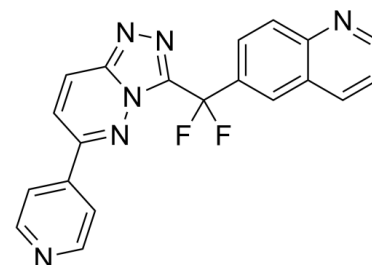


Data Sheet

Product Name:	JNJ-38877618
Cat. No.:	CS-0034063
CAS No.:	943540-74-7
Molecular Formula:	C ₂₀ H ₁₂ F ₂ N ₆
Molecular Weight:	374.35
Target:	c-Met/HGFR
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 5 mg/mL (13.36 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

JNJ-38877618 is a potent, highly selective, orally bioavailable **Met** kinase inhibitor with **IC₅₀s** of 2 and 3 nM for wild type and mutant Met, respectively. IC₅₀ & Target: IC₅₀: 2 nM (wt Met), 2 nM (mutant Met)^[1] *In Vitro*: OMO-1 (formerly JNJ-38877618), is a potent, highly selective, orally bioavailable Met kinase inhibitor with nM binding affinity (K_d=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. JNJ-38877618 displays nM potency against Met Ampl/mutant and therapy resistant models^[1]. *In Vivo*: JNJ-38877618 induces 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. JNJ-38877618 induces 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. Combination treatments are well tolerated and improved EGFR targeted therapy^[1].

References:

[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.

CAIndexNames:

Quinoline, 6-[difluoro[6-(4-pyridinyl)-1,2,4-triazolo[4,3-b]pyridazin-3-yl]methyl]-

SMILES:

FC(C1=CC=C2N=CC=CC2=C1)(F)C3=NN=C4C=CC(C5=CC=NC=C5)=NN43

Caution: Product has not been fully validated for medical applications. For research use only.

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