Tepotinib

Cat. No.: HY-14721

CAS No.: 1100598-32-0

Molecular Formula: $C_{29}H_{28}N_6O_2$

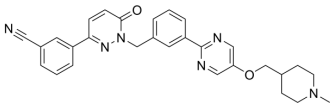
Molecular Weight: 492.57

Target: c-Met/HGFR; Autophagy

Pathway: Protein Tyrosine Kinase/RTK; Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 11.11 mg/mL (22.56 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0302 mL	10.1508 mL	20.3017 mL
	5 mM	0.4060 mL	2.0302 mL	4.0603 mL
	10 mM	0.2030 mL	1.0151 mL	2.0302 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.62 mg/mL (1.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.62 mg/mL (1.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.62 mg/mL (1.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tepotinib (EMD-1214063) is a potent and highly selective c-Met inhibitor with an IC_{50} of 4 nM, >200-fold selective for c-Met than IRAK4, TrkA, Axl, IRAK1, and Mer. Antitumor effects[1].

In Vitro

Tepotinib (EMD 1214063) inhibits IRAK4, TrkA, Axl, IRAK1, Mer, and TrkA with IC_{50}s of 615, 1017, 1566, 2037, 2272, and 5716 nM, respectively[1].

Exposure of A549 cells to EMD 1214063 results in inhibition of HGF-induced c-Met phosphorylation, with an average IC_{50} of 6 nM[1].

EMD 1214063 (0.01 nM-30 μM) inhibits tumor cell proliferation and migration in vitro[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MKN-45 gastric cancer cells
Concentration:	0.01 nM, 0.03 nM, 0.1 nM, 0.3 nM, 1 nM, 3 nM, 10 nM, 30 nM, 100 nM, 300 nM, 1 μM, 3 μM, 10 μM and 30 μM
Incubation Time:	72 hours
Result:	Considerably inhibited the viability of MKN-45 cells with IC_{50} values of less than 1 nM.

In Vivo

Tepotinib (EMD 1214063) induces tumor regression in xenograft models[1].

Tepotinib inhibit in vivo c-Met phosphorylation[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CD-1 or BALB/C nude mice bearing human cancer cell lines KP-4, or EBC-1[1]
Dosage:	6 and 15 mg/kg for mice bearing NSCLC EBC-1; 25, 50 and 200 mg/kg for mice bearing pancreatic carcinoma cell line KP-4.
Administration:	Injected daily; for 14-18 days
Result:	Daily administration of 5 or 15 mg/kg to EBC-1 tumor-bearing mice resulted in effective inhibition or complete tumor regression, respectively. Induced dose-dependent tumor growth inhibition in mice bearing human pancreatic carcinoma KP-4 tumors.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Sci Adv. 2020 Aug 21;6(34):eaba8968.
- Int J Biol Macromol. 2023 Apr 28;241:124656.
- Separations. 2023 May 26, 10(6), 330.
- Gene Expr. 2018 May 18;18(2):135-147.

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REFERENCES

[1]. Bladt F, et al. EMD 1214063 and EMD 1204831 constitute a new class of potent and highly selective c-Met inhibitors. Clin Cancer Res, 2013, 19(11), 2941-2951.

[2]. Zhan N, et al. The Effect of Selective c-MET Inhibitor on Hepatocellular Carcinoma in the MET-Active, β-Catenin-Mutated Mouse Model. Gene Expr. 2018 May 18;18(2):135-147.

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

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