

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
03 May 2018 (03.05.2018)



(10) International Publication Number
WO 2018/078049 A1

(51) International Patent Classification:

A61K 31/437 (2006.01) A61P 9/04 (2006.01)
A61P 5/38 (2006.01) C07D 471/04 (2006.01)

Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))

(21) International Application Number:

PCT/EP2017/077511

Published:

— with international search report (Art. 21(3))

(22) International Filing Date:

26 October 2017 (26.10.2017)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/413,635 27 October 2016 (27.10.2016) US
16205019.9 19 December 2016 (19.12.2016) EP

(71) Applicant: DAMIAN PHARMA AG [CH/CH]; Haltli 6,
6318 Walchwil (CH).

(72) Inventors: SCHUMACHER, Christoph; Haltli 6, 6318
Walchwil (CH). FUHRER, Walter; Im Budler 3, 4419
Lupsingen (CH). STEELE, Ronald, Edward; 101 Naugh-
right Road, Long Valley, New Jersey 07853 (US).

(74) Agent: SPERRLE, Martin; Latscha Schöllhorn Partner
AG, Austrasse 24, 4051 Basel (CH).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ,
CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO,
DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN,
HR, HU, ID, IL, IN, IR, IS, JO, JP, KE, KG, KH, KN, KP,
KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ,
OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA,
SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ,
UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ,
TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV,
MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
KM, ML, MR, NE, SN, TD, TG).

(54) Title: ALDOSTERONE SYNTHASE INHIBITOR

(57) Abstract: The present invention relates to a compound selected from (R)-(+)-5-(p-cyanophenyl)- 5,6,7,8-tetrahydroimida-
zo[1,5-a]pyridine and a pharmaceutically acceptable salt thereof, and in particular to the phosphate salt of (R)-(+)-5-(p-cyanopheny-
l)-5,6,7,8-tetrahydroimidazo[1,5-a]pyridine, both having preferably an enantiomeric excess of the (R) form higher than or equal to
97%. Furthermore, the present invention relates to pharmaceutical compositions comprising the same, their use as a medicament and in
methods of treatment of diseases and disorders in humans including women of child bearing potential and pediatric patients in which
aldosterone over-exposure contributes to the deleterious effects of said diseases or disorders, as well as processes for preparing said
inventive compounds.



WO 2018/078049 A1