



(51) International Patent Classification:

C07D 471/04 (2006.01) A61P 35/00 (2006.01)
C07D 519/00 (2006.01) A61K 31/519 (2006.01)

(21) International Application Number:

PCT/US2020/040299

(22) International Filing Date:

30 June 2020 (30.06.2020)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/869,662 02 July 2019 (02.07.2019) US

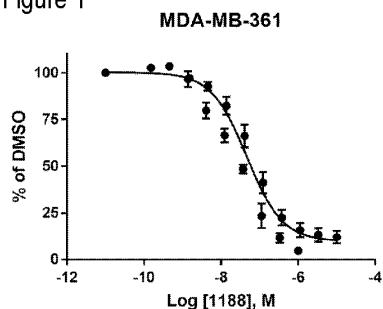
(71) Applicant: **EFFECTOR THERAPEUTICS, INC.**
[US/US]; 11180 Roselle Street, San Diego, California
92121 (US).(72) Inventors: **SPERRY, Samuel**; c/o EFFECTOR THERA-
PEUTICS, INC., 11180 Roselle Street, San Diego, Cal-
ifornia 92121 (US). **XIANG, Alan X.**; c/o EFFECTOR
THERAPEUTICS, INC., 11180 Roselle Street, San Diego,
California 92121 (US). **ERNST, Justin T.**; c/o EFPEC-
TOR THERAPEUTICS, INC., 11180 Roselle Street, San
Diego, California 92121 (US). **REICH, Siegfried H.**; c/
o EFFECTOR THERAPEUTICS, INC., 11180 Roselle

Street, San Diego, California 92121 (US). **SPREN-
GELER, Paul A.**; c/o EFFECTOR THERAPEUTICS,
INC., 11180 Roselle Street, San Diego, California 92121
(US). **SHAGHAFI, Mike**; c/o EFFECTOR THERA-
PEUTICS, INC., 11180 Roselle Street, San Diego, Cal-
ifornia 92121 (US). **MICHELS, Theo**; c/o EFFECTOR
THERAPEUTICS, INC., 11180 Roselle Street, San Diego,
California 92121 (US). **NILEWSKI, Christian**; c/o EF-
FECTOR THERAPEUTICS, INC., 11180 Roselle Street,
San Diego, California 92121 (US). **TRAN, Chinh Viet**;
c/o EFFECTOR THERAPEUTICS, INC., 11180 Roselle
Street, San Diego, California 92121 (US). **PACKARD,
Garrick Kenneth**; c/o EFFECTOR THERAPEUTICS,
INC., 11180 Roselle Street, San Diego, California 92121
(US). **GRUBBS, Alan**; c/o EFFECTOR THERAPEUTICS,
INC., 11180 Roselle Street, San Diego, California 92121
(US). **URKALAN, Kaveri**; c/o EFFECTOR THERA-
PEUTICS, INC., 11180 Roselle Street, San Diego, Califor-
nia 92121 (US). **MUKAIYAMA, Takasuke**; c/o EFPEC-
TOR THERAPEUTICS, INC., 11180 Roselle Street, San
Diego, California 92121 (US).

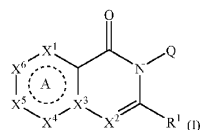
(74) Agent: **HEMMENDINGER, Lisa**; 1100 13th Street NW,
Suite 1200, Washington, District of Columbia 20005 (US).(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,

(54) Title: EIF4E-INHIBITING 4-OXO-3,4-DIHYDROPYRIDO[3,4-D]PYRIMIDINE COMPOUNDS

Figure 1



(57) **Abstract:** The present invention provides synthesis, pharmaceutically acceptable formulations and uses of compounds in accordance with Formula I, or a stereoisomer, tautomer or pharmaceutically acceptable salt thereof. For Formula I compounds X^1 , X^2 , X^3 , X^4 , X^5 , X^6 , Q , L^1 , L^2 , Y , R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 and rings A, B and C are as defined in the specification. The inventive Formula I compounds are inhibitors of eIF4e and find utility in any number of therapeutic applications, including but not limited to treatment of inflammation and various cancers.



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, 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))