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Data Sheet

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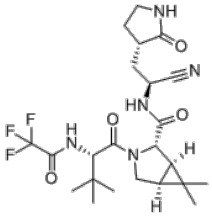
Product Name : PF-07321332
Cat. No. : PC-72607
CAS No. : 2628280-40-8
Molecular Formula : $C_{23}H_{32}F_3N_5O_4$
Molecular Weight : 499.535
Target : SARS-CoV-2 Inhibitors
Solubility :



Biological Activity

PF-07321332 (Nirmatrelvir, Paxlovid) is the first, orally bioavailable SARS-CoV-2 main protease (M pro) inhibitor with biochemical Ki of 3.11 nM.
PF-07321332 demonstrated highly potent Vero E6 antiviral activity (EC50=74.5 nM).
PF-07321332 inhibited SARS-CoV-2-induced CPE in Vero E6 cells enriched for ACE2 with EC50 of 4.48 uM.
PF-07321332 demonstrated potent inhibition in FRET Mpro assays representing Mpro from all coronavirus types known to infect humans, including beta-coronaviruses (SARS-CoV-2, SARS-CoV-1, HKU1, OC43, and MERS-CoV) as well as alpha-coronaviruses (229E and NL63).
PF-07321332 inhibited SARS-CoV-2 replication as assessed using a nanoluciferase reporter virus in A549-ACE2 cells with EC50 and EC90 values of 77.9 and 215 nM, respectively, with no cytotoxicity.
PF-07321332 demonstrated potent antiviral activity against SARS-CoV-1 (EC90=317 nM), MERS-CoV (EC90=351 nM), and 229E (EC90=620 nM) in CPE assays.
PF-07321332 demonstrated in vivo efficacy against SARS-CoV-2 MA10 infection in mouse-adapted SARS-CoV-2 model.
PF-07321332 demonstrated a favorable off-target selectivity profile in a broad panel of G protein–coupled receptors, kinases, transporters, and phosphodiesterase enzyme inhibitor screens, and was devoid of activity against the cardiac ion channels Kv1.1, Cav1.2, and Nav1.5, and was not mutagenic or clastogenic in in vitro genetic toxicity studies.

References

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Owen DR, et al. Science. 2021 Dec 24;374(6575):1586-1593.

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