

产品名称: NSC 632839

产品别名: NSC632839

生物活性:																									
Description	NSC632839 is a nonselective isopeptidase inhibitor, which inhibits USP2 , USP7 , and SEN2 with EC_{50} s of $45\pm 4 \mu\text{M}$, $37\pm 1 \mu\text{M}$, and $9.8\pm 1.8 \mu\text{M}$, respectively.																								
IC₅₀ & Target	EC50: $45\pm 4 \mu\text{M}$ (USP2), $37\pm 1 \mu\text{M}$ (USP7), $9.8\pm 1.8 \mu\text{M}$ (SEN2)[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 SEN2 and demonstrated that NSC 632839 is not only a DUB inhibitor, but also a deSUMOylase inhibitor. Specifically, NSC 632839 inhibits USP2, USP7, and SEN2 with EC50 values of $45\pm 4 \mu\text{M}$, $37\pm 1 \mu\text{M}$, and $9.8\pm 1.8 \mu\text{M}$, respectively. Importantly, NSC 632839 does not inhibit the reporter enzyme PLA2 over the concentration range tested ($1.2\text{-}150 \mu\text{M}$), 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].																								
Solvent&Solubility	In Vitro: DMSO : 6.2 mg/mL (18.24 mM; Need warming)																								
	<table border="1"> <thead> <tr> <th rowspan="2">Preparing</th> <th>Solvent</th> <th>Mass</th> <th rowspan="2">1 mg</th> <th rowspan="2">5 mg</th> <th rowspan="2">10 mg</th> </tr> <tr> <th>Concentration</th> <th></th> </tr> </thead> <tbody> <tr> <td rowspan="3">Stock Solutions</td> <td>1 mM</td> <td></td> <td>2.9424 mL</td> <td>14.7119 mL</td> <td>29.4239 mL</td> </tr> <tr> <td>5 mM</td> <td></td> <td>0.5885 mL</td> <td>2.9424 mL</td> <td>5.8848 mL</td> </tr> <tr> <td>10 mM</td> <td></td> <td>0.2942 mL</td> <td>1.4712 mL</td> <td>2.9424 mL</td> </tr> </tbody> </table>	Preparing	Solvent	Mass	1 mg	5 mg	10 mg	Concentration		Stock Solutions	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
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*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C , 6 months; -20°C , 1 month。 -80°C 储存时，请在 6 个月内使用， -20°C 储存时，请在 1 个月内使用。																									
References	[1]. Nicholson B, et al. Characterization of ubiquitin and ubiquitin-like-protein isopeptidase activities. <i>Protein Sci.</i> 2008 Jun;17(6):1035-43.																								
实验参考:																									
Kinase Assay	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 (SEN2). 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].																								
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