



(51) International Patent Classification:

A61K 31/4412 (2006.01) A61K 47/69 (2017.01)
A61K 31/45 (2006.01) A61K 9/00 (2006.01)
G01N 33/574 (2006.01) A61K 9/06 (2006.01)
A61K 45/06 (2006.01) A61P 35/00 (2006.01)

(21) International Application Number:

PCT/PT2018/050035

(22) International Filing Date:

14 September 2018 (14.09.2018)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

1715008.7 18 September 2017 (18.09.2017) GB

(71) Applicant: **INSTITUTO DE MEDICINA MOLECULAR** [PT/PT]; Faculdade de Medicina, Universidade de Lisboa, Avenida Professor Egas Moniz, 1649-028 Lisbon (PT).

(72) Inventors: **BERNARDES, Gonçalo**; Instituto de Medicina Molecular, Av. Prof. Egas Moniz, 1649-028 Lisbon (PT).

RODRIGUES, Tiago; Instituto de Medicina Molecular, Av. Prof. Egas Moniz, 1649-028 Lisbon (PT). **CONDE, João**; Instituto de Medicina Molecular, Av. Prof. Egas Moniz, Lisbon (PT). **BAKER, Charlotte**; Instituto de Medicina Molecular, Av. Prof. Egas Moniz, 1649-028 Lisbon (PT).

(74) Agent: **STILWELL D'ANDRADE, Vasco**; Rua Castilho, 165, 1070-050 Lisbon (PT).

(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,

(54) Title: TRPV2 ANTAGONISTS

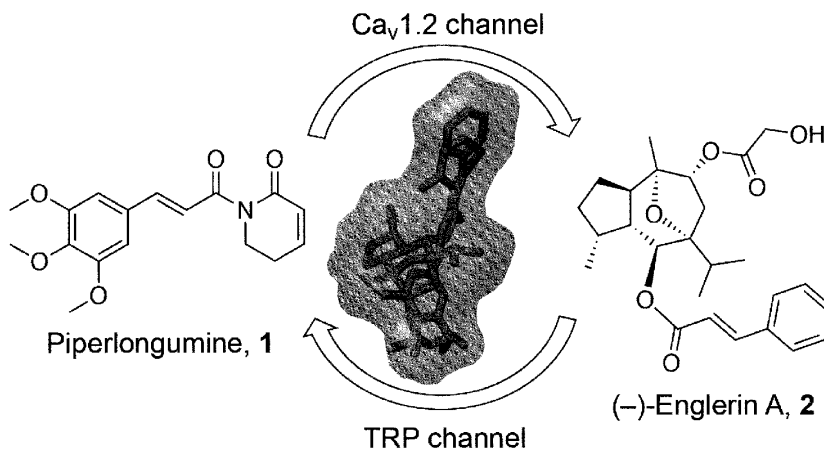


Figure 1A

(57) Abstract: This invention relates to the finding that Piperlongumine compounds, such as Piperlongumine and analogues, derivatives and prodrugs thereof, are reversible, allosteric antagonists of transient receptor potential vanilloid 2 channel (TRPV2). Methods of treatment of conditions that are characterised by TRPV2 expression using Piperlongumine compounds and Piperlongumine compounds for use in such treatments are provided

[Continued on next page]

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).

Declarations under Rule 4.17:

— *of inventorship (Rule 4.17(iv))*

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))*

(88) Date of publication of the international search report:

24 October 2019 (24.10.2019)