MDM2/4-p53-IN-2

Cat. No.: HY-151170

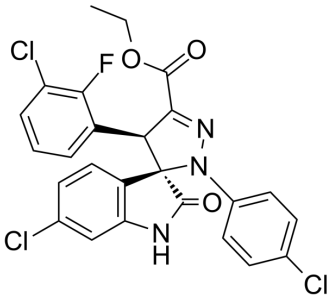
Molecular Formula: $C_{25}H_{17}Cl_3FN_3O_3$

Molecular Weight: 532.78

Target: MDM-2/p53; Apoptosis

Pathway: Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



Relative stereochemistry

BIOLOGICAL ACTIVITY

Description

MDM2/4-p53-IN-2 (2q) is a potent dual MDM2/ MDM4 inhibitor and p53 activator with the IC_{50} values of 70.7 nM for MDM2-p53 and 81.4 nM for MDM4-p53 complexes. MDM2/4-p53-IN-2 regulates the cell cycle, induces cell apoptosis and has anticancer activity[1].

In Vitro

MDM2/4-p53-IN-2 (2q) (1-100 μM, 96 h) can inhibit the proliferation of cancer cells and induce cell apoptosis by targeting the G0/G1 cell cycle in a dose-dependent manner[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Human cancer cell lines HCT116, SJSA-1, MCF-7, LNCaP, human embryonic kidney epithelial cell line HEK 293T
Concentration:	1-100 μM
Incubation Time:	48 hours
Result:	Showed anti-proliferative activity of HCT116 with an IC_{50} value of 18.0 μM. Showed well inhibition of cell viability against SJSA-1, MCF-7, LNCaP cells, all below 40%. Reduced cell viability of HEK 293T cells by 24%.

Apoptosis Analysis[1]

Cell Line:	SJSA-1 cell lines
Concentration:	15 and 22.5 μM
Incubation Time:	96 hours
Result:	Increased LDH release by 1.3-fold and 1.6-fold at concentrations of 15 μM and 22.5 μM, respectively. Caused a decrease in SJSA-1 cells, a significant decrease in cell growth and an increase in the number of early and late stage apoptotic cells at 22.5 μM. Induced a significant accumulation of G0/G1 phase cells with a percentage of 67.5% at 15 μM and 82.2% at 22.5 μM, while reducing the percentage of S and G2/M phase cells.

REFERENCES

[1]. Margarida Espadinha, et al. Discovery of MDM2-p53 and MDM4-p53 protein-protein interactions small molecule dual inhibitors. Eur J Med Chem. 2022 Aug 5;241:114637.

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