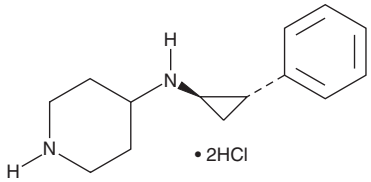
PRODUCT INFORMATION

GSK-LSD1 (hydrochloride)

Item No. 16439

CAS Registry No.:	2102933-95-7
Formal Name:	*rel*-N-[(1R,2S)-2-phenylcyclopropyl]-4-piperidinamine, dihydrochloride
MF:	$C_{14}H_{20}N_2 \bullet 2HCl$
FW:	289.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 211 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

GSK-LSD1 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK-LSD1 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. GSK-LSD1 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of GSK-LSD1 (hydrochloride) in these solvents is approximately 0.1, 25, 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 GSK-LSD1 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of GSK-LSD1 (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Lysine-specific demethylase 1 (LSD1) belongs to the family of flavin adenine dinucleotide (FAD)-dependent amine oxidases that include monoamine oxidases (MAOs) and polyamine oxidase (PAO).[1] LSD1 specifically demethylates mono- and dimethylated histone H3 lysine 4, resulting in transcriptional repression.[2] It also controls the tumor suppressor activity of p53 by demethylating a specific p53 lysine residue (LYS^{370}).[3] GSK-LSD1 is an irreversible, mechanism-based inhibitor of LSD1 (IC_{50} = 16 nM) that is >1,000-fold selective over the closely related FAD-utilizing enzymes LSD2, MAO-A, and MAO-B. GSK-LSD1 induces gene expression changes in various cancer cell lines, inhibiting their proliferation (EC_{50}s <5 nM). See the Structural Genomics Consortium (SGC) website for more information.

References

1. Shi, Y., Lan, F., Matson, C., *et al*. Histone demethylation mediated by the nuclear amine oxidase homolog LSD1. *Cell* **119**, 941-953 (2004).
2. Forneris, F., Binda, C., Vanoni, M.A., *et al*. Human histone demethylase LSD1 reads the histone code. *J. Biol. Chem.* **280(50)**, 41360-41365 (2005).
3. Huang, J., Sengupta, R., Espejo, A.B., *et al*. p53 is regulated by the lysine demethylase LSD1. *Nature* **449**, 105-108 (2007).

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