

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
06 December 2018 (06.12.2018)



(10) International Publication Number
WO 2018/220080 A1

(51) International Patent Classification:

C07K 16/18 (2006.01) *A61K 39/00* (2006.01)
C07K 16/28 (2006.01) *A61P 35/00* (2006.01)
C07K 16/46 (2006.01)

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/EP2018/064295

Declarations under Rule 4.17:

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

(22) International Filing Date:

30 May 2018 (30.05.2018)

Published:

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

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

17173782.8 31 May 2017 (31.05.2017) EP

(71) Applicant: **BOEHRINGER INGELHEIM INTER-
NATIONAL GMBH** [DE/DE]; Binger Strasse 173, 55216
INGELHEIM AM RHEIN (DE).

(72) Inventors: **ZINZALLA, Vittoria**; Boehringer Ingelheim
International GmbH/ Corporate Patents Binger Strasse 173,
55216 INGELHEIM AM RHEIN (DE). **KUENKELE,
Klaus-Peter**; Boehringer Ingelheim International GmbH/
Corporate Patents Binger Strasse 173, 55216 INGELHEIM
AM RHEIN (DE). **BUYSE, Marie-Ange**; Ablynx N.V.
Technologiepark 21, 9052 Zwijnaarde (BE). **CROMIE,
Karen**; Ablynx N.V. Technologiepark 21, 9052 Zwijnaarde
(BE). **STAELENS, Stephanie**; Ablynx N.V. Technolo-
giepark 21, 9052 Zwijnaarde (BE). **STRUBBE, Beatrijs**;
Ablynx N.V. Technologiepark 21, 9052 Zwijnaarde (BE).

(74) Agent: **SIMON, Elke, Anna, Maria** et al.; Boehringer
Ingelheim International GmbH/ Corporate Patents Binger
Strasse 173, 55216 INGELHEIM AM RHEIN (DE).

(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,

(54) Title: POLYPEPTIDES ANTAGONIZING WNT SIGNALING IN TUMOR CELLS

(57) Abstract: The invention provides novel LRP5-binding polypeptides, and more specifically novel LRP5-binding immunoglobulin single variable domain constructs which can inhibit Wnt signaling pathways. The invention also relates to specific sequences of such polypeptides, methods of their production, and methods of using them, including methods of treatment of diseases such as cancer.

WO 2018/220080 A1