Intoplicine dimesylate

Cat. No.: HY-101647A

CAS No.: 133711-99-6

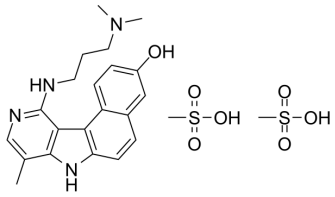
Molecular Formula: $C_{23}H_{32}N_4O_7S_2$

Molecular Weight: 540.65

Target: Topoisomerase

Pathway: Cell Cycle/DNA Damage

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 115 mg/mL (212.71 mM; Need ultrasonic)
H_2O : 100 mg/mL (184.96 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8496 mL	9.2481 mL	18.4963 mL
	5 mM	0.3699 mL	1.8496 mL	3.6993 mL
	10 mM	0.1850 mL	0.9248 mL	1.8496 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: ≥ 5.75 mg/mL (10.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5.75 mg/mL (10.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5.75 mg/mL (10.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Intoplicine (RP 60475) dimesylate, an antitumor derivative in the 7H-benzo[e]pyrido[4,3-b]indole series, is a DNA topoisomerase I and II inhibitor. Intoplicine dimesylate strongly binds DNA ($K_A = 2 \times 10^5$ /M) and thereby increases the length of linear DNA[1][2].

IC_{50} & Target	Topoisomerase I	Topoisomerase II

In Vitro

With 1-hour exposure to Intoplicine dimesylate at final concentrations of 2.5 micrograms/mL and 10.0 micrograms/mL, 26%

	and 54% of the assessable specimens shows positive in vitro responses, respectively[2]. With continuous exposure to Intoplicine dimesylate at concentrations of 0.25 micrograms/mL and 2.5 micrograms/mL, 16% and 71% of the assessable specimens showed positive responses, respectively[2]. Activity is seen against breast (71%), non-small-cell lung (69%), and ovarian (45%) cancer colony-forming units at a Intoplicine dimesylate concentration of 10.0 micrograms/mL after 1-hour exposure[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	At the highest non-toxic dose (HNTD) (6 mg/kg/injection, total dose, 36 mg/kg), intoplicine dimesylate shows highly active with a T/C of 0% and a corresponding total log cell kill of 3[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Riou JF, et al. Intoplicine (RP 60475) and its derivatives, a new class of antitumor agents inhibiting both topoisomerase I and II activities. Cancer Res. 1993;53(24):5987-5993.

[2]. Eckardt JR, et al. Activity of intoplicine (RP60475), a new DNA topoisomerase I and II inhibitor, against human tumor colony-forming units in vitro. J Natl Cancer Inst. 1994;86(1):30-33.

[3]. Bissery MC, et al. Antitumor activity of intoplicine (RP 60475, NSC 645008), a new benzo-pyrido-indole: evaluation against solid tumors and leukemias in mice. Invest New Drugs. 1993;11(4):263-277.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA