Nº 104

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BIBLIOGRAFIA

Tema: Raloxifeno hydrochloride, disolución

Fecha: 28.7.99

125:25421
A pharmacological review of raloxifene.
Bryant, Henry U.; Glasebrook, Andrew L.; Yang, Na N.; Sato, Masahiko (Lilly Corporate Center, Eli Lilly and Co., Indianapolis, IN 46285, USA).
J. Bone Miner. Metab., 14(1), 1-9 (English) 1996. CODEN: JBMME4. ISSN: 0914-8779. DOCUMENT TYPE: Journal; General Review CA Section: 1 (Pharmacology)
A review with 80 refs. The effects of raloxifene on mammary tissue,

bone, cholesterol metab., and gonadal tissues are discussed.

Keywords

review raloxifene pharmacol

Index Entries

84449-90-1
pharmacol. of raloxifene

124:343103
Preparation of unsolvated crystalline 6-hydroxy-2-(4-hydroxyphenyl)-3-[4-(2-piperidinoethoxy)benzoyl]benzo[b]thiophene hydrochloride..
Smith Labell, Elizabeth; Luke, Wayne Douglas; McNeill McGill, John, III; Miller, Randal Scot (Lilly, Eli, and Co., USA). Ger. Offen. DE 19534744 A1 21 Mar 1996, 18 pp. (Germany). CODEN: GWXXBX. CLASS: ICM: C07D333-54. ICS: A61K031-445. ICA: C07D295-08. APPLICATION: DE 95-19534744 19 Sep 1995. PRIORITY: US 94-308325 19 Sep 1994; US 95-427914 26 Apr 1995. DOCUMENT TYPE: Patent CA Section: 27 (Heterocyclic Compounds (One Hetero Atom))
Title compd. (I) (raloxifene hydrochloride) having a specified X-ray diffraction pattern, was prepd. Thus, 6-methoxy-2-(4-methoxyphenyl)benzo[b]thiophene (prepn. given) and 4-(2-piperidinoethoxy)benzoyl chloride hydrochloride (prepn. given) in CH2Cl2 was treated with BCl3 at 0 for 8 h and at 35° for 16 h to give I.1,2-dichloroethane of 86.8% purity. The latter in MeOH was treated with NaOH and activated C followed by filtration, treatment with HCl, and crystn. to give 99.1% pure I.

Keywords

raloxifene hydrochloride unsolvated cryst prepn

Index Entries

82640-04-8
120-47-8
2008-75-5
2632-13-5
15570-12-4
63675-74-1
84449-80-9
84449-81-0
84541-36-6
93148-78-8
176695-27-5
prepn. of unsolvated cryst.

6-hydroxy-2-(4-hydroxyphenyl)-3-[4-(2-piperidinoethoxy)benz
oyl]benzo[b]thiophene hydrochloride

123:208913
Pharmaceutical formulations containing raloxifene, a surfactant and a water-soluble diluent.
Gibson, Lowell Lee; Hartauer, Kerry John; Stowers, Julian Larry; Sweetana, Stephanie Ann; Thakkar, Arvind Lavji (Lilly, Eli, and Co., USA). Eur. Pat. Appl. EP 670162 A1 6 Sep 1995, 13 pp.
DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE. (European Patent Organization). CODEN: EPXXDW. CLASS: ICM: A61K031-445. ICS: A61K047-00; A61K047-08. APPLICATION: EP 95-301291 28 Feb 1995.
PRIORITY: US 94-204915 2 Mar 1994. DOCUMENT TYPE: Patent
CA Section: 63 (Pharmaceuticals)

This invention provides orally administerable pharmaceutical formulations comprising raloxifene, its ethers or esters, or a pharmaceutically-acceptable salt thereof, in combination with a hydrophilic carrier compn. The formulations show an increased soly. of raloxifene in an aq. media. A tablet contained raloxifene×HCl 200, PVP 15.75, polysorbate-80 5.25, anhyd. lactose 264.62, crosslinked PVP 31.50, stearic acid 5.25, and Mg stearate 2.63 mg.

Keywords

raloxifene oral hydrophilic carrier
tablet raloxifene PVP lactose

Index Entries

Pharmaceutical dosage forms
capsules, oral formulations contg. raloxifene and hydrophilic carrier
Pharmaceutical dosage forms
tablets, oral formulations contg. raloxifene and hydrophilic carrier
50-99-7, biological studies
63-42-3
69-65-8
151-21-3, biological studies
9003-39-8
9004-32-4
9004-64-2
9004-65-3
9005-65-6
9063-38-1
82640-04-8
84449-90-1
106392-12-5
oral formulations contg. raloxifene and hydrophilic carrier

123:93292
Aqueous inclusion complexes of benzothiophenes with cyclodextrins..
Bryant, Henry Uhlman; Cullinan, George Joseph; Francis, Paul Clifton; Magee, David Edward; Sweetana, Stephanie Ann; Thakkar, Arvind Lavji (Lilly, Eli, and Co., USA). Eur. Pat. Appl. EP 658348 A2 21 Jun 1995, 7 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE. (European Patent Organization). CODEN: EPXXDW. CLASS: ICM: A61K047-48. ICS: A61K031-445. APPLICATION: EP 94-309228 9 Dec 1994. PRIORITY: US 93-166788 14 Dec 1993. DOCUMENT TYPE: Patent CA Section: 63 (Pharmaceuticals) Section cross-reference(s): 1
Aq. inclusion complexes of benzothiophenes, particularly raloxifene, with water-sol. cyclodextrins are described. Pharmaceutical compns. (i.v., aerosol or oral) of such inclusion complexes, and methods of using these complexes for inhibiting bone loss and reducing serum cholesterol in mammals are also described. Thus, a 20% (wt/vol.) soln. of hydroxypropyl-b-cyclodextrin was prepd. and a 50-mL aliquot of this soln. was mixed with 500 mg raloxifene. The resulting complex was a clear, yellow and aq. soln.

Keywords

aq inclusion complex benzothiophene cyclodextrin
raloxifene complex hydroxypropyl cyclodextrin

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Anticholesteremics and Hypolipemics
Osteoporosis
aq. inclusion complexes of benzothiophenes with cyclodextrins
57-55-6, b-cyclodextrin ethers, raloxifene complexes
7585-39-9, hydroxypropyl ethers, raloxifene complexes
82640-04-8, hydroxypropyl b-cyclodextrin complexes
84449-90-1, hydroxypropyl b-cyclodextrin complexes
aq. inclusion complexes of benzothiophenes with cyclodextrins

121:18076
Pharmaceutical compositions containing benzothiophenes for treatment of osteoporosis.
Black, Larry J.; Cullinan, George J. (Lilly, Eli, and Co., USA). Can. Pat. Appl. CA 2101356 AA 29 Jan 1994, 51 pp. (Canada). CODEN: CPXXEB. CLASS: ICM: A61K031-445. ICS: A61K031-55; A61K031-40. APPLICATION: CA 93-2101356 27 Jul 1993. PRIORITY: US 92-920933 28 Jul 1992. DOCUMENT TYPE: Patent CA Section: 63 (Pharmaceuticals) Section cross-reference(s): 1, 28
Pharmaceutical compns. contg. benzothiophenes are used for treatment or preventing osteoporosis by inhibiting the loss of bone. These

compds. can be used without the assocd. adverse effects of estrogen therapy, and thus are effective in the prevention or treatment of osteoporosis. Ovariectomized rats were administered 1.00 mg/kg oral raloxifene (I) for 35 days and then sacrificed. The bone d. and uterine wt. was 201 and 199 as compared to 171 mg/cm/cm and 127 mg for the controls. A capsule contained I.HCl 1, starch 112, starch flowable powder 225.3, and silicone fluid 350 cSt 1.7 mg.

Keywords

pharmaceutical compn benzothiophene osteoporosis treatment raloxifene
pharmaceutical compn osteoporosis treatment

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Acid halides
reactions of, with raloxifene hydrochloride
Osteoporosis
treatment of, with pharmaceutical compns. contg. benzothiophenes
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capsules, benzothiophenes in, for treatment of osteoporosis
Bone, disease
demineralization, treatment of, with pharmaceutical compns. contg. benzothiophenes
Pharmaceutical dosage forms
suspensions, oral, benzothiophenes in, for treatment of osteoporosis
Pharmaceutical dosage forms
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82640-04-8
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155861-33-9
155861-34-0
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155861-48-6
155861-49-7
155861-50-0
prepn. of, pharmaceutical compns. contg., for treatment of

osteoporosis
98-88-4
141-75-3
403-43-0
592-34-7
879-18-5
1885-14-9
3282-30-2
4023-34-1
7065-46-5
38870-89-2
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7647-01-0, reactions
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