Thiocolchicine

Cat. No.: HY-116852

CAS No.: 2730-71-4

Molecular Formula: $C_{22}H_{25}NO_5S$

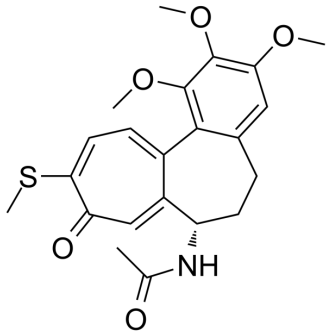
Molecular Weight: 415.5

Target: Microtubule/Tubulin; Apoptosis

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis

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 : 100 mg/mL (240.67 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4067 mL	12.0337 mL	24.0674 mL
	5 mM	0.4813 mL	2.4067 mL	4.8135 mL
	10 mM	0.2407 mL	1.2034 mL	2.4067 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 (6.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Thiocolchicine, a derivative modified in the C Ring of Colchicine (HY-16569) with enhanced biological properties. Thiocolchicine is a potent inhibitor of tubulin polymerization (IC_{50}=2.5 μM) and competitively binds to tubulin with a K_i of 0.7 μM. Thiocolchicine induces cell apoptosis[1][2]. Thiocolchicine can be used as an ADC cytotoxin in ADC technology.

In Vitro

Thiocolchicine is against MCF-7, LoVo, LoVo/DX, A-549 and BALB/3T3 cells with IC_{50} values of 0.01 μM, 0.021 μM, 0.398 μM, 0.011 μM and 0.114 μM, respectively[3].

Thiocolchicine (1 nM-100 μM; 24-72 hours) shows a relationship between cell cycle blocking activity and growth inhibition in breast cancer cells. It inhibits cell proliferation of MDA-MB-231 and multidrug resistant (MDR) MCF-7 ADRr breast cancer cells with IC_{50}s of 0.6 nM and 400 nM, respectively, as well as MDR CEM-VBL leukemia cells (IC_{50}=50 nM)[2].

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

REFERENCES

[1]. Klaus M.Hahn, et al. Structural requirements for the binding of colchicine analogs to tubulin: the role of the C-10 substituent. Bioorganic & Medicinal Chemistry Letters.Volume 1, Issue 9, 1991, Pages 471-476

[2]. R De Vincenzo, et al. Antiproliferative Activity of Colchicine Analogues on MDR-positive and MDR-negative Human Cancer Cell Lines. Anticancer Drug Des. 1998 Jan;13(1):19-33.

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