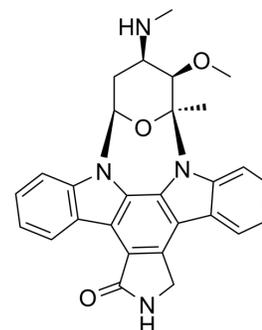


## Staurosporine

<b>Cat. No.:</b>	HY-15141		
<b>CAS No.:</b>	62996-74-1		
<b>Molecular Formula:</b>	C <sub>28</sub> H <sub>26</sub> N <sub>4</sub> O <sub>3</sub>		
<b>Molecular Weight:</b>	466.53		
<b>Target:</b>	PKC; PKA; Bacterial; Fungal; Antibiotic; Apoptosis		
<b>Pathway:</b>	Epigenetics; TGF-beta/Smad; Stem Cell/Wnt; Anti-infection; Apoptosis		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 62.5 mg/mL (133.97 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM	2.1435 mL	10.7174 mL	21.4348 mL
		5 mM	0.4287 mL	2.1435 mL	4.2870 mL
10 mM		0.2143 mL	1.0717 mL	2.1435 mL	
Please refer to the solubility information to select the appropriate solvent.					
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 0.5% CMC-Na/saline water Solubility: 3.33 mg/mL (7.14 mM); Suspension solution; Need ultrasonic</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 2.08 mg/mL (4.46 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: 2.08 mg/mL (4.46 mM); Suspended solution; Need ultrasonic</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.08 mg/mL (4.46 mM); Clear solution</li> </ol>				

### BIOLOGICAL ACTIVITY

<b>Description</b>	Staurosporine is a potent, ATP-competitive and non-selective inhibitor of protein kinases with IC <sub>50</sub> s of 6 nM, 15 nM, 2 nM, and 3 nM for PKC, PKA, c-Fgr, and Phosphorylase kinase respectively. Staurosporine also inhibits TAOK2 with an IC <sub>50</sub> of 3 μM. Staurosporine is an apoptosis inducer <sup>[1][2][3][4][5]</sup> .			
<b>IC<sub>50</sub> &amp; Target</b>	PKC	PKA	c-Fgr	Phosphorylase kinase

	6 nM (IC <sub>50</sub> )	15 nM (IC <sub>50</sub> )	2 nM (IC <sub>50</sub> )	3 nM (IC <sub>50</sub> )
	S6 kinase (70 kDa) 5 nM (IC <sub>50</sub> )	v-Src 6 nM (IC <sub>50</sub> )	cdc2 9 nM (IC <sub>50</sub> )	TPK-IIB/Syk 16 nM (IC <sub>50</sub> )
	Ca <sup>2+</sup> /CaM PK-11 20 nM (IC <sub>50</sub> )	MLCK 21 nM (IC <sub>50</sub> )	IR 61 nM (IC <sub>50</sub> )	EGF-R 100 nM (IC <sub>50</sub> )
	ERK-1 1500 nM (IC <sub>50</sub> )	CSK 2000 nM (IC <sub>50</sub> )	IGF-IR 6150 nM (IC <sub>50</sub> )	CK2 19500 nM (IC <sub>50</sub> )
	CK1 163500 nM (IC <sub>50</sub> )			

**In Vitro**

Staurosporine, widely used as a protein kinase C (PKC) inhibitor with a broad spectrum of activity, is an alkaloid which can be isolated from the culture broth of *Streptomyces staurospores*. MC3T3E-1 osteoblasts, expose to Staurosporine (100 nM) for 12 h, release an amount of LDH (12.4±3.1%) that is similar to that release by the control cells(10.0±2.4%), indicating the relative absence of lytic death, which occurs in necrosis. In addition, treatment with Staurosporine (100 nM) results in morphological changes, characteristic of apoptosis: a brightblue fluorescent condensed nuclei seen through a fluorescence microscope after Hoechst 33258-staining, and a reduction of cell volume<sup>[2]</sup>.

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

**In Vivo**

The inhibitory effect of Staurosporine is statistically significant at around Wk 10 of tumor promotion. Although statistically significant inhibition is not obtained with 10 ng of Staurosporine in later weeks of the experiment, a decreasing tendency in the percentages of tumor bearing mice and in average numbers of tumors per mouse is apparent. Thus, Staurosporine slightly inhibits tumor promotion of Teleocidin, even at the dose at which Staurosporine itself induced tumors<sup>[3]</sup>. Staurospone (0.05 and 0.1 mg/kg intraperitoneal) attenuates the impaired performance of water maze and passive avoidance tasks, even though the drug administration began 2 weeks after the lesion. Moreover, Staurosporine (0.1 mg/kg) partially reversed the decrease of choline acetyltransferase activity in the fronto-parietal cortex induced by basal forebrain-lesion. These results suggest that Staurosporine attenuates impairment of learning through reversal of damage to cholinergic neurons induced by basal forebrain-lesion<sup>[4]</sup>.

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## PROTOCOL

### Animal Administration <sup>[3][4]</sup>

#### Mice<sup>[3]</sup>

Female CD-1 mice are used. Various amounts of Staurosporine in 10 µL of acetone are applied to the ears of 8-wk-old CD-1 mice. The extent of irritation is expressed as the minimum dose of the compound causing irritation. Induction of HOC in Mouse Skin Staurosporine in 0.1 mL of acetone is applied to the skin of the backs of CD-1 mice, and a crude enzyme extract is obtained from the skin 18 h later. HDC activity is expressed as pmol of CO<sub>2</sub> released per mg of protein per 1 h of incubation. Induction of ODC in Mouse Skin Staurosporine in 0.2 mL of acetone is applied to the skin of the backs of CD-1 mice. After 4 h, a crude enzyme extract is prepared from the epidermis, and its ODC activity is measured. Enzyme activity is expressed as nmol of CO<sub>2</sub> per mg of protein per 30 min of incubation.

#### Rats<sup>[4]</sup>

Male Kbl Wistar rats (weighing 270 to 310 g) are used. In the group which is given Staurosporine for 2 weeks, the water maze task and Staurosporine administration are started 2 weeks after the BF-lesion, and the passive avoidance task is carried out 4 weeks after the BF-lesion. The rat received Staurosporine at doses of 0.01, 0.03, 0.1, and 0.3 mg/kg (i.p., N=10 in each group for 2 weeks) 30 min prior to the water maze training sessions and the passive avoidance task acquisition trial. In the group which is given Staurosporine for 4 weeks, the drug is first given 2 weeks after the BF-lesion. The water maze task is carried out 4 weeks after the BF-lesion. The passive avoidance task is carried out 6 weeks after the BF-lesion. The rat received Staurosporine at 0.05, 0.1, and 0.2 mg/kg (i.p., N=10 in each group) once a day for 2 weeks before training, and for 2 weeks after the water maze training sessions and the passive avoidance task acquisition trial. Staurosporine is suspended in 0.3%

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of sodium carboxymethyl cellulose. The vehicle is administered to the non-lesioned controls and the lesioned controls on the same schedule as the Staurosporine-treated animals.

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## CUSTOMER VALIDATION

- Nature. 2021 Mar;591(7850):477-481.
- Cell. 2018 Sep 6;174(6):1477-1491.e19.
- Cell Res. 2018 Dec;28(12):1171-1185.
- Signal Transduct Target Ther. 2020 Oct 9;5(1):235.
- Immunity. 2022 Aug 9;55(8):1370-1385.e8.

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## REFERENCES

- [1]. Meggio F, et al. Different susceptibility of protein kinases to staurosporine inhibition. Kinetic studies and molecular bases for the resistance of protein kinase CK2. Eur J Biochem. 1995 Nov 15;234(1):317-22.
- [2]. Chae HJ, et al. Molecular mechanism of staurosporine-induced apoptosis in osteoblasts. Pharmacol Res. 2000 Oct;42(4):373-81.
- [3]. Yoshizawa S, et al. Tumor-promoting activity of staurosporine, a protein kinase inhibitor on mouse skin. Cancer Res. 1990 Aug 15;50(16):4974-8.
- [4]. Nabeshima T, et al. Staurosporine facilitates recovery from the basal forebrain-lesion-induced impairment of learning and deficit of cholinergic neuron in rats. J Pharmacol Exp Ther. 1991 May;257(2):562-6.
- [5]. Yujie Ren, et al. The ORF3a Protein of SARS-CoV-2 Induces Apoptosis in Cells. Cell Mol Immunol. 2020 Jun 18;1-3.
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