Catechol Diether Analogues as Anti-HIV Agents

OCR Number: OCR 5753

Description:

- HIV reverse transcriptase (RT) remains a key molecular target and a cornerstone for HIV therapy.
- Yale researchers have identified catechol diether derivatives as novel, potent anti-HIV agents.
- These compounds are new non-nucleoside RT inhibitors (NNRTIs) that address continuing issues:
  - concerning the possible emergence of new viral strains
  - improved dosing
  - long-term tolerability
  - safety
- OCR5753 is the most potent anti-HIV agent with activity towards wild-type HIV-1; it inhibited replication of HIV-1 in infected human T-cells with an EC$_{50}$ of 55 picomolar.
- OCR5753 is 10 times more potent than any NNRTI reported to date, including the newest FDA-approved drug, rilpivirine.
- Development of the catechol diethers can be expected to yield compounds with high therapeutic potential with low toxicity leading to a very high therapeutic index.

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