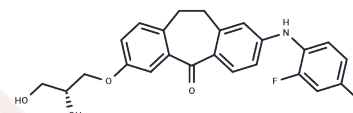


## Skepinone-L

## Chemical Properties

CAS No. :	1221485-83-1
Formula:	C <sub>24</sub> H <sub>21</sub> F <sub>2</sub> N <sub>0</sub> O <sub>4</sub>
Molecular Weight:	425.42
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Skepinone-L (CBS3830) is a selective inhibitor of p38 mitogen-activated protein kinase.
Targets(IC50)	Autophagy,p38 MAPK
In vitro	Skepinone-L shows concentration-dependent inhibition of HSP27 (Ser82) phosphorylation through the p38 MAPK pathway with a cellular IC <sub>50</sub> of approximately 25 nM, and also reduces concentrations of TNF- $\alpha$ , IL-1 $\beta$ and IL-10, regulated by p38 MAPK, with IC <sub>50</sub> ranging from 30 to 50 nM . [1] Skepinone-L (1 $\mu$ M) abrogates the phosphorylation of platelet p38 MAPK substrate Hsp27 activated by stimulation with CRP, thrombin or thromboxane A <sub>2</sub> analogue U-46619, and impairs platelet secretion and aggregation. [2]
In vivo	In vivo, skepinone-L inhibits Gal/ LPS-induced TNF- $\alpha$ release by 77%. [1]
Kinase Assay	CDK1 kinase activity is tested by the CDK1/cyclin B complex purified from baculovirus to phosphorylate a biotinylated peptide substrate containing the consensus phosphorylation site for histone H1, which is phosphorylated in vivo by CDK1. Inhibition of CDK1 activity is measured by observing a decreased amount of 33P- $\gamma$ -ATP incorporation into the immobilized substrate in streptavidin-coated 96-well scintillating microplates. CDK1 enzyme is diluted in 50 mM Tris-HCl (pH 8), 10 mM MgCl <sub>2</sub> , 0.1 mM Na <sub>3</sub> VO <sub>4</sub> , 1 mM DTT, 1% DMSO, 0.25 $\mu$ M peptide, 0.1 $\mu$ Ci per well 33P- $\gamma$ -ATP, and 5 $\mu$ M ATP in the presence or absence of various concentrations of JNJ-7706621 and incubated at 30 °C for 1 hour. The reaction is terminated by washing with PBS containing 100 mM EDTA and plates are counted in a scintillation counter. IC <sub>50</sub> is determined by Linear regression analysis of the percent inhibition by JNJ-7706621.
Cell Research	Concentrations: 1 nM - 10 $\mu$ M, dissolved in DMSO

## Solubility Information

Solubility	DMSO: 50 mg/mL (117.53 mM),Sonication is recommended. H <sub>2</sub> O: < 1 mg/mL (insoluble or slightly soluble),
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## A DRUG SCREENING EXPERT

Solubility	Ethanol: 79 mg/mL (185.7 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.7 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3506 mL	11.7531 mL	23.5062 mL
5 mM	0.4701 mL	2.3506 mL	4.7012 mL
10 mM	0.2351 mL	1.1753 mL	2.3506 mL
50 mM	0.047 mL	0.2351 mL	0.4701 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Koeberle SC, et al. Nat Chem Biol. 2011, 8(2), 141-143.

Borst O, et al. Cell Physiol Biochem. 2013, 31(6), 914-924.

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