

Product Data Sheet

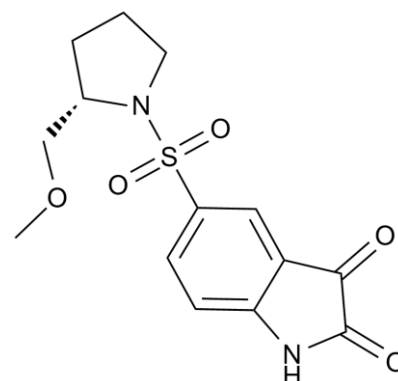
Chemical Properties

Product Name: Caspase-3/7 Inhibitor I

Cas No.: 220509-74-0

M.Wt: 324.4

Formula: C₁₄H₁₆N₂O₅S



Chemical Name: 5-[(2S)-2-(methoxymethyl)pyrrolidin-1-yl]sulfonyl-1H-indole-2,3-dione

Canonical SMILES: COCC1CCCN1S(=O)(=O)C2=CC3=C(C=C2)NC(=O)C3=O

Solubility: >16.2mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets : Caspase

Pathways: Apoptosis >> Caspase

Description:

Caspase-3/7 inhibitor I is a potent, reversible, isatin sulfonamide-based inhibitor of caspase-3 (K_i(app) = 60 nM) and caspase-7 (K_i(app) = 170 nM). It is a weaker inhibitor of caspase-9 (K_i(app) = 3.1 mM). It has only a trivial effect (K_i(app) >25 mM) on the activities of caspase-1, caspase-2, caspase-4, caspase-6, and caspase-8. It has been shown to inhibit apoptosis in camptothecin treated Jurkat cells (IC₅₀ ~50 μM). Also it has been reported to inhibit apoptosis in chondrocytes (44% inhibition at 10 μM and 98% inhibition at 50 μM). Selectivity for caspases-3 and 7 involves

unique hydrophobic residues in the S2 pocket surrounding the catalytic cysteine residue. [1] [2] In some systems inhibition of caspases-3 and -7 can prevent apoptosis and may therefore have important therapeutic implications. [3]

A potent, cell-permeable, and specific, reversible inhibitor of caspase-3 ($K_i = 60$ nM) and caspase-7 ($K_i = 170$ nM).

Reference:

1. Lee, D., et al. 2001. *J. Med. Chem.* 44, 2015.

2. Lee, D., et al. 2000. *J. Biol. Chem.* 275, 16007.

3. Clements, K. M., Burton - Wurster, N., Nuttall, M. E., & Lust, G. (2005). Caspase - 3/7 inhibition alters cell morphology in mitomycin - c treated chondrocytes. *Journal of cellular physiology*, 205(1), 133-140.

Protocol

Cell experiment:

Cell lines	Human Jurkat T cells
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions	50 μM
Applications	Cells were treated with camptothecin to induce cell death, and the ability of the compound to inhibit cell death was assessed by FACS analysis. A good correlation exists between relative cell-based activities of the compound with its in vitro isolated caspase 3 or 7 inhibition activities. The compound exhibited 54% inhibition of apoptosis at 50 μM and 22% at 10 μM.

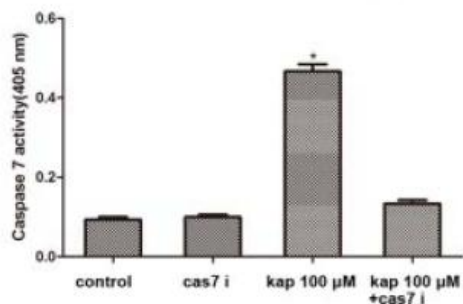
Reference:

[1] Lee D, Long S A, Murray J H, et al. Potent and selective nonpeptide inhibitors of caspases 3 and 7. *Journal of medicinal chemistry*, 2001, 44(12): 2015-2026.

Product Citations

Dang Q, Song W, Xu D, et al. Kaempferol suppresses bladder cancer tumor growth by inhibiting cell proliferation and inducing apoptosis[J]. *Molecular carcinogenesis*, 2014.

Product Validation



Human bladder cancer cells were treated with caspase 3/7 inhibitor 1 (10 μM) or kaempferol (100 μM) for 48 h; In combination treatment, caspase 3/7 inhibitor 1 was added 1 h prior to kaempferol treatment. At the end of treatment, cell extracts were prepared for caspase-7 activity assay. Error bars represent SEs. *P < 0.05.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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