Protease Inhibitors for the Treatment or Prevention of Coronavirus Disease

Researchers at Stanford have identified protease inhibitors for potentially treating or preventing coronavirus disease including SARS-CoV-2 (cause of COVID-19). They have shown that the clinically approved anti-hepatitis C drugs boceprevir (BPV), narlaprevir (NPV) and telaprevir (TPV) as well as rupintrivir, inhibit enzymatic activity of the SARS-CoV-2 main protease in human cells. The coronavirus main protease, or Mpro, is a key protein in the virus life cycle and a major drug target. Furthermore, building on this work, the researchers have designed new ketoamide-based Mpro inhibitors based on central proline rings. One of the designed compounds, ML1000, inhibits Mpro with low-nanomolar affinity and suppresses SARS-CoV-2 viral replication in human cells at sub-micromolar concentrations. These findings identify ML1000 as a promising new pre-organized scaffold for the development of anti-coronavirus drugs.

Stage of Development
BPV (trade name Victrelis) and TPV (trade name Incivek) were approved in 2011 by the FDA as a fixed dose product for the treatment of chronic Hepatitis C. NPV is approved for use in Russia. Rupintrivir met safety criteria in a Phase 2 trial in 2002, was never FDA-approved, and is now off-patent. With previous approval by FDA and several years of clinical proof of safety, these drugs could be directly tested in clinics for treatment or prevention for SARS-Cov-2 after proving to be effective on inhibition of virus replication.

Applications

- Potential compounds for preventing or treating coronavirus disease
Advantages

- Effective therapeutic options for COVID-19 remain limited
- Medications to augment current therapeutic options (e.g., remdesivir) to further inhibit viral replication are still needed

Publications


Patents

- Published Application: WO2021226546

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