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Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



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Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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BMS582949

Chemical Properties

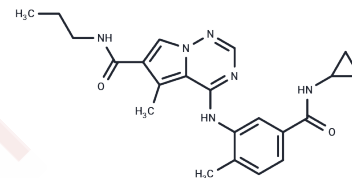
CAS No. : 623152-17-0

Formula: C₂₂H₂₆N₆O₂

Molecular Weight: 406.48

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	BMS-582949 is a potent and selective p38 mitogen-activated protein kinase (p38 MAPK) inhibitor with IC ₅₀ of 13 nM, inhibiting both p38 kinase activity and activation of p38.
Targets(IC ₅₀)	p38 MAPK
In vitro	BMS-582949 is found to inhibit p38 activation in cells, as measured by phosphorylation of p38. BMS-582949 treatment of cells in which p38 has been activated by LPS rapidly reversed p38 activation as shown by loss of phosphorylation of p38. BMS-582949 is therefore a dual action p38 kinase inhibitor, inhibiting both p38 kinase activity and p38 activation in cells. BMS-582949 binding to p38α results in a conformational change of the activation loop which is phosphorylated by upstream kinases, therefore it inhibits phosphorylation of p38 by upstream MKK by inducing a less accessible conformation of the activation loop[2].
In vivo	The mouse clearance rate for BMS-582949 is 4.4 mL/min/kg. And at an oral dose of 10 mg/kg, the mouse AUC _{0-8 h} for BMS-582949 is 75.5 μM·h. BMS-582949 exhibited oral bioavailability values of 90% and 60% in mice and rats, respectively[1].
Kinase Assay	Autophosphorylation activity is measured by adding of 32P-γ ATP. Endonuclease activity is measured by the adding of radiolabeled HAC1 508-nt RNA substrate synthesized in vitro using α ³² P-UTP. Mix STF083010 with recombinant hIRE1 protein, radiolabeled HAC1 508 nt RNA, and appropriate buffers to incubate. Kinase activity is quantitated by polyacrylamide gel electrophoresis. RNase cleavage products are quantitated by 32P-γATP or 32P-UTP autoradiography.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H ₂ O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 75 mg/mL (184.5 mM), < 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4601 mL	12.3007 mL	24.6015 mL
5 mM	0.492 mL	2.4601 mL	4.9203 mL
10 mM	0.246 mL	1.2301 mL	2.4601 mL
50 mM	0.0492 mL	0.246 mL	0.492 mL

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.

Reference

Chen J, Lei C, Nie D, et al. Inorganic arsenic exposure promotes malignant progression by HDAC6-mediated down-regulation of HTRA1. *Journal of Applied Toxicology*. 2023

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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