

BH3I-1

Catalog No: tcsc5730



Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg



Specifications

CAS No:

300817-68-9

Formula:

$C_{15}H_{14}BrNO_3S_2$

Pathway:

Apoptosis;Apoptosis

Target:

Bcl-2 Family;MDM-2/p53

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 4.1 mg/mL (10.24 mM)

Alternative Names:

BHI1;BH 3I1

Observed Molecular Weight:

400.31

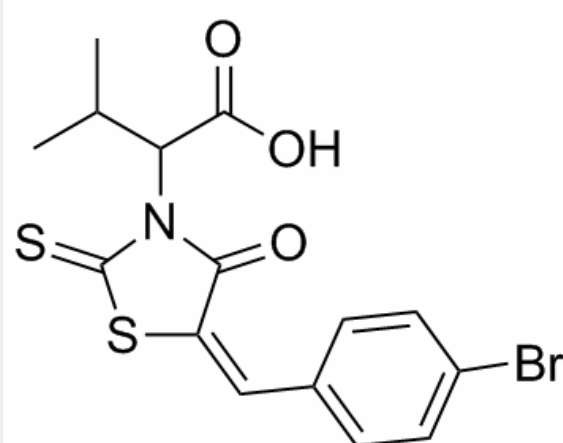
Product Description

BH3I-1 is a **Bcl-2 family** antagonist, which inhibits the binding of the **Bak** BH3 peptide to **Bcl-xL** with a K_i of $2.4 \pm 0.2 \mu\text{M}$ in FP assay. BH3I-1 has a K_d of $5.3 \mu\text{M}$ against the **p53/MDM2** pair.

IC50 & Target: Bcl-2^[1]

Kd: $5.3 \mu\text{M}$ (p53/mDM2)^[2]

In Vitro: BH3I-1, while inhibiting its reported target Bcl-2/Bim and Bcl-xL/Bim, shows significant inhibition of both the p53/hDM2 and p300/Hif-1 α interactions. This surprising promiscuity, displays by a well studied compound leads to further interrogate the p53/hDM2 interaction utilizing a standard fluorescence polarization (FP) assay with purified protein. The results from the FP assay validates the split-luciferase screen and demonstrates that BH3I-1 has a $K_d = 5.3 \mu\text{M}$ against the p53/mDM2 pair, which is comparable to its low micromolar potency reported for the BH3 family of receptors^[2]. BH3I-1 inhibits interaction between the BH3 domain and Bcl-xL. NMR analyses reveal that BH3I-1 targets the BH3-binding pocket of Bcl-xL with a K_i of $7.8 \pm 0.9 \mu\text{M}$ ^[3].



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