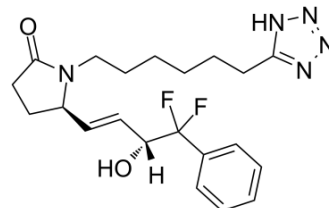


L-902688

Cat. No.:	HY-119163
CAS No.:	634193-54-7
Molecular Formula:	C ₂₁ H ₂₇ F ₂ N ₅ O ₂
Molecular Weight:	419.47
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the COA.



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]} .									
IC₅₀ & Target	EP4 0.38 nM (K _i)	EP4 0.6 nM (EC ₅₀)								
In Vitro	<p>L-902688 (1 μM; 24 hours; HUVE cells) treatment attenuates TGF-β-induced Twist and α-smooth muscle actin (α-SMA) expression^[1].</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Human umbilical vein endothelial cells (HUVECs)</td> </tr> <tr> <td>Concentration:</td> <td>1 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Attenuated TGF-β-induced Twist and α-smooth muscle actin (α-SMA) expression.</td> </tr> </table>		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.
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Result:	Attenuated TGF-β-induced Twist and α-smooth muscle actin (α-SMA) expression.									
In Vivo	<p>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].</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Adult male Sprague-Dawley rats injected with crotaline to induce pulmonary arterial hypertension (PAH) and right ventricular (RV) hypertrophy^[1]</td> </tr> <tr> <td>Dosage:</td> <td>0.25 μg/kg/day, 0.4 μg/kg/day or 1 μg/kg/day</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; daily; for 3 weeks</td> </tr> <tr> <td>Result:</td> <td>Reduced right ventricle fibrosis in the monocrotaline (MCT)-induced PAH rat model.</td> </tr> </table>		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.
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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.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA