHS OF SODIUM 4-[[4-CHLORO-2-HYDROXYBENZOYL]AMINO]BUTANOATE — AU - 07.08.2003

Int.Class C07C 231/24 **Appl.No** 2003223158 **Applicant** Emisphere Technologies, Inc. **Inventor**

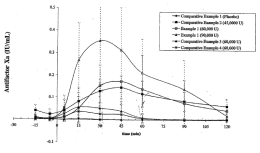
The present invention relates to amorphous and polymorphic forms of sodium 4-[[4-chloro-2-hydroxybenzoyl]amino]butanoate and their use for facilitating the delivery of active agents, such as insulin, to a target.



67. **20070224262** SOLID DOSAGE FORM OF WETTED HEPARIN — US - 27.09.2007

Int.Class A61K 9/48 **Appl.No** 11568749 **Applicant** Emisphere Technologies, Inc.
Inventor Majuru Shingai

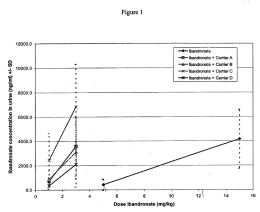
The present invention relates to a solid pharmaceutical composition (such as a solid dosage form) comprising a delivery agent and wetted heparin. The inclusion of wetted heparin rather than un-wetted heparin in the solid pharmaceutical composition results in increased delivery of the heparin. Without being bound by any particular theory, applicants believe that because the polymer chain of the wetted heparin is already in an “open” form, while un-wetted heparin is not, less of the wetted heparin is broken down in the gastrointestinal tract and is more readily absorbed in the stomach.



68. **20050277621** 8-[2-HYDROXYPHENOXY]OCTYLDIETHANOLAMINE AND SALTS THEREOF FOR DELIVERY OF ACTIVE AGENTS — US - 15.12.2005

Int.Class A61K 31/66 **Appl.No** 11109046 **Applicant** Emisphere Technologies, Inc.
Inventor Gschneidner David

The present invention provides 8-[2-hydroxyphenoxy]octyldiethanolamine] and salts thereof, compositions containing the same and one or more active agents, and methods of administering active agents with the same. The delivery agents of the present invention are well suited for forming non-covalent mixtures with active agents for oral, intracolonic, pulmonary, and other routes of administration to animals.



69. **WO/2006/084164** GASTRIC RETENTION AND CONTROLLED RELEASE DELIVERY SYSTEM WO - 10.08.2006

Int.Class A61K 9/20 **Appl.No** PCT/US2006/003899 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor LIAO, Jun

The present invention relates to gastric retention delivery systems and controlled release compositions containing a pharmaceutically acceptable active agent and a delivery agent.

70. **2563335** 8-[2-HYDROXYPHENOXY]OCTYLDIETHANOLAMINE AND SALTS THEREOF FOR DELIVERY OF ACTIVE AGENTS CA - 08.12.2005

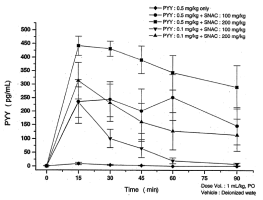
Int.Class C07C 215/02 **Appl.No** 2563335 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor GSCHNEIDNER, DAVID

The present invention provides 8-[2-hydroxyphenoxy]octyldiethanolamine] and salts thereof, compositions containing the same and one or more active agents, and methods of administering active agents with the same. The delivery agents of the present invention are well suited for forming non-covalent mixtures with active agents for oral, intracolonic, pulmonary, and other routes of administration to animals.

71. **20050009748** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS US - 13.01.2005

Int.Class A61K 31/195 **Appl.No** 10846954 **Applicant** Emisphere Technologies, Inc. **Inventor** Dinh Steve

The present invention provides a composition (e.g., a pharmaceutical composition) comprising at least one delivery agent compound and at least one of peptide YY (PYY) and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PPY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake



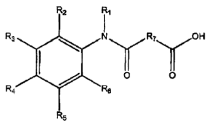
72. **552558** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS NZ - 27.11.2009

Int.Class A61K 38/17 **Appl.No** 552558 **Applicant** Emisphere Technologies, Inc. **Inventor** Dinh, Steven

Patent 552558 Disclosed is a dosage unit form adapted for buccal administration comprising, (a) at least one peptide YY (PYY), a peptide YY agonist, or a mixture thereof, and (b) a delivery agent, of the formula (I) wherein the substituents are as described in the specification, and methods for its preparation. Also disclosed compositions can reduce nutrient uptake and are useful in the treatment of obesity.

73. **2565188** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS CA - 01.12.2005

Int.Class C07C 233/07 **Appl.No** 2565188 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**



Compound A

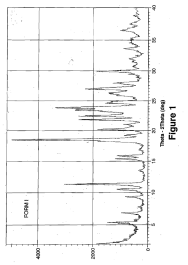
The present invention provides compounds and compositions which facilitate the

delivery of active agents. Delivery agent compounds of the present invention include
compounds as shown below and pharmaceutically acceptable salts thereof:
[see above formula]
Compound A
wherein: R1 is - [CH2]m-R8, wherein m= 0 or 1 ; R2 ¨ R6 are independently selected
from hydrogen, hydroxyl, halogen, C1¨ C4 alkyl, C2 ¨ C4 alkenyl, C2 ¨ C4 alkynyl,
C1 ¨ C4 alkoxy, and cyano; R7 is selected from C1 ¨ C10 alkyl, C2 ¨ C10 alkenyl, and
C2 - C10 alkynyl; R8 is selected from cyclopentyl, cyclohexyl and phenyl, wherein
when R8 is a phenyl, m=l; and R8 is optionally substituted with C1 ¨ C4 alkyl, C1 ¨ C4
alkoxy, halogen or hydroxyl, or a combination thereof.

74. **20070161708** POLYMORPHS OF SODIUM 4-[(4-CHLORO-2-HYDROXYBENZOYL) AMINO]BUTANOATE US - 12.07.2007

Int.Class A61F 13/00 **Appl.No** 11686341 **Applicant** Emisphere Technologies, Inc.
Inventor Bhandarkar Satej

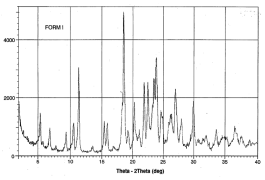
The present invention relates to amorphous and polymorphic forms of sodium 4-[(4-chloro-2-hydroxybenzoyl)amino]butanoate and their use for facilitating the delivery of active agents, such as insulin, to a target.



75. **20050250852** POLYMORPHS OF SODIUM 4-[(4-CHLORO-2-HYDROXYBENZOYL) AMINO] BUTANOATE US - 10.11.2005

Int.Class A61F 13/00 **Appl.No** 11183039 **Applicant** Emisphere Technologies, Inc.
Inventor Bhandarkar Satej

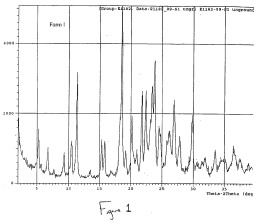
The present invention relates to amorphous and polymorphic forms of sodium 4-[(4-chloro-2-hydroxybenzoyl)amino]butanoate and their use for facilitating the delivery of active agents, such as insulin, to a target.



76. **20050272639** POLYMORPHS OF SODIUM 4-[(4-CHLORO-2-HYDROXYBENZOYL) AMINO] BUTANOATE US - 08.12.2005

Int.Class C07C 229/00 **Appl.No** 10501205 **Applicant** Emisphere Technologies, Inc.
Inventor Bhandarkar Satej

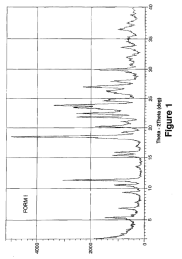
The present invention relates to amorphous and polymorphic forms of sodium 4-[(4-chloro-2-hydroxybenzoyl)amino]butanoate and their use for facilitating the delivery of active agents, such as insulin, to a target.



77. **20090010882** AMORPHOUS SODIUM 4-[4-CHLORO-2-HYDROXYBENZOYL]AMINO]BUTANOATE US - 08.01.2009

Int.Class C07C 229/00 **Appl.No** 12167126 **Applicant** Emisphere Technologies, Inc.
Inventor Bhandarkar Satej

The present invention relates to amorphous and polymorphic forms of sodium 4-[(4-chloro-2-hydroxybenzoyl)amino]butanoate and their use for facilitating the delivery of active agents, such as insulin, to a target.



78. **WO/2003/057650** POLYMORPHS OF SODIUM 4-[(4-CHLORO-2-HYDROXYBENZOYL]AMINO]BUTANOATE WO - 17.07.2003

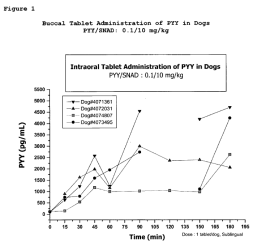
Int.Class A61K 31/00 **Appl.No** PCT/US2003/000878 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor BHANDARKAR, Satej

The present invention relates to amorphous and polymorphic forms of sodium 4-[(4-chloro-2-hydroxybenzoyl)amino]butanoate and their use for facilitating the delivery of active agents, such as insulin, to a target.

79. **20110183898** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS US - 28.07.2011

Int.Class A61K 47/48 **Appl.No** 11571862 **Applicant** Steven Dinh **Inventor** Steven Dinh

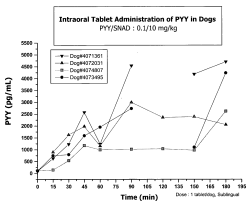
The present invention provides a composition (e.g., a pharmaceutical composition) comprising at least one delivery agent compound and at least one of peptide YY (PYY) and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PPY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake.



80. **WO/2006/017251** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS — WO - 16.02.2006

Int.Class A61K 38/00 **Appl.No** PCT/US2005/024599 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor DINH, Steven

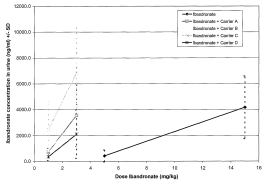
The present invention provides a composition [e.g., a pharmaceutical composition] comprising at least one delivery agent compound and at least one of peptide YY [PYY] and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PPY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake.



81. **WO/2005/115406** 8-[2-HYDROXYPHENOXY]OCTYLDIETHANOLAMINE AND SALTS THEREOF FOR DELIVERY OF ACTIVE AGENTS — WO - 08.12.2005

Int.Class C07C 215/02 **Appl.No** PCT/US2005/013174 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor GSCHNEIDNER, David

The present invention provides 8-[2-hydroxyphenoxy]octyldiethanolamine] and salts thereof, compositions containing the same and one or more active agents, and methods of administering active agents with the same. The delivery agents of the present invention are well suited for forming non-covalent mixtures with active agents for oral, intracolonic, pulmonary, and other routes of administration to animals.



82. **2012200214** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — AU - 02.02.2012

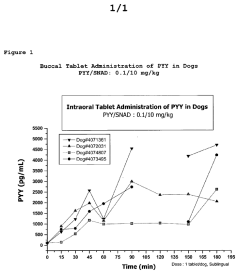
Int.Class C07C 233/07 **Appl.No** 2012200214 **Applicant** Emisphere Technologies, Inc. **Inventor**

Compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

83. **2005271878** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS — AU - 08.02.2007

Int.Class A61K 38/00 **Appl.No** 2005271878 **Applicant** Emisphere Technologies, Inc. **Inventor**

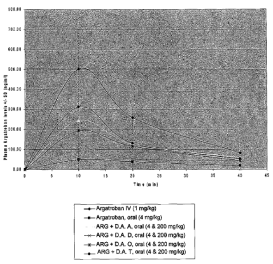
The present invention provides a composition [e.g., a pharmaceutical composition] comprising at least one delivery agent compound and at least one of peptide YY [PYY] and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PPY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake.



84. **RE048164** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — US - 18.08.2020

Int.Class A61K 31/192 **Appl.No** 15355634 **Applicant** Jun Liao **Inventor** Jun Liao

The present invention provides delivery agent compounds, compositions containing delivery agent compounds and an active agent and methods for delivering active agents, such as biologically or chemically active agents.



85. **7279597** PHENYL AMINE CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — US - 09.10.2007

Int.Class C07C 229/00 **Appl.No** 10111144 **Applicant** Emisphere Technologies, Inc.
Inventor Leone-Bay Andrea

Phenyl amine carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

86. **WO/2005/112633** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — WO - 01.12.2005

Int.Class C07C 229/00 **Appl.No** PCT/US2005/017309 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor GOMEZ-ORELLANA, Maria, Isabel

Compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

87. **2390025** PHENYL AMINE CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — CA - 10.05.2001

Int.Class C07C 229/00 **Appl.No** 2390025 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor LEONE-BAY, ANDREA

Phenyl amine carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

88. **551196** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — NZ - 27.08.2010

Int.Class C07C 229/00 **Appl.No** 551196 **Applicant** Emisphere Technologies, Inc.
Inventor Gomez-Orellana, Maria Isabel

Patent 551196 Disclosed is a tertiary amide carboxylic acid of general formula (A). Wherein R1 is - (CH2)m-R8, wherein m= 0 or 1, R2 ��� R6 are independently selected from hydrogen, hydroxyl, halogen, C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C1-C4 alkoxy, and cyano, R7 is selected from C1-C10 alkyl, C2- C10 alkenyl, and C2 - C 10 alkynyl, R8 is selected from cyclopentyl, cyclohexyl and phenyl, wherein when R8 is a phenyl, m= 1 and R8 is optionally substituted with C1 - C4 alkyl, C1-C4 alkoxy, halogen or hydroxyl, or a combination thereof. Also disclosed is a composition comprising said acid with an active agent.

89. **WO/2001/032130** PHENYL AMINE CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — WO - 10.05.2001

Int.Class C07C 59/68 **Appl.No** PCT/US2000/041960 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor LEONE-BAY, Andrea

Phenyl amine carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

90. **2001026223** PHENYL AMINE CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — AU - 26.07.2001

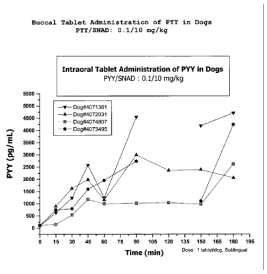
Int.Class C07C 59/66 **Appl.No** 26223/01 **Applicant** Emisphere Technologies, Inc. **Inventor**

Phenyl amine carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

91. **2573512** COMPOSITIONS FOR DELIVERING PEPTIDE YY AND PYY AGONISTS — CA - 16.02.2006

Int.Class A61K 38/17 **Appl.No** 2573512 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

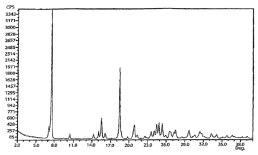
The present invention provides a composition (e.g., a pharmaceutical composition) comprising at least one delivery agent compound and at least one of peptide YY (PYY) and a PYY agonist. Preferably, the composition includes a therapeutically effective amount of peptide YY or the PYY agonist and the delivery agent compound. The composition of the present invention facilitates the delivery of PYY, a PYY agonist, or a mixture thereof and increases its bioavailability compared to administration without the delivery agent compound. PPY and PYY agonists possess activity as agents to reduce nutrient availability, including reduction of food intake.



92. **20120065128** CRYSTALLINE FORMS OF THE DI-SODIUM SALT OF N-[5-CHLOROSALICYLOYL]-8-AMINOCAPRYLIC ACID US - 15.03.2012

Int.Class C07C 229/00 **Appl.No** 13207750 **Applicant** Dhoot Nikhil **Inventor** Dhoot Nikhil

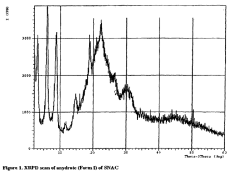
The present invention relates to crystalline polymorphic forms of the di-sodium salt of N-[5-chlorosalicyloyl]-8-aminocaprylic acid, pharmaceutical compositions containing the same, methods of preparing the same, and methods for facilitating the delivery of active agents with the same.



93. **20090143330** CRYSTALLINE POLYMORPHIC FORMS OF MONOSODIUM N-[-8-[2-HYDROXYBENZOYL]AMINO]CAPRYLATE US - 04.06.2009

Int.Class A61K 31/609 **Appl.No** 11568753 **Applicant** Levchik Halina **Inventor** Levchik Halina

The present invention relates to crystalline polymorphic forms of monosodium N-[8-[2-hydroxybenzoyl]amino]caprylate ("SNAC"), including two hydrates, a methanol solvate, and an ethanol solvate, of SNAC. More specifically, the present invention provide six polymorphic forms of SNAC (hereafter referred to as Forms I-VI). The present invention also provides an amorphous form of SNAC.



94. **WO/2008/027958** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS WO - 06.03.2008

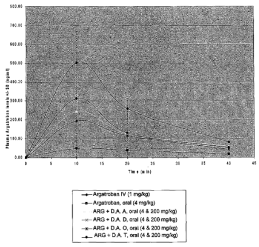
Int.Class C07C 63/00 **Appl.No** PCT/US2007/077100 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor LIAO, Jun

The present invention provides delivery agent compounds, compositions containing delivery agent compounds and an active agent and methods for delivering active agents, such as biologically or chemically active agents.

95. **20100015088** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS US - 21.01.2010

Int.Class C07C 59/00 **Appl.No** 12439425 **Applicant** Liao Jun **Inventor** Liao Jun

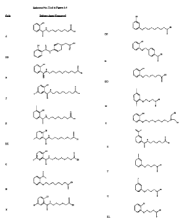
The present invention provides delivery agent compounds, compositions containing delivery agent compounds and an active agent and methods for delivering active agents, such as biologically or chemically active agents.



96. **2662853** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS CA - 06.03.2008

Int.Class C07C 59/68 **Appl.No** 2662853 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

The present invention provides delivery agent compounds, compositions containing delivery agent compounds and an active agent and methods for delivering active agents, such as biologically or chemically active agents.



97. **07951971** PHENOXY CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS US - 31.05.2011

Int.Class C07C 65/30 **Appl.No** 12139276 **Applicant** Emisphere Technologies, Inc.
Inventor Leone-Bay Andrea

Phenoxy carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

98. **20080255250** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS US - 16.10.2008

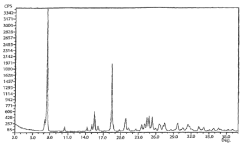
Int.Class A61K 31/19 **Appl.No** 11569004 **Applicant** Emisphere Technologies, Inc.
Inventor Gomez-Orellana Maria Isabel

Compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

99. **20130303444** CRYSTALLINE FORMS OF THE DI-SODIUM SALT OF N-[5-CHLOROSALICYLOYL]-8-AMINOCAPRYLIC ACID US - 14.11.2013

Int.Class A61K 47/18 **Appl.No** 13857643 **Applicant** Emisphere Technologies, Inc. **Inventor** Nikhil Dhoot

The present invention relates to crystalline polymorphic forms of the di-sodium salt of N-[5-chlorosalicyloyl]-8-aminocaprylic acid, pharmaceutical compositions containing the same, methods of preparing the same, and methods for facilitating the delivery of active agents with the same.



100. **2006/10080** COMPOUND AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS ZA - 30.04.2008

Int.Class C07C **Appl.No** 2006/10080 **Applicant** EMISPHERE TECHNOLOGIES INC
Inventor GOMEZ-ORELLANA M ISABEL

e ration are provided as well.

101. **2002/02365** PHENOXY CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS ZA - 24.12.2002

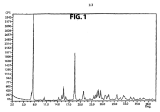
Int.Class C07C **Appl.No** 2002/02365 **Applicant** EMISPHERE TECHNOLOGIES INC
Inventor David GSCHNEIDNER

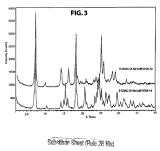
administration and preparation are provided as well-

102. **2619673** CRYSTALLINE FORMS OF THE DI-SODIUM SALT OF N-[5-CHLOROSALICYLOYL]-8-AMINOCAPRYLIC ACID CA - 29.03.2007

Int.Class C07C 235/32 **Appl.No** 2619673 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

The present invention relates to crystalline polymorphic forms of the di-sodium salt of N-[5-chlorosalicyloyl]-8-aminocaprylic acid, pharmaceutical compositions containing the same, methods of preparing the same, and methods for facilitating the delivery of active agents with the same.

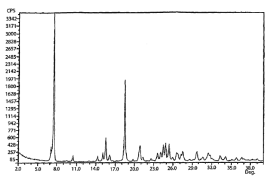




103. **20080269108** CRYSTALLINE FORMS OF THE DI-SODIUM SALT OF N-[5-CHLOROSALICYLOYL]-8-AMINOCAPRYLIC ACID US - 30.10.2008

Int.Class C07C 229/00 **Appl.No** 12067239 **Applicant** Emisphere Technologies, Inc.
Inventor Dhoot Nikhil

The present invention relates to crystalline polymorphic forms of the di-sodium salt of N-[5-chlorosalicyloyl]-8-aminocaprylic acid, pharmaceutical compositions containing the same, methods of preparing the same, and methods for facilitating the delivery of active agents with the same.



104. **2471144** POLYMORPHS OF SODIUM 4-[[4-CHLORO-2-HYDROXYBENZOYL]AMINO]BUTANOATE CA - 17.07.2003

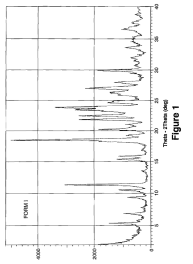
Int.Class A61K 9/00 **Appl.No** 2471144 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor BHANDARKAR, SATEJ

The present invention relates to amorphous and polymorphic forms of sodium 4- [[4-chloro-2-hydroxybenzoyl]amino]butanoate and their use for facilitating the delivery of active agents, such as insulin, to a target.

105. **20080033204** POLYMORPHS OF SODIUM 4-[(4-CHLORO-2-HYDROXYBENZOYL] AMINO] BUTANOATE US - 07.02.2008

Int.Class C07C 229/00 **Appl.No** 11734591 **Applicant** Emisphere Technologies, Inc.
Inventor Bhandarkar Satej

The present invention relates to amorphous and polymorphic forms of sodium 4-[[4-chloro-2-hydroxybenzoyl]amino]butanoate and their use for facilitating the delivery of active agents, such as insulin, to a target.



106. **2388240** PHENOXY CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS CA - 10.05.2001

Int.Class C07C 59/90 **Appl.No** 2388240 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor LEONE-BAY, ANDREA

Phenoxy carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

107. **7129274** PHENOXY CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS US - 31.10.2006

Int.Class A01N 37/10 **Appl.No** 10129467 **Applicant** Emisphere Technologies Inc.
Inventor Leone-Bay Andrea

Phenoxy carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

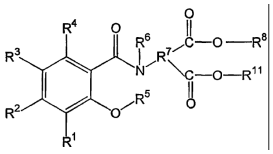
108. **WO/2001/032596** PHENOXY CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS WO - 10.05.2001

Int.Class C07C 59/68 **Appl.No** PCT/US2000/030662 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor LEONE-BAY, Andrea

Phenoxy carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

109. **2402719** METHOD OF PREPARING ALKYLATED SALICYLAMIDES VIA A DICARBOXYLATE INTERMEDIATE CA - 27.09.2001

Int.Class C07D 265/26 **Appl.No** 2402719 **Applicant** EMISPHERE TECHNOLOGIES, INC.
Inventor BERNADINO, JOSEPH N.



The present invention relates to a method of preparing an alkylated salicylamide from a protected and activated salicylamide via a dicarboxylated salicylamide intermediate. The present invention also relates to dicarboxylic salicylamide delivery agent compounds for the delivery of active agents. Methods of administration are provided as well. A non limitative example of a particularly preferred dicarboxylated salicylamide may be represented by the formula: [see above formula] where R1, R2, R3, and R4 are independently hydrogen; halogen; C1-C4 alkoxy, optionally substituted with -OH or F; -OH; C1-C4 alkyl, optionally substituted with -OH or F; -COOH; -OC[O]CH3; -SO3H; nitrile; or -NR9R10; R9 and R10 are independently hydrogen, C1-C4 alkyl, or oxygen; R5 is a protecting group; R6 is an activating group; or R5 and R6 are combined to form a substituted or unsubstituted cyclic group; R7 is a linear C1-C20 alkylene, a linear C2-C20 alkenylene, a linear C2- C20 alkynylene, a branched C3-C20 alkylene, a branched C3-C20 alkenylene, or a branched C3-C20 alkynylene, and comprises a CH unit that is substituted by said - COOR8 and COOR11 groups; R7 is optionally substituted with C1-C4 alkyl, C1-C4 alkenyl, oxygen, nitrogen, sulfur, halogen, -OH, C1-C4 alkoxy, aryl, heteraryl, or vinyl; R7 is optionally interrupted with aryl, heteroaryl, vinyl, oxygen, nitrogen, or sulfur; R8 and R11 are independently C1-C4 alkyl or C1-C4 haloalkyl; and R9 and R10 are independently hydrogen, C1-C4 alkyl, or oxygen.

110. **2001016554** PHENOXY CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS AU - 26.07.2001

Int.Class C07C 59/68 **Appl.No** 16554/01 **Applicant** Emisphere Technologies, Inc. **Inventor**

Phenoxy carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

111. **20060264513** PHENOXY CARBOXYLIC ACID COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — US - 23.11.2006

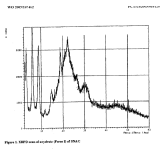
Int.Class C07C 59/90 **Appl.No** 11458331 **Applicant** Leone-Bay Andrea **Inventor** Leone-Bay Andrea

Phenoxy carboxylic acid compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.

112. **2897225** CRYSTALLINE POLYMORPHIC FORMS OF MONOSODIUM N-[8-[2-HYDROXYBENZOYL]AMINO]CAPRYLATE — CA - 17.11.2005

Int.Class C07C 235/60 **Appl.No** 2897225 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

The present invention relates to crystalline polymorphic forms of monosodium N-[8-[2-hydroxybenzoyl]amino] caprylate ("SNAC"), including two hydrates, a methanol solvate, and an ethanol solvate, of SNAC. More specifically, the present invention provide six polymorphic forms of SNAC (hereafter referred to as Forms I-VI). The present invention also provides an amorphous form of SNAC.



113. **217713** SUBSTITUTED ALKYL-[(PHENYL]-AMINO]-OXO(PROP-DEC]ANOIC ACID COMPOUND AND A PHARMACEUTICAL COMPOSITION COMPRISING THE COMPOUND — IL - 29.03.2012

Int.Class A01N 37//44 **Appl.No** 217713 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

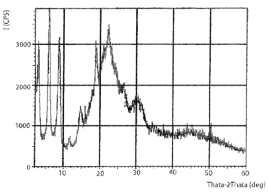
114. **179106** COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS — IL - 08.03.2007

Int.Class A01N / **Appl.No** 179106 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

115. **20190343786** CRYSTALLINE POLYMORPHIC FORMS OF MONOSODIUM N-[-8-[2-HYDROXYBENZOYL]AMINO]CAPRYLATE — US - 14.11.2019

Int.Class A61K 31/197 **Appl.No** 16212428 **Applicant** Emisphere Technologies, Inc.
Inventor Halina Levchik

The present invention relates to crystalline polymorphic forms of monosodium N-[8-[2-hydroxybenzoyl]amino]caprylate ("SNAC"), including two hydrates, a methanol solvate, and an ethanol solvate, of SNAC. More specifically, the present invention provide six polymorphic forms of SNAC (hereafter referred to as Forms I-VI). The present invention also provides an amorphous form of SNAC.



XRPD scan of anydrate (Form I) SNAC

Figure 1

116. **2006/09807** CRYSTALLINE POLYMORPHIC FORMS OF MONOSODIUM N-[8-[2-HYDROXYBENZOYL]AMINO]CAPRYLATE — ZA - 27.12.2007

Int.Class C07C **Appl.No** 2006/09807 **Applicant** EMISPHERE TECHNOLOGIES INC

Inventor LEVCHIK HALINA

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ZW), Eurasian (AM, AZ, BY, KG, KZ, Ml)„ RU, TJ. TM].

(7_I] Applicant (for all destgnatet.
European (AT, BE, BG, CI I, CY, CZ, DE, D K, EE, ES, FI, SPHERE TECHNOLOGIES
I¨R. GB, GR, ITU. IE, IS. IT. I , LU, MC, NΓ ... PL, PT. RO,

Saw Mil] River Road, Tarrytown SE, SI, SK, TR), OΛPI (BF, BJ, CF, CG, CI. M, GA, GN,
GO, GW, ML, MR, NT, SN, I'D. TG).
(72Ï] Inventors; and
(75¯ > Inventors/Applicants (for US only]: LE^CHI , H-alina
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For two-letter codes and other abbreviations, refer r«« the "Guid¬

(74] Agents: LUDWIG, S. Peter et a].; Darby & Darby P.C, ance Notes on Codes and Abbreviations" appearing the hegin-
Post Office Box 5257, New York, NY 10150-5257 (US]. kjv of each regular issue of the PCI Gazette.

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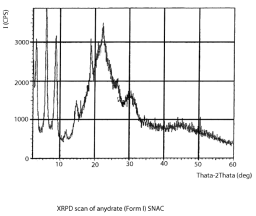
(54] Titl«i: CRYSTALLINE POLYMORPHIC FORMS OF MO NOSODUJM N-|8-[2-HYDROXYBENZOYL]AMINO]C^mY-o LATE
o
(57] Abst niet: The present invention rel'itcs lo crystalline poly morphic forms of monosodium N-[8-[2-hydroxyben/.oyl
]i3rninoj caprylatc $_{C}$T'SNAC"], including two hydrates, a methanol solvate, and an ethanol solvate, of SNAC. More specifically, the p_>rcsem invention jj^rovide six polymorphic forms of SNAC (hereafter rcF" erred to as Forms I-VI]. The present invention also pr vides an amorphous; form of SNAC.

117. **20160184251** CRYSTALLINE POLYMORPHIC FORMS OF MONOSODIUM N-[-8-[2-HYDROXYBENZOYL]AMINO]CAPRYLATE

US - 30.06.2016

Int.Class A61K 31/198 **Appl.No** 15049313 **Applicant** Emisphere Technologies, Inc.
Inventor Halina Levchik

The present invention relates to crystalline polymorphic forms of monosodium N-[8-[2-hydroxybenzoyl]amino]caprylate ("SNAC"), including two hydrates, a methanol solvate, and an ethanol solvate, of SNAC. More specifically, the present invention provide six polymorphic forms of SNAC (hereafter referred to as Forms I-VI]. The present invention also provides an amorphous form of SNAC.



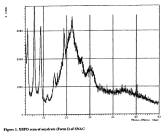
XRPD scan of anydrate (Form I) SNAC

Figure 1

118. **2563681** CRYSTALLINE POLYMORPHIC FORMS OF MONOSODIUM N-[8-[2-HYDROXYBENZOYL]AMINO]CAPRYLATE

CA - 17.11.2005

Int.Class C07C 235/60 **Appl.No** 2563681 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**



The present invention relates to crystalline polymorphic forms of monosodium N-[8-[2-hydroxybenzoyl]amino] caprylate ("SNAC"], including two hydrates, a methanol solvate, and an ethanol solvate, of SNAC. More specifically, the present invention provide six polymorphic forms of SNAC (hereafter referred to as Forms I-VI]. The present invention also provides an amorphous form of SNAC.

119. **178677** CRYSTALLINE POLYMORPHIC FORMS OF MONOSODIUM N-[8-(2-HYDROXYBENZOYL)AMINO] CAPRYLATE, AMORPHOUS MONOSODIUM N-[8-(2-HYDROXYBENZOYL)AMINO] CAPRYLATE, A PHARMACEUTICAL COMPOSITION, USE OF A PHARMACEUTICAL COMPOSITION IN THE PREPARATION OF A MEDICAMENT AND A METHOD OF PREPARING FORM III OF MONOSODIUM N-[8-(2-HYDROXYBENZOYL)AMINO] CAPRYLATE

IL - 11.02.2007

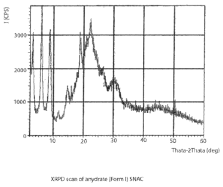
Int.Class C07C / **Appl.No** 178677 **Applicant** EMISPHERE TECHNOLOGIES, INC. **Inventor**

120. **20170326087** CRYSTALLINE POLYMORPHIC FORMS OF MONOSODIUM N-[-8-[2-HYDROXYBENZOYL]AMINO]CAPRYLATE

US - 16.11.2017

Int.Class A61K 31/197 **Appl.No** 15668236 **Applicant** Emisphere Technologies, Inc.
Inventor Halina Levchik

The present invention relates to crystalline polymorphic forms of monosodium N-[8-[2-hydroxybenzoyl]amino]caprylate ("SNAC"), including two hydrates, a methanol solvate, and an ethanol solvate, of SNAC. More specifically, the present invention provide six polymorphic forms of SNAC (hereafter referred to as Forms I-VI). The present invention also provides an amorphous form of SNAC.



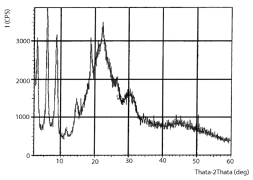
XRPD scan of anydrate (Form I) SNAC
Figure 1

121. **20140187813** CRYSTALLINE POLYMORPHIC FORMS OF MONOSODIUM N-[-8-[2-HYDROXYBENZOYL]AMINO]CAPRYLATE

US - 03.07.2014

Int.Class C07C 235/60 **Appl.No** 14138787 **Applicant** Emisphere Technologies, Inc.
Inventor Halina Levchik

The present invention relates to crystalline polymorphic forms of monosodium N-[8-[2-hydroxybenzoyl]amino]caprylate ("SNAC"), including two hydrates, a methanol solvate, and an ethanol solvate, of SNAC. More specifically, the present invention provide six polymorphic forms of SNAC (hereafter referred to as Forms I-VI). The present invention also provides an amorphous form of SNAC.



XRPD scan of anydrate (Form I) SNAC
Figure 1

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