

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

(19) World Intellectual Property
Organization

International Bureau

(43) International Publication Date
07 June 2018 (07.06.2018)



(10) International Publication Number
WO 2018/102315 A1

(51) International Patent Classification:

C07D 519/00 (2006.01) C07D 403/04 (2006.01)
C07D 491/052 (2006.01) C07D 401/10 (2006.01)

(21) International Application Number:

PCT/US2017/063517

(22) International Filing Date:

28 November 2017 (28.11.2017)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/427,686 29 November 2016 (29.11.2016) US
62/546,390 16 August 2017 (16.08.2017) US

(71) Applicant: CENSA PHARMACEUTICALS INC.
[US/US]; 65 William Street, Suite #200t, Wellesley, MA
02481 (US).

(72) Inventor: LEVY, Daniel, E.; 222 Third Street, Suite
#2240, Cambridge, MA 02142 (US).

(74) Agent: MCDONALD, J. Cooper; Clark & Elbing LLP,
101 Federal Street, 15th Floor, Boston, MA 02110 (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: POLYMOPRHS OF SEPIAPTERIN AND SALTS THEREOF

(57) Abstract: Disclosed are crystalline forms of sepiapterin free base selected from polymorphs A, B, C, D, E, F, and G, and combinations thereof, as well as crystalline polymorphs of salts of sepiapterin. Also disclosed are pharmaceutical compositions containing one or more such polymorphs and methods for preparing such polymorphs. Sepiapterin is useful in the treatment of a number of diseases associated with low cellular levels of BH4, for example, phenylketonuria.



WO 2018/102315 A1