Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Nutlin (3)

Catalog No: tcsc0295

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
548472-68-0

Formula:
$C_{30}H_{30}Cl_2N_4O_4$

Pathway:
Apoptosis

Target:
MDM-2/p53

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
581.49

Product Description

Nutlin 3 is a commercial available **p53-MDM2** inhibitor, with **K_i** of 90 nM.

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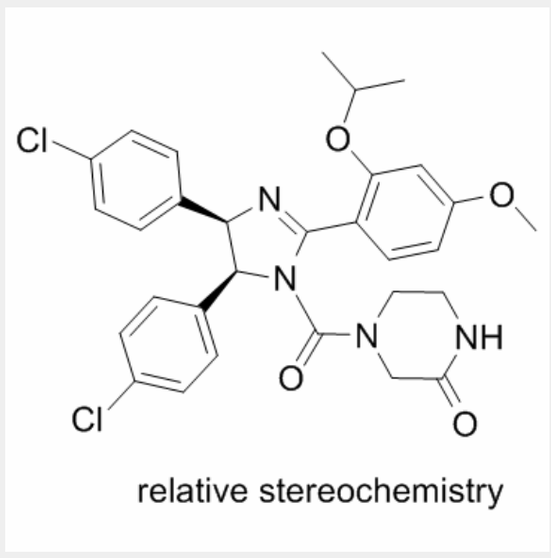
IC50 & Target: Ki: 90 nM (p53-MDM2)[1]

In Vitro: 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. As a single agent, Nutlin 3 (2-10 μM) stabilizes p53 and $p21^{WAF}$ levels and is toxic to WTp53-22RV1 cells (IC_{50}, 4.3 μM) but has minimal toxicity toward p53-deficient cells (IC_{50}, >10 μM). Nutlin 3 induces p53 and $p21^{WAF}$ 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 G_1-phase fraction and decreasea S-phase fraction of all three cell lines[3].

In Vivo: Nutlin 3 can 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].



relative stereochemistry