

Title (en)

4-AZASTEROIDS FOR TREATMENT OF HYPERANDROGENIC CONDITIONS

Title (de)

4-AZASTEROIDE ZUR BEHANDLUNG VON HYPERANDROGENEN ZUSTÄNDEN

Title (fr)

4-AZASTEROIDES UTILISES POUR LE TRAITEMENT D'ETATS HYPERANDROGENIQUES

Publication

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Application

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Abstract (en)

[origin: WO9710217A1] Compounds of structural Formula (I), and pharmacologically acceptable salts and esters thereof possess 5 alpha -reductase inhibitory activity. These compounds inhibit 5 alpha -reductase type 1 and type 2. The compounds of structural Formula (I) are useful in the systemic, including oral, and parenteral, including topical, treatment and prevention of hyperandrogenic conditions including prostatic carcinoma, benign prostatic hyperplasia, acne vulgaris, seborrhea, androgenic alopecia (also called androgenetic alopecia) which includes male- and female-pattern baldness, female hirsutism, and prostatitis. A class of compounds of the present invention are also potent anti-androgens. The present invention also relates to novel compositions containing such compounds, methods of their use and methods of their manufacture.

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Citation (search report)

- [Y] WO 9407861 A1 19940414 - MERCK & CO INC [US], et al
- [Y] BAKSHI R K ET AL: "4-Aza-3-oxo-5.alpha.-androst-1-ene-17.beta.-N-arylcarboxamides as Dual Inhibitors of Human Type 1 and Type 2 Steroid 5.alpha.-Reductases. Dramatic Effect of N-Aryl Substituents on Type 1 and Type 2 5.alpha.-Reductase Inhibitory Potency", JOURNAL OF MEDICINAL CHEMISTRY., vol. 38, no. 17, 18 August 1995 (1995-08-18), WASHINGTON US, XP002087243
- [L] BAKSHI ET AL: "Erratum to J. Med. Chem. Vol 38, Nr 17, pages 3189-3192, 18 August 1995", JOURNAL OF MEDICINAL CHEMISTRY., vol. 39, no. 5, 1 March 1996 (1996-03-01), WASHINGTON US, pages 1192, XP002089558
- [Y] MELLIN T N ET AL: "AZASTEROIDS AS INHIBITORS OF TESTOSTERONE 5ALPHA-REDUCTASE IN MAMMALIAN SKIN", JOURNAL OF STEROID BIOCHEMISTRY AND MOLECULAR BIOLOGY, vol. 44, no. 2, February 1993 (1993-02-01), pages 121 - 131, XP002028037
- [Y] RASMUSSEN G H ET AL: "AZASTEROIDS AS INHIBITORS OF RAT PROSTATIC 5ALPHA-REDUCTASE", JOURNAL OF MEDICINAL CHEMISTRY, vol. 27, no. 12, December 1984 (1984-12-01), pages 1690 - 1701, XP002043194
- [Y] CHEMICAL ABSTRACTS, vol. 122, no. 25, 19 June 1995, Columbus, Ohio, US; abstract no. 314919, ZHENG, JINHONG ET AL: "Synthesis of N-substituted-4-methyl-3-oxo-4-aza-5.alpha.-androstane- 17.beta.-carboxamide compounds" XP002121894 & ZHONGGUO YAOKE DAXUE XUEBAO (1995), 26(1), 1-4
- [PY] KURATA H ET AL: "SYNTHESIS AND TESTOSTERONE 5ALPHA-REDUCTASE-INHIBITORY ACTIVITY OF 4-AZA-5ALPHA-ANDROSTANE-17-CARBOXAMIDE COMPOUND WITH AN AROMATIC MOIETY IN THE C-17 CARBAMOYL GROUP", CHEMICAL AND PHARMACEUTICAL BULLETIN, JP, PHARMACEUTICAL SOCIETY OF JAPAN. TOKYO, vol. 44, no. 1, pages 115-121, XP000569266, ISSN: 0009-2363
- See references of WO 9710217A1

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