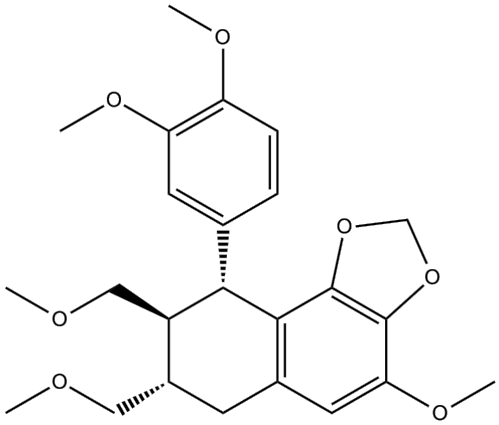
Product Data Sheet

Product Name: Hypophyllanthin
Cat. No.: GC10391

Chemical Properties

Cas. No.	33676-00-5		
Chemical Name	(7S,8S,9R)-9-(3,4-dimethoxyphenyl)-6,7,8,9-tetrahydro-4-methoxy-7,8-*bis*(methoxymethyl)-naphtho[1,2-*d*]-1,3-dioxole		
SMILES	COC[C@@H]1[C@@H](COC)CC(C=C(OC)C2=C3OCO2)=C3[C@H]1C4=CC(OC)=C(OC)C=C4		
Formula	$C_{24}H_{30}O_7$	M.Wt	430.5
Solubility	≤0.2mg/ml in ethanol;10mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	4°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

Hypophyllanthin is a P-glycoprotein (P-gp) inhibitor.

P-glycoprotein (P-gp) and multidrug resistance protein 2 (MRP2), two major drug efflux pumps, play

a key role in the translocation of certain drugs and xenobiotics via restrictive barriers. The presence of P-gp and other efflux transporters on the apical membrane of enterocytes can limit absorption and therefore oral bioavailability. Inhibition of the activity of these transporters can increase plasma levels of various drugs that are their substrates, resulting in changes in drug efficacy and adverse reactions.

In vitro: Previous study found that hypophyllanthin could inhibit P-gp function with comparable potencies, but could not affect MRP2 activity. When hypophyllanthin was washed out before addition of substrate, the inhibitory action against P-gp function was lost. These results indicated the reversibility of the inhibition. Moreover, prolonged exposure of the Caco-2 cells to hypophyllanthin up to 7 days had no effect on P-gp function [1].

In vivo: A previous study was conducted to evaluate the effect of carbofuran on estrous cycle and follicular growth in virgin Wister rats as well as recovering from the damaged estrous cycle with treatment of hypophyllanthin. Hypophyllanthin is non-steroidal plant molecule with estrogen-like activities, but with an estrogen-type activity. Hypophyllanthin was capable of interacting with estrogen receptors, showing both agonist and antagonist methods of action. Therefore, hypophyllanthin was found to be systemically transformed into enterolignan, which is known to be responsible for augmenting estrus cycle in rats [2].

Clinical trial: So far, no clinical study has been conducted.

References:
[1] N. Sukhaphirom, N. Vardhanabhuti, H. Chirdchupunseree, et al. Phyllanthin and hypophyllanthin inhibit function of P-gp but not MRP2 in Caco-2 cells. Journal of Pharmacy and Pharmacology 65, 292-299 (2012).
[2] Aminul Islam et al. Estrogenic Properties of Phyllanthin and Hypophyllanthin from Phyllanthus amarus against Carbofuran Induced Toxicity in Female Rats. Pharmacologyonline 3: 1006-1016 (2008).