CE-245677

Cat. No.: HY-112423

CAS No.: 717899-97-3

Molecular Formula: $C_{24}H_{22}Cl_2N_6O_3$

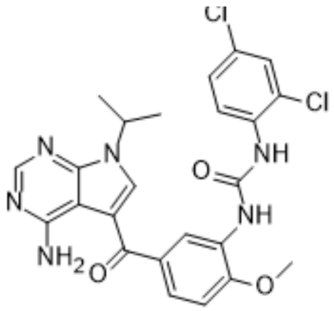
Molecular Weight: 513.38

Target: Trk Receptor; Tie

Pathway: Neuronal Signaling; Protein Tyrosine Kinase/RTK

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 : 125 mg/mL (243.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9479 mL	9.7394 mL	19.4787 mL
	5 mM	0.3896 mL	1.9479 mL	3.8957 mL
	10 mM	0.1948 mL	0.9739 mL	1.9479 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: ≥ 2.08 mg/mL (4.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CE-245677 is a potent reversible inhibitor of Tie2 and TrkA/B kinases with a cellular IC_{50}s of 4.7 and 1 nM.

IC_{50} & Target

TrkA

Tie2
4.7 nM (IC_{50})

In Vitro

CE-245677 is a potent reversible inhibitor of Tie2 and TrkA/B kinases with a cellular IC_{50} of 4.7 and 1 nM, and displays >100x selectivity against a number of other angiogenic receptor tyrosine kinases, such as KDR, PDGFR, FGFR[1].

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

In Vivo

CE-245677 shows good oral absorption in in vivo rat PK studies (F=80%)[1].

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REFERENCES

[1]. Susan LaGreca, et al. Identification of selective, orally active Tie2 kinase inhibitors and discovery of CE-245,677 and PF-371,989. Cancer Research. AACR Annual Meeting-Apr 14-18, 2007.

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