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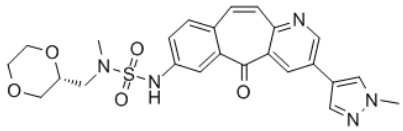
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MK-2461
Cat. No.	:	PC-45848
CAS No.	:	917879-39-1
Molecular Formula	:	$C_{24}H_{25}N_5O_5S$
Molecular Weight	:	495.5508
Target	:	c-Met (HGFR)
Solubility	:	DMSO: ≥ 31 mg/mL



Biological Activity

MK-2461 is a potent multitargeted kinase inhibitor that preferentially inhibits **c-Met** with IC50 of 2.5 nM, with similar potencies for Ron and Flt1 (IC50=7 and 10 nM, respectively).
MK-2461 is 8- to 30-fold less sensitive to FGFR1, FGFR2, FGFR3, PDGFRβ, KDR, Flt3, Flt4, TrkA, and TrkB.
MK-2461 is equally or more potent against 5 c-Met mutants (N1100Y, Y1230C, Y1230H, Y1235D, and M1250T) with IC50 of 0.4-2.5 nM.
MK-2461 inhibits c-Met-dependent mitogenesis, migration, cell scatter, and tubulogenesis in cells.
MK-2461 suppresses tumor growth a murine xenograft model of c-Met-dependent gastric cancer; orally active.

References

Pan BS, et al. ***Cancer Res***. 2010 Feb 15;70(4):1524-33.

Rickert KW, et al. ***J Biol Chem***. 2011 Apr 1;286(13):11218-25.

Katz JD, et al. ***J Med Chem***. 2011 Jun 23;54(12):4092-108.

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