

Product Data Sheet

Product Name: Thioridazine hydrochloride

Cat. No.: GC11977

Chemical Properties

Cas. No. 130-61-0

Chemical Name 10-[2-(1-methylpiperidin-2-yl)ethyl]-2-methylsulfanylphenothiazine;hydrochloride

SMILES CN1CCCCC1CCN2C3=CC=CC=C3SC4=C2C=C(C=C4)SC.Cl

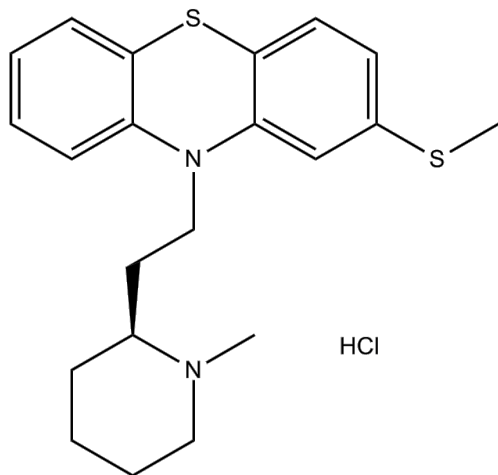
Formula $C_{21}H_{26}N_2S_2.HCl$ M.Wt 407

Solubility $\geq 20.35\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

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

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Cell experiment [1]:	
Cell lines	U87MG and GBM8401 glioblastoma cells
Preparation Method	U87MG and GBM8401 cells were cultured and exposed to different Thioridazine hydrochloride concentrations. Cell viability, caspase activity, western blotting, and tumorsphere-formation assays were used to evaluate cell death, autophagy markers, and stem-like phenotypes.
Reaction Conditions	5 μ M, 7.5 μ M, 10 μ M, and 15 μ M for 24h
Applications	Thioridazine hydrochloride (5 μ M, 7.5 μ M, 10 μ M, and 15 μ M; 24h) activated caspases, promoted poly(adenosine diphosphate-ribose) polymerase (PARP) cleavage and microtubule-associated protein 1 light chain 3-II (LC3-II) accumulation, and reduced stem-like properties in U87MG and GBM8401 glioblastoma cells.
Animal experiment [2]:	
Animal models	2774 ovarian cancer xenograft model in nude mice
Preparation Method	2774 ovarian cancer cells were implanted subcutaneously into nude mice, and oral administration of Thioridazine hydrochloride began when tumors reached approximately 100mm ³ . Tumor volume and body weight were measured during the study, and tumor weight plus phosphorylation of vascular endothelial growth factor receptor 2 (VEGFR-2), phosphoinositide 3-kinase (PI3K), and mechanistic target of rapamycin (mTOR) were analyzed at the endpoint.

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Dosage form	25mg/kg; oral administration every 3 days for 27 days
Applications	Thioridazine hydrochloride (25mg/kg; oral administration every 3 days for 27 days) reduced tumor growth by approximately fivefold and decreased tumor weight and phosphorylation of VEGFR-2, PI3K, and mTOR in the 2774 ovarian cancer xenograft model.
References:[1] Cheng HW, Liang YH, Kuo YL, Chuu CP, Lin CY, Lee MH, et al. Identification of thioridazine, an antipsychotic drug, as an antiglioblastoma and anticancer stem cell agent using public gene expression data. Cell Death Dis. 2015;6:e1753. doi:10.1038/cddis.2015.77.[2] Park MS, Dong SM, Kim BR, Seo SH, Kang S, Lee EJ, et al. Thioridazine inhibits angiogenesis and tumor growth by targeting the VEGFR-2/PI3K/mTOR pathway in ovarian cancer xenografts. Oncotarget. 2014;5(13):4929-4934. doi:10.18632/oncotarget.2063.	

Background

Thioridazine hydrochloride is a phenothiazine antipsychotic agent with dopamine-receptor antagonistic activity^[1]. Thioridazine hydrochloride inhibits dopamine D2 receptor (D2DR), dopamine D4 receptor (D4DR), and calcium channels and modulates autophagy, apoptosis, and vascular endothelial growth factor receptor 2 (VEGFR-2)/phosphoinositide 3-kinase (PI3K)/mechanistic target of rapamycin (mTOR) signaling in cancer-cell models^[1-3]. Thioridazine hydrochloride is used in research on dopamine-receptor signaling, glioma stem-like cells, autophagy, apoptosis, angiogenesis, and tumor-xenograft biology^[1-5].

In vitro, Thioridazine hydrochloride (5μM, 7.5μM, 10μM, and 15μM; 24h) activated caspases, promoted poly(adenosine diphosphate-ribose) polymerase (PARP) cleavage, increased microtubule-associated protein 1 light chain 3-II (LC3-II) accumulation, and reduced stem-like properties in U87MG and GBM8401 glioblastoma cells^[1].

In vivo, Thioridazine hydrochloride (25mg/kg; oral administration every 3 days for 27 days) reduced tumor growth by approximately fivefold and decreased tumor weight and phosphorylation of VEGFR-2, PI3K, and mTOR in nude mice bearing 2774 ovarian cancer xenografts^[3].

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- [2] Chu CW, Ko HJ, Chou CH, Cheng TS, Cheng HW, Liang YH, et al. Thioridazine enhances P62-mediated autophagy and apoptosis through Wnt/ β -catenin signaling pathway in glioma cells. *Int J Mol Sci.* 2019;20(3):473. doi:10.3390/ijms20030473.
- [3] Park MS, Dong SM, Kim BR, Seo SH, Kang S, Lee EJ, et al. Thioridazine inhibits angiogenesis and tumor growth by targeting the VEGFR-2/PI3K/mTOR pathway in ovarian cancer xenografts. *Oncotarget.* 2014;5(13):4929-4934. doi:10.18632/oncotarget.2063.
- [4] Yong M, Yu T, Tian S, Liu S, Xu J, Hu J, et al. DR2 blocker thioridazine: a promising drug for ovarian cancer therapy. *Oncol Lett.* 2017;14(6):8171-8177. doi:10.3892/ol.2017.7184.
- [5] Colturato-Kido C, Salerno AG, Cardoso BA, Panepucci RA, Covas DT, Souto EX, et al. Inhibition of autophagy enhances the antitumor effect of thioridazine in acute lymphoblastic leukemia. *Life (Basel).* 2021;11(4):365. doi:10.3390/life11040365.

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