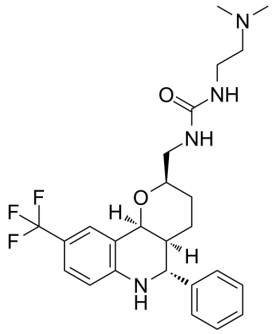
EMD534085

Cat. No.:	HY-15000
CAS No.:	858668-07-2
Molecular Formula:	$C_{25}H_{31}F_3N_4O_2$
Molecular Weight:	476.53
Target:	Kinesin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
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 : ≥ 26 mg/mL (54.56 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0985 mL	10.4925 mL	20.9850 mL
5 mM	0.4197 mL	2.0985 mL	4.1970 mL
10 mM	0.2099 mL	1.0493 mL	2.0985 mL

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

BIOLOGICAL ACTIVITY

Description

EMD534085 is a potent and selective inhibitor of the mitotic kinesin-5 with an IC_{50} of 8 nM.

IC_{50} & Target

Kinesin-5

8 nM (IC_{50})

In Vitro

EMD 534085 does not inhibit any other tested kinesins (BimC, CEN-PE, Chromokinesin, KHC, KIF3C, KIFC3, MKLP-1, and MCAK) at 1 μM or 10 μM concentration, showing selectively over kinesin-5. EMD 534085 binds to the allosteric pocket of kinesin-5[1]. EMD534085 induces rapid cell death in HL60 during mitotic arrest. Caspase-8, −9, −3, −7 are activated; Parp1 is cleaved; Mcl1 and XIAP are degraded in EMD534085-treated HL60 cells. EMD534085 treated HL60 cells also shows significantly accumulated phospho-Histone H3 level starting at 6 hrs post thymidine release[2].

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

In Vivo

In a low dose PK of EMD 534085 in mice the clearance is 1.8 L/h/kg on average, the volume of distribution is 7.4 L/kg and the half life around 2.5 h. The bioavailability in high dose experiments (>10 mg/kg) is always above 50% in mice. Intraperitonal

	administration of EMD 534085 enables significant systemic exposure in mice leading to a significant tumor growthreduction without toxic side effects[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Epithelial cell lines HeLa and MCF7 are synchronized in G2-phase using RO-3306. Cells are treated with 10 μM RO-3306 for 16 hrs, and then are ished and released to either warm growth medium or medium supplemented with 500 nM EMD534085[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Schiemann K, et al. The discovery and optimization of hexahydro-2H-pyrano[3,2-c]quinolines (HHPQs) as potent and selective inhibitors of the mitotic kinesin-5. Bioorg Med Chem Lett. 2010 Mar 1;20(5):1491-5.

[2]. Tang Y, et al. Rapid induction of apoptosis during Kinesin-5 inhibitor-induced mitotic arrest in HL60 cells. Cancer Lett. 2011 Nov 1;310(1):15-24.

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