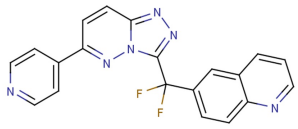
Data Sheet (Cat.No.T15617)

TargetMol
A DRUG SCREENING EXPERT

JNJ-38877618

Chemical Properties



CAS No.:	943540-74-7
Formula:	C20H12F2N6
Molecular Weight:	374.35
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	JNJ-38877618 is an effective and highly selective inhibitor of Met kinase (IC50s: 2 and 3 nM for wild type and mutant Met, respectively).
Targets(IC_{50})	wt Met: 2 nM mutant Met: 2 nM
In vitro	JNJ-38877618 shows nM potency against Met Ampl/mutant and therapy-resistant models. JNJ-38877618 has nM binding affinity (Kd=1.4 nM) and enzyme inhibitory activity against wt and M1268T mutant Met (2 and 3 nM IC50). Met inhibitory effects are assessed in proliferation, colony formation, and motility assays.
In vivo	JNJ-38877618 results in the regression of large Met amplified EBC-1 SqNSCLC where JNJ-38877618 leads to dose- and time-dependent inhibition of Met kinase activation, with the duration of target shut down considerably exceeding plasma exposure times. JNJ-38877618 causes complete inhibition of tumor growth in 3 models: the SNU5 Met amp gastric, U87-MG HGF autocrine glioblastoma, and Hs746T Met exon 14 skipping mutant gastric cancer. Combination treatments are well tolerated and improved EGFR targeted therapy[1].

Solubility Information

Solubility	DMSO: 5 mg/mL (13.36 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.671 mL	13.356 mL	26.713 mL
5 mM	0.534 mL	2.671 mL	5.343 mL
10 mM	0.267 mL	1.336 mL	2.671 mL
50 mM	0.053 mL	0.267 mL	0.534 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Libouban M, et al. OMO-1, a potent, highly selective, orally bioavailable, Met kinase inhibitor with a favorable preclinical toxicity profile, shows both monotherapy activity, against Met pathway-driven tumors, and EGFR TKI combination activity in acquired resistance models [abstract]. In: Proceedings of the American Association for Cancer Research Annual Meeting 2018; 2018 Apr 14-18; Chicago, IL. Philadelphia (PA): AACR; Cancer Res 2018;78(13 Suppl):Abstract nr 4791.

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