

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
10 October 2019 (10.10.2019)



(10) International Publication Number
WO 2019/195159 A1

(51) International Patent Classification:

A61K 31/415 (2006.01) C07D 471/02 (2006.01)
A61K 31/4162 (2006.01) C07D 471/04 (2006.01)
A61K 31/437 (2006.01) C07D 487/04 (2006.01)

(21) International Application Number:

PCT/US2019/025165

(22) International Filing Date:

01 April 2019 (01.04.2019)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/651,512 02 April 2018 (02.04.2018) US

(71) Applicant: **CHEMOCENTRYX, INC.** [US/US]; 850
Maude Avenue, Mountain View, California 94043 (US).

(72) Inventors: **FAN, Pingchen**; 2157 Kalenda Commons, Fre-
mont, California 94539 (US). **LUI, Rebecca M.**; 1581
Shore Place, Apt. #7, Santa Clara, California 95054 (US).
SINGH, Rajinder; 1832 Hillman Avenue, Belmont, Cal-
ifornia 94002 (US). **MALI, Venkat Reddy**; 20800 Val-

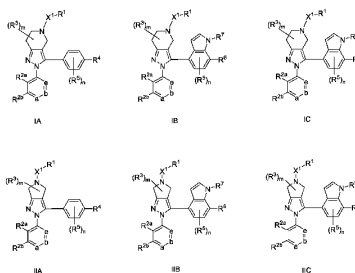
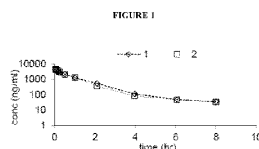
ley Green Drive, #427, Cupertino, California 95014 (US).
ZENG, Yibin; 917 Aruba Lane, Foster City, California
94404 (US). **ZHANG, Penglie**; 1036 Jamaica Street, Foster
City, California 94404 (US).

(74) Agent: **KEZER, William B.** et al.; MINTZ LEVIN COHN
FERRIS GLOVSKY AND POPEO PC, One Financial Cen-
ter, Boston, Massachusetts 02111 (US).

(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,

(54) Title: PRODRUGS OF FUSED-BICYCLIC C5aR ANTAGONISTS



(57) Abstract: The present disclosure provides, inter alia, Compounds of Formulae IA, IB, IC, IIA, IIB and IIC or pharmaceutically acceptable salts thereof that are modulators of the C5a receptor. Also provided are pharmaceutical compositions and methods of use including the treatment of diseases or disorders involving pathologic activation from C5a and non-pharmaceutical applications.

[Continued on next page]

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))*