Cevipabulin

Cat. No.: HY-14949

CAS No.: 849550-05-6

Molecular Formula: $C_{18}H_{18}ClF_5N_6O$

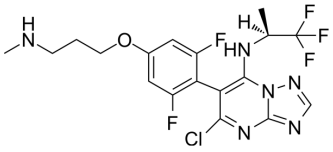
Molecular Weight: 464.82

Target: Microtubule/Tubulin

Pathway: Cell Cycle/DNA Damage; Cytoskeleton

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (35.86 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1514 mL	10.7569 mL	21.5137 mL
	5 mM	0.4303 mL	2.1514 mL	4.3027 mL
	10 mM	0.2151 mL	1.0757 mL	2.1514 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: ≥ 1.67 mg/mL (3.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.67 mg/mL (3.59 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (3.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cevipabulin (TTI-237) is an oral, microtubule-active antitumor compound and inhibits the binding of [^{3}H] vinblastine to tubulin, with an IC_{50} of 18-40 nM for cytotoxicity in human tumor cell line[1][2].

IC_{50} & Target

IC50: 18-40 nM (microtubule in human tumor cells)[1].

In Vitro

Cevipabulin (0-50 nM, 72 hours) shows good activity (between 18 and 40 nM IC_{50} values) on cell lines from ovarian, breast, prostate, and cervical tumors[1].
Flow cytometry experiments reveal that, Cevipabulin (TTI-237) at low concentrations (20-40 nM) produces sub-G_1 nuclei

and, at concentrations above 50 nM, it causes a strong G_2-M block[1].

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

Cell Cytotoxicity Assay[1]

Cell Line:	Human cancer cell lines (SK-OV-3, MDA-MB-435, MDA-MB-468, LnCaP, and Hela cells).
Concentration:	0-50 nM
Incubation Time:	72 hours
Result:	The IC_{50} values are 24±8 nM, 21±4 nM, 18±6 nM, 22±7 nM and 40 nM in SK-OV-3, MDA-MB-435, MDA-MB-468, LnCaP and Hela cells.

In Vivo

Cevipabulin (TTI-2370)(5, 10, 15, and 20 mg/kg, every 4 days for 4 cycles, in mice) is active by i.v. and p.o. administration against human tumor xenografts, showing dose-dependent effects, with good antitumor activity at 20 and 15 mg/kg[1].

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

Animal Model:	Athymic nu/nu female mice implanted s.c. in the flank with 1×10^7 LoVo human colon adenocarcinoma cells[1]
Dosage:	5, 10, 15, and 20 mg/kg
Administration:	I.V. injection every 4 days for 4 cycles.
Result:	The compound showed dose-dependent effects, with good antitumor activity at 20 and 15 mg/kg.

Animal Model:	Athymic nu/nu female mice implanted s.c. in the flank with 1×10^6 U87-MG human glioblastoma cells[1].
Dosage:	25 mg/kg
Administration:	P.O. or I.V.
Result:	The compound was active by p.o. or i.v. administration against human tumor xenografts.

CUSTOMER VALIDATION

- Biochem Biophys Res Commun. 2019 Aug 27;516(3):760-764.
- Polym J. 52, 969-976 (2020).

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REFERENCES

[1]. Beyer CF, et al. TTI-237: a novel microtubule-active compound with in vivo antitumor activity. Cancer Res. 2008 Apr 1;68(7):2292-300.

[2]. Beyer CF, et al. The microtubule-active antitumor compound TTI-237 has both paclitaxel-like and vincristine-like properties. Cancer Chemother Pharmacol. 2009 Sep;64(4):681-9.

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

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