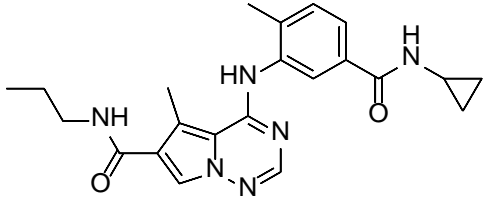


Product data sheet



MedKoo Cat#: 555138 Name: BMS582949 free base CAS#: 623152-17-0 (free base) Chemical Formula: C ₂₂ H ₂₆ N ₆ O ₂ Exact Mass: 406.2117 Molecular Weight: 406.49	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

BMS-582949 is a potent and selective P38 mitogen-activated protein kinase (P38 MAPK) inhibitor. BMS-582949 is currently under Phase II clinical trials for the treatment of inflammatory diseases. One clinical study showed that, in stable atherosclerosis, 12 weeks of treatment with BMS-582949 did not reduce arterial inflammation or hs-CRP compared to placebo, whereas intensification of statin therapy significantly decreased arterial inflammation. p38 α MAP kinase plays a crucial role in regulating the biosynthesis of many inflammatory cytokines including TNF α and IL-1 β .

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	48.0	118.08
DMF	30.0	73.80
DMF:PBS (pH 7.2) (1:1)	0.50	1.23
Ethanol	1.0	2.46

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.46 mL	12.30 mL	24.60 mL
5 mM	0.49 mL	2.46 mL	4.92 mL
10 mM	0.25 mL	1.23 mL	2.46 mL
50 mM	0.05 mL	0.25 mL	0.49 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Freebern WJ, Bigwarfe TJ, Price KD, Haggerty HG. Methods: implementation of in vitro and ex vivo phagocytosis and respiratory burst function assessments in safety testing. J Immunotoxicol. 2013 Jan-Mar;10(1):106-17. doi: 10.3109/1547691X.2012.736427. Epub 2012 Nov 23. PMID: 23173903.

In vivo study

TBD

7. Bioactivity

Biological target: BMS-582949 (PS540446) is a p38 mitogen-activated protein kinase (p38 MAPK) inhibitor with IC₅₀ of 13nM.

Product data sheet



In vitro activity

An investigative study was conducted to evaluate the effects of BMS-582949 in rat and monkey neutrophils and monocytes in vitro. BMS-582949 inhibited phagocytosis in monkey and rat neutrophils in a dose-dependent manner (Figure 5). Phagocytosis function was significantly ($p \leq 0.05$) decreased in rat and monkey neutrophils at 0.5 μM (0.2 $\mu\text{g/ml}$), 5 μM (2.1 $\mu\text{g/ml}$), and 50 μM (21 $\mu\text{g/ml}$). At 5 and 50 μM the median percent inhibitions were higher for monkeys (37 and 44%, respectively) than rats (16 and 27%, respectively). The incidence of $\geq 30\%$ inhibition was also higher in monkeys (Table 3). The species differences in median percent inhibition and incidence of $\geq 30\%$ inhibition are reflected in the higher IC₃₀ values for rat (62 μM , 25 $\mu\text{g/ml}$) than monkey (23.2 μM , 9.4 $\mu\text{g/ml}$). Regardless of the group median differences between monkey and rat, there are several individual incidences of $\geq 30\%$ inhibition of neutrophil phagocytosis observed in both monkey and rat (Table 3) at 5 μM (2.1 $\mu\text{g/ml}$) BMS-582949, which is 0.1 – 10 \times the C_{max} values achieved in animals with infections. There were no BMS-582949-related effects on monocyte phagocytosis function demonstrated in monkeys or rats.

Reference: J Immunotoxicol. 2013 Jan-Mar;10(1):106-17. <https://www.tandfonline.com/doi/full/10.3109/1547691X.2012.736427>

In vivo activity

TBD

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.