Product Data Sheet

Chemical Properties

Product Name: Tipranavir
Cas No.: 174484-41-4
M.Wt: 602.66
Formula: C31H33F3N2O5S
Synonyms: Aptivus, Tipranavir
Chemical Name: N-[3-[(1R)-1-((2R)-4-hydroxy-6-oxo-2-(2-phenylethyl)-2-propyl-3H-pyran-5-yl)propyl]phenyl]-5-(trifluoromethyl)pyridine-2-sulfonamide
Canonical SMILES: CCCC1(CC(=C(C(=O)O1)C(CC)C2=CC(CC=C2)NS(=O)(=O)C3=NC(C=C3)C(F)(F)F)O)CCC4=CC=CC=C4
Solubility: Soluble in DMSO
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Proteases
Pathways: HIV Protease
Description:
Tipranavir is a potent HIV protease inhibitor, which has been proven effective in inhibiting the HIV protease with a Ki value of 8 pM and showing an IC90 value of 100 nM [1]. It is believed that tipranavir can block the replication of numbers of viruses resistant to the current range of protease inhibitor. And the major amino acid substitutions of HIV-1 protease were identified to be associated with tipranavir sensitivity and resistance.[2]
As a highly potent HIV protease inhibitor, tipranavir inhibited HIV-2 protease with high potency (Ki < 1 nM) and was also effective against V82A and V82F/I84V mutants (Ki 3.0 and 0.25 nM, respectively). High Ki values against other aspartyl proteases such as human pepsin, cathepsins D and E illustrated the selectivity for HIV protease. An investigation of the effect of protein binding on antiviral activity was performed in HIV-1IIIB infected cells in a medium of 10% fetal bovine serum and 75% human plasma, where above 99% of the inhibitor is protein bound and an IC90 value of 1.4 µM was observed [1]. Additionally, a study of antiviral activities of tipranavir was operated with 134 clinical isolates in vitro, which showed the attractive properties of broadly protease inhibitor resistant HIV clinical samples [2].

In a mouse model with 5 mg/kg tipranavir dosing, as a result, CLtot was 0.17 ± 0.10 L/h/kg, Vss was 0.51 ± 0.14 L/kg, and t1/2 was 5.4 ± 0.3h. And following 10 mg/kg oral dosing in rats, got the result of F was 30% compared to 5 mg/kg tipranavir dosing [1]. After being proved an effective therapeutic agent for treatment of AIDS, an evaluating of the long-term (up to week 292) safety, efficacy and tolerability of ritonavir-boosted tipranavir was carried out in HIV-1-infected pediatric patients. The result of the evaluating indicated that the pediatric patients do well with regard to safety, tolerability and virologic efficacy when they are stable on a tipranavir-based regimen [3].

Reference

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.