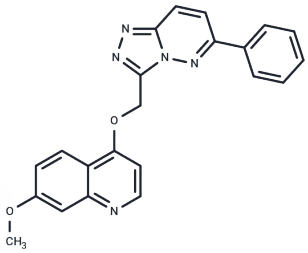
Data Sheet (Cat.No.T6260)

TargetMol

AMG-208

Chemical Properties



CAS No. : 1002304-34-8

Formula: C22H17N5O2

Molecular Weight: 383.4

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	AMG-208 is a highly selective c-Met inhibitor with IC50 of 9 nM. Phase 1.
Targets(IC50)	c-Met/HGFR,Cytochromes P450
In vitro	AMG-208 shows the potent inhibition of kinase c-Met activity with IC50 of 9 nM in a cell-free assay. Besides, AMG-208 treatment also leads to the inhibition of HGF-mediated c-Met phosphorylation in PC3 cells with IC50 of 46 nM. [1] Incubation of AMG-208 with rat and human liver microsomes in the presence of NADPH qualitatively yields C6-phenylarene oxidation products as the major metabolites. [1] Pre-incubation of AMG-208 with human liver microsomes for 30 minutes shows a potent time-dependent inhibition for CYP3A4 metabolic activity with IC50 of 4.1 μM, which is an eightfold decrease relative to the IC50 (32 μM) without preincubation. [2] AMG-208 is identified to be a c-MET and RON dual selective inhibitor. [3]
In vivo	In male Sprague?Dawley rats, AMG-208 (0.5 mg/kg i.v.) shows a high bioavailability with Cl of 0.37 L/h/kg, Vss of 0.38 L/kg and T1/2 of 1 hour, while AMG-208 (2 mg/kg i.v.) shows a bioavailability with AUC0→∞ of 2517 ng·h/mL and F of 43%, respectively. [1]

Solubility Information

Solubility	DMSO: 2.5 mg/mL (6.52 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6082 mL	13.0412 mL	26.0824 mL
5 mM	0.5216 mL	2.6082 mL	5.2165 mL
10 mM	0.2608 mL	1.3041 mL	2.6082 mL
50 mM	0.0522 mL	0.2608 mL	0.5216 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

Albrecht BK, et al. J Med Chem. 2008, 51(10), 2879-2882.
Boezio AA, et al. Bioorg Med Chem Lett. 2009, 19(22), 6307-6312.
Liu X, et al. Trends Mol Med. 2010,16(1), 37-45.