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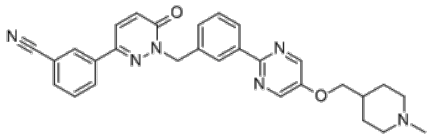
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Tepotinib
Cat. No.	:	PC-20461
CAS No.	:	1100598-32-0
Molecular Formula	:	$C_{29}H_{28}N_6O_2$
Molecular Weight	:	492.58
Target	:	c-Met (HGFR)
Solubility	:	10 mM in DMSO



Biological Activity

Tepotinib (EMD1214063, MSC2156119) is a potent, specific and ATP-competitive inhibitor of **c-MET (HGFR)** with IC50 of 23 nM for MET WT autophosphorylation and 2.2-42.6 nM for M1268T, Y1248H, H1112Y, L1213V, H1112L, V1110I, V1206L, and V1238I MET-mutated variants.
EMD1214063 displays higher IC50 values for V1206L, L1213V, and Y1248H variants (224.0, 270.1, and >1,000 nM, respectively), reduces the receptor Tyr1234/1235 autophosphorylation in NIH3T3 cell lines.
EMD1214063 inhibits the activation state of the MET downstream signaling molecules AKT, ERK, and PLCγ with IC50 of <75 nM in NIH3T3 mouse fibroblast cells stably expressing distinct MET-activating point mutations.
EMD1214063 selectively attenuates MET-dependent cell-cycle progression of cell lines expressing drug-sensitive forms of the MET receptor, shows high sensitivity agianst variants V1238I, M1268T, and H1112L (30 nM).
EMD1214063 (50 mg/kg/d) represses tumor growth in MET-driven xenograft drug-sensitive tumors bearing the H1112L MET mutation but not the drug-resistant MET mutants L1213V.

References

Medová M, et al. ***Mol Cancer Ther.*** 2013 Nov;12(11):2415-24.

Bill KL, et al. ***Lab Invest.*** 2015 Aug;95(8):951-61.

Bladt F, et al. ***Clin Cancer Res.*** 2013;19:2941–51.

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