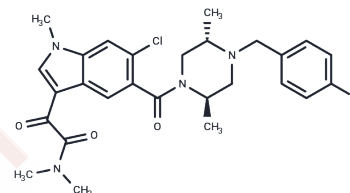


## Talmapimod

## Chemical Properties

CAS No. : 309913-83-5  
 Formula: C<sub>27</sub>H<sub>30</sub>ClFN<sub>4</sub>O<sub>3</sub>  
 Molecular Weight: 513  
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year  
 Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Talmapimod (SCIO-469) is a selective, orally active, ATP-competitive p38 $\alpha$ inhibitor with IC <sub>50</sub> values of 9 nM for p38 $\alpha$ and 90 nM for p38 $\beta$ . Talmapimod exhibits at least 2000-fold selectivity over a panel of 20 kinases, including other MAPKs.
Targets(IC <sub>50</sub> )	p38 MAPK
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- $\alpha$ production inhibited by Talmapimod [2]. Talmapimod decreases constitutive p38 $\alpha$ MAPK phosphorylation of both 5T2MM and 5T33MM cells[3].
In vivo	Talmapimod (SCIO-469), targeting p38 $\alpha$ MAPK, reduces myeloma burden and prevents myeloma bone disease[2]. In 5T2MM and 5T33MM models, it inhibits multiple myeloma growth and bone diseases[3]. Administered at 10-90 mg/kg orally, twice daily for 14 days, Talmapimod dose-dependently diminishes tumor growth and decreases the weight of palpable tumors at termination[4].

## Solubility Information

Solubility	DMSO: 95 mg/mL (185.19 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	1.9493 mL	9.7466 mL	19.4932 mL
5 mM	0.3899 mL	1.9493 mL	3.8986 mL
10 mM	0.1949 mL	0.9747 mL	1.9493 mL
50 mM	0.039 mL	0.1949 mL	0.3899 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

- 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.
- 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.
- 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.
- 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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