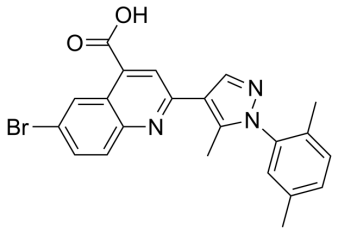
BPR3P0128

Cat. No.:	HY-161356
CAS No.:	1345406-09-8
Molecular Formula:	$C_{22}H_{18}BrN_3O_2$
Molecular Weight:	436.3
Target:	SARS-CoV
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

BPR3P0128 is an orally active, non-nucleoside RNA-dependent RNA polymerase (RdRp) inhibitor that has been shown to inhibit the activity of various SARS-CoV-2 variants. The EC_{50} for SARS-CoV-2 and HCoV-229E are 0.62 μM and 0.14 μM. BPR3P0128 demonstrates effective anti-pancoronavirus activity within the submicromolar range. PR3P0128 shows synergistic antiviral activity when combined with Remdesivir (HY-104077)[1].

In Vitro

BPR3P0128 (10 μM, 24 hours) can effectively inhibit the replication of SARS-CoV-2 in human lung cancer cell line Calu-3 and reduce the expression of cytokines induced by viral infection[1].

BPR3P0128 (1 μM, 10 μM) has comprehensive activity against coronavirus and can effectively inhibit different SARS-CoV-2 (including α, β, γ, δ, and plasmid strains) variants[1].

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

RT-PCR[1]

Cell Line:	Vero E6 cells containing SARS-CoV-2 virus
Concentration:	10 μM
Incubation Time:	0-24h
Result:	Significantly decreased the mRNA expression levels of CXCL10, IL-6, TNF-α and INF-β.

Western Blot Analysis[1]

Cell Line:	Vero E6 cells containing SARS-CoV-2 virus
Concentration:	BPR3P0128: 1 μM, 2 μM; Remdesivir: 4 μM, 8 μM
Incubation Time:	24h
Result:	And Remdesivir synergistically inhibited NP expression more significantly.

Western Blot Analysis[1]

Cell Line:	HEK293T cell-based RdRp reporter model
Concentration:	BPR3P0128: 0.1 μM, 1 μM, 10 μM; Remdesivir: 1 μM, 10 μM, 100 μM

Incubation Time:	24h
Result:	Inhibited the activity of SARS-CoV-2 RdRp, but did not decrease the expression level of nsp12.

In Vivo

Pharmacokinetic Analysis in Sprague–Dawley rats Model[1]

Route	Dose (mg/kg)	Cl (mL•min/kg)	$t_{1/2}$ (h)	F (%)
i.v.	0.01	1.3	/	/
p.o.	0.01	/	8.1	78.7%

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REFERENCES

[1]. Tang W-F, et al. BPR3P0128, a non-nucleoside RNA-dependent RNA polymerase inhibitor, inhibits SARS-CoV-2 variants of concern and exerts synergistic antiviral activity in combination with remdesivir. Antimicrob Agents Chemother. 2024;68(4):e0095623.

[2]. Yeh JY, et al. Anti-influenza drug discovery: identification of an orally bioavailable quinoline derivative through activity- and property-guided lead optimization. ChemMedChem. 2012;7(9):1546-1550.

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