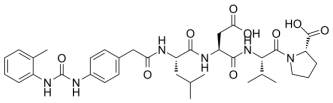
BIO-1211

Cat. No.:	HY-14126
CAS No.:	187735-94-0
Molecular Formula:	$C_{36}H_{48}N_6O_9$
Molecular Weight:	708.8
Target:	Integrin
Pathway:	Cytoskeleton
Storage:	Sealed storage, away from moisture Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (352.71 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4108 mL	7.0542 mL	14.1084 mL
5 mM	0.2822 mL	1.4108 mL	2.8217 mL
10 mM	0.1411 mL	0.7054 mL	1.4108 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (2.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (2.93 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BIO-1211 is a highly selective and orally active α4β1 (VLA-4) inhibitor, with IC_{50} values of 4 nM and 2 μM for α4β1 and α4β7, respectively[1][2][3].

IC_{50} & Target

α4β1	α4β7
4 nM (IC_{50})	2 μM (IC_{50})

In Vitro

BIO-1211 almostly exhibits no activity for α1β1, α5β1, α6β1, αLβ2 and αIIbβ3[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

BIO-1211 (5 and 10 mg/kg, orally, once every other day) results in reduced cytokines expression, leukocyte trafficking, and inhibition of inflammatory responses in EAE in a dose-independent manner. BIO-1211 exhibits a considerable depletion in the EAE clinical score, which correlated with decreased expression of TNF-α, IL-17, IFN-γ and pervade of CD11b+ and CD45+ cells into the cerebral cortex[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Naive, C57BL/6 mice (male, 8 weeks old, 20-25 g weight)[2].
Dosage:	5 and 10 mg/kg.
Administration:	Orally once every other day starting the day before immunization until day 21 post-immunization.
Result:	Could prevent the induction of EAE. Significantly delayed the onset of EAE and reduced the severity of clinical EAE compared to the vehicle group. Markedly reduced the expression of both CD11b and CD45 in comparison with the vehicle group. mRNA and soluble form of a subset of target inflammatory cytokines (IFNγ, IL-17, and TNF-α) was dramatically decreased.

CUSTOMER VALIDATION

- Acta Biomater. 2021 Mar 9;S1742-7061(21)00152-5.
- Vascul Pharmacol. 2022 Sep 29;107113.

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REFERENCES

[1]. L L Chen, et al. Multiple activation states of integrin alpha4beta1 detected through their different affinities for a small molecule ligand. J Biol Chem. 1999 May 7;274(19):13167-75.

[2]. Nourollah Ramroodi, et al. Prophylactic Effect of BIO-1211 Small-Molecule Antagonist of VLA-4 in the EAE Mouse Model of Multiple Sclerosis. Immunol Invest. 2015;44(7):694-712.

[3]. K c Lin, et al. Selective, tight-binding inhibitors of integrin alpha4beta1 that inhibit allergic airway responses. J Med Chem. 1999 Mar 11;42(5):920-34.

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