TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM
FOR GLOBAL CONTACT DETAILS VISIT WWW.STEMCELL.COM

SP2509

Histone modifier; Inhibits lysine-specific demethylase 1 (LSD1)

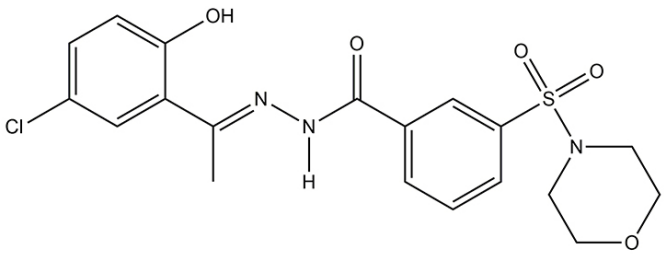
Catalog #100-1643 5 mg

Product Description

SP2509 is a potent and reversible inhibitor of lysine-specific demethylase 1 (LSD1; IC_{50} = 13 nM). LSD1 demethylates mono- and di-methylated lysine residues on histone H3 to regulate transcription and is a key component of the Mi-2/nucleosome remodeling and deacetylase (NuRD) complex that has been implicated in many cancers (Hayami et al.; Sorna et al.). By inhibiting LSD1, SP2509 disrupts the formation of complexes that regulate expression of genes related to cell growth and apoptosis in cancer cells (Sankar et al.).

Alternative Names:	Not applicable
CAS Number:	1423715-09-6
Chemical Formula:	$C_{19}H_{20}ClN_3O_5S$
Molecular Weight:	437.9 g/mol
Purity:	≥ 98%
Chemical Name:	(E)-N'-(1-(5-Chloro-2-hydroxyphenyl)ethylidene)-3-(morpholinosulfonyl)benzohydrazide

Structure:



Properties

Product Format: A white powder

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:

• DMSO ≤ 100 mM
For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 228 µL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
• Induces apoptosis in human Ewing sarcoma cell lines and reduces tumor growth in an Ewing sarcoma mouse xenograft model (Sankar et al.).
• Downregulates Bcl-2 and Mcl-1 expression to induce apoptosis in human renal carcinoma cells (Wu et al.).
• Inhibits cell growth and apoptosis in human lung cancer cell lines and in vivo in a mouse xenograft model (Zhen et al.).
• Induces apoptosis, reduces colony formation, promotes differentiation of human acute myeloid leukemia (AML) cells, and improves survival in an AML mouse xenograft model (Fiskus et al.).

References

Fiskus W et al. (2014) Highly effective combination of LSD1 (KDM1A) antagonist and pan-histone deacetylase inhibitor against human AML cells. Leukemia 28(11): 2155–64.
Hayami S et al. (2011) Overexpression of LSD1 contributes to human carcinogenesis through chromatin regulation in various cancers. Int J Cancer 128(3): 574–86.
Sankar S et al. (2014) Reversible LSD1 inhibition interferes with global EWS/ETS transcriptional activity and impedes Ewing sarcoma tumor growth. Clin Cancer Res 20(17): 4584–97.
Sorna V et al. (2013) High-throughput virtual screening identifies novel N′-(1-phenylethylidene)-benzohydrazides as potent, specific, and reversible LSD1 inhibitors. J Med Chem 56(23): 9496–508.
Wu K et al. (2020) The histone lysine-specific demethylase 1 inhibitor, SP2509 exerts cytotoxic effects against renal cancer cells through downregulation of Bcl-2 and Mcl-1. J Cancer Prev 25(2): 79–86.
Zhen H et al. (2021) SP2509, an inhibitor of LSD1, exerts potential antitumor effects by targeting the JAK/STAT3 signaling. Acta Biochim Biophys Sin (Shanghai) 53(8): 1098–105.

Related Products

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