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Zuschläge

- Mindermengenzuschlag
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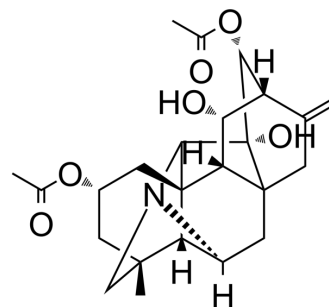
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Guanfu base A

Cat. No.:	HY-N1483
CAS No.:	1394-48-5
Molecular Formula:	C ₂₄ H ₃₁ NO ₆
Molecular Weight:	429.51
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (232.82 mM; Need ultrasonic)																					
	<table border="1"> <thead> <tr> <th rowspan="2">Solvent</th> <th rowspan="2">Mass</th> <th colspan="3">Concentration</th> </tr> <tr> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td rowspan="3">Preparing Stock Solutions</td> <td>1 mM</td> <td>2.3282 mL</td> <td>11.6412 mL</td> <td>23.2823 mL</td> </tr> <tr> <td>5 mM</td> <td>0.4656 mL</td> <td>2.3282 mL</td> <td>4.6565 mL</td> </tr> <tr> <td>10 mM</td> <td>0.2328 mL</td> <td>1.1641 mL</td> <td>2.3282 mL</td> </tr> </tbody> </table>	Solvent	Mass	Concentration			1 mg	5 mg	10 mg	Preparing Stock Solutions	1 mM	2.3282 mL	11.6412 mL	23.2823 mL	5 mM	0.4656 mL	2.3282 mL	4.6565 mL	10 mM	0.2328 mL	1.1641 mL	2.3282 mL
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	Please refer to the solubility information to select the appropriate solvent.																					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution 																					

BIOLOGICAL ACTIVITY

Description	Guanfu base A is an antiarrhythmic alkaloid isolated from <i>Aconitum coreanum</i> and is a potent noncompetitive CYP2D6 inhibitor, with a K _i of 1.20 μM in human liver microsomes (HLMs) and a K _i of 0.37 μM for the human recombinant form (rCYP2D6). Guanfu base A is also a potent competitive inhibitor of CYP2D in monkey (K _i of 0.38 μM) and dog (K _i of 2.4 μM) microsomes ^[1] . Guanfu base A also inhibits HERG channel current ^[2] .
IC₅₀ & Target	CYP2D6 ^[1] ; HERG channel ^[2]
In Vitro	Guanfu base A has no inhibitory activity on mouse or rat CYP2Ds. Guanfu base A does not exhibit any inhibition activity on

human recombinant CYP1A2, 2A6, 2C8, 2C19, 3A4, or 3A5, but shows slight inhibition of 2B6 and 2E1^[1]. Guanfu base A is a potent inhibitor of CYP2D6, with an IC₅₀ recorded at ~0.46 μM in HLM (Dextromethorphan 5 μM) and 0.12 μM in rCYP2D6 (Bufuralol 5 μM)^[1]. The effects of Guanfu base A is investigated in human embryonic kidney 293 (HEK293) cells transiently transfected with HERG complementary DNA using a whole-cell patch clamp technique. Guanfu base A inhibits HERG channel current in concentration-, voltage-, and time-dependent manners with an IC₅₀ of 1.64 mM. Guanfu base A shifts the activation curve in a negative direction and accelerated channel inactivation but shows no effect on the inactivation curve^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Beagle dogs treated intravenously with Dextromethorphan (2 mg/mL) after pretreatment with Guanfu base A injection shows reduced CYP2D metabolic activity, with the C_{max} of dextrorphan being one-third that of the saline-treated group and area under the plasma concentration-time curve half that of the saline-treated group^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Sun J, et al. Guanfu base A, an antiarrhythmic alkaloid of Aconitum coreanum, Is a CYP2D6 inhibitor of human, monkey, and dog isoforms. Drug Metab Dispos. 2015 May;43(5):713-24.
- [2]. Huang X, et al. Comparative effects of Guanfu base A and Guanfu base G on HERG K⁺ channel. J Cardiovasc Pharmacol. 2012 Jan;59(1):77-83.
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Caution: Product has not been fully validated for medical applications. For research use only.

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