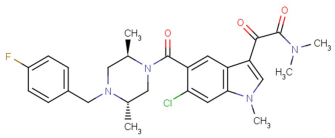
Data Sheet (Cat.No.T12871)

TargetMol
A DRUG SCREENING EXPERT

Talmapimod

Chemical Properties



CAS No.:	309913-83-5
Formula:	C27H30ClFN4O3
Molecular Weight:	513
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Talmapimod is a selective, orally active and ATP-competitive inhibitor of p38α(IC50 : 9 nM) .
Targets(IC_{50})	p38α: 9 nM p38β: 90 nM
In vitro	phosphorylation of p38 MAPK inhibited by Talmapimod (100-200 nM; 1 hour) in MM cells[1].In human whole blood, LPS-induced TNF-a production inhibited by Talmapimod [2]. Talmapimod decreases constitutive p38alpha MAPK phosphorylation of both 5T2MM and 5T33MM cells[3].
In vivo	Targeting p38α MAPK with Talmapimod (SCIO-469) decreases myeloma burden,and preventing the development of myeloma bone disease[2]. In 5T2MM and 5T33MM models,Talmapimod inhibits the growth of multiple myeloma and prevents bone diseases[3]. Talmapimod (10-90 mg/kg; p.o.; twice daily orally for 14 days) dose-dependently reduced tumor growth and also dose-dependently reduced weight of the palpable tumors at termination[4].

Solubility Information

Solubility	DMSO: 100 mg/mL (194.93 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.949 mL	9.747 mL	19.493 mL
5 mM	0.39 mL	1.949 mL	3.899 mL
10 mM	0.195 mL	0.975 mL	1.949 mL
50 mM	0.039 mL	0.195 mL	0.39 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. Hideshima T et al. p38 MAPK inhibition enhances PS-341 (bortezomib)-induced cytotoxicity against multiple myeloma cells. Oncogene. 2004 Nov 18, 23(54), 8766-76.
2. Navas T, et al. Inhibition of p38alpha MAPK disrupts the pathological loop of proinflammatory factor production in the myelodysplastic syndrome bone marrow microenvironment. Leuk Lymphoma. 2008 Oct;49(10):1963-75.
3. Vanderkerken K et al. Inhibition of p38alpha mitogen-activated protein kinase prevents the development of osteolytic bone disease,reduces tumor burden, and increases survival in murine models of multiple myeloma. Cancer Res. 2007 May 15;67(10):4572-7.
4. Medicherla S, et al. p38alpha-selective MAP kinase inhibitor reduces tumor growth in mouse xenograft models of multiple myeloma. Anticancer Res. 2008 Nov-Dec;28(6A):3827-33.

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