Cyclic Peptide Inhibitors of The SARS-Cov-2 Main Protease

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OTHER INFORMATION

CATEGORIZED AS

- **Materials & Chemicals**
  - Biological
- **Medical**
  - Disease: Infectious Diseases
  - New Chemical Entities, Drug Leads
  - Therapeutics

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BRIEF DESCRIPTION

The SARS-CoV-2 virus has rapidly spread across the globe with severe medical, social, and economic costs. The Researchers at the University of California Irvine have designed novel cyclic peptide inhibitors based on a crystal structure of an inactive variant of SARS-CoV, known as Mpro318. Based on a small library of cyclic peptide inhibitors, some candidates showed promising in vitro activity at low micromolar concentrations.

SUGGESTED USES

• For the treatment of COVID-19, caused by the SARS-CoV-2 virus.

FEATURES/BENEFITS

• The inhibitors bind to a larger portion of the viral protease, thereby improving the compound's ability to arrest viral replication.

• Cyclic compounds are believed to confer a greater resistance to degradation in the body. This inherent property may improve drug efficacy by increasing their bioavailability.

TECHNOLOGY DESCRIPTION

Researchers at the University of California, Irvine developed a novel cyclic peptide inhibitors of the SARS-CoV-2 main protease based the crystal structure of an inactive variant of SARS-CoV called Mpro318. SARS-CoV-2 has caused a destructive pandemic across the globe and there is currently only one anti-viral drug that is FDA-approved for emergency treatment of this virus. The main protease is necessary for viral RNA replication, and previous studies to target this protease have developed inhibitors that only bind to a portion of it or require covalent bonds for efficacy.

Researchers developed cyclic compounds designed to mimic the conformation of natural Mpro substrate and bind to the sequences on both sides of the SARS-CoV-2 main protease. This allows for a tightly bound inhibitor that does not require covalent bond formation with the active site cysteine and improves the efficacy. Furthermore, cyclic peptides have greater resistance to degradation in the body, which can increase the bioavailability of these inhibitors and thus enhance drug efficacy as well.

STATE OF DEVELOPMENT

A small library of cyclic peptides has been synthesized and in vitro tests have confirmed inhibitory activity. Future steps include modifying the current set of inhibitors to improve activity, characterizing the inhibitor permeability into infected cells and stability in the blood.

PATENT STATUS

Patent Pending

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- Teixobactin O-Acyl Isopeptide Prodrugs

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