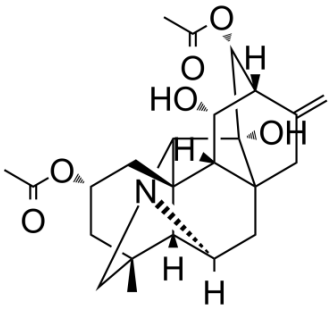
Guanfu base A

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:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	Guanfu base A is an antiarrhythmic alkaloid isolated from Aconitum coreanum 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].
IC_{50} & 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 **IC_{50}** 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 **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 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].

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.

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