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Etrolizumab

Cat. No.: HY-P9984

CAS No.: 1044758-60-2

Target: Integrin

Pathway: Cytoskeleton

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Etrolizumab (rhuMAb Beta7) is a gut-selective, anti-β7 integrin monoclonal antibody. Etrolizumab is specific targeting of the β7 subunit of α4β7 and αEβ7 integrins with K_i values of 18 nM and 1800 pM for Human α4β7 and Human αEβ7-293, respectively. Etrolizumab can be used in research of inflammatory bowel disease (IBD)[1][2].

IC_{50} & Target	α4β7	αEβ7

In Vitro

Etrolizumab (rhuMAb Beta7) binds the β7 subunit of both α4β7 and αEβ7 integrins with high affinity, with K_d values of 18 nM, 1800 pM, 181 pM, 116 pM, 57 pM, 31.7 pM, and 25.7 pM for Human α4β7, Human αEβ7-293, Mouse α4β7-38C13, Human α4β7-293, Rabbit PBLs, Human PBLs, and Cyno PBLs, respectively[1].

Etrolizumab (RPMI 8866 cells and αEβ7-293 cells) blocks the interaction of α4β7 with its cognate ligands MAdCAM-1 and VCAM-1 with IC_{50} values of 0.075 and 0.089 nM, respectively, and blocks the interaction between αEβ7 and its ligand E-cadherin with an IC_{50} value of 3.96 nM[1].

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

In Vivo

Etrolizumab (rhuMAb Beta7; 5 mg/kg; i.v.; once; normal female BALB/c mice) decreases β7 integrins on T lymphocytes[2].

Etrolizumab (200 μg (100 μL); i.p.; once) inhibits lymphocyte homing in the $CD45RB^{high}$ T cell-reconstituted SCID mouse model of colitis[2].

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

Animal Model:	Normal female BALB/c mice (17-21 g)[2]
Dosage:	5 mg/kg
Administration:	Intravenous injection; once
Result:	Had 98.3% of intraepithelial $CD8^+$ T-cell β7 integrin receptors and 90.0% of intraepithelial $CD4^+$ T-cell β7 integrin receptors after 24 h.

Animal Model:	SCID mouse model of colitis[2]
Dosage:	200 μg (100 μL)

Administration:	Intraperitoneal injection; once
Result:	Blocked lymphocyte recruitment and homing to the inflamed colon.

REFERENCES

[1]. Tang MT, et, al. Review article: nonclinical and clinical pharmacology, pharmacokinetics and pharmacodynamics of etrolizumab, an anti-β7 integrin therapy for inflammatory bowel disease. Aliment Pharmacol Ther. 2018 Jun;47(11):1440-1452.

[2]. Stefanich EG, et, al. A humanized monoclonal antibody targeting the β7 integrin selectively blocks intestinal homing of T lymphocytes. Br J Pharmacol. 2011 Apr;162(8):1855-70.

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