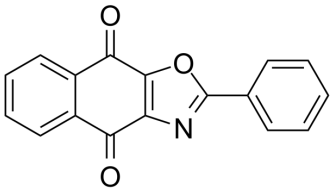
SJB2-043

Cat. No.:	HY-15757
CAS No.:	63388-44-3
Molecular Formula:	$C_{17}H_9NO_3$
Molecular Weight:	275.26
Target:	Deubiquitinase
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 3.33 mg/mL (12.10 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6329 mL	18.1646 mL	36.3293 mL
	5 mM	0.7266 mL	3.6329 mL	7.2659 mL
	10 mM	0.3633 mL	1.8165 mL	3.6329 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

SJB2-043 is an inhibitor of the native USP1/UAF1 complex with IC_{50} of 544 nM.

IC_{50} & Target

IC50: 544 nM (USP1/UAF1)[1]

In Vitro

SJB2-043 causes a dose-dependent decrease in ubiquitin-specific protease 1 (USP1) levels and a concomitant degradation of inhibitor of DNA-binding-1 (ID1) protein in the K562 cells at a micromolar drug concentration. SJB2-043 also causes a decrease in the levels of other ID proteins, namely ID2 and ID3 in K562 cells. SJB2-043 causes a dose-dependent decrease in the number of viable K562 cells, with an EC_{50} of approximately 1.07 μM. Moreover, SJB2-043 induces apoptosis of K562 cells in a dose-dependent manner[1].

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

PROTOCOL

Kinase Assay [1]	The in vitro enzymatic assays are performed using ubiquitin-AMC (Ub-7-amido-4methylcoumarin) as a substrate in a reaction buffer containing 20 mM HEPES-KOH (pH 7.8), 20 mM NaCl, 0.1 mg/mL ovalbumin, 0.5 mM EDTA and 10 mM dithiothreitol. The fluorescence is measured by FluoStar Galaxy Fluorometer. For the Ub-vinylsulfone (VS) assay, the proteins are incubated with Ub-VS at 0.5 μM final concentration for 45 min at 30°C, followed by the immunoblotting analysis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	Leukemic cell lines are grown in RPMI 1640 medium supplemented with 10% fetal bovine serum and penicillin/streptomycin. Hela cells and U2OS cells are grown in DMEM supplemented with 10% fetal bovine serum and penicillin/streptomycin. USP1 inhibitor C527 and its derivatives (e.g., SJB2-043) are synthesized and the purity is validated by high-performance liquid chromatography. Primary human AML patient samples are collected from DFCI leukemia program under the approval of appropriate protocols. Cells are treated with DMSO or USP1 inhibitors (e.g., SJB2-043) in appropriate medium for 24-72 hrs. The viable cell counts are determined using Trypan blue staining, Cell TiterGlo reagent or MTT assay. The apoptotic cells are detected using AnnexinV and 7AAD staining using flow cytometry. For Benzidine staining, the cells are washed twice with PBS and resuspended in 45 μL of PBS + 5 μL of Benzidine stain solution (0.2% in 0.5 M glacial acetic acid, 3% H_2O_2). After 45 min incubation at room temperature, the Benzidine positive cells are detected by light microscopy[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Trends Pharmacol Sci. 2014 Apr;35(4):187-207.
- J Med Chem. 2022 Oct 11.
- FASEB J. 2021 Aug;35(8):e21800.

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REFERENCES

[1]. Mistry H, et al. Small molecule inhibitors of USP1 target ID1 degradation in leukemic cells. Mol Cancer Ther. 2013 Dec;12(12):2651-62.

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