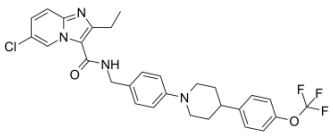
Q203

Cat. No.:	HY-101040
CAS No.:	1334719-95-7
Molecular Formula:	$C_{29}H_{28}ClF_3N_4O_2$
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)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Q203 (IAP6) is a midazopyridine amide compound. Q203 is active against Mycobacterium tuberculosis H37Rv with an MIC_{50} of 2.7 nM in culture broth medium.

IC_{50} & Target

MIC50: 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 Q203 inhibits the growth of MDR and XDR Mycobacterium tuberculosis clinical isolates in culture broth medium in the low nanomolar range. Q203 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

Q203 is efficacious in a mouse model of tuberculosis at a dose less than 1 mg per kg body weight. Q203 displays

pharmacokinetic and safety profiles compatible with once-daily dosing. Q203 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 Q203 at 0.4, 2 and 10 mg per kg body weight, respectively[1].

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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

- 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.

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