

## Product Data Sheet

Product Name: BIO 1211

Cat. No.: GC17560

### Chemical Properties

Cas. No. 187735-94-0

Chemical Name (2S)-1-[(2S)-2-[(2S)-3-carboxy-2-[(2S)-4-methyl-2-[[2-[4-[(2-methylphenyl)carbamoyl]amino]phenyl]acetyl]amino]pentanoyl]amino]propanoyl]amino]-3-methylbutanoyl]pyrrolidine-2-carboxylic acid

SMILES CC1=CC=CC=C1NC(=O)NC2=CC=C(C=C2)CC(=O)NC(CC(C)C)C(=O)NC(CC(=O)O)C(=O)NC(C(C)C)C(=O)N3CCCC3C(=O)O

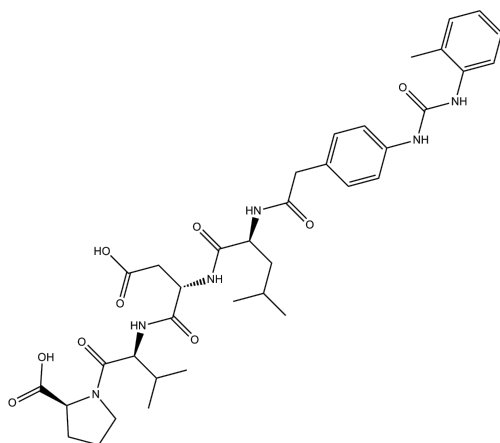
Formula C<sub>36</sub>H<sub>48</sub>N<sub>6</sub>O<sub>9</sub> M.Wt 708.8

Solubility Soluble to 2 mg/ml in 0.2M PBS Storage Store at -20°C, sealed storage, away from moisture and light

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

#### Cell experiment [1]:

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Cell lines                      IMR-32, CHP-134, and Kelly human neuroblastoma cell lines

Preparation Method                      Cells were cultured on fibronectin-coated plates. They were treated with BIO 1211 (1-5 $\mu$ M) alone or in combination with the anti-GD2 monoclonal antibody 14G2a (20 $\mu$ g/ml).

Reaction  
Conditions                      1-5 $\mu$ M; 72 hours.

Applications                      BIO 1211 (5 $\mu$ M) induced evident changes in the morphology of IMR-32 cells (e.g., cell rounding) and reduced cell attachment on fibronectin-coated surfaces. Treatment with BIO 1211 (1-5 $\mu$ M) for 72 hours significantly decreased cellular ATP levels (a measure of cell survival) in IMR-32 cells in a concentration-dependent manner, with the most pronounced effect at 5 $\mu$ M. When combined with the 14G2a antibody, BIO 1211 further reduced the survival of IMR-32 cells compared to treatment with the antibody alone. The effects of BIO 1211 on CHP-134 and Kelly cell survival and attachment were minimal.

### Animal experiment [2]:

Animal models                      SD rats

Preparation Method                      Rats were intraperitoneally administered a single dose of BIO 1211 (1, 3, 10mg/kg). Two hours later, eosinophil inflammation was induced by a single intraperitoneal injection of Sephadex. Peritoneal lavage fluid was collected 24 hours after Sephadex administration for cell count analysis.

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Dosage form            1, 3, 10mg/kg; i.p.; single administration.

Applications            BIO 1211 administration inhibited Sephadex-induced peritoneal eosinophil recruitment in a dose-dependent manner. BIO 1211 did not inhibit eosinophil peroxidase (EPO) release.

### References:

- [1] Horwacik I, Rokita H. Modulation of interactions of neuroblastoma cell lines with extracellular matrix proteins affects their sensitivity to treatment with the anti-GD2 ganglioside antibody 14G2a. *Int J Oncol.* 2017 May;50(5):1899-1914.
- [2] Zhao XY, Chen JQ, Xie QM, et al. Effects of BIO-1211 on eosinophil chemotaxis, recruitment and mediator release. *Zhejiang Da Xue Xue Bao Yi Xue Ban.* 2003 Aug;32(4):279-82, 291.

### Background

BIO 1211 is an  $\alpha 4 \beta 1$  (VLA-4) integrin inhibitor, which inhibits  $\alpha 4 \beta 1$  ( $IC_{50}=4nM$ ) and  $\alpha 4 \beta 7$  ( $IC_{50}=2\mu M$ )<sup>[1-2]</sup>. BIO 1211 reduces leukocyte migration and inflammatory cytokine expression by inhibiting the VLA-4/VCAM-1 interaction. BIO 1211 can be used in research related to inflammatory diseases such as multiple sclerosis (experimental autoimmune encephalomyelitis model) and asthma<sup>[3-4]</sup>.

In vitro, BIO 1211 (50 $\mu M$ ) was co-cultured with patient-derived B-ALL bone marrow mononuclear cells and two stromal cell populations (adipogenic progenitor cells and early mesenchymal progenitor cells) for 7 days, effectively reducing the viability of leukemic cells in the co-culture system<sup>[5]</sup>. IMR-32, CHP-134, and Kelly neuroblastoma cell lines were treated with BIO 1211 (1-5 $\mu M$ ) for 72 hours. BIO 1211 significantly decreased cell survival and reduced cell attachment; when combined with the anti-GD2 antibody 14G2a (20  $\mu g/ml$ ), BIO 1211 further enhanced cytotoxicity in IMR-32 cells<sup>[6]</sup>.

In vivo, BIO 1211 (1, 3, 10mg/ml; 2min) was administered via aerosol inhalation to treat SD rats sensitized with albumin. BIO 1211 dose-dependently significantly inhibited the increase in lung resistance and the decrease in dynamic lung compliance caused by antigen challenge<sup>[7]</sup>. BIO 1211

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(1, 3, 10mg/kg) was administered via a single intraperitoneal injection to treat SD rats after glucan gel-induced inflammation. BIO 1211 dose-dependently significantly inhibited the aggregation of peritoneal eosinophils<sup>[8]</sup>.

### References:

- [1] Bolger GT. BIO-1211 (Biogen). IDrugs. 2000 May;3(5):536-40.
- [2] Hagmann WK. The discovery and potential of N-sulfonylated dipeptide VLA-4 antagonists. Curr Top Med Chem. 2004;4(14):1461-71.
- [3] Dattoli SD, De Marco R, Baiula M, et al. Synthesis and assay of retro- $\alpha 4\beta 1$  integrin-targeting motifs. Eur J Med Chem. 2014 Feb 12;73:225-32.
- [4] Drin G, Cottin S, Blanc E, et al. Studies on the internalization mechanism of cationic cell-penetrating peptides. J Biol Chem. 2003 Aug 15;278(33):31192-201.
- [5] Ferrao Blanco MN, Kazybay B, Belderbos M, et al. Distinct stromal cell populations define the B-cell acute lymphoblastic leukemia microenvironment. Leukemia. 2025 Nov;39(11):2622-2639.
- [6] Horwacik I, Rokita H. Modulation of interactions of neuroblastoma cell lines with extracellular matrix proteins affects their sensitivity to treatment with the anti-GD2 ganglioside antibody 14G2a. Int J Oncol. 2017 May;50(5):1899-1914.
- [7] Dong XW, Du XG, Zhang SJ, et al. Inhibitory effects of BIO-1211 on bronchoconstriction and neutrophil adhesion in rats. Zhejiang Da Xue Xue Bao Yi Xue Ban. 2008 Jul;37(4):340-4.
- [8] Zhao XY, Chen JQ, Xie QM, et al. Effects of BIO-1211 on eosinophil chemotaxis, recruitment and mediator release. Zhejiang Da Xue Xue Bao Yi Xue Ban. 2003 Aug;32(4):279-82, 291.

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