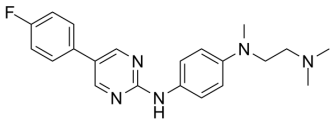
LSD1-IN-14

Cat. No.:	HY-145861
CAS No.:	2698340-11-1
Molecular Formula:	$C_{21}H_{24}FN_5$
Molecular Weight:	365.45
Target:	Histone Demethylase; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	LSD1-IN-14 is a potent and selective LSD1 inhibitor (IC_{50}=0.89 μM). LSD1-IN-14 can significantly inhibit the proliferation of A549 and THP-1 cells and induce the apoptosis of tumor cells[1].
IC_{50} & Target	IC_{50}: 0.89 μM (LSD1)[1]

In Vitro

LSD1-IN-14 (compound x43) (0-20 μM; 72 hours) has a superior ability to inhibit the proliferation of A549 and THP-1 cells, with IC_{50} values of 1.62 μM and 1.21 μM, respectively[1].

LSD1-IN-14 (0-3 μM ;72 hours) significantly upregulates the expression of substrate H3K4me2 and H3K9me2 in a dose-dependent manner[1].

LSD1-IN-14 (0-3 μM;72 hours) induces the apoptosis of 53.6% of A549 cells in a dose-dependent manner[1].

LSD1-IN-14 (1 mM; 60 minutes) has excellent stability in human liver microsomes and weak CYP inhibition, with $T_{1/2}$ of 103.3 min and $Cl_{int(mic)}$ of 13.4 μL/min/mg[1].

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

Cell Proliferation Assay

Cell Line:	A549 and THP-1[1]
Concentration:	0-20 μM
Incubation Time:	72 hours
Result:	Showed a superior ability to inhibit the proliferation of A549 and THP-1 cells, with IC50 values of 1.62 μM and 1.21 μM, respectively.

Western Blot Analysis

Cell Line:	A549 cells[1]
Concentration:	0, 0.3, 1 and 3 μM
Incubation Time:	72 hours
Result:	Significantly upregulated the expression of substrate H3K4me2 and H3K9me2 in a dose-dependent manner.

Apoptosis Analysis

Cell Line:	A549 cells[1]
Concentration:	0, 0.3, 1 and 3 μM
Incubation Time:	72 hours
Result:	Induced the apoptosis of 53.6% of cells in a dose-dependent manner.

In Vivo

LSD1-IN-14 (2 mg/kg for i.v., 10 mg/kg for i.g, single) has an acceptable half-life and oral bioavailability[1].
Pharmacokinetic Parameters of LSD1-IN-14 in male Sprague-Dawley rats[1].

	IV (2 mg/kg)		IG (10 mg/kg)
C_0 (ng/mL)	575	C_{max} (ng/mL)	41.1
$T_{1/2}$ (h)	1.0	$T_{1/2}$ (h)	2.8
Vd_{ss} (L/kg)	6.6	T_{max} (h)	0.8
Cl (mL/min/kg)	156	AUC_{0-t} (ng.h/mL)	126
AUC_{0-t} (ng.h/mL)	211	$AUC_{0-\infty}$ (ng.h/mL)	152
$AUC_{0-\infty}$ (ng.h/mL)	214	Bioacailability (%)	11.9

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Animal Model:	Male Sprague-Dawley rats[1]
Dosage:	2 mg/kg for i.v., 10 mg/kg for i.g.
Administration:	i.v. and i.g, single
Result:	Showed an acceptable half-life and oral bioavailability.

REFERENCES

[1]. Wang X, et al. Design, synthesis and biological evaluation of 2-aminopyrimidine-based LSD1 inhibitors. Bioorg Chem. 2022;121:105699.

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