

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
08 February 2018 (08.02.2018)



(10) International Publication Number
WO 2018/027097 A1

(51) International Patent Classification:
C07D 471/04 (2006.01) *A61P 35/00* (2006.01)
A61K 31/437 (2006.01)

(21) International Application Number:
PCT/US2017/045428

(22) International Filing Date:
04 August 2017 (04.08.2017)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
62/371,504 05 August 2016 (05.08.2016) US
62/454,101 03 February 2017 (03.02.2017) US

(71) Applicant: THE REGENTS OF THE UNIVERSITY
OF MICHIGAN [US/US]; Office Of Technology Trans-
fer, 1600 Huron Parkway, 2nd Floor, Ann Arbor, MI
48109-2590 (US).

(72) Inventors: WANG, Chia, Wei; 3040 Cedarbrook Road,
Ann Arbor, MI 48015 (US). CHEN, Jianyong; 2659 Ar-
rowwood Trail, Ann Arbor, MI 48105 (US).

(74) Agent: NAPOLI, James, J.; Marshall, Gerstein & Borun
LLP, 233 S. Wacker Drive, 6300 Willis Tower, Chicago, IL
60606-6357 (US).

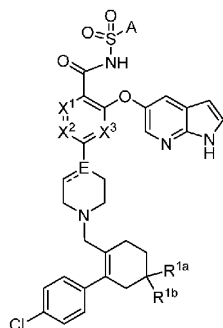
(81) Designated States (*unless otherwise indicated, for every
kind of national protection available*): AE, AG, AL, AM,
AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ,
CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO,
DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN,
HR, HU, ID, IL, IN, IR, IS, 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,
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))

(54) Title: N-(PHENYLSULFONYL)BENZAMIDES AND RELATED COMPOUNDS AS BCL-2 INHIBITORS



I-A

(57) Abstract: The present disclosure provides compounds having Formula I-A: and the pharmaceutically acceptable salts and solvates thereof, wherein A, X¹, X², X³, R^{1a}, R^{1b}, E, and = are as defined as set forth in the specification. The present disclosure also provides compounds of Formula I-A for use to treat a disease, disorder, or condition responsive to Bcl-2 protein inhibition such as cancer.

WO 2018/027097 A1