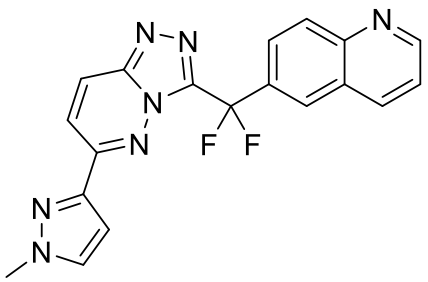
Product data sheet

MedKoo Cat#: 201615 Name: JNJ-38877605 CAS#: 943540-75-8 Chemical Formula: $C_{19}H_{13}F_2N_7$ Exact Mass: 377.12005 Molecular Weight: 377.35023	
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:
JNJ-38877605 is an orally bioavailable, small-molecule receptor tyrosine kinase inhibitor with potential antineoplastic activity. c-Met inhibitor JNJ-38877605 selectively inhibits c-Met (mesenchymal-epithelial transition), a receptor tyrosine kinase (RTK) involved in cancer cell survival and invasiveness, and tumor angiogenesis. c-Met is also known as hepatocyte growth factor receptor (HGFR).

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	30	79.50

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.65 mL	13.25 mL	26.50 mL
5 mM	0.53 mL	2.65 mL	5.30 mL
10 mM	0.27 mL	1.33 mL	2.65 mL
50 mM	0.05 mL	0.27 mL	0.53 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. Li W, Wang Z, Wang L, He X, Wang G, Liu H, Guo F, Wang Z, Chen G. Effectiveness of inhibitor rapamycin, saracatinib, linsitinib and JNJ-38877605 against human prostate cancer cells. Int J Clin Exp Med. 2015 Apr 15;8(4):6563-7. PMID: 26131286; PMCID: PMC4483836.

In vivo study

1. D'Amico L, Belisario D, Migliardi G, Grange C, Bussolati B, D'Amelio P, Perera T, Dalmasso E, Dalle Carbonare L, Godio L, Comoglio P, Trusolino L, Ferracini R, Roato I. C-met inhibition blocks bone metastasis development induced by renal cancer stem cells. Oncotarget. 2016 Jul 19;7(29):45525-45537. doi: 10.18632/oncotarget.9997. PMID: 27322553; PMCID: PMC5216739.

7. Bioactivity
Biological target:

JNJ-38877605 is an ATP-competitive inhibitor of c-Met with IC50 of 4 nM, 600-fold selective for c-Met than 200 other tyrosine and serine-threonine kinases.

In vitro activity

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To investigate the effect of different concentrations of inhibitor JNJ-38877605 on PC-3 cells with CCK-8 assay, PC-3 cells were incubated with different concentrations (0.125 nM, 0.5 nM, 1 nM, 2.5 nM, 5 nM, 10 nM) of JNJ-38877605 for 48 h at 37°C. The proliferation of PC-3 cells was examined by CCK-8. Different concentrations of JNJ-38877605 did not inhibit PC-3 cell proliferation after 48 h.

Reference: Int J Clin Exp Med. 2015 Apr 15;8(4):6563-7. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/26131286/

In vivo activity

To study their ability to metastasize to bone, renal CSCs were injected in NOD/SCID mice implanted with a human bone and the effect of a c-MET inhibitor (JNJ-38877605) was tested on bone metastasis development. JNJ-38877605 inhibited the formation of metastases at bone implant site. It was shown that JNJ-38877605 inhibited the activation of osteoclasts induced by RCC stem cells and it stimulated osteoblast activity, finally resulting in a reduction of bone turnover consistent with the inhibition of bone metastases. The circulating levels of osteotropic factors induced by RCC stem cells in the sera of mice treated with c-Met inhibitor was measured, showing that IL-11 and CCL20 were reduced in mice treated with JNJ-38877605, strongly supporting the involvement of c-MET in the regulation of this process.

Reference: Oncotarget. 2016 Jul 19;7(29):45525-45537. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/27322553/