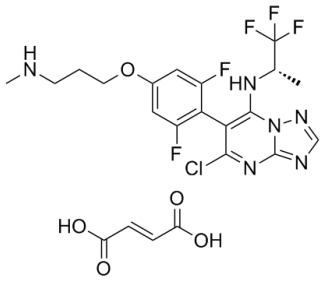
Cevipabulin fumarate

Cat. No.:	HY-14949C
CAS No.:	849550-67-0
Molecular Formula:	$C_{22}H_{22}ClF_5N_6O_5$
Molecular Weight:	580.89
Target:	Microtubule/Tubulin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
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 : 50 mg/mL (86.07 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7215 mL	8.6075 mL	17.2150 mL
5 mM	0.3443 mL	1.7215 mL	3.4430 mL
10 mM	0.1721 mL	0.8607 mL	1.7215 mL

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

BIOLOGICAL ACTIVITY

Description

Cevipabulin fumarate (TTI-237 fumarate) 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].

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].

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. on days 0, 7, 14.
Result:	The compound was active by p.o. or i.v. administration against human tumor xenografts.

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 Taxol-like and Leurocristine-like properties. Cancer Chemother Pharmacol. 2009 Sep;64(4):681-9.

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