PRODUCT INFORMATION



PF-07321332

Item No. 35257

CAS Registry No.:	2628280-40-8	~ _/H
Formal Name:	(1R,2S,5S)-N-[(1S)-1-cyano-2-[(3S)-2-oxo-	
	3-pyrrolidinyl]ethyl]-3-[(2S)-3,3-dimethyl-	
	1-oxo-2-[(2,2,2-trifluoroacetyl)amino]	۲ · ۰٥
	butyl]-6,6-dimethyl-3-azabicyclo[3.1.0]	N
	hexane-2-carboxamide	
Synonym:	Nirmatrelvir	HN
MF:	C ₂₃ H ₃₂ F ₃ N ₅ O ₄	
FW:	499.5	
Purity:	≥95%	
Supplied as:	A solid	
Storage:	-20°C	I H
Stability:	≥4 years	

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-07321332 is supplied as a solid. A stock solution may be made by dissolving the PF-07321332 in the solvent of choice, which should be purged with an inert gas. PF-07321332 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of PF-07321332 in these solvents is approximately 15, 5, and 2 mg/ml, respectively.

Description

PF-07321332 is an orally bioavailable inhibitor of severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) main protease (M^{pro}), also known as 3C-like protease (3CL^{pro}), with a K, value of 3.11 nM.¹ It also inhibits M^{pro} from other members of the *Betacoronavirus* genus, including SARS-CoV-1, HKU1-CoV, OC43-CoV, and MERS-CoV (K.s = 4.94, 189, 36.4, and 187 nM, respectively), as well as M^{pro} from NL-63-CoV and 229E CoV (K_s = 226 and 44.4 nM, respectively), which are members of the Alphacoronavirus genus. PF-07321332 is selective for these enzymes over several other proteases, including human and HIV-1 proteases (IC₅₀s = >100 μ M for all), as well as a panel of G protein-coupled receptors, kinases, and transporters ($IC_{50}s = \ge 100 \ \mu M$ for all). It inhibits SARS-CoV-2 replication in A549 cells (EC₅₀ = 77.9 nM) and reduces the cytopathic effect of SARS-CoV-2 in infected Vero E6 cells (EC₅₀ = 74.5 nM) with a 50% cytotoxic concentration (CC₅₀) value of greater than 100 μ M. PF-07321332 (300 and 1,000 mg/kg) reduces lung viral titers and the severity of pulmonary lesions in mice infected with the mouse-adapted SARS-CoV-2 MA10 variant. Formulations containing PF-07321332 have been used in the treatment of COVID-19.

Reference

1. Owen, D.R., Allerton, C.M.N., Anderson, A.S., et al. An oral SARS-CoV-2 Mpro inhibitor clinical candidate for the treatment of COVID-19. Science 374(6575), 1586-1593 (2021).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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