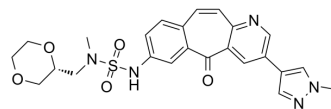


MK-2461

Cat. No.:	HY-50703		
CAS No.:	917879-39-1		
Molecular Formula:	C ₂₄ H ₂₅ N ₅ O ₅ S		
Molecular Weight:	495.55		
Target:	c-Met/HGFR		
Pathway:	Protein Tyrosine Kinase/RTK		
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 : ≥ 31 mg/mL (62.56 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.0180 mL	10.0898 mL	20.1796 mL
	5 mM	0.4036 mL	2.0180 mL	4.0359 mL
	10 mM	0.2018 mL	1.0090 mL	2.0180 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MK-2461 is a novel ATP-competitive multitargeted inhibitor of activated c-Met with a mean IC₅₀ of 2.5 nM. IC₅₀ value: 2.5 nM [1] Target: c-Met in vitro: MK-2461 inhibits the kinase activity of human c-Met with a mean IC₅₀ of 2.5 nM in the presence of 50 μM ATP. Ron (IC₅₀ = 7 nM) and Flt1 (IC₅₀ = 10 nM) are inhibited by MK-2461 with similar potencies to c-Met (IC₅₀ = 2.5 nM), whereas nine other kinases, including FGFR1, FGFR2, FGFR3, PDGFRβ, KDR, Flt3, Flt4, TrkA, and TrkB, are found to be 8- to 30-fold less sensitive to MK-2461 than c-Met. [1] in vivo: MK-2461 inhibits c-Met signaling and tumor growth in tumor xenograft models in mice. [1]

CUSTOMER VALIDATION

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

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REFERENCES

- [1]. Pan BS, et al. MK-2461, a novel multitargeted kinase inhibitor, preferentially inhibits the activated c-Met receptor. Cancer Res. 2010 Feb 15;70(4):1524-33.
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Caution: Product has not been fully validated for medical applications. For research use only.

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