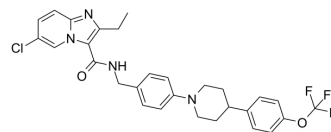


Telacebec

Cat. No.:	HY-101040		
CAS No.:	1334719-95-7		
Molecular Formula:	C ₂₉ H ₂₈ ClF ₃ N ₄ O ₂		
Molecular Weight:	557.01		
Target:	Bacterial; Antibiotic		
Pathway:	Anti-infection		
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 : 20 mg/mL (35.91 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM		1.7953 mL	8.9765 mL	17.9530 mL
		5 mM		0.3591 mL	1.7953 mL	3.5906 mL
10 mM			0.1795 mL	0.8976 mL	1.7953 mL	
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2 mg/mL (3.59 mM); Suspended solution; Need ultrasonic					

BIOLOGICAL ACTIVITY

Description	Telacebec (Q203) is a midazopyridine amide compound. Telacebec is active against Mycobacterium tuberculosis H37Rv with an MIC ₅₀ of 2.7 nM in culture broth medium.
IC₅₀ & Target	MIC ₅₀ : 2.7 nM (Mycobacterium tuberculosis H37Rv) ^[1]
In Vitro	Imidazopyridine amide (IPA) compounds block Mycobacterium tuberculosis growth by targeting the respiratory cytochrome bc1 complex. The optimized IPA compound Telacebec (Q203) inhibits the growth of MDR and XDR Mycobacterium tuberculosis clinical isolates in culture broth medium in the low nanomolar range. Telacebec is active against the reference strain Mycobacterium tuberculosis H37Rv with MIC ₅₀ s of 2.7 nM in culture broth medium and 0.28 nM inside macrophages ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Telacebec (Q203) is efficacious in a mouse model of tuberculosis at a dose less than 1 mg per kg body weight. Telacebec

displays pharmacokinetic and safety profiles compatible with once-daily dosing. Telacebec has a bioavailability of 90% and a terminal half-life of 23.4 h. The volume of distribution is moderate (5.27 l per kg body weight), and the systemic clearance is low (4.03 mL/min per kg). After 4 weeks of treatment, reductions of 90%, 99% and 99.9% in *M. tuberculosis* H37Rv bacterial load is observed in the groups treated with Telacebec at 0.4, 2 and 10 mg per kg body weight, respectively^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration ^[1]

Rats: Sprague Dawley rats are used for pharmacokinetic studies. Compounds (Q203) are given at a dose of 2 mg per kg body weight intravenously or 10 mg per kg body weight orally. The compounds (Q203) are formulated in 20% TPGS (d- α tocopheryl polyethylene glycol 1000 succinate) for repeated-dose studies and in 40% PEG400, pH4 for single-dose studies. Blood samples are taken through the caudal vena cava using 1-mL syringes before perfusion. Samples are collected from three mice or rats at 0.5, 1, 2, 6, 12, 24 and 48 h post-dose. Blood samples are centrifuged at 3,200g for 10 min at 4 °C. Following centrifugation, plasma is collected and frozen until further analysis. Compound concentrations are determined by LC-MS^[1].

Mice: Efficacy of Q203 in a mouse model of established tuberculosis is studied. Bacterial loads are enumerated in the lung of infected mice after 14 d and 28 d of treatment. Q203 is used at 0.4, 2 and 10 mg per kg body weight. Bedaquiline and isoniazid (INH) are used as positive controls at 6.5 and 15 mg per kg body weight, respectively. Five mice per group and per time point are used^[1].

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

CUSTOMER VALIDATION

- Elife. 2021 Nov 25;10:e69418.
- Eur J Med Chem. 6 August 2022, 114639.
- Int J Mol Sci. 2022 Sep 7;23(18):10331.
- Int J Mol Sci. 2022, 23(18), 10331.
- ACS Infect Dis. 2020 Dec 15.

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REFERENCES

[1]. Pethe K, et al. Discovery of Q203, a potent clinical candidate for the treatment of tuberculosis. Nat Med. 2013 Sep;19(9):1157-60.

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

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