

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
05 October 2023 (05.10.2023)



(10) International Publication Number
WO 2023/191648 A1

(51) International Patent Classification:

A61P 25/16 (2006.01) C07F 9/6558 (2006.01)

(21) International Application Number:

PCT/PT2023/050009

(22) International Filing Date:

31 March 2023 (31.03.2023)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

2204798.9 01 April 2022 (01.04.2022) GB

(71) Applicant: **BIAL - PORTELA & CA, S.A.** [PT/PT]; Av. da Siderurgia Nacional, 4745-455 São Mamede Do Coronado (PT).

(72) Inventors: **KISS, Laszlo**; Av. da Siderurgia Nacional, 4745-455 São Mamede Do Coronado (PT). **BAIGET, Jessica**; Av. da Siderurgia Nacional, 4745-455 São Mamede Do Coronado (PT). **BELIAEV, Alexander**; Av. da Siderurgia Nacional, 4745-455 São Mamede Do Coronado (PT). **BARROCAS, Pedro**; Av. da Siderurgia Nacional, 4745-455 São Mamede Do Coronado (PT). **RE-VUNOV, Evgeny**; Av. da Siderurgia Nacional, 4745-455 São Mamede Do Coronado (PT). **KAROLI, Tomislav**; Av. da Siderurgia Nacional, 4745-455 São Mamede Do Coronado (PT). **HOLENZ, Joerg**; Av. da Siderurgia Nacional, 4745-455 São Mamede Do Coronado (PT).

(74) Agent: **MOREIRA, Pedro Alves**; Rua Tomás Ribeiro, N.º45 - 2.º, 1050-225 Lisboa (PT).

(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, CV, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IQ, IR, IS, IT, JM, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, MG, MK, MN, MU, 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, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, CV, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SC, 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,

Published:

- with international search report (Art. 21(3))
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))
- in black and white; the international application as filed contained color or greyscale and is available for download from PATENTSCOPE

(54) Title: PRODRUGS OF OPICAPONE

(57) Abstract: This invention relates to prodrugs of opicapone, their synthetic intermediates and pharmaceutically acceptable salts thereof. The invention also relates to methods of preparation of prodrugs of opicapone and pharmaceutically acceptable salts thereof. In particular, the invention relates to specific phosphate prodrugs of opicapone, pharmaceutically acceptable salts thereof and their synthetic intermediates, as well as methods of preparing the same. The invention also relates to administration routes for prodrugs of opicapone.



WO 2023/191648 A1