

Product Data Sheet

Product Name: Chelerythrine Chloride

Cat. No.: GC13065

Chemical Properties

Cas. No. 3895-92-9

Chemical Name 1,2-dimethoxy-12-methyl-[1,3]benzodioxolo[5,6-c]phenanthridin-12-ium

SMILES C[N+]1=C2C(=C3C=CC(=C(C3=C1)OC)OC)C=CC4=CC5=C(C=C42)OCO5.[Cl-]

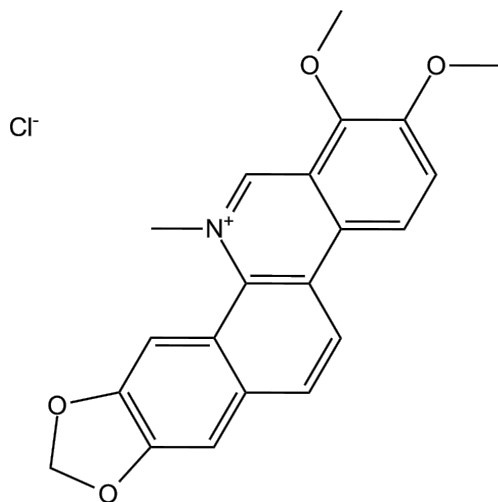
Formula $C_{21}H_{18}ClNO_4$ M.Wt 383.83

Solubility ≥ 19.2 mg/mL in DMSO, ≥ 9.45 mg/mL in EtOH with ultrasonic and warming
Storage Store at -20°C , protect from light

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

Cell experiment [1]:

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Cell lines	NCI-H1703 cells
Preparation Method	NCI-H1703 cells were seeded overnight in opaque-walled white microplate at 1×10^4 cells/well before administering $3\mu\text{g/mL}$ Chelerythrine Chloride. After 24h treatment, cell viability and cytotoxicity were evaluated by measuring fluorescence at $400\text{E}_\text{x}/505\text{E}_\text{m}$ and $485\text{E}_\text{x}/520\text{E}_\text{m}$, respectively. Luminescence for caspase-3/7 activity measurement was then measured upon adding appropriate reagent.
Reaction Conditions	$3\mu\text{g/mL}$; 24h
Applications	Chelerythrine Chloride treatment significantly induced the activity of caspase-3/7 and promoted apoptosis.

Animal experiment [2]:

Animal models	Mice bearing TSC2-null, ELT3-luciferase-expressing xenograft tumors
Preparation Method	2×10^6 cells were inoculated bilaterally into the posterior flank of nude mice (Charles River). Six weeks post cell injection, mice bearing subcutaneous tumors were treated with Chelerythrine Chloride (10mg/kg/day ; 4 weeks; i.p.). Tumor area was measured weekly using a digital Caliper.
Dosage form	10mg/kg/day ; 4 weeks; i.p.
Applications	Treatment with Chelerythrine Chloride significantly inhibited tumor growth (reducing it by 57%), without causing weight loss or significant toxicity.

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References:

- [1] HENG W S, CHEAH S C. Chelerythrine chloride downregulates β -catenin and inhibits stem cell properties of non-small cell lung carcinoma[J]. *Molecules*, 2020, 25(1): 224.
- [2] MEDVETZ D, SUN Y, LI C, et al. High-throughput drug screen identifies chelerythrine as a selective inducer of death in a TSC2-null setting[J]. *Molecular Cancer Research*, 2015, 13(1): 50-62.

Background

Chelerythrine Chloride is a potent and cell-permeable inhibitor of protein kinase C (PKC), with an IC_{50} value of 660nM^[1]. Chelerythrine Chloride acts by selectively binding to the catalytic domain of PKC, inhibiting its activity through competition with the substrate phosphoacceptor rather than ATP^[2]. Chelerythrine Chloride is commonly used in studies related to anti-inflammatory effects, apoptosis mechanisms, tumor biology, and immunoregulation^[3,4].

In vitro, treatment of NCI-H1703 cells with Chelerythrine Chloride (3 μ g/mL) for 24h significantly induces the activity of caspase-3 and caspase-7, promoting apoptosis. When NCI-H1703 cells were treated with Chelerythrine Chloride (1.5, 3, 6 μ g/mL) for 3 weeks, a dose-dependent inhibition of colony formation in soft agar was observed^[5]. Treatment of human neutrophils (PMN) with Chelerythrine Chloride (10 μ M) for 4h induced apoptosis in 93% of cells, which was significantly higher than the UV-irradiation group (70%)^[6].

In vivo, administration of Chelerythrine Chloride (2.5mg/kg; i.p.) to nude mice bearing SQ-20B tumor cells on days 8, 10, and 12 after tumor implantation significantly delayed tumor growth^[7]. Daily treatment with Chelerythrine Chloride (10mg/kg/day; i.p.) for 4 weeks in mice bearing TSC2-deficient ELT3-Luciferase xenograft tumors significantly inhibited tumor volume growth (by 57%) without causing weight loss or apparent toxicity^[8].

References:

- [1] HERBERT J M, AUGEREAU J M, GLEYE J, et al. Chelerythrine is a potent and specific inhibitor of protein kinase C[J]. *Biochemical and biophysical research communications*, 1990, 172(3): 993-999.
- [2] SIOMMOING X, GRESSIER B, DINE T, et al. Investigation of the inhibitory effects of chelerythrine

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chloride on the translocation of the protein kinase c β i, β ii, ζ in human neutrophils[J]. Il Farmaco, 2001, 56(11): 859-865.

[3] ZHANG Z, GUO Y, ZHANG J, et al. Induction of apoptosis by chelerythrine chloride through mitochondrial pathway and Bcl-2 family proteins in human hepatoma SMMC-7721 cell[J]. Archives of pharmacol research, 2011, 34(5): 791-800.

[4] NIU X F, ZHOU P, LI W F, et al. Effects of chelerythrine, a specific inhibitor of cyclooxygenase-2, on acute inflammation in mice[J]. Fitoterapia, 2011, 82(4): 620-625.

[5] HENG W S, CHEAH S C. Chelerythrine chloride downregulates β -catenin and inhibits stem cell properties of non-small cell lung carcinoma[J]. Molecules, 2020, 25(1): 224.

[6] SWEENEY J F, NGUYEN P K, ATKINS K B, et al. Chelerythrine chloride induces rapid polymorphonuclear leukocyte apoptosis through activation of caspase-3[J]. Shock, 2000, 13(6): 464-471.

[7] CHMURA S J, DOLAN M E, CHA A, et al. In vitro and in vivo activity of protein kinase C inhibitor chelerythrine chloride induces tumor cell toxicity and growth delay in vivo[J]. Clinical Cancer Research, 2000, 6(2): 737-742.

[8] MEDVETZ D, SUN Y, LI C, et al. High-throughput drug screen identifies chelerythrine as a selective inducer of death in a TSC2-null setting[J]. Molecular Cancer Research, 2015, 13(1): 50-62.

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