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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
03 May 2018 (03.05.2018)

WIPO | PCT

(10) International Publication Number
WO 2018/078064 A1

(51) International Patent Classification:
A61K 31/519 (2006.01) *A61K 9/127* (2006.01)
A61P 35/00 (2006.01)

(21) International Application Number:
PCT/EP2017/077538

(22) International Filing Date:
27 October 2017 (27.10.2017)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
16306415.7 28 October 2016 (28.10.2016) EP

(71) Applicants: **LES LABORATOIRES SERVIER** [FR/FR]; 35 rue de Verdun, 92284 SURESNES (FR). **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, 4056 Basel (CH).

(72) Inventors: **WESSELS, Peter**; c/o Novartis Pharma AG, Postfach, 4002 Basel (CH). **TIEMESSEN, Henricus**; c/o Novartis Pharma AG, Postfach, 4002 Basel (CH). **DE MARCO, Paolo**; c/o Novartis Pharma AG, Postfach, 4002 Basel (CH). **LARABI, Malika**; c/o HIQ Consulting AG, Aeschenvorstadt 52, 6051 BASEL (CH). **SCHIEDEL, Christiane**; c/o Novartis Pharma AG, Postfach, 4002 Basel (CH). **GURINA, Marina**; c/o KELLY SERVICES AG, Grenzacherweg 78, 4125 Riehen (CH).

(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).

Published:
— *with international search report (Art. 21(3))*

WO 2018/078064 A1

(54) **Title:** LIPOSOMAL FORMULATION FOR USE IN THE TREATMENT OF CANCER

(57) **Abstract:** The invention relates to a pharmaceutical liposomal composition comprising 2-{[5-{3-chloro-2-methyl-4-[2-(4-methylpiperazin-1-yl)ethoxy]phenyl}-6-(4-fluorophenyl)thieno [2,3-*d*]pyrimidin-4-yl]oxy}-3-(2-{[2-(2-methoxyphenyl)pyrimidin-4-yl]methoxy}phenyl) propanoic acid, referred to herein as 'Compound A', or a pharmaceutically acceptable salt thereof. More specifically the invention relates to a liposomal vehicle, an organic concentratecompositioncomprising Compound A, and a pharmaceutical composition for parenteral administration comprising liposomes and Compound A. Furthermore, the invention relates to the use of such compositions for the treatment of cancer. 'Compound A' as used herein includes all enantiomers, diastereoisomers, and atropisomers thereof, or mixtures thereof, and also optionally includes the pharmaceutically acceptable salts thereof.