Tolinapant

Cat. No.: HY-109565

CAS No.: 1799328-86-1

Molecular Formula: $C_{30}H_{42}FN_5O_3$

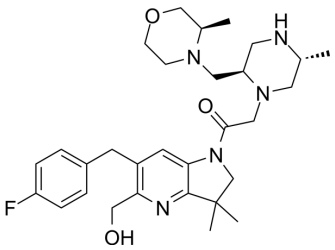
Molecular Weight: 539.68

Target: IAP; Apoptosis

Pathway: Apoptosis

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 : 100 mg/mL (185.29 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8529 mL	9.2647 mL	18.5295 mL
5 mM	0.3706 mL	1.8529 mL	3.7059 mL
10 mM	0.1853 mL	0.9265 mL	1.8529 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: ≥ 2.5 mg/mL (4.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tolinapant (ASTX660) is an orally bioavailable dual antagonist of cellular inhibitor of apoptosis protein (cIAP) and X-linked inhibitor of apoptosis protein (XIAP).

IC_{50} & Target

cIAP, XIAP[1]

In Vitro

Tolinapant is an orally bioavailable dual antagonist of cIAP and XIAP, currently being investigated in a single-agent Phase 1/2 clinical trial in patients with advanced solid tumors and lymphomas. Twenty-one triple-negative breast cancer (TNBC)

	cell lines are treated with Tolinapant in vitro and it is found that 43% are sensitive to Tolinapant[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In HCC1806 xenografts in mice, Tolinapant (daily oral treatment) causes moderate tumor growth inhibition but not regression[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Reports Medicine. 2020 Jun 23;1(3):100037.

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REFERENCES

[1]. Tomoko Smyth, et al. Abstract 1287: The dual IAP antagonist, ASTX660, increases the anti-tumor activity of NSC 125973 in preclinical models of triple-negative breast cancer in vivo. Cancer Res 2016;76(14 Suppl).

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