Web: www.taiclone.com
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HA14-1

Catalog No: tcsc0138

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
65673-63-4

Formula:
$C_{17}H_{17}BrN_2O_5$

Pathway:
Apoptosis

Target:
Bcl-2 Family

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (122.18 mM)

Observed Molecular Weight:
409.23

Product Description

HA14-1 is a **Bcl-2/Bcl-X_L** antagonist. HA14-1 binds the designated pocket on Bcl-2 with the **IC_{50}** of ≈9 μM in competing with the Bcl-2 binding of Flu-BakBH3, and inhibits its function.

IC50 & Target: IC50: ≈9 μM (Bcl-2)[1]

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$Bcl\text{-}X_L$ [2]

In Vitro: HA14-1 is a nonpeptidic ligand of a Bcl-2 surface pocket. HA14-1 induces the activation of Apaf-1 and caspases, possibly by binding to Bcl-2 protein and inhibiting its function. The interaction of HA14-1 with the Bcl-2 surface pocket appeared to be specific for the chemical structure of HA14-1 as a series of synthetic analogs derived from HA14-1 containing various modifications are found to have widely different Bcl-2 binding activities. To examine the effect of HA14-1 on cell viability, HL-60 cells are treated with various concentrations of HA14-1 for 4 h. HA14-1 induces the death of HL-60 cells in a dose-dependent manner. At 50 μM, HA14-1 causes the lost of viability in more than 90% of the cells[1]. HA14-1 is a Bcl-2/Bcl-xL antagonist[2].

In Vivo: Swiss nude mice are challenged with BeGBM cells (10^4 injected s.c.). HA14-1 (400 nmol) in 100 μL free RPMI 1640-50% DMSO, or the carrier alone, is given at the site of injection once weekly from day 2 following cell injection. HA14-1 treatment does not have any significant effect on the growth of glioblastoma tumors in immunodeficient mice as monitored twice weekly by measuring the volume of the expanding tumors. Moreover, no gross organ toxicity or weight loss can be detected in mice receiving such treatment. To analyze whether HA14-1 treatment might enhance the efficiency of another antitumoral treatment, Swiss nude mice challenged with human glioblastoma multiforme cells are also given i.p. low doses of Etoposide (2.5 mg/kg in 200 μL of 0.9% NaCl 5 days a week from day 2 following cell injection) together with HA14-1 or mock treatment. Whereas Etoposide treatment is insufficient by itself to restrain the growth of glioblastoma cells, its combination with HA14-1 leads to a significant restrain on tumor growth as judged by the ability of the combined treatment to increase the doubling time of the tumor volume[3].