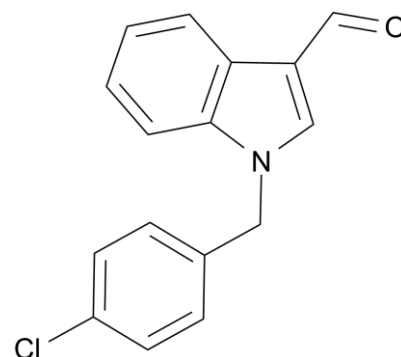


Product Data Sheet

Chemical Properties

Product Name:	Oncrasin 1
Cas No.:	75629-57-1
M.Wt:	269.73
Formula:	C ₁₆ H ₁₂ ClNO



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

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

Solubility: Soluble in DMSO > 10 mM

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.

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

Biological Activity

Targets : Apoptosis

Pathways: Apoptosis Inducers

Description:

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 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 α 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 $\leq 3 \mu$ 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 α . *Cancer research*, 2008, 68(18): 7403-7408.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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