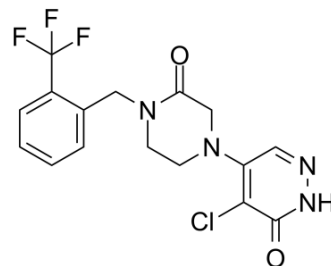


GFB-8438

Cat. No.:	HY-133012		
CAS No.:	2304549-73-1		
Molecular Formula:	C ₁₆ H ₁₄ ClF ₃ N ₄ O ₂		
Molecular Weight:	386.76		
Target:	TRP Channel		
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 83.33 mg/mL (215.46 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.5856 mL	12.9279 mL	25.8558 mL
		5 mM	0.5171 mL	2.5856 mL	5.1712 mL
10 mM		0.2586 mL	1.2928 mL	2.5856 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (5.38 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.38 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (5.38 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	GFB-8438 is a potent and subtype selective TRPC5 inhibitor, with IC ₅₀ s of 0.18 and 0.29 μM of hTRPC5 and hTRPC4, respectively. GFB-8438 shows excellent selectivity against TRPC6, other TRP family members, Nav 1.5, as well as limited activity against the hERG channel. GFB-8438 protects mouse podocytes from injury induced by protamine sulfate model ^[1] .		
IC₅₀ & Target	hTRPC5 0.18 μM (IC ₅₀)	hTRPC4 0.29 μM (IC ₅₀)	rTRPC5 0.18 μM (IC ₅₀)

In Vitro	<p>Pretreatment of mouse podocyte with GFB-8438 (1 μM for 30 min), followed by incubation with protamine sulfate, effectively blocked synaptopodin loss and cytoskeletal remodeling^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																
In Vivo	<p>GFB-8438 (30 mg/kg; s.c.; daily for 3 weeks) is efficacious in a hypertensive deoxycorticosterone acetate (DOCA)-salt rat model of focal segmental glomerulosclerosis (FSGS), significantly reducing both total protein and albumin concentrations in urine^[1].</p> <p>GFB-8438 (1 mg/kg; i.v.) treatment shows the C_l, V_{SS}, and $t_{1/2}$ were 31 mL/min/kg, 1.17 L/kg, and 0.5 hours, respectively^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="345 453 1515 961"> <tr> <td data-bbox="345 453 613 516">Animal Model:</td> <td data-bbox="613 453 1515 516">Sprague Dawley rats (DOCA-salt rat model of FSGS)^[1]</td> </tr> <tr> <td data-bbox="345 516 613 579">Dosage:</td> <td data-bbox="613 516 1515 579">30 mg/kg</td> </tr> <tr> <td data-bbox="345 579 613 642">Administration:</td> <td data-bbox="613 579 1515 642">s.c.; daily for 3 weeks</td> </tr> <tr> <td data-bbox="345 642 613 705">Result:</td> <td data-bbox="613 642 1515 705">Significant reduction in urine protein concentrations.</td> </tr> <tr> <td data-bbox="345 726 613 789">Animal Model:</td> <td data-bbox="613 726 1515 789">6-8 weeks old male SD rats^[1]</td> </tr> <tr> <td data-bbox="345 789 613 852">Dosage:</td> <td data-bbox="613 789 1515 852">1 mg/kg</td> </tr> <tr> <td data-bbox="345 852 613 915">Administration:</td> <td data-bbox="613 852 1515 915">i.v. (Pharmacokinetic Analysis)</td> </tr> <tr> <td data-bbox="345 915 613 961">Result:</td> <td data-bbox="613 915 1515 961">The C_l, V_{SS}, and $t_{1/2}$ were 31 mL/min/kg, 1.17 L/kg, and 0.5 hours, respectively.</td> </tr> </table>	Animal Model:	Sprague Dawley rats (DOCA-salt rat model of FSGS) ^[1]	Dosage:	30 mg/kg	Administration:	s.c.; daily for 3 weeks	Result:	Significant reduction in urine protein concentrations.	Animal Model:	6-8 weeks old male SD rats ^[1]	Dosage:	1 mg/kg	Administration:	i.v. (Pharmacokinetic Analysis)	Result:	The C_l , V_{SS} , and $t_{1/2}$ were 31 mL/min/kg, 1.17 L/kg, and 0.5 hours, respectively.
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REFERENCES

[1]. Yu M, et al. Discovery of a Potent and Selective TRPC5 Inhibitor, Efficacious in a Focal Segmental Glomerulosclerosis Model. ACS Med Chem Lett. 2019 Oct 22;10(11):1579-1585.

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