

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
18 August 2022 (18.08.2022)



(10) International Publication Number
WO 2022/174256 A1

(51) International Patent Classification:

A61K 31/4155 (2006.01) A61K 31/506 (2006.01)
A61K 31/416 (2006.01) A61K 31/5377 (2006.01)
A61K 31/4192 (2006.01) A61K 31/55 (2006.01)
A61K 31/42 (2006.01) A61P 1/00 (2006.01)
A61K 31/422 (2006.01) A61P 1/04 (2006.01)
A61K 31/4245 (2006.01) A61P 9/04 (2006.01)
A61K 31/427 (2006.01) A61P 17/02 (2006.01)
A61K 31/4439 (2006.01) A61P 43/00 (2006.01)
A61K 31/454 (2006.01) C07D 261/06 (2006.01)
A61K 31/4709 (2006.01) C07D 413/12 (2006.01)
A61K 31/496 (2006.01) C07D 417/12 (2006.01)

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).

(21) International Application Number:

PCT/US2022/070631

(22) International Filing Date:

11 February 2022 (11.02.2022)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/148,868 12 February 2021 (12.02.2021) US

(71) Applicant: **THE SCRIPPS RESEARCH INSTITUTE**
[US/US]; 10550 North Torrey Pines Rd, La Jolla, Califor-
nia 92037 (US).

(72) Inventors: **BOLLONG, Michael J.**; 2572 B ST, San
Diego, California 92102 (US). **SCHULTZ, Peter G.**; 1650
La Jolla Rancho Road, La Jolla, California 92037 (US).
CHATTERJEE, Arnab K.; 13268 Torrey Crest Court,
San Diego, California 92129 (US). **SHEN, Weijun**; 13453
Sydney Rae Place, San Diego, California 92129 (US).
NAKATH G. RALALAGE, Elshan; 10550 North Torrey
Pines Rd, La Jolla, California 92037 (US). **GRZELAK,**
Edyta M.; 10550 North Torrey Pines Rd, La Jolla, Cali-
fornia 92037 (US). **YANG, Peng-Yu**; 10550 North Torrey
Pines Rd, La Jolla, California 92037 (US).

(74) Agent: **PERDOK, Monique M.** et al.; Schwegman Lund-
berg & Woessner, P.A., P.O. Box 2938, Minneapolis, Min-
nesota 55402 (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, JM, 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,

Published:

- with international search report (Art. 21(3))
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments (Rule 48.2(h))

(54) Title: SMALL MOLECULE ACTIVATORS OF YAP TRANSCRIPTIONAL ACTIVITY FOR REGENERATIVE ORGAN REPAIR

(57) Abstract: The present disclosure provides compounds, or their tautomers or pharmaceutically acceptable salts thereof, and their pharmaceutical compositions that can selectively activate Yes-associated protein 1 (YAP). YAP activators of the present disclosure are useful in therapies such as wound and organ repair including, for example, treatment of chronic ulcers.

WO 2022/174256 A1