

Catalog # 10-4019 SP2509

(E)-N'-(1-(5-Chloro-2-hydroxyphenyl)ethylidene)-3-(morpholinosulfonyl)benzohydrazide CAS# 1423715-09-6 Lot # FBS1072

SP2509 is a potent ($IC_{50} = 13$ nM) and reversible inhibitor of the histone demethylase LSD1 (KDM1A).¹ It is inactive against the closely related flavin enzymes MAO A,B as well as lactate dehydrogenase, several CYP's and hERG. LSD1 regulates the balance between self-renewal and differentiation of stem cells and is highly expressed in various cancers.²⁻⁶ SP2509 promotes autophagy in neuroblastoma cells.⁷

- 1) Sorna, et al. (2013), High-Throughput Virtual Screening Identifies Novel N'-(1-Phenylethylidene)-benzohydrazides as Potent, Specific, and Reversible LSD1 Inhibitors; J.Med.Chem. **56** 9496
- Hosseini and Minucci (2017A comprehensive review of lysine-specific demethylase 1 and its roles in cancer; Epigenomics 9 1123
- 3) Fiskus et al. (2014), Highly effective combination of LSD1 (KDM1A) antagonist and pan-histone deacetylase inhibitor against human AML cells; Leukemia 28 2155
- 4) Wen et al. (2018), Novel combination of histone methylation modulators with therapeutic synergy against acute myeloid leukemia in vitro and in vivo; Cancer Lett. **413** 35
- 5) Tsai et al. (2018), Stress-induced phosphoprotein 1 acts as a scaffold protein for glycogen synthase kinase-3 beta-mediated phosphorylation of lysine-specific demethylase 1; Oncogenesis **7** 31
- 6) Lu et al. (2018), Hypoxia Promotes Resistance to EGFR Inhibition in NSCLC Cells via the Histone Demethylases LSD1 and PLU-1; Mol.Cancer Epub ahead of print June 22, 2018
- 7) Ambrosio et al. (2017), Lysine-specific demethylase LSD1 regulates autophagy in neuroblastoma through SESN2-decendent pathway; Oncogene **36** 36701

PHYSICAL DATA

Molecular Weight: 437.90

Molecular Formula: $C_{19}H_{20}CIN_3O_5S$ Purity: >98% by HPLC NMR: Conforms

DMSO (>25 mg/mL)

Physical Description: White solid

Solubility:

Storage and Stability: Store as supplied desiccated at -20°C for up to 1 year from the date of purchase.

Solutions in DMSO may be stored at -20°C for up to 3 months.

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