GC-78-HCl

Cat. No.: HY-149774

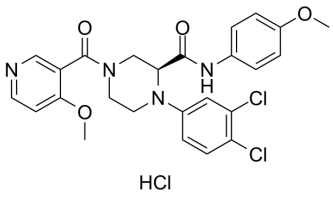
Molecular Formula: $C_{25}H_{25}Cl_3N_4O_4$

Molecular Weight: 551.85

Target: SARS-CoV

Pathway: Anti-infection

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

GC-78-HCl is an orally and nonpeptidic SARS-CoV-2 M^{pro} inhibitor, with an IC_{50} of 0.19 μM for enzyme. GC-78-HCl has excellent antiviral activity and favorable pharmacokinetic properties[1].

IC_{50} & Target

EC_{50} Tatget: SARS-CoV[1] EC_{50}: 0.40 μM (SARS-CoV-2), 0.21 ± 0.030 μM (wild-type), 0.21 ± 0.080 μM (Alpha), 0.24 ± 0.080 μM (Delta), 0.25 ± 0.060 μM (Omicron B.1)[1]

In Vitro

GC-78-HCl (0.01-10 μM) has low cytotoxicity in Vero E6 cells[1].
GC-78-HCl exhibits potent antiviral activity against SARS-CoV-2 variants and other human coronaviruses in MRC-5/Vero E6 cells, indicates its potential broad-spectrum anticoronaviral activity[1].
GC-78-HCl (50 μM) has no inhibitory activity against human cathepsins B/F/K/L and Caspase 3, indicates high target specificity toward coronavirus proteases[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GC-78-HCl (800 mg/kg, p.o., single dosage) has no acute toxicity in Kunming mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague–Dawley rats[1]
Dosage:	2 mg/kg
Administration:	Intravenous injection (i.v.)
Result:	With the clearance rate (CL) of 4343 mL/h/kg. With half-life ($t_{1/2}$) of 0.46 h.

Animal Model:	Sprague–Dawley rats[1]
Dosage:	10 mg/kg
Administration:	Oral gavage (p.o.)
Result:	With half-life ($t_{1/2}$) of 1.64 h. With time-to-maximum concentration (T_{max}) of 1.17 h.

	With maximum concentration (C_{max}) of 148 ng/mL. With an area under curve (AUC_{0-t}) of 465 ng•h/mL.

Animal Model:	Kunming rats[1]
Dosage:	800 mg/kg
Administration:	Oral gavage (p.o.)
Result:	Exhibited no significant change in mice weight.

REFERENCES

[1]. Gao S, et al. Design, Synthesis, and Biological Evaluation of Trisubstituted Piperazine Derivatives as Noncovalent Severe Acute Respiratory Syndrome Coronavirus 2 Main Protease Inhibitors with Improved Antiviral Activity and Favorable Druggability. J Med Chem. 2023 Nov 22.

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

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