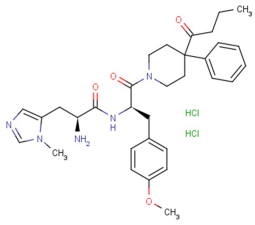
Data Sheet (Cat.No.T10568)

TargetMol
A DRUG SCREENING EXPERT

BMS-470539 dihydrochloride

Chemical Properties



CAS No.:	2341796-82-3
Formula:	C32H43Cl2N5O4
Molecular Weight:	632.62
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	BMS-470539 dihydrochloride is a highly potent and selective agonist of the melanocortin-1 receptor (MC-1R; IC50: 120 nM; EC50: 28 nM) with anti-inflammatory properties. It does not activate MC-3R and is a very weak partial agonist at MC-4R and MC-5R.
Targets(IC_{50})	Melanocortin-1 receptor: 28 nM (EC50)
In vitro	An HBL melanoma cell line is established that stably expresses an NF-κB luciferase reporter. In these cells, 0.5 ng/mL TNF-α induces a dose-dependent increase in NF-κB luciferase activity. Treatment of HBL-NF-κB cells with BMS-470539 elicits a dose-dependent reduction in TNF-α-stimulated NF-κB luciferase activity. BMS-470539 has no effect on luciferase reporter activity in the absence of TNF-α stimulation. In nontransfected HBL cells, treatment with BMS-470539 results in a dose-dependent inhibition of NF-B nuclear translocation [2].
In vivo	The treatment of BMS-470539 (2.05-18.47 mg/kg; i.v.; for 125 minutes; WT and MC1 receptor recessive e/e mice) inhibits cell emigration and adhesion with no effect on cell rolling. It also inhibits the tissue expression of CXCL1/CCL2 [3].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.581 mL	7.904 mL	15.807 mL
5 mM	0.316 mL	1.581 mL	3.161 mL
10 mM	0.158 mL	0.79 mL	1.581 mL
50 mM	0.032 mL	0.158 mL	0.316 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Herpin TF, et al. Discovery of tyrosine-based potent and selective melanocortin-1 receptor small-molecule agonists with anti-inflammatory properties. J Med Chem. 2003 Mar 27;46(7):1123-6.

2. Kang L, et al. A selective small molecule agonist of the melanocortin-1 receptor inhibits lipopolysaccharide-induced cytokine accumulation and leukocyte infiltration in mice. J Leukoc Biol. 2006 Oct;80(4):897-904. Epub 2006 Aug 3.

3. Leoni G, et al. The melanocortin MC(1) receptor agonist BMS-470539 inhibits leucocyte trafficking in the inflamed vasculature. Br J Pharmacol. 2010 May;160(1):171-80.

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