
Product Data Sheet

Product Name: PMX 205
 Cat. No.: GC10449

Chemical Properties

Cas. No. 514814-49-4

Chemical Name N-((3S,6R,9R,15R,20aR)-6-((1H-indol-3-yl)methyl)-3-(cyclohexylmethyl)-9-(3-((diaminomethylene)amino)propyl)-1,4,7,10,16-pentaoxoicosahydropyrrolo[1,2-a][1,4,7,10,13]pentaazacyclooctadecin-15-yl)-3-phenylpropanamide

SMILES O=C(N1[C@H](CCC1)C(N[C@@H](CC2CCCCC2)C3=O)=O)[C@H](NC(CCC4=CC=CC=C4)=O)CCCNC([C@@H](CCC/N=C(N)/N)NC([C@@H](CC5=CNC6=CC=CC=C65)N3)=O)=O

Formula C₄₅H₆₂N₁₀O₆ M.Wt 839.05

Solubility Soluble in 20% ethanol / sterile Water Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Cell experiment:

The mouse mammary tumor cell lines 4T1 are plated at a density 5.0×10^4 cells/ml/well of 96-multiwell plates in complete medium. After 24 h of incubation, the medium is changed with serum starve medium to synchronize the cell cycle and growth as to ensure that the cells reaches its plateau phase. After 24 h of serum-starving, cells are treated with 5 μ L/well of 0.1 M of agonist, EP54, antagonist, PMX 205 and the positive control is treated with Tamoxifen drug. 5 μ L/well of the 12 mM ck solution is added 5 h before reading is taken and about 50 μ L/well of the SDS-HCL solution is added and mixed thoroughly using pipette 3 h before reading is taken. The MTT assay is assessed at different time point; 0, 24, 48 and 72 h at wavelength 570 nm respectively by using ELISA plate reader Infinite M200[1].

Animal experiment:

Rats[2]Transgenic SOD1G93A rats expressing human mutant G93A SOD1 (NTac:SD-Tg SOD1G93A L26H) are used. Three experimental groups are chosen: untreated, PMX 205 treats from day 28 onward, and PMX 205 treats from day 70 onward. Animals are administered PMX 205 via drinking water (1 mg/kg/day) , from day 28 or day 70 onward; controls receive water only[2].Mice[3]Tg2576 mice are treated (starting at or after the initiation of plaque pathology) for 2-3 mo with PMX 205 given in the drinking water only (10-20 μ g/mL, equivalent to \sim 3-6 mg/kg/day) or both in drinking water (10-20 μ g/mL) and s.c. (1 mg/kg) twice weekly throughout the treatment period. Untreated transgenic animals of same age are used as controls. Nontransgenic littermates are similarly treated or not treated with the drug. 3 \times Tg mice are also treated with PMX 205 in the drinking water. Due to the low pathology of the males, only female mice of this strain are used for these studies[3].

References:

[1]. Kosni NN, et

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

al. Expression of complement C5a receptor and the viability of 4T1 tumor cells following agonist-antagonist treatment. J Cancer Res Ther. 2016 Apr-Jun;12(2):590-6.

[2]. Woodruff TM, et al. The complement factor C5a contributes to pathology in a rat model of amyotrophic lateral sclerosis. J Immunol. 2008 Dec 15;181(12):8727-34.

[3]. Fonseca MI, et al. Treatment with a C5aR antagonist decreases pathology and enhances behavioral performance in murine models of

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Alzheimer's
disease. J
Immunol. 2009
Jul
15;183(2):1375-
83.

Background

PMX205 is a cyclic hexapeptide (c[Arg-Trp-D-Cha-Pro-Orn]-Hca), which is an antagonist of the C5a complement receptor. [1]

Human C5a, a complement-derived anaphylatoxin, is a potent mediator of human leukocyte chemotaxis. C5a in particular is a potent inflammatory mediator and signalling through CD88 mediates chemotaxis of leukocytes, vascular permeability could be increased, mast cells release inflammatory mediator and smooth muscle cell contracts and other activities, but all the activities contribute to inflammation and potent tissue damage. C5a-mediated leukocyte chemotaxis release from cytochalasin B-treated cells closely paralleled uptake of the ligand, clearly indicating that it is a receptor-C5a interaction that leads to stimulation of these cellular responses. [2]

PMX205 have been shown to be effective in causing a significant reduction in fibrillar amyloid deposits and activated glia in two mouse models of Alzheimer's disease. PMX205 -treated rats exhibited reduced striatal lesion size, apoptosis, neutrophil infiltration, and hemorrhage.[3]

PMX205 is used as anti-inflammatory drug. PMX205 significantly prevented DSS-induced colon inflammation, and dealed with PMX205, subjected rats had lower pro-inflammatory. Additionally, the levels of anti-inflammatory cytokines IL-4 and IL-10 were increased. PMX205 did not affect C5a's levels. The positive effect of PMX205 was seen in two strains of mice of differing sensitivities to DSS inflammation. Pharmacological inhibition of C5a activity by PMX205 is efficacious in preventing DSS-induced colitis.[3]

References:

[1] Delisle Milton RC, Milton SC, Chamberlin AR. Improving the Fmoc Solid Phase Synthesis of the Cyclic Hexapeptide Complement C5a Antagonist, PMX205. Int J Pept Res Ther. 2011 Dec;17(4):337-342.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

- [2] Chenoweth DE, Hugli TE. Demonstration of specific C5a receptor on intact human polymorphonuclear leukocytes. Proc Natl Acad Sci U S A. 1978 Aug;75(8):3943-7.
- [3] Jain U, Woodruff TM, Stadnyk AW. The C5a receptor antagonist PMX205 ameliorates experimentally induced colitis associated with increased IL-4 and IL-10. Br J Pharmacol. 2013 Jan;168(2):488-501.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA