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(54) Title **CORTEXOLONE 17ALPHA-VALERATE FOR USE IN THE TREATMENT OF TUMOURS**
(56) References
Cited: WO-A2-2009/019138, US-A- 3 152 154,
SEAN EKINS ET AL: "Challenges Predicting Ligand-Receptor Interactions of Promiscuous Proteins: The Nuclear Receptor PXR", PLOS COMPUTATIONAL BIOLOGY, vol. 5, no. 12, 11 December 2009 (2009-12-11), pages e1000594-1, XP055549623, DOI: 10.1371/journal.pcbi.1000594 -& Sean Ekins ET AL: "Challenges Predicting Ligand-Receptor Interactions of Promiscuous Proteins: The Nuclear Receptor PXR", PLoS Computational Biology, 11 December 2009 (2009-12-11), page e1000594, XP055549646, DOI: 10.1371/journal.pcbi.1000594 Retrieved from the Internet:
URL:<https://journals.plos.org/ploscompbiol/article/file?id=10.1371/journal.pcbi.1000594.s002&type=supplementary> [retrieved on 2019-01-30] -& Sean Ekins ET AL: "Challenges Predicting Ligand-Receptor Interactions of Promiscuous Proteins: The Nuclear Receptor PXR", PLoS Computational Biology, 11 December 2009 (2009-12-11), page e1000594, XP055549647, DOI: 10.1371
PATRIZIA FERRABOSCHI ET AL: "A full conformational characterization of antiandrogen cortexolone-17[alpha]-propionate and related compounds through theoretical calculations and nuclear magnetic resonance spectroscopy", MEDCHEMCOMM, vol. 5, no. 7, 1 January 2014 (2014-01-01) , pages 904-914, XP55224342, United Kingdom ISSN: 2040-2503, DOI: 10.1039/C4MD00049H

DATABASE EMBASE [Online] ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL; 1998, BONSMANN U ET AL: "Metabolisation and receptor affinity of topically applied esters of glucocorticoids", XP002788474, Database accession no. EMB-1998329776 & BONSMANN U ET AL: "Metabolisation and receptor affinity of topically applied esters of glucocorticoids", H+G ZEITSCHRIFT FUR HAUTKRANKHEITEN 1998 DE, vol. 73, no. 9, 1998, pages 581-590, ISSN: 0301-0481

DATABASE HCAPLUS, [Online] 1 January 1979 (1979-01-01), CUTLER GORDON B ET AL: "11-Deoxycortisol: a glucocorticoid antagonist in vivo", XP002749428, retrieved from HCAPLUS; STN Database accession no. 1979-469056 & CUTLER G B JR ET AL: "11-Deoxycortisol: a glucocorticoid antagonist in vivo.", ENDOCRINOLOGY JUN 1979, vol. 104, no. 6, June 1979 (1979-06), pages 1839-1844, ISSN: 0013-7227

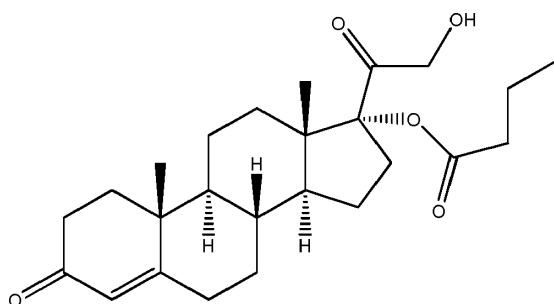
GIUSEPPE CELASCOA ET AL: "Pharmacological Profile of 9,11-Dehydrocortexolone 17[alpha]-Butyrate (CB-03-04), a New Androgen Antagonist with Antigonadotropic Activity", ARZNEIMITTEL FORSCHUNG. DRUG RESEARCH, ECV EDITIO CANTOR VERLAG, AULENDORF, DE, vol. 55, no. 10, 1 January 2005 (2005-01-01), pages 581-587, XP008175216, ISSN: 0004-4172, DOI: 10.1055/S-0031-1296908

FERRABOSCHI P ET AL: "Lipase-catalyzed preparation of corticosteroid 17@ α -esters endowed with antiandrogenic activity", TETRAHEDRON LETTERS, ELSEVIER, AMSTERDAM, NL, vol. 49, no. 31, 28 July 2008 (2008-07-28) , pages 4610-4612, XP022757401, ISSN: 0040-4039, DOI: 10.1016/J.TETLET.2008.05.086 [retrieved on 2008-05-23]

Enclosed is a translation of the patent claims in Norwegian. Please note that as per the Norwegian Patents Acts, section 66i the patent will receive protection in Norway only as far as there is agreement between the translation and the language of the application/patent granted at the EPO. In matters concerning the validity of the patent, language of the application/patent granted at the EPO will be used as the basis for the decision. The patent documents published by the EPO are available through Espacenet (<http://worldwide.espacenet.com>) or via the search engine on our website here: <https://search.patentstyret.no/>

Patentkrav**1. Forbindelse av formel:**

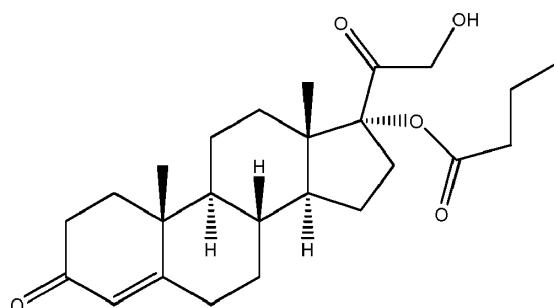
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korteksolon-17 α -valerat

for anvendelse ved behandling av prostatakarsinom; brystkarsinom; pankreatisk karsinom; lungekarsinom; gastrointestinalkanalkarsinom, nyrekreft; 10 skjoldbruskkarsinom; livmorkarsinom eller binyrekarsinom; og hvor prostatakarsinomet er resistent mot anti-androgen målrettet terapi.

2. Forbindelsen for anvendelse ifølge krav 1, hvor den anti-androgen målrettede behandlingen er enzalutamid.

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3. Farmasøytisk sammensetning omfattende en forbindelse av formel:korteksolon-17 α -valerat

for anvendelse ved behandling av prostatakarsinom; brystkarsinom; 20 pankreatisk karsinom; lungekarsinom; gastrointestinalkanalkarsinom, nyrekreft; skjoldbruskkjertelkarsinom; livmorkarsinom eller binyrekarsinom; og hvor prostatakarsinomet er resistent mot anti-androgen målrettet terapi.

- 4.** Den farmasøytiske sammensetningen for anvendelse ifølge krav 3, hvori den anti-androgenmålrettede behandlingen er enzalutamid.
- 5.** Den farmasøytiske sammensetningen for anvendelse ifølge krav 3 eller 4 og 5 minst én annen aktiv ingrediens, fortrinnsvis en kjemoterapeutisk aktiv ingrediens.
- 6.** Den farmasøytiske sammensetningen for anvendelse ifølge et hvilket som helst av kravene 3–5, for anvendelse med en andre sammensetning 10 omfattende minst én annen aktiv ingrediens, fortrinnsvis en kjemoterapeutisk aktiv ingrediens, for samtidig, separat eller sekvensiell administrering.