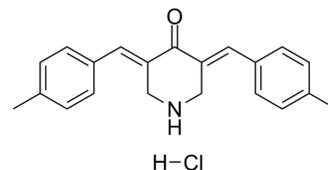


NSC632839

Cat. No.:	HY-100708
CAS No.:	157654-67-6
Molecular Formula:	C ₂₁ H ₂₂ ClNO
Molecular Weight:	339.86
Target:	Deubiquitinase
Pathway:	Cell Cycle/DNA Damage
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 4 mg/mL (11.77 mM; ultrasonic and warming and heat to 60°C)

Concentration	Mass			
	1 mg	5 mg	10 mg	
1 mM	2.9424 mL	14.7119 mL	29.4239 mL	
5 mM	0.5885 mL	2.9424 mL	5.8848 mL	
10 mM	0.2942 mL	1.4712 mL	2.9424 mL	

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

BIOLOGICAL ACTIVITY

Description

NSC632839 is a nonselective isopeptidase inhibitor, which inhibits USP2, USP7, and SENP2 with EC₅₀s of 45±4 μM, 37±1 μM, and 9.8±1.8 μM, respectively.

IC₅₀ & Target

EC₅₀: 45±4 μM (USP2), 37±1 μM (USP7), 9.8±1.8 μM (SENP2)^[1]

In Vitro

NSC 632839 inhibits ubiquitin isopeptidases as illustrated by its ability to inhibit z-LRGG-AMC cleavage by crude lysates in the mid-micromolar range. To further characterize NSC 632839 against purified enzymes, its inhibitory potential is determined against purified USP2, USP7, and SENP2 and demonstrated that NSC 632839 is not only a DUB inhibitor, but also a deSUMOylase inhibitor. Specifically, NSC 632839 inhibits USP2, USP7, and SENP2 with EC₅₀ values of 45±4 μM, 37±1 μM, and 9.8±1.8 μM, respectively. Importantly, NSC 632839 does not inhibit the reporter enzyme PLA₂ over the concentration range tested (1.2-150 μM), indicating that the reported inhibition is selective for isopeptidases. Moreover, the isopeptidase inhibitory activity of NSC 632839 is confirmed by the observation that it does not inhibit free PLA₂ over the concentration range tested^[1].

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

PROTOCOL

Kinase Assay ^[1]

In a 96-well-plate, 40 nM USP2, 40 nM USP7, or 20 nM SENP2 is preincubated with a concentration range of NSC 632839 (NCI/NIH developmental therapeutics program) or control for 30 min before supplementation with an equal volume of 60 nM Ub-PLA₂/40 μM NBD C₆-HPC (USP2 or 7) or 20 nM SUMO3-PLA₂/40 μM NBD C₆-HPC (SENP2). Relative activity of the enzymes is determined by measuring the RFU values at single time points within the initial linear range (USP, 50 min; USP7, 50 min; and SENP2, 30 min). The RFU values within the initial linear range are normalized such that isopeptidase+vehicle=0% inhibition and isopeptidase+NEM=100% inhibition. The EC₅₀ values are determined as above. The inhibitory activity of the test compound against the reporter enzyme PLA₂ is performed as described above except there is no preincubation step and the data are normalized such that free PLA₂+vehicle=0% inhibition and free PLA₂+EDTA=100% inhibition. PLA₂ activity is determined 8 min after the addition of the reagents^[1].

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

CUSTOMER VALIDATION

- Cell Chem Biol. 2021 Apr 27;S2451-9456(21)00213-0.
- J Med Chem. 2022 Oct 11.
- Biochem Biophys Res Commun. 2018 Mar 4;497(2):726-733.

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REFERENCES

[1]. Nicholson B, et al. Characterization of ubiquitin and ubiquitin-like-protein isopeptidase activities. Protein Sci. 2008 Jun;17(6):1035-43.

Caution: Product has not been fully validated for medical applications. For research use only.

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