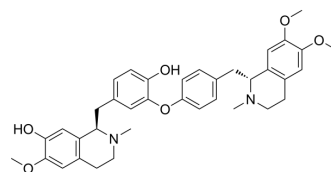


## Daurisoline

<b>Cat. No.:</b>	HY-N0221
<b>CAS No.:</b>	70553-76-3
<b>Molecular Formula:</b>	C <sub>37</sub> H <sub>42</sub> N <sub>2</sub> O <sub>6</sub>
<b>Molecular Weight:</b>	610.74
<b>Target:</b>	Autophagy; Potassium Channel
<b>Pathway:</b>	Autophagy; Membrane Transporter/Ion Channel
<b>Storage:</b>	Powder    -20°C    3 years 4°C        2 years In solvent   -80°C    2 years -20°C    1 year



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 100 mg/mL (163.74 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	<b>Preparing Stock Solutions</b>	1 mM	1.6374 mL	8.1868 mL	16.3736 mL
		5 mM	0.3275 mL	1.6374 mL	3.2747 mL
10 mM		0.1637 mL	0.8187 mL	1.6374 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: 50% PEG300 &gt;&gt; 50% saline Solubility: 20 mg/mL (32.75 mM); Clear 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: ≥ 2.5 mg/mL (4.09 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.09 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.5 mg/mL (4.09 mM); Clear solution</li> </ol>				

### BIOLOGICAL ACTIVITY

<b>Description</b>	Daurisoline is a bis-benzylisoquinoline alkaloid that can be isolated from <i>Menispermum dauricum</i> and <i>Rhizoma Menispermum</i> . Daurisoline exerts a blocking effect on hERG and has antiarrhythmic effects. Daurisoline is a potent autophagy blocker that can be used for the research of cancer <sup>[1][2]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	hERG <sup>[1]</sup> , autophagy <sup>[2]</sup>

<b>In Vitro</b>	<p>Daurisolone (compound 1) shows a maximal inhibitory effect on the end of depolarization (<math>I_{hERG-step}</math>) at +20 mV and on the peak tail current (<math>I_{hERG-tail}</math>) at +60 mV. At concentrations of 1, 3, 10, and 30 <math>\mu</math>M, the inhibition ratios for current amplitude at the end of depolarization (<math>I_{hERG-step}</math>) are 32.2<math>\pm</math>4.2%, 41.6<math>\pm</math>2.6%, 62.1<math>\pm</math>5.9%, and 74.8<math>\pm</math>6.8%, respectively; the <math>IC_{50}</math> is 9.1 <math>\mu</math>M.<sup>[1]</sup> In turn, the inhibition ratios for <math>I_{hERG-tail}</math> are 16.7<math>\pm</math>5.8%, 31.1<math>\pm</math>4.5%, 55.1<math>\pm</math>7.2%, and 81.2<math>\pm</math>7.0%, respectively; the <math>IC_{50}</math> is 9.6 <math>\mu</math>M.<sup>[1]</sup> Daurisolone (DAS) inhibits the CPT-induced autophagy in different cancer cell lines, with <math>IC_{50}</math>s of 74.75<math>\pm</math>1.03, 50.54<math>\pm</math>1.02 and 80.81<math>\pm</math>1.10 <math>\mu</math>M in HeLa, A549 and HCT-116 cells, respectively. DAC and Daurisolone also impair lysosomal function and lysosomal acidification, via inhibiting the lysosome V-type ATPase activity in DAC and Daurisolone treated cells<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<p>The results show that plasma concentration exists a biexponential decline following iv administration of Daurisolone (DS) or dauricine (Dau) 6 mg/kg. After iv Daurisolone and Dau 6 mg/kg in beagle dogs, HR, LVSP, dp/dtmax, and SBP are decreased. But the maximum pharmacological effects of both drugs peak at 10 to 15 min later than the maximum plasma concentration is observed<sup>[3]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## PROTOCOL

<b>Kinase Assay</b> <sup>[2]</sup>	<p>HEK293 cells are incubated overnight with 35 <math>\mu</math>g/mL Dx-OG514. Cells are washed and incubated with serum-free Dulbecco's modified Eagle's medium (DMEM) for 2 h. 15 minutes prior to lysis, FCCP is added into the medium to a final concentration of 1 <math>\mu</math>M. Cells are scraped in fraction buffer (50 mM KCl, 90 mM K-Gluconate, 1 mM EGTA, 50 mM Glucose, 20 mM HEPES, protease inhibitor cocktail, pH=7.4) supplemented with 1 <math>\mu</math>M FCCP. After spraying with needle, cells are spun down at 10,000 rpm for 15 sec. at 4°C. Then, re-centrifuge the supernatant at max speed for another 20 minutes. The pellet is resuspended in pre-warmed fractionation buffer supplemented with 1% BSA, and split into several aliquots with DAC, Daurisolone (DAS) or BAF treatment for 30 min. Baseline fluorescence is measured at 530 nm upon 511 nm excitation in 96-well plate at 30 s intervals for 5 min<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>Cell Assay</b> <sup>[2]</sup>	<p>Cell proliferation is determined by the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) assay. HeLa cells are seeded at 7000 cells per well in 96-well plates in DMEM (1% serum). After cells are treated with different compounds (including Daurisolone) for indicated times, 20 <math>\mu</math>L of MTT (2.5 mg/mL in PBS) is added to each well. The plates are incubated for an additional 4 h at 37°C. Then the purple-blue MTT formazan precipitate is dissolved in 100 <math>\mu</math>L DMSO. The cell viability of HeLa cell is evaluated by measuring optical density at 572 nm with a microplate reader<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>Animal Administration</b> <sup>[3]</sup>	<p>After the beagle dogs are anesthetized with sodium pentobarbital (30 mg/kg, iv) a canula is advanced into the left ventricle through the right common carotid artery. And the canula is connected to a pressure transducer which is connected to an amplifier and polygraph. The right femoral artery is cannulated to measure the blood pressure wave. ECG (lead II) is observed simultaneously. After iv injection of Daurisolone (DS) (n=4) or Dau (n=4) to beagle dogs, the ECG, BP, and LVP signals are recorded. Blood samples are taken before dosing and at 2, 5, 10, 15, 20, 30, 45 min, and 1, 1.5, 2, 3, 4, 6, 8 h after dosing<sup>[3]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## CUSTOMER VALIDATION

- Biochem Biophys Res Commun. 2021 Jan 1;534:1083-1090.
- Oxid Med Cell Longev. 2022 Aug 4;2022:5382263.

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## REFERENCES

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- [1]. Liu Q, et al. Effect of daurisolone on HERG channel electrophysiological function and protein expression. *J Nat Prod.* 2012 Sep 28;75(9):1539-45.
- [2]. Wu MY, et al. Natural autophagy blockers, dauricine (DAC) and daurisolone (DAS), sensitize cancer cells to camptothecin-induced toxicity. *Oncotarget.* 2017 Sep 8;8(44):77673-77684.
- [3]. Shi SJ, et al. Pharmacokinetic-pharmacodynamic modeling of daurisolone and dauricine in beagle dogs. *Acta Pharmacol Sin.* 2003 Oct;24(10):1011-5.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA