# BIO-1211

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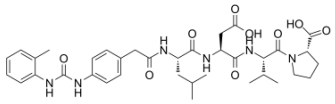
| **Cat. No.:** | HY-14126 |
| **CAS No.:** | 187735-94-0 |
| **Molecular Formula:** | $C_{36}H_{48}N_6O_9$ |
| **Molecular Weight:** | 708.8 |
| **Target:** | Integrin |
| **Pathway:** | Cytoskeleton |
| **Storage:** | Please store the product under the recommended conditions in the COA. |



## 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**

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| α4β1<br>4 nM ($IC_{50}$) | α4β7<br>2 μM ($IC_{50}$) | α1β1<br>>100 μM ($IC_{50}$) | α5β1<br>>100 μM ($IC_{50}$) |
| α6β1<br>>100 μM ($IC_{50}$) | αLβ2<br>>100 μM ($IC_{50}$) | αIIbβ3<br>>100 μM ($IC_{50}$) | |

**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].

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| **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.<br>Significantly delayed the onset of EAE and reduced the severity of clinical EAE compared to the vehicle group.<br>Markedly reduced the expression of both CD11b and CD45 in comparison with the vehicle group.<br>mRNA and soluble form of a subset of target inflammatory cytokines (IFNγ, IL-17, and TNF-α) was dramatically decreased. |

## 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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