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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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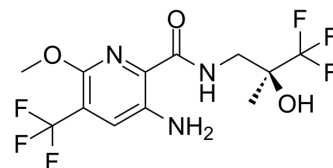
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Icenticaftor

Cat. No.:	HY-109177
CAS No.:	1334546-77-8
Molecular Formula:	C ₁₂ H ₁₃ F ₆ N ₃ O ₃
Molecular Weight:	361.24
Target:	CFTR
Pathway:	Membrane Transporter/Ion Channel
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 : 150 mg/mL (415.24 mM; ultrasonic and warming and heat to 60°C)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.7682 mL	13.8412 mL	27.6824 mL
		5 mM		0.5536 mL	2.7682 mL	5.5365 mL
		10 mM		0.2768 mL	1.3841 mL	2.7682 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: 4.25 mg/mL (11.77 mM); Suspended solution; Need ultrasonic					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 4.25 mg/mL (11.77 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 4.25 mg/mL (11.77 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Icenticaftor (QBW251) is an orally active CFTR channel potentiator, with EC ₅₀ s of 79 nM and 497 nM for F508del and G551D CFTR, respectively. Icenticaftor can be used for chronic obstructive pulmonary disease (COPD) and cystic fibrosis research ^[1] .
IC ₅₀ & Target	EC ₅₀ : 79 nM (F508del CFTR) and 497 nM (G551D CFTR) ^[1]
In Vitro	Icenticaftor (QBW251), an orally bioavailable small molecule CFTR potentiator, can restore CFTR function in specific CFTR genotypes as well as wild-type CFTR ^[2] .

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

In Vivo

In Sprague-Dawley rats, the pharmacokinetic profile of Icenticaftor is established. After oral administration at a dose of 3 mg/kg, the oral bioavailability is 90%, and AUC_{last} is 20 635 nmol/L•h^[1].

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

REFERENCES

[1]. Darren Le Grand, et al. Discovery of Icenticaftor (QBW251), a Cystic Fibrosis Transmembrane Conductance Regulator Potentiator with Clinical Efficacy in Cystic Fibrosis and Chronic Obstructive Pulmonary Disease. J Med Chem. 2021 Jun 10;64(11):7241-7260.

[2]. Steven M Rowe, et al. Efficacy and Safety of the CFTR Potentiator Icenticaftor (QBW251) in COPD: Results from a Phase 2 Randomized Trial. Int J Chron Obstruct Pulmon Dis. 2020 Oct 5;15:2399-2409.

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

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