NSC632839

Cat. No.: HY-100708

CAS No.: 157654-67-6

Molecular Formula: $C_{21}H_{22}ClNO$

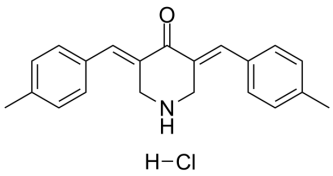
Molecular Weight: 339.86

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)

Preparing Stock Solutions	Mass / Solvent / Concentration	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 EC_{50}s of 45±4 μM, 37±1 μM, and 9.8±1.8 μM, respectively.

IC_{50} & 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 EC_{50} values of 45±4 μM, 37±1 μM, and 9.8±1.8 μM, respectively. Importantly, NSC 632839 does not inhibit the reporter enzyme PLA_2 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 PLA_2 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-PLA_2/40 μM NBD C_6-HPC (USP2 or 7) or 20 nM SUMO3-PLA_2/40 μM NBD C_6-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 EC_{50} values are determined as above. The inhibitory activity of the test compound against the reporter enzyme PLA_2 is performed as described above except there is no preincubation step and the data are normalized such that free PLA_2+vehicle=0% inhibition and free PLA2+EDTA=100% inhibition. PLA_2 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.

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