For Research Use Only

Nutlin-3

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Catalog Number: CM05038

产品信息

Catalog Number:
CM05038

CAS号:
548472-68-0

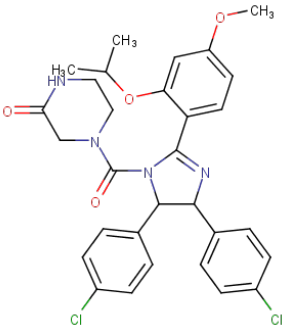
分子式:
$C_{30}H_{30}Cl_2N_4O_4$

主要靶点:
E1/E2/E3 Enzyme

主要通路:
泛素化

分子量:
581.49

溶解度:
DMSO:55 mg/mL (94.58 mM)



靶点活性

MDM2-p53:0.09 μM

体外活性

Nutlin-3 is an inhibitor of the MDM2-p53 interaction. In particular, co-treatment of p53-positive HCT116 cells with 1 μM of Inauhzin and 2 μM of Nutlin-3 more significantly activated p53 as measured by its protein level as well as the level of its target p21, PUMA or cleaved PARP as indication of apoptosis[2]. Nutlin-3 is a small-molecule inhibitor that acts to inhibit MDM2 binding to p53 and subsequent p53-dependent DNA damage signaling. Nutlin-3 (2-10 μM) stabilizes p53 and p21WAF levels and is toxic to WTp53-22RV1 cells (IC50, 4.3 μM) as a single agent, but has minimal toxicity toward p53-deficient cells (IC50, >10 μM). Nutlin-3 induces p53 and p21WAF expression in a dose-dependent manner in 22RV1 cells. Short-term cell cycle assays show that, at a dose of 10 μM, Nutlin-3 increasea slightly the G1-phase fraction and decreasea S-phase fraction of all three cell lines[3].

体内活性

Nutlin-3 is able to suppress the growth of xenograft tumors derived from human osteosarcoma or leukemia cells, the anti-tumor activity of Nutlin-3 even at the dose of 200 mg/kg per oral administration is marginal in an HCT116-derived xenograft tumor model[2]. Nutlin-3 may be a useful adjunct to improve the therapeutic ratio using precision radiotherapy targeted to hypoxic cells and warrants further study in vivo[3].

细胞实验

Nutlin-3 (NUT) is dissolved with DMSO (100 mM) and diluted with appropriate media[2]. Human non-small-cell lung carcinoma wild type p53-containing H460 and A549, human non-small-cell lung carcinoma p53-null H1299, and human colon cancer HCT116 (p53+/+ and p53-/-) cells are used. Cells (1.5×105) are plated into 6-well plates, and incubated at 37°C overnight. After treatment of Inauhzin and Nutlin-3 at the indicated concentrations for 48 h, cells are harvested, fixed in 70% ice-cold ethanol overnight at -20°C, resuspended in propidium iodide-solution (50 μg/mL PI, 0.1 mg/mL RNase A, 0.05% Tritin X-100 in PBS) for 40 min at 37°C, then analyzed for DNA content using a flow cytometer and proprietary software[2].

描述

Nutlin-3 is an MDM2 antagonist.Nutlin-3 inhibits the MDM2-p53 interaction (IC50: 0.09 μM) and activates p53. Antiproliferative agent; chemotherapeutic agent; induces apoptosis in Y cells.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years