

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
11 May 2023 (11.05.2023)



(10) International Publication Number
WO 2023/081757 A1

(51) International Patent Classification:

A61K 31/7024 (2006.01) *A61K 39/39* (2006.01)

A61K 31/04 (2006.01) *A61K 45/06* (2006.01)

(21) International Application Number:

PCT/US2022/079221

(22) International Filing Date:

03 November 2022 (03.11.2022)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

PCT/CN2021/128533

03 November 2021 (03.11.2021) CN
63/263,474 03 November 2021 (03.11.2021) US

(71) Applicant: **RELAY THERAPEUTICS, INC.** [US/US];
399 Binney Street, 2nd Floor, Cambridge, Massachusetts
02139 (US).

(72) Inventors: **LESCARBEAU, André**; 399 Binney Street,
2nd Floor, Cambridge, Massachusetts 02139 (US).
BOEZIO, Alessandro; 399 Binney Street, 2nd Floor, Cam-
bridge, Massachusetts 02139 (US). **SINGH, Surendra,**
P.; 399 Binney Street, 2nd Floor, Cambridge, Massachu-
setts 02139 (US). **CHE, Qinglin**; 399 Binney Street, Cam-

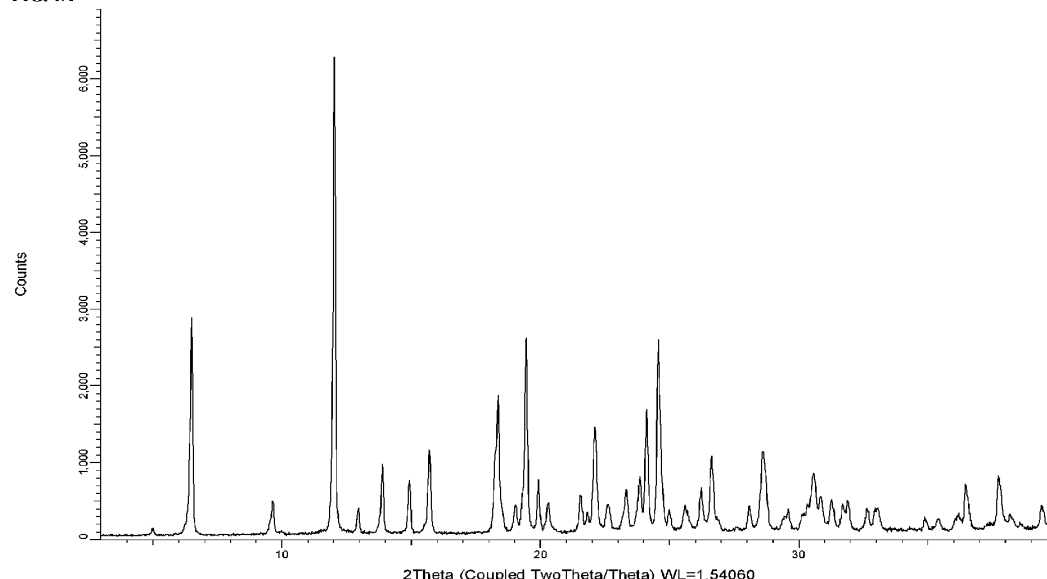
bridge, Massachusetts 02139 (US). **JIANG, Siyi**; 90 Delin
Road Waigaoqiao Free Trade Zone, Shanghai 200131 (CN).
HE, Hongyan; 90 Delin Road Waigaoqiao Free Trade
Zone, Shanghai 200131 (CN). **ZHOU, Qiuxiang**; 90 Delin
Road Waigaoqiao Free Trade Zone, Shanghai 200131 (CN).
ZHOU, Jiajia; 90 Delin Road Waigaoqiao Free Trade
Zone, Shanghai 200131 (CN). **LIN, Yuan**; 90 Delin Road
Waigaoqiao Free Trade Zone, Shanghai 200131 (CN). **GU,**
Wei; 90 Delin Road Waigaoqiao Free Trade Zone, Shanghai
200131 (CN). **LU, Min**; 90 Delin Road Waigaoqiao Free
Trade Zone, Shanghai 200131 (CN). **ZHOU, Yunfei**; 90
Delin Road Waigaoqiao Free Trade Zone, Shanghai 200131
(CN). **GONG, Xijian**; 90 Delin Road Waigaoqiao Free
Trade Zone, Shanghai 200131 (CN). **CHEN, Jiahui**; 90
Delin Road Waigaoqiao Free Trade Zone, Shanghai 200131
(CN). **WANG, Xiaohong**; 90 Delin Road Waigaoqiao Free
Trade Zone, Shanghai 200131 (CN). **YIN, Changbo**; 90
Delin Road Waigaoqiao Free Trade Zone, Shanghai 200131
(CN).

(74) Agent: **REID, Andrea, L., C.** et al.; c/o Dechert LLP, One
International Place, 40th Floor, 100 Oliver Street, Boston,
Massachusetts 02110-2605 (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,

(54) Title: PI3K-ALPHA INHIBITORS AND METHODS OF MAKING AND USING THE SAME

FIG. 1A



(57) Abstract: The present disclosure relates to PI3Ka inhibitors, the crystalline forms, salts, and cocrystals thereof, and the compositions and methods of making and use thereof.

[Continued on next page]

WO 2023/081757 A1

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, 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, 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, ME, 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))