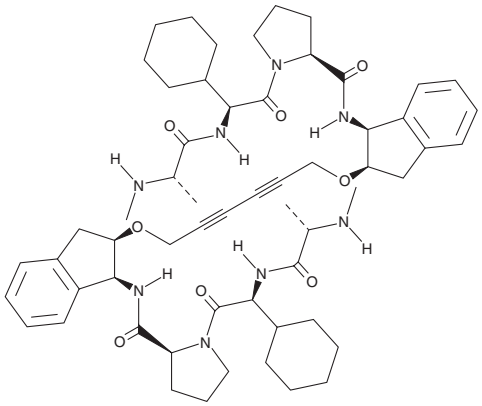
PRODUCT INFORMATION

AZD 5582

Item No. 30932



CAS Registry No.:	1258392-53-8
Formal Name:	3,3′-[2,4-hexadiyne-1,6-diylbis[oxy[(1S,2R)-2,3-dihydro-1H-indene-2,1-diyl]]] *bis*[N-methyl-L-alanyl-(2S)-2-cyclohexylglycyl-L-prolinamide
MF:	$C_{58}H_{78}N_8O_8$
FW:	1,015.3
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

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

Laboratory Procedures

AZD 5582 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 5582 in the solvent of choice, which should be purged with an inert gas. AZD 5582 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of AZD 5582 in ethanol and DMF is approximately 30 mg/ml and approximately 15 mg/ml in DMSO.

Description

AZD 5582 is a dimeric Smac mimetic and an inhibitor of the inhibitor of apoptosis (IAP) proteins.[1] It binds to the BIR3 domains of IAP1, IAP2, and XIAP (IC_{50}s = 15, 21, and 15 nM, respectively). It disrupts the protein-protein interaction between IAP1 and caspase-9 *in vitro*, as well as induces degradation of IAP1 in (EC_{50} = 0.1 nM) and reduces the growth of MDA-MB-231 breast cancer cells (GI_{50} = <0.06 nM). AZD 5582 (0.5, 1, and 1.5 nM) also induces cleavage of caspase-3 and apoptosis in MDA-MB-231 cells. *In vivo*, AZD 5582 (0.1, 0.5, and 3 mg/kg) induces intratumoral apoptosis and reduces tumor volume in an MDA-MB-231 mouse xenograft model. AZD 5582 (3 mg/kg) also increases $CD4^+$ T cell, spleen, thymus, bone marrow, liver, lung, and lymph node levels of HIV RNA, indicating HIV latency reversal, in a humanized mouse model of antiretroviral therapy-suppressed HIV infection.[2]

References

1. Hennessy, E.J., Adam, A., Aquila, B.M., *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.* **56(24)**, 9897-9919 (2013).
2. Nixon, C.C., Mavigner, M., Sampey, G.C., *et al.* Systemic HIV and SIV latency reversal via non-canonical NF-κB signalling in vivo. *Nature* **578(7793)**, 160-165 (2020).

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.

WARRANTY AND LIMITATION OF REMEDY
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