

Product Data Sheet

Product Name: Tryptanthrin

Cat. No.: GC13402

Chemical Properties

Cas. No. 13220-57-0

Chemical Name indolo[2,1-b]quinazoline-6,12-dione

SMILES O=C1N2C(C(C3=C2C=CC=C3)=O)=NC4=C1C=CC=C4

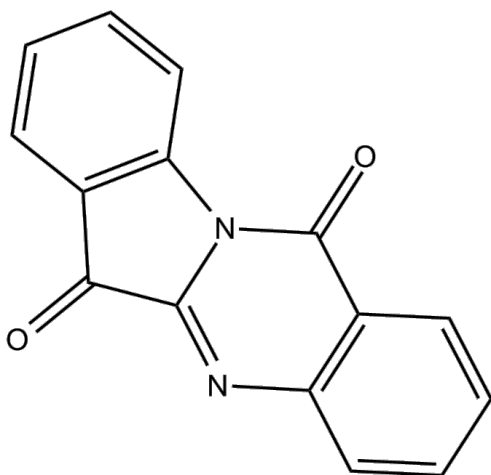
Formula $C_{15}H_8N_2O_2$ M.Wt 248.2

Solubility $\leq 30\text{mg/ml}$ in DMSO; 30mg/ml in dimethyl formamide 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



Background

Tryptanthrin, a weakly basic alkaloid tryptophan derivative originally isolated from the dried roots of medicinal indigo plants, has anti-inflammatory and anticancer activities. And, tryptanthrin blocks 5-lipoxygenase (5-LO) and cyclooxygenase-2 (Cox-2) with IC_{50} values of 600 and 64 nM, respectively.

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

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5-LO and Cox-2 are involved in cancer pathobiology. 5-LO is expressed by a variety of cancer cells including colon, lung, breast, and prostate and promotes cancer cell growth and neo-angiogenesis. Cox-2, overexpressed in cancer cells, promotes tumorigenesis and induces neo-angiogenesis.

In vitro: In human hepatocyte-derived HepG2 cells, tryptanthrin inhibited the reactive oxygen species formation, mitochondrial dysfunction, and cell death triggered by tert-butyl hydroperoxide (tBHP). Furthermore, tryptanthrin reversed the reduction of glutathione (GSH) induced by tBHP. Specifically, nuclear translocation, transactivation of nuclear factor erythroid 2-related factor 2 (Nrf2), and phosphorylation of extracellular signal-regulated kinase (ERK) were evoked by the treatment of tryptanthrin. Additionally, the expression of the heme oxygenase 1 and glutamate was upregulated by tryptanthrin [1].

In vivo: BALB/c (H-2d) mice, intraperitoneally (i.p.) inoculated with leukemia WEHI-3B JCS cells, were injected i.p with tryptanthrin at doses of 0.04 mg/kg, 0.08 mg/kg and 0.16 mg/kg body weight for 5 consecutive days. Tryptanthrin inhibited the growth of WEHI-3B JCS cells in BALB/c mice, and at the dosages of 0.08 mg/kg and 0.16 mg/kg, significant inhibition was seen. Moreover, tryptanthrin, in a dose-dependent fashion, triggered cell cycle arrest of the WEHI-3B JCS cells at G0/G1 phase [2].

References:

- [1]. Moon, S., Lee, J., Choi, H., Cho, I., Kim, S., & Kim, Y. Tryptanthrin Protects Hepatocytes against Oxidative Stress via Activation of the Extracellular Signal-Regulated Kinase/NF-E2-Related Factor 2 Pathway. *Biological and Pharmaceutical Bulletin*. 2014; 37(10): 1633-1640.
- [2]. Chan, H., Yip, H., Mak, N., & Leung, K. Modulatory Effects and Action Mechanisms of Tryptanthrin on Murine Myeloid Leukemia Cells. *Cellular and Molecular Immunology*. 2009; 6(5): 335-342.

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