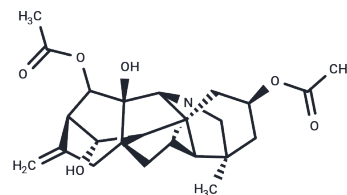


Guanfu base A

Chemical Properties

CAS No. :	1394-48-5
Formula:	C ₂₄ H ₃₁ NO ₆
Molecular Weight:	429.5
Appearance:	no data available
Storage:	store at low temperature, keep away from direct sunlight Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Guanfu base A is a potent noncompetitive CYP2D6 inhibitor (K _i : 1.20 μM in HLMs; K _i : 0.37 μM for rCYP2D6). It also inhibits HERG channel current.
Targets(IC ₅₀)	P450, Potassium Channel, HER
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 2C8, 2C19, CYP1A2, 2A6, 3A4, or 3A5, but shows slight inhibition of 2B6 and 2E1. Guanfu base A is a potent inhibitor of CYP2D6, with an IC ₅₀ recorded at ~0.46 μM in HLM (Dextromethorphan 5 μM) and 0.12 μM in rCYP2D6 (Bufuralolol 5 μM)[1]. Guanfu base A inhibits HERG channel current in concentration-, voltage-, and time-dependent manners with an IC ₅₀ 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].
In vivo	Beagle dogs administered Dextromethorphan (2 mg/mL) intravenously following a pretreatment with Guanfu base A injection exhibited diminished CYP2D metabolic activity. This was evidenced by the maximum concentration (C _{max}) of dextrorphan being one-third compared to the saline-treated group and the area under the plasma concentration-time curve being half of that observed in the saline-treated group [1].

Solubility Information

Solubility	DMSO: 10 mM, (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3283 mL	11.6414 mL	23.2829 mL
5 mM	0.4657 mL	2.3283 mL	4.6566 mL
10 mM	0.2328 mL	1.1641 mL	2.3283 mL
50 mM	0.0466 mL	0.2328 mL	0.4657 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.

Reference

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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