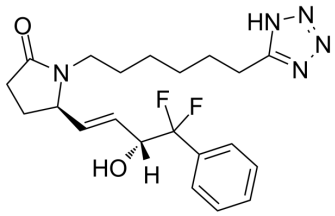
L-902688

Cat. No.:	HY-119163
CAS No.:	634193-54-7
Molecular Formula:	$C_{21}H_{27}F_2N_5O_2$
Molecular Weight:	419.47
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Solution, -20°C, 2 years



BIOLOGICAL ACTIVITY

Description

L-902688 is a potent, selective and orally active EP4 receptor agonist with a K_i of 0.38 nM and an EC_{50} of 0.6 nM. L-902688 shows >4,000-fold selective for EP4 over other EP and prostanoid receptors[1][2].

IC_{50} & Target

EP4 0.38 nM (Ki)	EP4 0.6 nM (EC50)

In Vitro

L-902688 (1 μM; 24 hours; HUVE cells) treatment attenuates TGF-β-induced Twist and α-smooth muscle actin (α-SMA) expression[1].

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

Western Blot Analysis[1]

Cell Line:	Human umbilical vein endothelial cells (HUVECs)
Concentration:	1 μM
Incubation Time:	24 hours
Result:	Attenuated TGF-β-induced Twist and α-smooth muscle actin (α-SMA) expression.

In Vivo

L-902688 (0.25-1 μg/kg/day; intraperitoneal injection; daily; for 3 weeks; adult male Sprague-Dawley rats) treatment reduces right ventricle fibrosis in the monocrotaline (MCT)-induced PAH rat model[1].

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

Animal Model:	Adult male Sprague-Dawley rats injected with crotaline to induce pulmonary arterial hypertension (PAH) and right ventricular (RV) hypertrophy[1]
Dosage:	0.25 μg/kg/day, 0.4 μg/kg/day or 1 μg/kg/day
Administration:	Intraperitoneal injection; daily; for 3 weeks
Result:	Reduced right ventricle fibrosis in the monocrotaline (MCT)-induced PAH rat model.

REFERENCES

[1]. Lai YJ, et al. EP4 Agonist L-902,688 Suppresses EndMT and Attenuates Right Ventricular Cardiac Fibrosis in Experimental Pulmonary Arterial Hypertension. Int J Mol Sci. 2018 Mar 3;19(3). pii: E727.

[2]. [2]Young, R.N., Billot, X., Han, Y., et al. Discovery and synthesis of a potent, selective and orally bioavailable EP4 receptor agonist. Heterocycles. 2004, 64, 437-445.

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