Taiclone®
Quality Guarantee

Web: www.taiclone.com
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Nutlin (3a)

Catalog No: tcsc0296

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
675576-98-4

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

Pathway:
Autophagy;Apoptosis

Target:
Autophagy;MDM-2/p53

Purity / Grade:
>98%

Solubility:
H2O :

Storage Instruction:
Powder -20°C for 3 years In solvent : -80°C for 12 months

Alternative Names:
Nutlin-3a chiral

Observed Molecular Weight:
581.49

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Product Description

Nutlin 3a is an active enantiomer of Nutlin-3, acts as a murine double minute (**MDM2**) antagonist that inhibits **MDM2-p53** interactions and stabilizes the p53 protein, and thereby induces cell cycle arrest and apoptosis.

IC50 & Target: MDM2-p53[1]

In Vitro: Nutlin 3a (Nutlin-3a) is a therapeutic which inhibits MDM2, activates wild-type p53, and induces apoptosis-as a therapeutic compound for *TP53* wild-type ovarian carcinomas. Three cell lines (HOC-7, OVCA429 and A2780) with wild-type *TP53* are highly sensitive to Nutlin 3a (IC_{50}=4 to 6 μM). SKOV3 cells have an IC_{50} of 38 μM to Nutlin 3a. The two remaining ovarian clear cell lines (TOV21G and OVAS), both with *TP53* wild-type, are relatively more sensitive to growth inhibition with Nutlin 3a (IC_{50}=14 and 25 μm respectively) than the *TP53* mutant cell lines[1]. Nutlin 3a (Nutlin-3a) is the active enantiomer of Nutlin-3. Nutlin 3a is a highly selective MDM2 antagonist and p53 inducer. Seven days of incubation with 10 μM Nutlin 3a leads to >90% inhibition of NIH/3T3 cells'growth but does not affect the proliferation of MEF in which both targets of the drug are eliminated. Nutlin 3a effectively arrestes cell-cycle progression in all cell lines, depleting the S-phase compartment to 0.2-2% and increasing the G_1- and G_2/M-phase compartments, indicating G_1 and G_2 arrest. The p53 targets p21 and MDM2 are elevated significantly 3 h after Nutlin 3a addition and reach maximal levels at 8 h. Nutlin 3a induces apoptosis in ≈60% of SJSA-1 and MHM cells after 40 h, which increase further after 60 h (85% and 65%, respectively)[2].

In Vivo: Nutlin 3a (Nutlin-3a) is efficacious in all models with average tumor growth inhibition ≥98%. Nutlin 3a suppresses xenograft growth in a dose-dependent fashion with the highest dose (200 mg/kg) showing a substantial tumor shrinkage (eight partial and one full regressions). The established SJSA-1 and MHM osteosarcoma xenografts with Nutlin 3a causes extensive tumor regression[2].