**Proteins** 

# **Screening Libraries**

## **Product** Data Sheet

# Gitogenin

Cat. No.: HY-N2574 CAS No.: 511-96-6 Molecular Formula:  $C_{27}H_{44}O_{4}$ Molecular Weight: 432.64

Target: Glucosidase

Pathway: Metabolic Enzyme/Protease Storage: 4°C, protect from light

\* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)

### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 1.85 mg/mL (4.28 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.3114 mL	11.5570 mL	23.1139 mL
	5 mM			
	10 mM			

Please refer to the solubility information to select the appropriate solvent.

### **BIOLOGICAL ACTIVITY**

Description	Gitogenin is a natural steroid isolated from the whole plant of Tribulus longipetalus. Gitogenin is a selective inhibitor of UDP-glucuronosyltransferase 1A4 (UGT1A4) and enzyme $\alpha$ -glucosidase with IC $_{50}$ values of 0.69 $\mu$ M (use trifluoperazine as a substrate) and 37.2 $\mu$ M, respectively, and does not inhibit the activities of major human cytochrome P450 isoforms [1][2].
IC <sub>50</sub> & Target	IC50: 0.69 $\mu$ M (UDP-glucuronosyltransferase 1A4) $^{[1]}$ ; IC50: 37.2 $\mu$ M ( $\alpha$ -glucosidase) $^{[2]}$
In Vitro	When tamoxifen is used as the substrate metabolized by UGT1A4 in HLMs, Gitogenin exhibits potent inhibition of tamoxifen, with an IC $_{50}$ value of 6.13 $\mu$ M. Similarly, for midazolam as the substrate of UGT1A4, the IC $_{50}$ value is 5.7 $\mu$ M. In addition, when olanzapine is used as a substrate of UGT1A4, the IC $_{50}$ value is determined as 6.0 $\mu$ M. Finally, we also evaluats Gitogenin for asenapine glucuronidation mediated by UGT1A4, and similar inhibition effect is observed, with an IC $_{50}$ value of 22.0 $\mu$ M $^{[1]}$ . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Stimulation of growth hormone release is investigated on rat pituitary cells in vitro. Gitogenin (20 µg/mL) shows rat growth-hormone (rGH) release stimulating activities (26.1 ng/mL) <sup>[3]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### **CUSTOMER VALIDATION**

• FEBS Open Bio. 2020 Oct;10(10):2097-2106.

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### **REFERENCES**

- [1]. Xu M, et al. Drug interaction study of natural steroids from herbs specifically toward human UDP-glucuronosyltransferase (UGT) 1A4 and their quantitative structure activity relationship (QSAR) analysis for prediction. Pharmacol Res. 2016 Aug;110:139-150.
- [2]. Naveed MA, et al. Longipetalosides A-C, new steroidal saponins from Tribulus longipetalus. Steroids. 2014 May;83:45-51.
- [3]. Shim SH, et al. Rat growth-hormone release stimulators from fenugreek seeds. Chem Biodivers. 2008 Sep;5(9):1753-61.
- [4]. Xu M, et al. Drug interaction study of natural steroids from herbs specifically toward human UDP-glucuronosyltransferase (UGT) 1A4 and their quantitative structure activity relationship (QSAR) analysis for prediction. Pharmacol Res. 2016 Aug;110:139-150.

Caution: Product has not been fully validated for medical applications. For research use only.

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