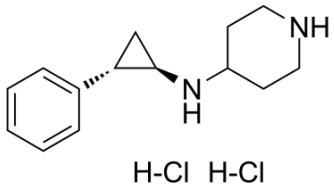
GSK-LSD1 Dihydrochloride

Cat. No.:	HY-100546A
CAS No.:	2102933-95-7
Molecular Formula:	$C_{14}H_{22}Cl_2N_2$
Molecular Weight:	289.24
Target:	Histone Demethylase; Monoamine Oxidase
Pathway:	Epigenetics; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 62.5 mg/mL (216.08 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	3.4573 mL	17.2867 mL	34.5734 mL
	5 mM	0.6915 mL	3.4573 mL	6.9147 mL
	10 mM	0.3457 mL	1.7287 mL	3.4573 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.08 mg/mL (7.19 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.08 mg/mL (7.19 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.08 mg/mL (7.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK-LSD1 Dihydrochloride is a potent, selective and irreversible lysine specific demethylase 1 (**LSD1**) inhibitor with an **IC_{50}** of 16 nM.

IC_{50} & Target

IC50: 16 nM (LSD1)[1]

In Vitro	GSK-LSD1 Dihydrochloride shows more than 1000 fold selectivity over other closely related FAD utilizing enzymes including LSD2, and monoamine oxidases MAO-A, MAO-B[1]. GSK-LSD1 can inhibit KDM1A/LSD1 enzyme activity. GSK-LSD1 induces the formation of LC3-II in U2OS cells. The electronic microscopy shows the formation of autophagosome with GSK-LSD1 treatment. GSK-LSD1 potently inhibits proliferation of varies cancer cell lines by changing gene expression patterns[2].

CUSTOMER VALIDATION

- **Patent**. US20180263995A1.

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REFERENCES

[1]. Purich D. The Inhibitor Index A Desk Reference on Enzyme Inhibitors, Receptor Antagonists, Drugs, Toxins, Poisons, Biologics, and Therapeutic Leads. ISBN 9781138739215

[2]. Wang Z, et al. Inhibition of H3K4 demethylation induces autophagy in cancer cell lines. Biochim Biophys Acta. 2017 Aug 8;1864(12):2428-2437.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA