Catalog # 10-5322

Tepotinib

CAS# 1100598-32-0
3-(1-(3-(5-((1-Methylpiperidin-4-yl)methoxy)pyrimidin-2-yl)benzyl)-6-oxo-1,6-dihydropyridazin-3-yl)benzonitrile;
EMD-1214063
Lot # E107423

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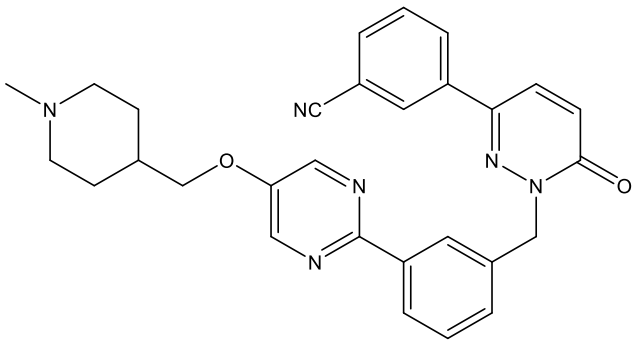

Tepotinib is a selective type 1b MET inhibitor. In biochemical assays using His6-tagged recombinant human MET kinase domain, tepotinib inhibited kinase activity in a concentration-dependent manner with IC_{50}=1.8 nM.[1] It displays marked antitumor activity in MET-dependent tumor models.[2] It crosses the blood-brain barrier and displays intracranial antitumor activity.[3] Tepotinib can overcome EGFR inhibitor resistance mediated by aberrant c-Met activation[4] and is in clinical use for non-small cell lung cancer harboring MET exon 14 skipping alterations.

1) Albers *et al*. (2023), *The Preclinical Pharmacology of Tepotinib – A Highly Selective MET Inhibitor with Activity in Tumors Harboring MET Alterations*; Mol. Cancer Ther. **22** 833
2) Bladt *et al*. (2013), *EMD 1214063 and EMD 1204831 constitute a new class of potent and highly selective c-MET inhibitors*; Clin. Cancer Res. **19** 2941
3) Friese-Hamim *et al*. (2022), *Brain penetration and efficacy of tepotinib in orthotopic patient-derived xenograft models of MET-driven non-small cell lung cancer in brain metastases*; Lung Cancer **163** 77
4) Friese-Hamim *et al*. (2017), *The selective c-MET inhibitor tepotinib can overcome epidermal growth factor receptor inhibitor resistance mediated by aberrant c-MET activation in NSCLC models*; Am. J. Cancer Res. **7** 962

PHYSICAL DATA

Molecular Weight:	492.58
Molecular Formula:	$C_{29}H_{28}N_6O_2$
Purity:	>98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (17 mg/ml with warming)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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