Rhapontigenin

Cat. No.: HY-N2229

CAS No.: 500-65-2

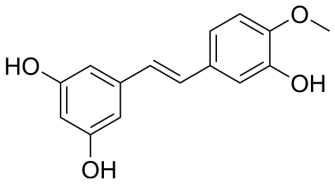
Molecular Formula: $C_{15}H_{14}O_4$

Molecular Weight: 258.27

Target: Cytochrome P450; Fungal; Bacterial

Pathway: Metabolic Enzyme/Protease; Anti-infection

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (483.99 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.8719 mL	19.3596 mL	38.7192 mL
	5 mM	0.7744 mL	3.8719 mL	7.7438 mL
	10 mM	0.3872 mL	1.9360 mL	3.8719 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (8.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rhapontigenin is a natural analog of resveratrol with anticancer, antioxidant, antifungal and antibacterial activities. Rhapontigenin is amechanism-based, potent and selective cytochrome P450 1A1?inactivator (IC_{50}?= 400 nM). Rhapontigenin exhibits 400-fold and 23-fold selectivity for P450 1A1 over P450 1A2 and P450 1B1, respectively[1].

IC_{50} & Target

CYP1A1
400 nM (IC_{50})

In Vitro

Rhapontigenin (0-250 μM; 24 hours) demonstrates concentration-dependent anti-cancer activity with an IC_{50} 115μM in HEP G2 cells[1].
Rhapontigenin (20 μM; 20 hours) pre-treatment decreases TGF-β triggered increased snail expression in diverse cancer cells [2].

Rhapontigenin (0-20 μM; 6 hours) inhibits TGF-β-induced expression of N-cadherin, vimentin, and CA9 in a dose-dependent manner[2].
Rhapontigenin inhibits ADP- and collagen-induced platelet aggregation with IC_{50} values of 4 and 70 μg/ml, respectively[3].
Rhapontigenin demonstrates a strong inhibitory activity on the 13-hexosaminidase release induced by DNP-BSA, it exhibits IC_{50} value of 0.03 mM in RBL 2H3 cells[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	HeLa, A549,769-P cells
Concentration:	0 μM; 2.5 μM; 5 μM; 10 μM; 20 μM
Incubation Time:	6 hours
Result:	Induced ubiquitination and degradation of HIF-1α.

In Vivo

Rhapontigenin (intraperitoneal injection; 25mg/kg) shows significant protection from death due to pulmonary thrombosis in mice, those samples are orally administered 90 min before tail vein injection of epinephrine and collagen[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	ICR mice[3]
Dosage:	25mg/kg
Administration:	25mg/kg; intraperitoneal injection
Result:	Showed anti-thrombosis activity with 60% protection.

CUSTOMER VALIDATION

- Nat Biomed Eng. 2022 Jan;6(1):76-93.

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REFERENCES

[1]. Roupe KA, et al. Preparative enzymatic synthesis and HPLC analysis of rhapontigenin: applications to metabolism, pharmacokinetics and anti-cancer studies.J Pharm Pharm Sci. 2005 Aug 22;8(3):374-86.

[2]. Yeh YH, et al. Rhapontigenin inhibits TGF-β-mediated epithelial□mesenchymal transition via the PI3K/AKT/mTOR pathway and is not associated with HIF-1α degradation.Oncol Rep. 2016 May;35(5):2887-95.

[3]. Park EK, et al. Antithrombotic and antiallergic activities of rhaponticin from Rhei Rhizoma are activated by human intestinal bacteria.Arch Pharm Res. 2002 Aug;25(4):528-33.

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