

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
10 February 2022 (10.02.2022)



(10) International Publication Number
WO 2022/031928 A1

(51) International Patent Classification:

A61K 31/47 (2006.01) C07D 401/14 (2006.01)
A61K 31/4184 (2006.01) C07D 403/14 (2006.01)
A61K 31/4439 (2006.01) A61K 31/4545 (2006.01)

(21) International Application Number:

PCT/US2021/044673

(22) International Filing Date:

05 August 2021 (05.08.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/061,515 05 August 2020 (05.08.2020) US

(71) Applicant: **THE GENERAL HOSPITAL CORPORATION** [US/US]; 55 Fruit Street, Boston, Massachusetts 02114 (US).

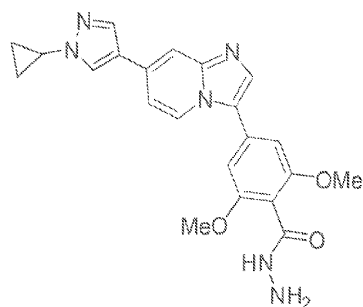
(72) Inventors: **WEIN, Marc Nathan**; 10 Vane Street, Wellesley, Massachusetts 02482 (US). **GREENLEE, William J.**; 115 Herrick Avenue, Teaneck, New Jersey 07666-4106 (US).

(74) Agent: **IGNATENKO, Vasily A.** et al.; Fish & Richardson P.C., P.O. Box 1022, Minneapolis, Minnesota 55440-1022 (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, 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).

(54) Title: SALT INDUCIBLE KINASE INHIBITORS



Cmpd. 55A in vitro IC₅₀ values:

SIK2: <76 pM

c-Kit: 33.0 nM

FIG. 17

(57) Abstract: The present application provides compounds that modulate the activity of one or more salt inducible kinases (SIKs). Pharmaceutical composition and methods of treating diseases associated with abnormal expression and/or activity of one or more SIKs are also provided.

WO 2022/031928 A1

[Continued on next page]

Declarations under Rule 4.17:

- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

Published:

- *with international search report (Art. 21(3))*