Omtriptolide

Cat. No.: HY-16363

CAS No.: 195883-06-8

Molecular Formula: $C_{24}H_{28}O_9$

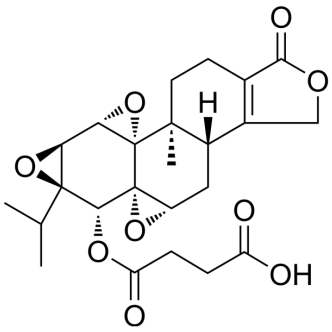
Molecular Weight: 460.47

Target: ERK

Pathway: MAPK/ERK Pathway; Stem Cell/Wnt

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 1.39 mg/mL (3.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1717 mL	10.8585 mL	21.7169 mL
	5 mM	---	---	---
	10 mM	---	---	---

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 3.33 mg/mL (7.23 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

Omtriptolide (PG490-88) is a derivative proagent of triptolide purified from the Chinese herb.

IC_{50} & Target

ERK

In Vitro

Triptolide, a traditional Chinese medicine, has anti-inflammatory, antiproliferative, and proapoptotic properties[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

In a mouse model of cisplatin-induced AKI, omtriptolide results in a significant decrease in blood urea nitrogen (BUN), serum creatinine, and acute tubular necrosis (ATN) score, and a nonsignificant increase in tubular apoptosis score in AKI. The protection of omtriptolide against AKI is associated with a decrease in p-ERK and is independent of MKP-1 and proinflammatory cytokines[1]. In a mouse heterotopic tracheal allograft model of obliterative airway disease, omtriptolide attenuates airway obliteration and inhibits accumulation of inflammatory cells, and therefore may have preventive or therapeutic benefits for patients with obliterative airway disease following lung transplantation[2]. Omtriptolide inhibits

fibrosis in the bleomycin group when given the same day or 5 days after bleomycin. Omtriptolide also markedly reduces the number of myofibroblasts in the bleomycin treatment group[3]. Omtriptolide inhibits in vivo both CD4+Vbeta3+ and CD8+Vbeta3+ T cell (alloreactive T cells in this model) expansion in the spleen by 64.09 and 34.02%, respectively, at the time when Vbeta3+ cell expansion is in the logarithmic phase (day 3 after transplantation)[4].

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PROTOCOL

Animal Administration [2]

Mice: Omtriptolide (0.25 mg/kg per day) is started immediately after the transplant and 10 control mice receive intraperitoneal injections of sterile water each day. The mice are then maintained for 28 days until killing, at which time the mice are administered CO_2 inhalation, and cervical dislocation is performed. Trachea is divided for histologic staining and immunohistochemistry [2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Kim HJ, et al. The water-soluble triptolide derivative PG490-88 protects against cisplatin-induced acute kidney injury. J Pharmacol Exp Ther. 2014 Jun;349(3):518-25.

[2]. Leonard CT, et al. PG490-88, a derivative of triptolide, attenuates obliterative airway disease in a mouse heterotopic tracheal allograft model. J Heart Lung Transplant. 2002 Dec;21(12):1314-8.

[3]. Krishna G, et al. PG490-88, a derivative of triptolide, blocks bleomycin-induced lung fibrosis. Am J Pathol. 2001 Mar;158(3):997-1004.

[4]. Chen BJ, et al. Prevention of graft-versus-host disease by a novel immunosuppressant, PG490-88, through inhibition of alloreactive T cell expansion. Transplantation. 2000 Nov 27;70(10):1442-7.

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