AMG-208

Cat. No.: HY-12035

CAS No.: 1002304-34-8

Molecular Formula: $C_{22}H_{17}N_5O_2$

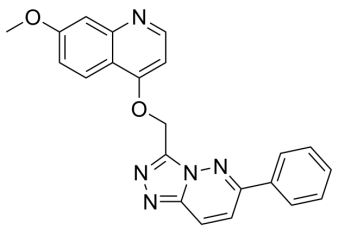
Molecular Weight: 383.4

Target: c-Met/HGFR; Cytochrome P450

Pathway: Protein Tyrosine Kinase/RTK; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 3.33 mg/mL (8.69 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.6082 mL	13.0412 mL	26.0824 mL
5 mM	0.5216 mL	2.6082 mL	5.2165 mL
10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

AMG-208 is an orally active c-Met/RON dual selective inhibitor with an IC_{50} of 9 nM for c-Met. AMG-208 is a CYP3A4 inhibitor with an IC_{50} of 32 μM. AMG-208 has anti-cancer activity[1][2][3].

IC_{50} & Target

CYP3A4	c-Met
32 μM (IC_{50})	9 nM (IC_{50})

In Vitro

AMG-208 (compound 4) treatment also leads to the inhibition of HGF-mediated c-Met phosphorylation in PC3 cells with IC_{50} of 46 nM[1].

Pre-incubation of AMG-208 (compound 1) with human liver microsomes for 30 minutes shows a potent time-dependent inhibition for CYP3A4 metabolic activity with IC_{50} of 4.1 μM, which is an eightfold decrease relative to the IC_{50} (32 μM) without preincubation[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.

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REFERENCES

[1]. Albrecht BK, et al. Discovery and optimization of triazolopyridazines as potent and selective inhibitors of the c-Met kinase. J Med Chem. 2008, 51(10), 2879-2882.

[2]. Boezio AA, et al. Discovery and optimization of potent and selective triazolopyridazine series of c-Met inhibitors. Bioorg Med Chem Lett. 2009, 19(22), 6307-6312.

[3]. Liu X, et al. Developing c-MET pathway inhibitors for cancer therapy: progress and challenges. Trends Mol Med. 2010,16(1), 37-45.

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