

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
09 November 2023 (09.11.2023)



(10) International Publication Number
WO 2023/215801 A1

(51) International Patent Classification:

C07D 519/00 (2006.01) A61P 35/00 (2006.01)
A61K 31/517 (2006.01) C07D 471/10 (2006.01)
A61K 31/519 (2006.01) C07D 487/10 (2006.01)
A61K 31/55 (2006.01)

Wateridge Circle, Suite 120, San Diego, California 92121 (US). **REN, Pingda**; 10770 Wateridge Circle, Suite 120, San Diego, California 92121 (US). **LI, Liansheng**; 10770 Wateridge Circle, Suite 120, San Diego, California 92121 (US).

(21) International Application Number:

PCT/US2023/066569

(74) Agent: **HARDT, Ingo**; Wilson Sonsini Goodrich & Rosati, 650 Page Mill Road, Palo Alto, California 94304 (US).

(22) International Filing Date:

03 May 2023 (03.05.2023)

(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, 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, MU, 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.

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/338,387 04 May 2022 (04.05.2022) US
63/491,723 22 March 2023 (22.03.2023) US

(71) Applicant: **KUMQUAT BIOSCIENCES INC.** [US/US];
10770 Wateridge Circle, Suite 120, San Diego, California 92121 (US).

(72) Inventors: **LI, Xiaoming**; 10770 Wateridge Circle, Suite 120, San Diego, California 92121 (US). **LIU, Yuan**; 10770

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, CV,

(54) Title: HETEROCYCLIC COMPOUNDS AND USES THEREOF

FIG. 1

	1	20	40	
K-Ras	mte-----yklvvv	gagggv	ksal tiqliqnhfv deyd	ptieds yrkqvvidge 49
(SEQ ID No. 9)				
H-Ras	mte-----yklvvv	gagggv	ksal tiqliqnhfv deyd	ptieds yrkqvvidge 49
(SEQ ID No. 10)				
N-Ras	mte-----yklvvv	gagggv	ksal tiqliqnhfv deyd	ptieds yrkqvvidge 49
(SEQ ID No. 11)				
RalA	maankpkgn slalhkvimv	gsggv	ksal tlqfmydefv edye	tkads yrkkvvldge 60
(SEQ ID No. 12)				
RalB	maankskgqs slalhkvimv	gsggv	ksal tlqfmydefv edye	tkads yrkkvvldge 60
(SEQ ID No. 13)				
	60	80	100	
K-Ras	tclldildta ggeysamrd gymrtgegfl cvfainntks fedihhyreq	ikrvkdsed- 108		
H-Ras	tclldildta ggeysamrd gymrtgegfl cvfainntks fedihhyreq	ikrvkdsed- 108		
N-Ras	tclldildta ggeysamrd gymrtgegfl cvfainntks fadinlyreq	ikrvkdsed- 108		
RalA	evqidildta ggedyaaird nyfrsgegfl cvfsitemes faatacfreq	ilrvkeden 119		
RalB	evqidildta ggedyaaird nyfrsgegfl lvfsitehes ftataefreq	ilrvkaeedk 120		

(57) Abstract: The present disclosure provides compounds and pharmaceutically acceptable salts thereof, and methods of using the same in the treatment of cancer or for inhibiting cell growth. The compounds and methods have a range of utilities as therapeutics, diagnostics, and research tools. In particular, the subject compositions and methods are useful for reducing signaling output of oncogenic proteins, such as Ras proteins.

[Continued on next page]

WO 2023/215801 A1

GH, GM, KE, LR, LS, MW, MZ, NA, RW, SC, 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).

Declarations under Rule 4.17:

- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*
- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

Published:

- *with international search report (Art. 21(3))*
- *with sequence listing part of description (Rule 5.2(a))*