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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
16 June 2022 (16.06.2022)

WIPO | PCT

(10) International Publication Number
WO 2022/123310 A1

(51) International Patent Classification:
C07D 487/04 (2006.01) *A61K 31/519* (2006.01)
A61K 31/53 (2006.01) *A61P 35/00* (2006.01)

(21) International Application Number:
PCT/IB2021/000856

(22) International Filing Date:
10 December 2021 (10.12.2021)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
63/124,615 11 December 2020 (11.12.2020) US

(71) Applicant: **ILDONG PHARMACEUTICAL CO., LTD.** [KR/KR]; 2, Baumoe-ro 27-gil, Seocho-gu, Seoul, 06752 (KR).

(72) Inventors: **LEE, Yoon-Suk**; iLeadBMS Co., Ltd., 1816, The First Tower, 614, Dongtangiheung-ro, Hwaseong-si, Gyeonggi-do, 18469 (KR). **KIM, Kyung-Sun**; iLeadBMS Co., Ltd., 1816, The First Tower, 614, Dongtangiheung-ro, Hwaseong-si, Gyeonggi-do, 18469 (KR). **KIM, Jeong-Ah**; iLeadBMS Co., Ltd., 1816, The First Tower, 614, Dongtangiheung-ro, Hwaseong-si, Gyeonggi-do, 18469 (KR). **MOON, An-Na**; iLeadBMS Co., Ltd., 1816, The First Tower, 614, Dongtangiheung-ro, Hwaseong-si, Gyeonggi-do, 18469 (KR). **SONG, Dong-Keun**; iLeadBMS Co., Ltd., 1816, The First Tower, 614, Dongtangiheung-ro, Hwaseong-si, Gyeonggi-do, 18469 (KR). **JUNG, Ju-Young**; iLeadBMS Co., Ltd., 1816, The First Tower, 614, Dongtangiheung-ro, Hwaseong-si, Gyeonggi-do, 18469 (KR).

(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, IT, 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, 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, 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).

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))*

WO 2022/123310 A1

(54) Title: NOVEL COMPOUNDS AS ANDROGEN RECEPTOR AND PHOSPHODIESTERASE DUAL INHIBITOR

(57) Abstract: The present disclosure provides androgen receptor (AR) and phosphodiesterase 5 (PDE-5) inhibitor compounds and compositions including said compounds. The compounds can provide dual functionality for inhibiting AR and inhibiting PDE-5. The present disclosure also provides methods of using said compounds and compositions for inhibiting AR and PDE-5 in a biological system or sample. Also provided are methods of preparing said compounds and compositions, and synthetic precursors of said compounds.