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siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

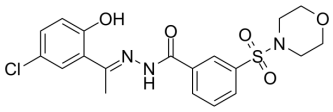
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

SP2509

Cat. No.:	HY-12635
CAS No.:	1423715-09-6
Molecular Formula:	$C_{19}H_{20}ClN_3O_5S$
Molecular Weight:	437.9
Target:	Histone Demethylase; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	4°C, protect from light * In solvent : -80°C, 2 years; -20°C, 1 year (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 33 mg/mL (75.36 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2836 mL	11.4181 mL	22.8363 mL
5 mM	0.4567 mL	2.2836 mL	4.5673 mL
10 mM	0.2284 mL	1.1418 mL	2.2836 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 (4.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SP2509 is a potent and selective antagonist of lysine specific demethylase 1 (LSD1) with an IC_{50} of 13 nM[1].

IC_{50} & Target

IC50: 13 nM (LSD1)[1]

In Vitro

SP2509 (250, 500, 1000 nM) inhibits LSD1 activity, depletes colony growth and induces apoptosis and cell death of cultured human acute myeloid leukemia cells, and increases H3K4Me3 on the promoters of p57 Kip, KLF4, and p21 and induces mRNA expression of p57Kip, KLF4 and p21 in AML cells. SP2509 (250, 1000 nM) induces features of morphologic differentiation of cultured and primary AML cells. Besides, SP2509 in combination with PS exerts synergistic lethal activity against cultured and primary AML cells[1]. SP2509 does not destabilize the CoREST-LSD1 interaction, and has no major destabilizing effect on the CRC. SP2509 (1 or 10 μM) induces cell death, but there are no morphological changes at a low concertation of 0.1 μM. SP2509 likewise interferes with the viability of medulloblastoma cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Treatment with SP2509 (25 mg/kg) and/or PS (5 mg/kg) significantly enhances PS-mediated loss of viability of $CD34^+$ primary AML cells and improves the survival of mice bearing AML xenografts and primagrafts[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Daoy and D283 Med cells are used in the assay. ONS-76 cells used. All medulloblastoma cell lines are kept in an incubator at 37°C in a 5% CO_2/5% O_2/90% N_2 atmosphere with maximum humidity. XTT assays are performed in triplicates (n = 3) with three replicates for each using 1×10^3 Daoy cells/well, 4×10^3 D283 Med cells/well, and 1×10^3 ONS-76 cells/well in 100 µL of medium at initial seeding in 96-well plates. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Female NOD/SCID mice are exposed to 2.5 Gy of radiation. The following day, 5 million OCI-AmL3 cells are injected into the lateral tail vein of the mice and the mice are monitored for 7 days. Following treatments are administered in cohorts of 8 mice for each treatment: vehicle alone, 25 mg/kg SP2509, 5 mg/kg LBH589 and SP2509 plus LBH589. Treatments are initiated on day 7 for OCI-AmL3 cells. SP2509 (formulated in solubilization buffer [20% Cremaphor, 20% DMSO, 60% sterile water]) is administered twice per week (Tues and Thurs) intraperitoneally (IP) for 3 weeks, and then discontinued. LBH589 (formulated in 5% DMSO/ 95% normal saline) is administered by IP injection 3 days per week (M-W-F) for 3 weeks and discontinued. The doses of PS utilized in these studies are determined to be safe and effective. A separate in vivo experiment is conducted utilizing NSG mice and primary AmL cells. Following engraftment of the AmL cells (presence of greater than 1% $CD45^+$ cells in the peripheral blood), mice are treated with SP2509 and/or PS, for three weeks. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2019 Mar;9(2):324-334.
- J Exp Clin Cancer Res. 2022 Jun 2;41(1):191.
- Cell Death Discov. 2021 Apr 6;7(1):69.
- ACS Pharmacol Transl Sci. November 12, 2021.
- Brain Res Bull. 2022 Oct 11;S0361-9230(22)00280-5.

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REFERENCES

[1]. Fiskus W, et al. Highly effective combination of LSD1 (KDM1A) antagonist and pan-histone deacetylase inhibitor against human AML cells. Leukemia. 2014 Nov;28(11):2155-64.

[2]. Inui K, et al. Stepwise assembly of functional C-terminal REST/NRSF transcriptional repressor complexes as a drug target. Protein Sci. 2017 Feb 20

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