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NEW PATENTS

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5059189

METHOD OF PREPARING ADHESIVE DRESSINGS CONTAINING A PHARMACEUTICALLY ACTIVE INGREDIENT

Rodolfo Cilento, Margaret Frank, John E Fairbrother, Frank M Freeman assigned to E R Squibb & Sons Inc

A dressing comprising a flexible backing member and a pressure sensitive adhesive layer. The adhesive layer comprises one or more polyisobutylenes, elastomers, and one or more moisture absorbing, moisture transmitting, water soluble and/or water swellable agents. A dispersion of the active ingredient in a medium compatible with the adhesive layer is laminated to the skin contacting surface.

5059329

METHOD FOR ISOLATING DITHIOCHROME, AN INSULIN-BINDING MOLECULE WITH GLUCOSE METABOLISM-RELATED PHARMACEUTICAL UTILITY

Scott King assigned to Thymax Corporation

A process for purifying dithiochrome from a natural source is disclosed. The process comprises: (i) contacting a eukaryotic cell mass with a mixture of water and an alcohol; (ii) separating the alcohol phase from the water phase and obtaining a water phase extract; (iii) subjecting the water phase extract to a sulfhydryl exchange chromatography matrix; (iv) washing said chromatography matrix; and (v) treating said chromatrography matrix with an active thiol to obtain dithiochromate; a material capable of binding with insulin. Dithiochromate may be used to treat patients suffering from elevated blood glucose or suboptimal glucose kinetics. An adduct of this compound with insulin may be used to treat patients for type I diabetes.

5059427

PHARMACEUTICAL PREPARATION FOR PERCUTANEOUS ADMINISTRATION CONTAINING EPERISONE OR TOLPERISONE OR SALT THEREOF

Mitsuhir Yoshida, Yutaka Morita, Yoshio Ishino, Shigemits Ohsawa, Fukaya, Japan assigned to Sansho Co Ltd; Eisai Co Ltd

A pharmaceutical preparation for the percutaneous administration comprises eperisone or tolperisone, including salts thereof, and a monoglyceride of an aliphatic acid having 8 to 12 carbon atoms or/and an ester of lactic acid with an aliphatic alcohol having 12 to 18 carbon atoms. It is improved in the percutaneous absorption.

5059595

PHARMACEUTICAL COMPOSITIONS CONTAINING 5-METHYLTETRAHYDROFOLIC ACID, 5-FORMYLTETRAHYDROFOLIC ACID AND THEIR PHARMACEUTICALLY ACCEPTABLE SALTS IN CONTROLLED-RELEASE FORM ACTIVE IN THE THERAPY OF ORGANIC MENTAL DISTURBANCES

Cristina Le Grazie, Milan, Italy assigned to Bioresearch S p A

This invention relates to pharmaceutical compositions containing 5-methyltetrahydrofolic acid, 5-formyltetrahydrofolic acid and their pharmaceutical acceptable salts in controlled-release form which are active in the therapy of organic mental disturbances and in particular in the treatment of senile and presenile primary degenerative dementia of Alzheimer type and multiinfarctual dementia.

5059601

IMIDAZOLONE DERIVATIVES WITH ACTIVITY ON CENTRAL NERVOUS SYSTEM AND ANTIHYPERTENSIVE ACTIVITY, PREPARATION METHODS THEREOF, AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Aldo Salimbeni, Giuseppe Cascio, Elso Manghisi, Milan, Italy assigned to Istituto Lusofarmaco d'Italia S p A

Invention concerns 4,5-bisubstituted-2-imidazolone derivatives of formula I *See Patent for Chemical Structure* (I) and pharmaceutically acceptable salts thereof, having high affinity in vitro for D2, 5-HT2, 1 receptors and in vivo activity on central nervous system and antihypertensive activity with negligible secondary effects; methods for the preparation thereof; pharmaceutical formulations containing them.

5059626

LIQUID ORAL PHARMACEUTICAL COMPOSITIONS OF NON-STEROIDAL ANTI-INFLAMMATORY DRUGS

Moo W Park, Henry Caldwell assigned to Applied Analytical Industries Inc

A one phase, liquid composition for oral administration comprises a NSAID such as an anthranilic acid derivative plus a di- or triglyceride of a medium chain fatty acid edible oil which has the characteristics of a pharmaceutical solvent carrier as known to those skilled in the art. Other pharmaceutical additives may be optionally added. An additional stipulation is that ethanol or other monohydric alcohol solvents should not be present.

5071643

SOLVENT SYSTEM ENHANCING THE SOLUBILITY OF PHARMACEUTICALS FOR ENCAPSULATION

Man Yu, Foo S Hom, Sibaprasanna Chakrabarti, Chong-Heng Huang, Mahendra Patel assigned to R P Scherer Corporation

This invention relates to a solvent system for enhancing the solubility of an acidic, basic, or amphoteric pharmaceutical agent to produce a highly concentrated solution suitable for softgel filling or two piece encapsulation. The solvent system comprises polyethylene glycol containing 0.2-1.0 mole equivalents of an ionizing agent per mole equivalent pharmaceutical agent and 1-20% water. Glycerin or polyvinylpyrrolidone may be added to further enhance the solubility of certain drugs. The disclosed solvent system is capable of enhancing solubilities of pharmaceutical agents 40-400%. The ionizing agent functions by causing partial ionization (neutralization) of the free pharmaceutical agent. When the pharmaceutical agent is acidic, the ionizing agent is preferably a hydroxide ion species, whereas when the pharmaceutical agent is basic, the ionizing agent is preferably a hydrogen ion species. For amphoteric pharmaceutical agents, either hydroxide ion or hydrogen ion sources may be utilized to effect partial ionization. The disclosed solvent system is useful

because it not only provides for the enhancement or improvement of bioavailability of acidic, basic and amphoteric pharmaceutical agents by delivering them already in solution, but it also provides for a highly concentrated solution capable of encapsulation in a small enough vessel to permit easy swallowing. The highly concentrated solid solutions of the present invention are also useful for conversion into tablets and as veterinary spot and pour on preparations.

5071646

PHARMACEUTICAL ION EXCHANGE RESIN COMPOSITION

Sandra T A Malkowska, Ian R Buxton, Derek Prater, Alison A Norman, Ely, United Kingdom assigned to Euroceltique S A

An ion exchange resin composition which is readily dispersible in water is provided. This resin composition comprises a granulated ion exchange resin, a pharmacologically active ingredient bound thereto with a sugar or sugar alcohol, and a sufficient amount of water, alcohol or aqueous alcohol to facilitate granulation. The invention further comprises a method for the preparation of such ion exchange resin composition.

5071655

PHARMACEUTICAL COMBINATION FOR TREATMENT OF BONE-WASTING DISEASES

David J Baylink

A pharmaceutical composition for increasing bone mass and preventing loss of bone mass is provided, comprising a fluoride source and a mitogenic hydantoin in combination with a pharmaceutically acceptable carrier, in which the fluoride source provides a molar ratio of fluoride ion to hydantoin in the composition of from about 0.1:1 to about 100:1. The combination is administered to a vertebrate, typically in the form of an orally administratable tablet or capsule.

5071839

SAFE PHARMACEUTICAL COMPOSITION FOR DECREASING SIDE EFFECTS OF ANTIVIRAL DRUGS AND INCREASING THE IMMUNE FUNCTION (SDI) II

Yaguang Liu

The new safe pharmaceutical composition (SDI II) and processes are provided for decreasing side effects of antiviral drugs and increasing the immune function. The pharmaceutical composition is composed of two ingredients: Polysaccharides of Wang Qi and Ginsenoside. The SDI II is nontoxic.

5071840

CERTAIN HETEROCYCLIC SUBSTITUTED DIPHOSPHONATE COMPOUNDS PHARMACEUTICAL COMPOSITIONS, AND METHODS OF TREATING ABNORMAL CALCIUM AND PHOSPHATE METABOLISM

Frank Ebetino, James J Benedict assigned to Norwich Eaton Pharmaceuticals Inc

The present invention relates to novel heterocycle-substituted diphosphonic acids, and the pharmaceutically-acceptable salts and esters thereof, in which the diphosphonate-substituted carbon atom moiety is attached to a carbon atom in a nitrogen-containing six membered ring heterocycle, preferably a piperidine ring. The heterocycle-substituted diphosphonic acid compounds have the general structure: *See Patent for Chemical Structure* wherein Z is a nitrogen-containing six membered ring heterocycle moiety selected from piperidinyl, diazinyl and triazinyl; m, n and m + n are from 0 to 10; Q is a covalent bond or a moiety selected from oxygen, sulfur or nitrogen; and R1, R2, R3 and R4 are substituent groups. The present invention further relates to pharmaceutical compositions containing these novel compounds. Finally this invention relates to methods for treating or preventing diseases characterized by abnormal calcium and phosphate metabolism by utilizing a compound or pharmaceutical composition of the present invention.

5071857

2,6-DIOXOPIPERIDINE DERIVATIVES, THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Allan B Foster, Michael Jarman, Grahame N Taylor, Chui-Sheung Kwan, Carshalton Beeches, United Kingdom assigned to National Research Development Corporation

In the treatment of estrogen-dependent tumors, it is desirable to improve the therapy obtainable from the compound aminoglutethimide. It has now been found that 3-ethyl-3-(4-pyridyl) glutarimide and derivatives thereof of formula *See Patent for Chemical Structure* wherein R represents a hydrogen atomor an alkyl group having 1 to 4 carbon atoms offers advantages over aminoglutethimide. 3-Ethyl-3-(4-pyridyl) glutarimide can be prepared by various ring-closing reactions, especially by heating 4-(4-pyridyl)-hexano-1, 4-dinitrile with a strong mineral acid.

5071859

N-SUBSTITUTED AZAHETEROCYCLIC CARBOXYLIC ACIDS AND PHARMACEUTICAL USES

Lars Jacob S Knudsen, Anker S Jorgensen, Knud Andersen, Ursul Sonnewald, Vedbaek, Denmark

Novel N-substituted azaheterocyclic carboxylic acids and esters thereof in which an ether group forms part of the N-substituent, the compounds thus having the general formula I *See Patent for Chemical Structure* (I) wherein R1 and R2 are the same or different and each represents phenyl, 2-thienyl or 3-thienyl, 2-pyrrolyl or 3-pyrrolyl, substituted with one or more substituents selected among the following atoms or groups: hydrogen, halogen, C1-C6-alkyl, C1-C6-alkoxy or cyano; R3 and R4 each represents hydrogen or together represent a bond; m is 1 or 2 and n is 1 when m is 1 and n is 0 when m is 2; R5 and R6 each represents hydrogen or may-when m is 2-together represent a bond, and R7 is OH or C1-C8-alkoxy, p is 0 or 1 or 2, q is 0 or 1 or 2, R8 is H and C1-C4-alkyl, are potent inhibitors of GABA uptake from the synaptic cleft.

5071868

PROCESS FOR THE PREPARATION OF OPTICALLY-ACTIVE CARBAZOLE DERIVATIVES, NEW R- AND S-CARBAZOLE DERIVATIVES AND PHARMACEUTICAL COMPOSITIONS CONTAINING THESE COMPOUNDS

Herbert Leinert, Heppenheim, Federal Republic Of Germany assigned to Boehringer Mannheim GmbH

A process for the preparation of S- or R-carbazole derivatives of the general formula: *See Patent for Chemical Structure* in which R is an unsubstituted or substituted amino radical and pharmacologically acceptable salts, by either reacting R-(-)-epichlorohydrin (for the S-carbozole derivative); or reacting an S-epoxide derivative of the general formula: *See Patent for Chemical Structure* in which R1 is the residue of a substituted sulphonic acid derivative (for the R-carbazole derivative); with 4-hydroxycarbazole and then with ammonia or a substituted amine of the general formula RH, and recovering the compound or converting it to a pharmacologically acceptable salt. The new R-(+)- and S(-)-carbazole derivatives provided by the inventive process have unexpected beta blocking and vasodilatory properties and are useful in pharmaceutical compositions. R-(+)-carbazole derivatives are also useful for the treatment of glaucoma.

5071871

PHARMACEUTICALLY USEFUL BENZO(BETA)PYRANES AND PYRANOPYRIDINES

Stefan Blarer, John Morley, Ian D Chapman, Basel, Switzerland assigned to Sandoz Ltd

New benz(b)pyranes and pyranopyridines of formula I, *See Patent for Chemical Structure* I wherein the significances of substituents V, T, W, R3 to R5, R9, R10, m, X, Y and Z are given in claim 1 and their N-oxides and their salts and their use in the treatment of raised blood pressure in the treatment of vascular disorders and other disorders in which a reduction in tension of the smooth muscles is therapeutically useful, as well as in the treatment of hair loss and baldness.

Further the compounds are useful in the treatment of asthma and obstructive disorders of the respiratory system as well as in the prophylactic treatment of obstructive or inflammatory airways disease, for example asthma, as well as novel pharmaceutical compositions comprising said K+ channel activators suitable for such use.

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