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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
15 March 2018 (15.03.2018)

WIPO | PCT

(10) International Publication Number
WO 2018/045422 A1

(51) International Patent Classification:
A61K 38/43 (2006.01) *A61P 35/00* (2006.01)
A61K 38/16 (2006.01)

(21) International Application Number:
PCT/AU2017/050969

(22) International Filing Date:
07 September 2017 (07.09.2017)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2016903602 07 September 2016 (07.09.2016) AU

(71) Applicant: **UNIVERSITY OF CANBERRA** [AU/AU]; University Drive, Bruce, Australian Capital Territory 2617 (AU).

(72) Inventors: **RAO, Sudha**; 102 Buxton Street, Deakin, Australian Capital Territory 2600 (AU). **MILBURN, Peter**; 8 Miller Street, O'Connor, Australian Capital Territory 2602 (AU).

(74) Agent: **DAVIES COLLISON CAVE PTY LTD**; Level 10, 301 Coronation Drive, Milton, Queensland 4064 (AU).

(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).

Published:
— *with international search report (Art. 21(3))*

WO 2018/045422 A1

(54) Title: LYSINE SPECIFIC HISTONE DEMETHYLASE-1 INHIBITORS AND USES THEREFOR

(57) Abstract: Disclosed are lysine specific histone demethylase-1 (LSD1) inhibitors in methods and compositions for immune checkpoint inhibition. The invention also relates to proteinaceous molecules and their use in altering at least one of (i) formation, (ii) 5 proliferation, (iii) maintenance, (iv) epithelial to mesenchymal cell transition (EMT), or (v) mesenchymal to epithelial cell transition (MET) of an LSD1 overexpressing cell.