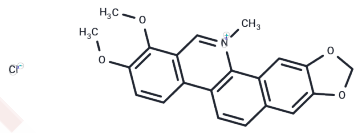
Data Sheet (Cat.No.T3419)

TargetMol

Chelerythrine chloride

Chemical Properties



CAS No. : 3895-92-9

Formula: C21H18ClNO4

Molecular Weight: 383.83

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Chelerythrine Chloride is a cell-permeable inhibitor of protein kinase C, competitive with respect to the phosphate acceptor and non-competitive with respect to ATP.
Targets(IC50)	Apoptosis,Bcl-2 Family,Autophagy,PKC
In vitro	Chelerythrine inhibits the BclXL-Bak BH3 peptide binding with IC50 of 1.5 μM. It displaced Bax, a BH3-containing protein, from BclXL. Mammalian cells treated with Chelerythrine undergoes apoptosis with characteristic features that suggest involvement of the mitochondrial pathway[1]. Chelerythrine treatment inhibits LPS-induced TNF-α level and NO production in LPS-induced murine peritoneal macrophages through selective inhibition of p38 mitogen-activated protein kinase (MAPK) and extracellular signal-regulated protein kinases 1 and 2 (ERK1/2) activation. In addition, the effects of chelerythrine on NO and cytokine TNF-α production can possibly be explained by the role of p38 MAPK and ERK1/2 in the regulation of inflammatory mediators expression[2]. Chelerythrine shows cytotoxic effect on the human monocytic leukaemia cells with LD50 value of 3.46 μM. Two hours after LPS stimulation, cells influenced by sanguinarine and Chelerythrine significantly decline the CCL-2 expression by a factors of 3.5 and 1.9[3]. Chelerythrine chloride significantly enhances the phosphorylation of ERK1/2 in a dose-dependent manner. In addition, chelerythrine chloride inhibits the phosphorylation of p38[4].
In vivo	Chelerythrine exhibits substantial anti-inflammatory properties in vivo, notably in an experimentally induced endotoxic shock model in mice, by suppressing levels of LPS-induced tumor necrosis factor-alpha (TNF-α) and nitric oxide (NO) production in serum [2]. Additionally, chelerythrine chloride (5 mg/kg/day, i.p.) effectively induces apoptosis in RCC cells while maintaining a minimal toxicity profile in mice. Moreover, treatment with Chelerythrine Chloride results in a dose-dependent accumulation of p53[4].
Cell Research	Chelerythrine is dissolved in DMSO. Cell viability is evaluated via MTT assay. Cells (2×103?HEK-293 cells/well and 3×103?SW-839 cells/well) in 100 μL medium are seeded into 96-well plates, and incubated for 12 h. Next, the medium in each well is replaced with medium containing various concentrations of Chelerythrine Chloride, and the cells are incubated at 37°C for an additional 24 and 48 h. Subsequently, 20 μL MTT (5 mg/mL) is added to each well. Following an additional incubation at 37°C for 4 h, the supernatant is removed, and 100 μL DMSO is added to each well. The absorbance values (read at 540 nm) are determined using the iMark? Microplate Absorbance Reader. The data are analyzed using Microplate Manager software (ver. 6.3; 1689520).

Solubility Information

Solubility	DMSO: 3.8 mg/mL (9.9 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6053 mL	13.0266 mL	26.0532 mL
5 mM	0.5211 mL	2.6053 mL	5.2106 mL
10 mM	0.2605 mL	1.3027 mL	2.6053 mL
50 mM	0.0521 mL	0.2605 mL	0.5211 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Chan, et al. Identification of chelerythrine as an inhibitor of BclXL function. J Biol Chem. 2003 Jun 6;278(23):20453-6.

Liang X, Cao Y, Duan Z, et al.Discovery of New Small Molecule Inhibitors of the BPTF Bromodomain.Bioorganic Chemistry.2023: 106453.

Li W, et al. Effect of Chelerythrine Against Endotoxic Shock in Mice and Its Modulation of Inflammatory Mediators in Peritoneal Macrophages Through the Modulation of Mitogen-Activated Protein Kinase (MAPK) Pathway. Inflammation. 2012 Jul 24.

Pěnčíková K, Kollár, P, Müller Závalová, V, et al. Investigation of sanguinarine and chelerythrine effects on LPS-induced inflammatory gene expression in THP-1 cell line[J]. Phytomedicine, 2012, 19(10):890-895.

Chen XM, et al. Chelerythrine chloride induces apoptosis in renal cancer HEK-293 and SW-839 cell lines. Oncol Lett. 2016 Jun;11(6):3917-3924.