

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
24 November 2022 (24.11.2022)



(10) International Publication Number
WO 2022/245964 A1

(51) International Patent Classification:

A61K 31/417 (2006.01) C07D 233/04 (2006.01)

A61K 31/4174 (2006.01) C07D 233/06 (2006.01)

(21) International Application Number:

PCT/US2022/029862

(22) International Filing Date:

18 May 2022 (18.05.2022)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

63/189,839 18 May 2021 (18.05.2021) US

202110679032.9 18 June 2021 (18.06.2021) CN

(71) Applicant: **OCUPHIRE PHARMA, INC.** [US/US];
37000 Grand River Ave, Suite 120, Farmington Hills,
Michigan 48335 (US).

(72) Inventor: **ONICIU, Daniela Carmen**; 4148 NW 34th Drive,
Gainesville, Florida 32605 (US).

(74) Agent: **LANGER, Matthew E.** et al.; COOLEY LLP, 1299
Pennsylvania Ave, Suite 700, Washington, District of Columbia
20004 (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, IQ, 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,
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.

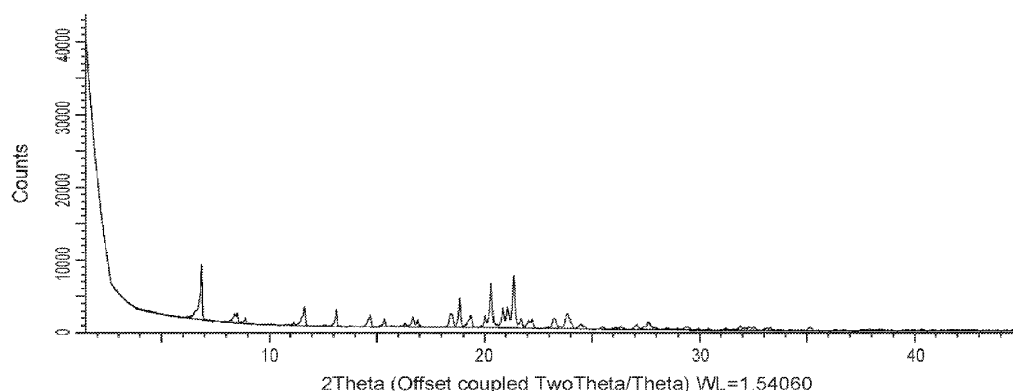
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ,
UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ,
TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HR, HU, 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).

Published:

— with international search report (Art. 21(3))

(54) Title: HIGHLY PURE PHENTOLAMINE MESYLATE AND METHODS FOR MAKING SAME

Fig. 1



(57) Abstract: This invention provides methods for synthesizing phentolamine mesylate from phentolamine and methanesulfonic acid in the presence of acetone and water. The methods of the present invention provide highly pure phentolamine mesylate. The invention also provides highly pure phentolamine mesylate.

WO 2022/245964 A1