

Product Data Sheet

Product Name: AZD5582

Cat. No.: GC19050

Chemical Properties

Cas. No. 1258392-53-8

SMILES CN[C@@H](C)C(N[C@H](C(N1[C@H](C(N[C@H]2C3=CC=CC=C3C[C@H]2OCC#CC#CCO[C@H]4[C@@H](NC([C@@H]5CCCN5C([C@@H](NC([C@H](C)NC)=O)C6CCCCC6)=O)=O)C(C=CC=C7)=C7C4)=O)CCC1)=O)C8CCCCC8)=O

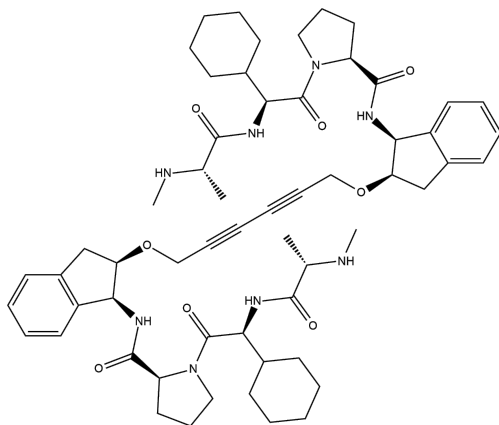
Formula C₅₈H₇₈N₈O₈ M.Wt 1015.29

Solubility DMSO : ≥ 66.25 mg/mL (65.25 mM) Storage 4°C, protect from light, stored under nitrogen

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.

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

Structure



Background

AZD5582 is a novel class of dimeric Smac mimetics as potent IAP antagonist; binds potently to the BIR3 domains of cIAP1, cIAP2, and XIAP (IC₅₀ = 15, 21, and 15 nM, respectively). IC₅₀ value: 15/21/15 nM (cIAP1/cIAP2/XIAP) [1] Target: IAPs inhibitor AZD5582 causes cIAP1 degradation and induces apoptosis in the MDA-MB-231 breast cancer cell line at subnanomolar concentrations in vitro. When administered intravenously to MDA-MB-231 xenograft-bearing mice, AZD5582 results in

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clAP1 degradation and caspase-3 cleavage within tumor cells and causes substantial tumor regressions following two weekly doses of 3.0 mg/kg. Antiproliferative effects are observed with AZD5582 in only a small subset of the over 200 cancer cell lines examined, consistent with other published IAP inhibitors [1]. AZD5582 significantly enhanced apoptosis induced by the death receptor (DR) agonist tumour necrosis factor-related apoptosis-inducing ligand (TRAIL). Importantly, killing by TRAIL plus AZD5582 was independent of adverse prognostic features including TP53 deletion which is strongly associated with chemoresistance in CLL [2].

References:

- [1]. Hennessy EJ, et al. Discovery of a novel class of dimeric Smac mimetics as potent IAP antagonists resulting in a clinical candidate for the treatment of cancer (AZD5582). J Med Chem. 2013 Dec 27;56(24):9897-919.
- [2]. Zhuang J, et al. Selective IAP inhibition results in sensitization of unstimulated but not CD40-stimulated chronic lymphocytic leukaemia cells to TRAIL-induced apoptosis. Pharmacol Res Perspect. 2014 Dec;2(6):e00081.

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