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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
16 December 2021 (16.12.2021)

WIPO | PCT

(10) International Publication Number
WO 2021/252664 A1

(51) International Patent Classification:
A61K 51/08 (2006.01) *A61P 35/00* (2006.01)
A61K 51/04 (2006.01)

(21) International Application Number:
PCT/US2021/036661

(22) International Filing Date:
09 June 2021 (09.06.2021)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
63/036,918 09 June 2020 (09.06.2020) US

(71) Applicant: **CYTOSITE BIOPHARMA INC.** [US/US]; 14 Peterson Circle, Sudbury, Massachusetts 01776-1946 (US).

(72) Inventors: **CLAY, Julia Marie**; PO Box 6288, Indianapolis, Indiana 46206-6288 (US). **XIONG, Hui**; PO Box 6288, Indianapolis, Indiana 46206-6288 (US). **RULEY, Kevin Michael**; PO Box 6288, Indianapolis, Indiana 46206-6288 (US). **VALENZUELA, Francisco A.**; PO Box 6288, Indianapolis, Indiana 46206-6288 (US). **HOYE, Adam T.**; PO Box 6288, Indianapolis, Indiana 46206-6288 (US). **CASTANARES, Mark A.**; PO Box 6288, Indianapolis, Indiana 46206-6288 (US). **KRONAUGE, James**; 14 Peterson Circle, Sudbury, Massachusetts 01776-1946 (US).

(74) Agent: **CHEN, Yahua**; McDermott Will & Emery LLP, 500 North Capitol Street, NW, Washington, District of Columbia 20001 (US).

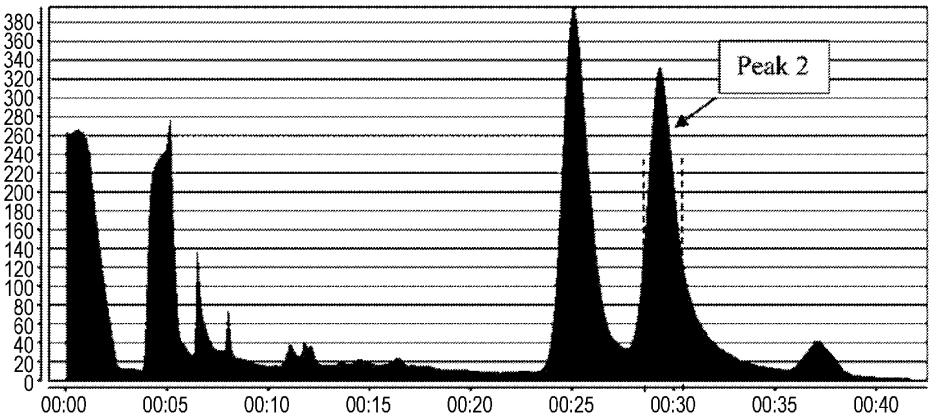
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, IT, 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:** GRANZYME B DIRECTED IMAGING AND THERAPY

Figure 1



(57) **Abstract:** Compounds of Formula (I) and Formula (II), which are capable of binding to granzyme B. Also provided herein are pharmaceutical compositions comprising such for use in, for example, imaging Granzyme B and/or treating immunoregulatory abnormalities.

WO 2021/252664 A1