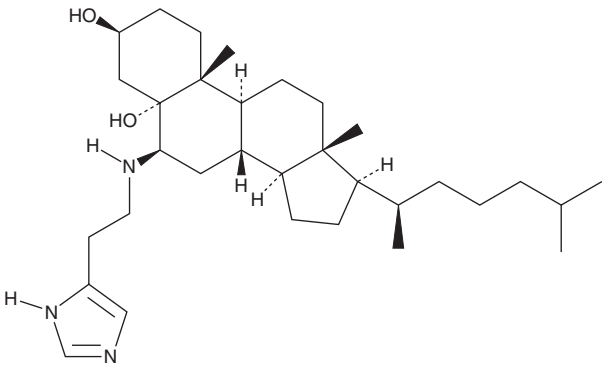
PRODUCT INFORMATION

Dendrogenin A

Item No. 37975



CAS Registry No.:	1191043-85-2
Formal Name:	(3β,5α,6β)-6-[[2-(1H-imidazol-5-yl) ethyl]amino]-cholestane-3,5-diol
Synonym:	DDA
MF:	$C_{32}H_{55}N_3O_2$
FW:	513.8
Purity:	≥95%
Supplied as:	A 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

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

Description

DDA is a selective liver X receptor (LXR) modulator (SLiM), an inhibitor of cholesterol epoxide hydrolase (ChEH; K_i = 120 nM), and an active metabolite of cholesterol.[1,2] It is formed from 5,6α-epoxy cholesterol *via* conjugation with histamine by DDA synthase.[1-3] DDA is found in non-cancerous human mammary epithelial cells and epithelial melanocytes but not in a variety of breast carcinoma or melanoma cells and only at low levels in isolated human breast tumor tissue.[1] It inhibits 22(R)-hydroxy cholesterol-induced activation of LXRβ and LXRα in a reporter assay (IC_{50}s = 76 and 362 nM, respectively) but is also a partial agonist of LXRs, increasing protein levels of Nur77, NOR-1, LC3-I, and LC3-II in B16/F10 murine melanoma cells.[4] It is selective for modulation of LXRα and LXRβ over the pregnane X receptor (PXR), aryl hydrocarbon receptor (AhR), vitamin D receptor (VDR), retinoid X receptor γ (RXRγ), retinoic acid receptor α (RARα), peroxisome proliferator-activated receptor α (PPARα), PPARγ, glucocorticoid receptor, androgen receptor, estrogen receptor α (ERα), and ERβ at 2.5 µM. It also increases protein levels of LC3-II in B16/F10 and SK-MEL-28 cancer cells when used at concentrations of 2.5 and 5 µM and induces autophagic cell death in the same cell types at 2.5 µM. DDA (0.37 µg/kg) reduces tumor growth in a B16/F10 murine model of melanoma and a TS/A murine mammary cancer model and induces cancer cell differentiation *in vitro* and *in vivo*.[2]

References

1. Poirot, M. and Silvente-Poirot, S. The tumor-suppressor cholesterol metabolite, dendrogenin A, is a new class of LXR modulator activating lethal autophagy in cancers. *Biochem. Pharmacol.* **153**, 75-81 (2018).
2. de Medina, P., Paillasse, M.R., Segala, G., *et al.* Dendrogenin A arises from cholesterol and histamine metabolism and shows cell differentiation and anti-tumour properties. *Nat. Commun.* **4**, 1840 (2013).
3. Soulès, R., Audouard-Combe, F., Huc-Claustre, E., *et al.* A fast UPLC-HILIC method for an accurate quantification of dendrogenin A in human tissues. *J. Steroid Biochem. Mol. Biol.* **194**, 105447 (2019).
4. Segala, G., David, M., de Medina, P., *et al.* Dendrogenin A drives LXR to trigger lethal autophagy in cancers. *Nat. Commun.* **8(1)**, 1903 (2017).

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