# PRODUCT INFORMATION

## SP-2509

*Item No. 15487*

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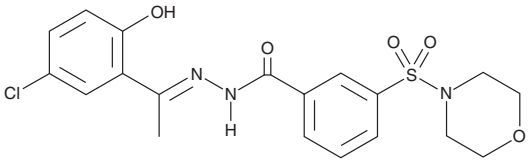
| **CAS Registry No.:** | 1423715-09-6 |
| **Formal Name:** | 3-(4-morpholinylsulfonyl)-benzoic acid (2E)-2-[1-(5-chloro-2-hydroxyphenyl)ethylidene]hydrazide |
| **Synonyms:** | HCI-2509, LSD1 Inhibitor VII |
| **MF:** | $C_{19}H_{20}ClN_3O_5S$ |
| **FW:** | 437.9 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 220, 284, 336 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

SP-2509 is supplied as a crystalline solid. A stock solution may be made by dissolving the SP-2509 in the solvent of choice, which should be purged with an inert gas. SP-2509 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of SP-2509 in these solvents is approximately 25 and 50 mg/ml, respectively.

SP-2509 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

### Description

Lysine-specific demethylase 1 (LSD1) is a protein lysine demethylase that specifically demethylates histone H3 lysine 4 (H3K4), resulting in transcriptional repression.[1] SP-2509 is a reversible inhibitor of LSD1 ($IC_{50}$ = 13 nM).[2] It has no effect on monoamine oxidases A and B. SP-2509 attenuates the binding of LSD1 to CoREST, allowing increased methylation of H3K4 and driving increased expression of p21, p27, and CCAAT/enhancer binding protein α in cultured acute myeloid leukemia (AML) cells.[2,3] It improves survival of mice with AML xenografts when given (25 mg/kg biweekly *via* intraperitoneal injection) for three weeks.[2] Co-treatment of SP-2509 with the pan-HDAC inhibitor panobinostat (Item No. 13280) synergistically kills AML cells *in vitro* and improves survival of mice engrafted with AML cells.[2,3]

### References


1. 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).
2. Pre-clinical efficacy of combined therapy with LSD1 antagonist SP-2509 and pan-histone deacetylase inhibitor against AML blast progenitor cells, (2012), 1 *in* 54th ASH Annual Meeting and Exposition.
3. Fiskus, W., Sharma, S., Shah, B., *et al*. Highly effective combination of LSD1 (KDM1A) antagonist and pan-histone deacetylase inhibitor against human AML cells. *Leukemia* **28(11)**, 2155-2164 (2014).





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