

Product Data Sheet

Product Name: Cyclic Pifithrin- α hydrobromide

Cat. No.: GC10896

Chemical Properties

Cas. No. 511296-88-1

Chemical Name 2-(4-methylphenyl)-5,6,7,8-tetrahydroimidazo[2,1-b][1,3]benzothiazole;hydrobromide

SMILES CC1=CC=C(C=C1)C2=CN3C4=C(CCCC4)SC3=N2.Br

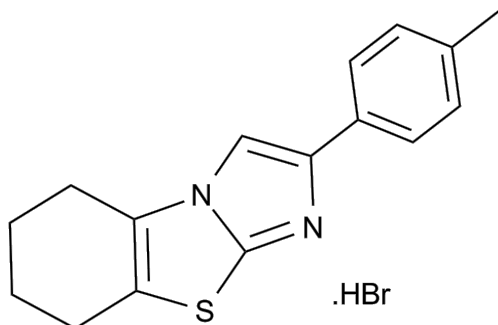
Formula $C_{16}H_{16}N_2S.HBr$ M.Wt 349.29

Solubility $\geq 25\text{mg/mL}$ in DMSO with gentle warming, $\geq 4.42\text{ mg/mL}$ in EtOH with ultrasonic Storage 4°C , sealed storage, away from moisture

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

IC50: N/A

Pifithrin- α is an inhibitor of p53 blocking p53-dependent transactivation of p53-responsive genes.

Chemotherapy and radiation therapy for cancer often have severe side effects that limit their efficacy. P53 determines the toxic side effects of anticancer treatment, and thus may be an appropriate target

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

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for reducing the damage to normal tissues in the process of anticancer therapy [1]. Therefore, to find inhibitors of p53 may be effective method for reducing the side effects of cancer therapy and other types of stress associated with p53 induction.

In vitro: Pifithrin- α blocks p53-dependent transactivation of p53-responsive genes in ConA cells. Pifithrin- α (10 μ M) inhibits apoptotic death of C8 cells guided by etoposide, Taxol, Dox, cytosine arabinoside. Pifithrin- α has significant effect on the inhibition of p53-dependent growth arrest of human diploid fibroblasts in response to DNA damage but not on p53-deficient fibroblasts. Pifithrin- α may monitor the nuclear import or export (or both) of p53 or may make nuclear p53 instability [2].

In vivo: Pifithrin- α -mice (2.2 mg/kg i.p.) were completely survival with both strains from 60% killing doses of gamma irradiation (8 Gy for C57BL and 6 Gy for Balb/c). Mice pretreated with Pifithrin- α lost less weight than irradiated mice without the Pifithrin- α . Pifithrin- α (2.2 mg/kg) eliminates p53-dependent regulation of DNA replication after whole-body gamma irradiation in mice [2].

Clinical trial: So far, no clinical study has been conducted.

References:

- [1] Komarova EA and Gudkov A V. Could p53 be A target for therapeutic suppression Semin. Cancer Biol. 1998, 8: 389-400.
- [2] Komarov PG, Komarova EA, Kondratov RV, Christov-Tselkov K, Coon JS, Chernov MV, Gudkov AV. A chemical inhibitor of p53 that protects mice from the side effects of cancer therapy. Science. 1999 Sep 10; 285(5434):1733-7.

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