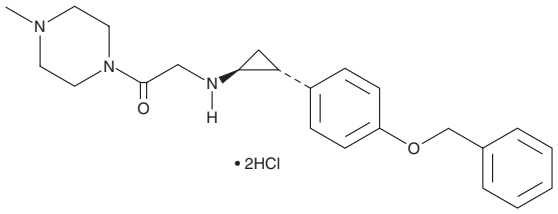
PRODUCT INFORMATION

RN-1 (hydrochloride)

Item No. 18124

CAS Registry No.: 1781835-13-9
Formal Name: *rel*-1-(4-methyl-1-piperazinyl)-2-[[(1R,2S)-2-[4-(phenylmethoxy)phenyl]cyclopropyl]amino]-ethanone, dihydrochloride
Synonym: LSD1 Inhibitor IV
MF: $C_{23}H_{29}N_3O_2 \bullet 2HCl$
FW: 452.4
Purity: ≥95%
UV/Vis.: λ_{max}: 228 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

RN-1 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the RN-1 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. RN-1 (hydrochloride) is soluble in DMSO. The solubility of RN-1 (hydrochloride) in this solvent is approximately 0.3 mg/ml.

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 RN-1 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of RN-1 (hydrochloride) in PBS (pH 7.2) is approximately 0.5 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).[1] RN-1 is a potent, irreversible inhibitor of LSD1 (IC_{50} = 70 nM).[2] It is much less effective against MAO-A and MAO-B (IC_{50}s = 0.51 and 2.8 µM, respectively).[2] Following intraperitoneal administration, RN-1 penetrates the blood-brain barrier and distributes in mice to a brain/plasma exposure ratio of 88.9.[2] It blocks long-term but not short-term memory in mice.[2] RN-1 also induces cytotoxicity in ovarian cancer cell lines and induces fetal hemoglobin synthesis while reducing disease pathology in sickle cell mice.[3,4]

References

1. Shi, Y., Lan, F., Matson, C., *et al.* Histone demethylation mediated by the nuclear amine oxidase homolog LSD1. *Cell* **119(7)**, 941-953 (2004).
2. Neelamegam, R., Ricq, E.L., Malvaez, M., *et al.* Brain-penetrant LSD1 inhibitors can block memory consolidation. *ACS Chem. Neurosci.* **3(2)**, 120-128 (2012).
3. Konovalov, S. and Garcia-Bassets, I. Analysis of the levels of lysine-specific demethylase 1 (LSD1) mRNA in human ovarian tumors and the effects of chemical LSD1 inhibitors in ovarian cancer cell lines. *J. Ovarian Res.* **6(1)**, 75 (2013).
4. Cui, S., Lim, K.C., Shi, L., *et al.* The LSD1 inhibitor RN-1 induces fetal hemoglobin synthesis and reduces disease pathology in sickle cell mice. *Blood* **126(3)**, 286-296 (2015).

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