T-1101 tosylate

Cat. No.: HY-120356A

CAS No.: 2250404-95-4

Molecular Formula: $C_{31}H_{31}N_5O_6S_3$

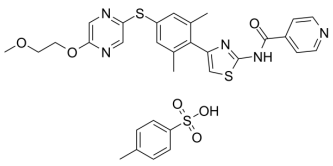
Molecular Weight: 665.8

Target: Apoptosis

Pathway: Apoptosis

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (93.87 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5020 mL	7.5098 mL	15.0195 mL
	5 mM	0.3004 mL	1.5020 mL	3.0039 mL
	10 mM	0.1502 mL	0.7510 mL	1.5020 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 (3.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.12 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

T-1101 tosylate (TAI-95 tosylate) is a Hec1/Nek2 (Highly expressed in cancer 1 / NIMA-related kinase 2) inhibitor with antitumor activity. T-1101 tosylate is inactive toward normal cells, kinases and hERG[1]

IC_{50} & Target

Hec1/Nek2[1]

In Vitro

T-1101 tosylate shows potent in vitro antiproliferative activity (IC50: 14.8-21.5 nM)[1].
T-1101 tosylate disrupts the Hec1/Nek2 protein–protein interaction in the cells[1].
T-1101 tosylate (1μM; 3-24 24 hours) decreases the level of Nek2 in a time-dependent manner[1].
T-1101 tosylate (1 μM; 24 hours) induces apoptosis[1].
T-1101 tosylate reduces amounts of cell-cycle related proteins cyclin A1, cyclin B1, and cyclin D1[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	HeLa cells
Concentration:	1 μM
Incubation Time:	24 hours
Result:	Increased the amount of apoptotic marker proteins cleaved caspase-3 and PARP and decreased the amount of antiapoptotic proteins Mcl-1 and XIAP in HeLa cells.

Western Blot Analysis[1]

Cell Line:	K562 cells
Concentration:	1 μM
Incubation Time:	3 hours, 6 hours, 16 hours, 24 hours
Result:	Lowered the level of Nek2 in a time-dependent manner.

In Vivo

T-1101 tosylate shows good oral bioavailability and thermal stability [1].
Oral co-administration of T-1101 tosylate (2.5 mg/kg; p.o.; twice per day) halves the dose of sorafenib (25 mg/kg to 12.5 mg/kg) required to exhibit comparable in vivo activity towards Huh-7 xenografts [1].
T-1101 tosylate (2.5 mg/kg; p.o.; twice per day) shows significant in vivo activity in mice bearing various human cancer xenografts[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID mice bearing human Huh-7, BT-474, MCF-7, and MDA-MB-231 xenografts[1]
Dosage:	25 mg/kg, 50 mg/kg
Administration:	Oral administration; twice per day; 28 days
Result:	Showed significant in vivo activity in mice bearing various human cancer xenografts.

REFERENCES

[1]. Chuang SH, et al. Discovery of T-1101 tosylate as a first-in-class clinical candidate for Hec1/Nek2 inhibition in cancer therapy. Eur J Med Chem. 2020 Apr 1;191:112118.

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

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