

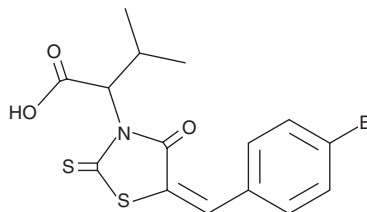
# PRODUCT INFORMATION



## BH3I-1

Item No. 18763

**CAS Registry No.:** 300817-68-9  
**Formal Name:** 5-[(4-bromophenyl)methylene]- $\alpha$ -(1-methylethyl)-4-oxo-2-thioxo-3-thiazolidineacetic acid  
**MF:** C<sub>15</sub>H<sub>14</sub>BrNO<sub>3</sub>S<sub>2</sub>  
**FW:** 400.3  
**Purity:**  $\geq$ 95%  
**UV/Vis.:**  $\lambda_{\text{max}}$ : 279, 382 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:**  $\geq$ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

BH3I-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the BH3I-1 in the solvent of choice, which should be purged with an inert gas. BH3I-1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of BH3I-1 in ethanol is approximately 5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

BH3I-1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BH3I-1 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BH3I-1 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

The family of Bcl-2 proteins plays pivotal roles in either promoting or preventing apoptosis. Bcl-2 family members contain one or more of four characteristic Bcl-2 homology (BH) domains, which are crucial for function. For example, anti-apoptotic Bcl-2 family proteins prevent death signaling by heterodimerizing with pro-death proteins at their BH3 domains.<sup>1</sup> BH3I-1 is a cell permeable inhibitor that blocks the binding of BH3 peptides to Bcl-xL, inducing apoptosis.<sup>2</sup> It inhibits interactions of BH3 domain-containing proteins with Bcl-xL, Bcl-2, and Bcl-W, inducing apoptosis in Bcl-2 or Bcl-W expressing cells with K<sub>i</sub> values of 43.4 and 124  $\mu$ M, respectively.<sup>3,4</sup> BH3I-1 enhances radiation sensitivity in non-small cell lung cancer cells.<sup>3</sup>

### References

1. Ni Chonghaile, T. and Letai, A. Mimicking the BH3 domain to kill cancer cells. *Oncogene* **27(Suppl 1)**, S149-S157 (2008).
2. Degtarev, A., Lugovskoy, A., Cardone, M., et al. Identification of small-molecule inhibitors of interaction between the BH3 domain and Bcl-xL. *Nat. Cell. Biol.* **3(2)**, 173-182 (2001).
3. Roa, W., Chen, H., Alexander, A., et al. Enhancement of radiation sensitivity with BH3I-1 in non-small cell lung cancer. *Clin. Invest. Med.* **28(2)**, 55-63 (2005).
4. Porter, J.R., Helmers, M.R., Wang, P., et al. Profiling small molecule inhibitors against helix-receptor interactions: The Bcl-2 family inhibitor BH3I-1 potently inhibits p53/hDM2. *Chem. Commun.* **46(42)**, 8020-8022 (2010).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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