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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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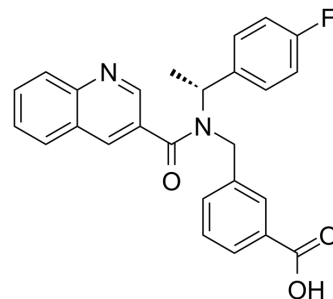
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PF-05105679

Cat. No.:	HY-115506		
CAS No.:	1398583-31-7		
Molecular Formula:	C ₂₆ H ₂₁ FN ₂ O ₃		
Molecular Weight:	428.45		
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 : 125 mg/mL (291.75 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.3340 mL	11.6700 mL	23.3399 mL
		5 mM		0.4668 mL	2.3340 mL	4.6680 mL
		10 mM		0.2334 mL	1.1670 mL	2.3340 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 (4.85 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.85 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.85 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	PF-05105679 is an orally active and selective TRPM8 antagonist with an IC ₅₀ of 103 nM. PF-05105679 has the potential for cold-related pain ^[1] .
IC ₅₀ & Target	TRPM8 103 nM (IC ₅₀)
In Vitro	PF-05105679 demonstrates >100-fold selectivity across a range of different receptors, ion channels, and enzymes including

the closely related TRPV1 and TRPA1 channels^[1].
TRPM8, also known as the cold and menthol receptor 1 (CMR1), is a member of the melastatin subfamily^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PF-05105679 (0.2 mg/kg for iv and 20mg/kg for oral gavage) has a $T_{1/2}$ of 3.9 hours, a CL of 31 mL/min/kg, and a V_{ss} of 7.4 L/kg for dogs^[1].
PF-05105679 (2, 20 mg/kg) has a $T_{1/2}$ of 3.6 hours, a CL of 19.8 mL/min/kg, and a V_{ss} of 6.2 L/kg for rats^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male beagle dogs (weight 10 to 15kg) ^[1]
Dosage:	0.2 mg/kg (iv) or 20 mg/kg (oral gavage)(Pharmacokinetic Analysis)
Administration:	Iv or oral gavage
Result:	Had a $T_{1/2}$ of 3.9 hours, a CL of 31 mL/min/kg, and a V_{ss} of 7.4 L/kg for dogs.

REFERENCES

[1]. Andrews MD, et al. Discovery of a Selective TRPM8 Antagonist with Clinical Efficacy in Cold-Related Pain. ACS Med Chem Lett. 2015 Jan 30;6(4):419-24.

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

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