产品名称：5-(p-Bromobenzylidine)-α-isopropyl-4-oxo-2-thioxo-3-thiozolidineacetic acid
产品别名：BH3I-1

生物活性：

Description	BH3I-1 is a Bcl-2 family antagonist, which inhibits the binding of the Bak BH3 peptide to Bcl-xL with a Ki of 2.4±0.2 μM in FP assay. BH3I-1 has a Kd of 5.3 μM against the p53/MDM2 pair.

IC_{50} & Target	Bcl-2	Bcl-xL	Bak	Bim	p53/mDM2
					5.3 μM (Kd)

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-1α 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 Kd=5.3 μM 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 Ki of 7.8±0.9 μM[3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 4.1 mg/mL (10.24 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4981 mL	12.4903 mL	24.9806 mL
	5 mM	0.4996 mL	2.4981 mL	4.9961 mL
	10 mM	0.2498 mL	1.2490 mL	2.4981 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Wang L, et al. Development of dimeric modulators for anti-apoptotic Bcl-2 proteins. Bioorg Med Chem Lett. 2008 Jan 1;18(1):236-40.

[2]. Degterev A, et al. Identification of small-molecule inhibitors of interaction between the BH3 domain and Bcl-xL. Nat Cell Biol. 2001 Feb;3(2):173-82.

[3]. Porter JR, et al. Profiling small molecule inhibitors against helix-receptor interactions: the Bcl-2 family inhibitor BH3I-1 potently inhibits p53/hDM2. Chem Commun (Camb). 2010 Nov 14;46(42):8020-2.

实验参考：

Cell Assay	Jurkat cells overexpressing Bcl-xL, FL 5.12 and FL 5.12/Bcl-xL cells (5×10^4 cells per well) are seeded into white 96-well plates and treated with various concentrations of the compounds (e.g., BH3I-1; 30 μM and 90 μM)for 48 h. For zVAD-FMK protection experiments, cells are preincubated with 100 μM zVAD-FMK for 1 h before the addition of chemicals. Cell viability is determined with an MTS assay with a Victor plate reader. For PI staining experiments, cells are grown in 24-well plates and then incubated with 2 μg/mL PI. Cell death is determined by FACS analysis in a FACSCalibur machine[3].

References	[1]. Wang L, et al. Development of dimeric modulators for anti-apoptotic Bcl-2 proteins. Bioorg Med Chem Lett. 2008 Jan 1;18(1):236-40. [2]. Degterev A, et al. Identification of small-molecule inhibitors of interaction between the BH3 domain and Bcl-xL. Nat Cell Biol. 2001 Feb;3(2):173-82. [3]. Porter JR, et al. Profiling small molecule inhibitors against helix-receptor interactions: the Bcl-2 family inhibitor BH3I-1 potently inhibits p53/hDM2. Chem Commun (Camb). 2010 Nov 14;46(42):8020-2.