

Guanfu base A

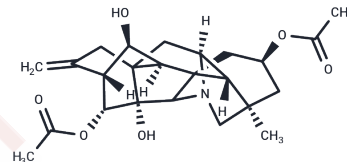
Chemical Properties

CAS No. : 1394-48-5

Formula: C₂₄H₃₁NO₆

Molecular Weight: 429.5

Storage: Store at low temperature, Keep away from direct sunlight
 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	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)	Cytochromes P450, Potassium Channel
In vitro	Guanfu base A does not inhibit mouse or rat CYP2Ds and shows no inhibition of human recombinant 2C8, 2C19, CYP1A2, 2A6, 3A4, or 3A5, but demonstrates slight inhibition of 2B6 and 2E1. It is a potent inhibitor of CYP2D6 with an IC ₅₀ of ~0.46 μM in HLM (Dextromethorphan 5 μM) and 0.12 μM in rCYP2D6 (Bufuralol 5 μM)[1]. Additionally, Guanfu base A inhibits HERG channel current in a concentration-, voltage-, and time-dependent manner with an IC ₅₀ of 1.64 mM, shifts the activation curve negatively, and accelerates channel inactivation without affecting 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 dextrophan 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, 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 (4.66 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	2.3283 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.

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

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.

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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