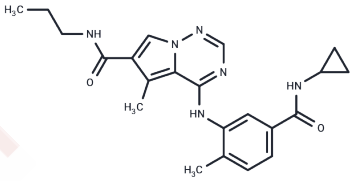
# Data Sheet (Cat.No.T6789)

TargetMol

BMS582949

## Chemical Properties



CAS No. : 623152-17-0

Formula: C22H26N6O2

Molecular Weight: 406.48

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

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|---|---|
| Description | BMS-582949 is a potent and selective p38 mitogen-activated protein kinase (p38 MAPK) inhibitor with IC50 of 13 nM, inhibiting both p38 kinase activity and activation of p38. |
| Targets(IC50) | 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 p38a 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 AUC0-8 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 α32P-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. RNAsecleavage products are quantitated by 32P-γATP or 32P-UTP autoradiography. |

## Solubility Information

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|---|---|
| Solubility | Ethanol: < 1 mg/mL (insoluble or slightly soluble),<br>H2O: < 1 mg/mL (insoluble or slightly soluble),<br>DMSO: 75 mg/mL (184.51 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

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.

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

Liu C, et al. J Med Chem. 2010, 53(18):6629-6639.
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