

Product Data Sheet

Product Name: Oncrasin 1

Cat. No.: GC14860

Chemical Properties

Cas. No. 75629-57-1

Chemical Name 1-[(4-chlorophenyl)methyl]indole-3-carbaldehyde

SMILES C1=CC=C2C(=C1)C(=CN2CC3=CC=C(C=C3)Cl)C=O

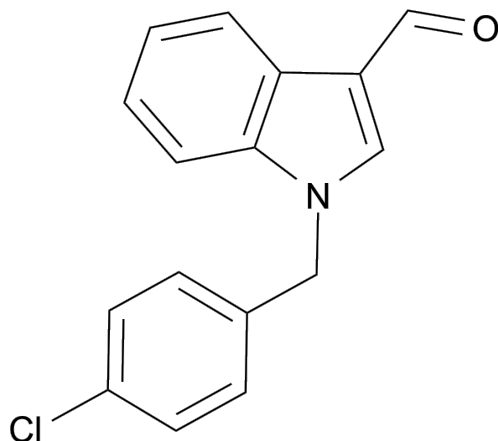
Formula $C_{16}H_{12}ClNO$ M.Wt 269.73

Solubility DMF: 10 mg/mL, DMSO: 17 mg/mL, DMSO:PBS(pH 7.2) (1:3): 0.25 mg/mL, Ethanol: 5 mg/mL
Storage Store at 4°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

Oncrasin 1 is a small molecule antitumor agent with IC₅₀ value of 4.81 μM [1].

The activated mutations of Ras genes (K-Ras, N-Ras and H-Ras) play important roles in tumorigenesis and maintenance of malignant phenotypes. The mutations make Ras constitutively be

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in the activated state with GTP-bound. Among the three Ras genes, the mutations of K-Ras are the most frequently found in tumors and are associated with resistance to radio therapy, chemotherapy and poor prognosis. Thus, mutant K-Ras is important target for antitumor treatment. Oncrasin 1 is a small-molecule compound that found by a synthetic lethality screening. It effectively killed tumor cells with K-Ras mutation but not normal isogenic cells through inducing cell apoptosis. Besides that, Oncrasin 1 caused abnormal aggregation of PKC ϵ of those sensitive cells [1].

In a sulforhodamine B (SRB) assay, treatment of Oncrasin 1 at final concentration of 5 μ g/ml killed more than 50% of cells. Oncrasin 1 was highly selective against K-Ras mutation, it showed dose-dependent cytotoxicity in T29Kt1 (K-Ras mutant) cells with IC₅₀ value of 4.81 μ M. For T29Ht1 (H-Ras mutant) cells and T29 (wild-type Ras) cells, Oncrasin 1 showed no cytotoxicity even at concentration of 33 μ M. For the other K-Ras-mutant tumor cells such as A549, H2122 and H460, Oncrasin 1 all showed cytotoxicity with IC₅₀ value of $\leq$ 3 μ M. It was found that induction of apoptosis was a major mechanism of Oncrasin 1 treatment [1].

In mice injected with H460 cells, administration of Oncrasin 1 at dose of 100 mg/kg resulted in significant tumor growth suppression by 75.4%. In addition, the survival time was prolonged by the Oncrasin 1 treatment [1].

Reference:

[1] Guo W, Wu S, Liu J, et al. Identification of a small molecule with synthetic lethality for K-ras and protein kinase C ϵ . *Cancer research*, 2008, 68(18): 7403-7408.

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