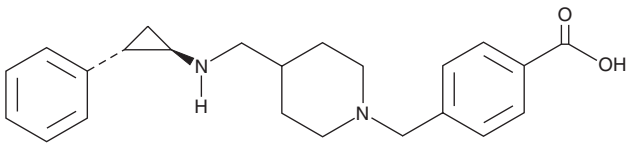
PRODUCT INFORMATION

GSK2879552

Item No. 19403

CAS Registry No.:	1401966-69-5
Formal Name:	4-[[4-[[[(1R,2S)-2-phenylcyclopropyl]amino]methyl]-1-piperidinyl]methyl]-benzoic acid
MF:	$C_{23}H_{28}N_2O_2$
FW:	364.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 224 nm
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

GSK2879552 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK2879552 in the solvent of choice, which should be purged with an inert gas. GSK2879552 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GSK2879552 in these solvents is approximately 20 and 1 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of GSK2879552 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of GSK2879552 in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

GSK2879552 is a selective, orally bioavailable, mechanism-based inactivator of lysine-specific demethylase 1 (LSD1)/corepressor for element-1-silencing transcription factor (CoREST) activity.[1] Inhibition of LSD1 *via* GSK2879552 has been shown to enhance H3K4 methylation and to increase the expression of tumor-suppressor genes.[1,2] GSK2879552 demonstrates anti-proliferative growth effects (EC_{50}s = 2-240 nM) in AML cell lines and is currently under clinical evaluation for cancer treatment.[1,3]

References

1. Mohammad, H.P., Smitheman, K.N., Kamat, C.D., *et al.* A DNA hypomethylation signature predicts antitumor activity of LSD1 inhibitors in SCLC. *Cancer Cell* **28(1)**, 57-69 (2015).
2. Maes, T., Mascaró, C., Ortega, A., *et al.* KDM1 histone lysine demethylases as targets for treatments of oncological and neurodegenerative disease. *Epigenomics* **7(4)**, 609-626 (2015).
3. Zheng, Y.C., Yu, B., Jiang, G.Z., *et al.* Irreversible LSD1 inhibitors: Application of tranylcypromine and its derivatives in cancer treatment. *Curr. Top. Med. Chem.* **16(19)**, 2179-2188 (2016).

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