Thioridazine hydrochloride

Cat. No.: HY-B0965

CAS No.: 130-61-0

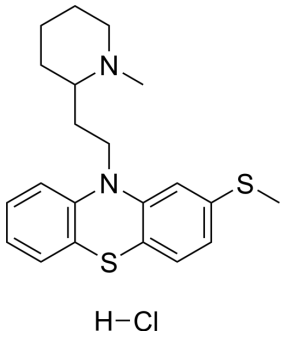
Molecular Formula: $C_{21}H_{27}ClN_2S_2$

Molecular Weight: 407.04

Target: 5-HT Receptor; Dopamine Receptor; Autophagy; Apoptosis; Bacterial

Pathway: GPCR/G Protein; Neuronal Signaling; Autophagy; Apoptosis; Anti-infection

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

H_2O : 100 mg/mL (245.68 mM; Need ultrasonic)
DMSO : ≥ 45 mg/mL (110.55 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4568 mL	12.2838 mL	24.5676 mL
5 mM	0.4914 mL	2.4568 mL	4.9135 mL
10 mM	0.2457 mL	1.2284 mL	2.4568 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 12.5 mg/mL (30.71 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.11 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Thioridazine hydrochloride, an orally active antagonist of the dopamine receptor D2 family proteins, exhibits potent anti-psychotic and anti-anxiety activities. Thioridazine hydrochloride is also a potent inhibitor of PI3K-Akt-mTOR signaling pathways with anti-angiogenic effect. Thioridazine hydrochloride shows antiproliferative and apoptosis induction effects in various types of cancer cells, with specificity on targeting cancer stem cells (CSCs)[1][2][3][4].

IC_{50} & Target	serotonin

In Vitro

Thioridazine (0.01-100 μM; 48 h) reduces the cell viability of NCI-N87 and AGS cells in a concentration-dependent manner[2].
Thioridazine (15 μM; 24 h) reduces cell viability of the cervical (HeLa, Caski and C33A) and endometrial (HEC-1-A and KLE) cancer cells[4].
Thioridazine (1-15 μM; 24-48 h) induces gastric cancer cell death via the mitochondrial apoptosis pathway and mitochondrial pathway[2].
Thioridazine (15 μM; 24 h) modulates the regulation of cell cycle progression by interfering with the PI3K/Akt pathway and induces G_1 cell cycle arrest in cervical and endometrial cancer cells [4].
Thioridazine inhibits the growth of antibiotic-sensitive and multidrug-resistant strains of A. baumannii[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	NCI-N87 and AGS cells
Concentration:	0.01, 0.1, 0.5, 1, 5, 10, 20, 50, 100 μM
Incubation Time:	48 hours
Result:	Exhibited cytotoxicity in gastric cancer cells.

Western Blot Analysis[1]

Cell Line:	NCI-N87 and AGS cells
Concentration:	1, 5, 10, 15 μM
Incubation Time:	24, 48 hours
Result:	Downregulated the precursors of caspase-9, caspase-8 and caspase-3.

In Vivo

Thioridazine (25 mg/kg; i.p. every 3 days for 3 weeks) extends the survival of tumor-bearing mice and reduces the number of pluripotent embryonal carcinoma (EC) cells within tumors[5].
Thioridazine (1.0-5.0 mg/kg; s.c.) reduces oral behavior and selectively blocks repetitive head bobbing[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude and Rag2KO mice were injected with iPS cells or NT2D1 cells[5]
Dosage:	25 mg/kg
Administration:	I.p. every 3 days for 3 weeks
Result:	Reduced the number of OCT4-expressing cells within malignant teratocarcinomas and extended the survival of tumor-bearing mice. With no effect on fertility.

CUSTOMER VALIDATION

- Int J Biol Macromol. 25 December 2021.
- Int J Mol Sci. 2023, 24(2), 1635.
- Pol J Microbiol. 2019 Dec;68(4):477-491.

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REFERENCES

[1]. Tschanz JT, et, al. Atypical antipsychotic drugs block selective components of amphetamine-induced stereotypy. Pharmacol Biochem Behav. 1988 Nov;31(3):519-22.

[2]. Mu J, et, al. Thioridazine, an antipsychotic drug, elicits potent antitumor effects in gastric cancer. Oncol Rep. 2014 May;31(5):2107-14.

[3]. Aguilar-Vega L, et, al. Antibacterial properties of phenothiazine derivatives against multidrug-resistant Acinetobacter baumannii strains. J Appl Microbiol. 2021 Apr 22.

[4]. Kang S, et, al. Thioridazine induces apoptosis by targeting the PI3K/Akt/mTOR pathway in cervical and endometrial cancer cells. Apoptosis. 2012 Sep;17(9):989-97.

[5]. Loehr AR, et, al. Targeting Cancer Stem Cells with Differentiation Agents as an Alternative to Genotoxic Chemotherapy for the Treatment of Malignant Testicular Germ Cell Tumors. Cancers (Basel). 2021 Apr 23;13(9):2045.

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