

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
27 February 2020 (27.02.2020)



(10) International Publication Number
WO 2020/041770 A1

(51) International Patent Classification:

A61K 31/519 (2006.01) C07D 487/20 (2006.01)
C07D 487/14 (2006.01)

(21) International Application Number:

PCT/US2019/048029

(22) International Filing Date:

23 August 2019 (23.08.2019)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/722,675 24 August 2018 (24.08.2018) US

(71) Applicant: **G1 THERAPEUTICS, INC.** [US/US]; 79
T.W. Alexander Drive, 4501 Research Commons, Suite
200, Research Triangle Park, NC 27709 (US).

(72) Inventors: **SCHNEIDER, Stephen**; c/o G1 Therapeu-
tics, Inc., 79 T.W. Alexander Drive, 4501 Research Com-
mons, Suite 200, Research Triangle Park, NC 27709 (US).
WHITE, Hannah; c/o G1 Therapeutics, Inc., 79 T.W.
Alexander Drive, 4501 Research Commons, Suite 200,
Research Triangle Park, NC 27709 (US). **FESSARD,**
Thomas; c/o Spirochem AG, Mattenstrasse 24, 4058 Basel
(CH). **BELDAR, Sagar**; c/o Spirochem AG, Mattenstrasse
24, 4058 Basel (CH).

(74) Agent: **BELLOWS, Brent R.** et al.; Knowles Intellectual
Property Strategies, LLC, 400 Perimeter Center Terrace,
Suite 200, Atlanta, GA 30346 (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).

(54) Title: IMPROVED SYNTHESIS OF 1,4-DIAZASPIRO[5.5]UNDECAN-3-ONE

(57) Abstract: This invention provides a process for preparing 1,4-diazaspiro[5.5]undecan-3-one and analogues thereof that are useful in the preparation of pharmaceutical compound, including for the treatment of disorders involving abnormal cellular proliferation. Chemical intermediates in the process are also provided.

WO 2020/041770 A1