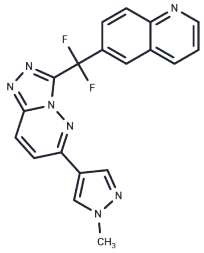
Data Sheet (Cat.No.T6095)

TargetMol

JNJ-38877605

Chemical Properties



CAS No. : 943540-75-8

Formula: C19H13F2N7

Molecular Weight: 377.35

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	JNJ-38877605 is an ATP-competitive c-Met inhibitor (IC50: 4 nM), 600-fold selective for c-Met than 200 other tyrosine and serine-threonine kinases.
Targets(IC50)	c-Met/HGFR
In vitro	JNJ-38877605 shows more than 600-fold selectivity for c-Met compared with more than 200 other diverse tyrosine and serine-threonine kinases and also potently inhibits HGF-stimulated and constitutively activated c-Met phosphorylation in vitro. In EBC1, GTL16, NCI-H1993, and MKN45 cells, JNJ-38877605 (500 nM) leads to a significant reduction of phosphorylation of Met and RON, another key player in invasive growth. A recent study shows that JNJ-38877605 is involved in modulating secretion of IL-8, GROa, uPAR and IL-6 in GTL16 cells.
In vivo	In mice bearing established GTL16 xenografts, JNJ-38877605 (40 mg/kg/day, p.o., total 3day) results in a statistically marked decrease in the plasma levels of human IL-8 (0.150-0.050 ng/mL) and GROα (0.080-0.030 ng/mL). While concentrations of uPAR in the blood become reduced to more than 50% at the same dose.
Animal Research	Animal Models: GTL16 cells are inoculated subcutaneously into the right posterior flank (or both right and left posterior flanks,for determination of uPAR and IL-6) of 6-week-old immuno-deficient nu/nu female mice on Swiss CD1 background. Formulation: JNJ-38877605 is dissolved in PBS. Dosages: ≤40 mg/kg/day. Administration: Administered via p.o.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 30 mg/mL (79.5 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.65 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6501 mL	13.2503 mL	26.5006 mL
5 mM	0.530 mL	2.6501 mL	5.3001 mL
10 mM	0.265 mL	1.325 mL	2.6501 mL
50 mM	0.053 mL	0.265 mL	0.530 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

De Bacco F, et al. J Natl Cancer Inst. 2011 Apr, 103(8), 645-661.
Torti D, et al. Int J Cancer. 2012, 130(6), 1357-1366.

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