Product Data Sheet

Chemical Properties

Product Name: Tegobuvir
Cas No.: 1000787-75-6
M.Wt: 517.4
Formula: C25H14F7N5
Synonyms: GS-333126; GS-9190; GS333126; GS9190

Chemical Name: 5-[[6-[[2,4-bis(trifluoromethyl)phenyl]pyridazin-3-yl]methyl]-2-(2-fluorophenyl)imidazo[4,5-c]pyridine
Canonical SMILES: C1=CC=C(C(=C1)C2=NC3=CN(C=CC3=N2)CC4=NN=C(C=C4)C5=C(C=C(C=C5)C(F)(F)F)C(F)(F)F)

Solubility: ≥24.95mg/mL 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: HCV Protease

Description:

Tegobuvir (TGV) is a non-nucleoside of HCV RNA replication EC50s of < 16 nM against genotype 1 and >100 nM for other genotypes. [1, 2]

Tegobuvir inhibited HCV replication by targeting the NS5B polymerase. The NS5B polymerase is an RNA polymerase which is responsible for HCV replication and is RNA-dependent RNA
The HCV NS5B contains canonical thumb, finger, and palm subdomains.[3, 4] Tegobuvir has potent activity against genotypes 1a and 1b HCV in HCV replicons in vitro, and in HCV genotype 1-infected patients and GT 1a EC50 is 17-fold higher than that observed in GT 1b.[5] However, it exhibited reduced activity against GT2a replicons and GT2a infectious virus. Furthermore, the C445F mutation selected by tegobuvir caused a 7.1-fold increase in EC50.[2] Thus, it indicated that the mechanism of tegobuvir is related to HCV NS5B. The data from different mutation of NS5B including C316Y, C445F, and Y452H indicated that the inhibitory effect is due to the interaction with the hairpin in the thumb subdomain.[2] But, the mechanism of TGV still has not been clearly defined. TGV does not have any inhibition effect on NS5B enzymatic activity with recombinant NS5B proteins.[5] A decrease in antiviral potency of TGV was observed when a CYP1A inhibitor was combined used in antiviral assays. It demonstrated that TGV binds to the NS5B polymerase after undergoing a multistep metabolic activation pathway.[5] The activity of TGV also is related to the specific glutathione adducts.[5] Besides the sub-type differences, TGV also is less potent against the GT 1b replicon in the HeLa cell line with EC50 > 10 μM. When individual patients treated only with tegobuvir with 8 days, it demonstrated median reductions of 1.5 log10 IU/mL in HCV RNA. But, rates of RVR (HCV RNA < 25 IU/mL at week 4) was enhanced when treated with Peg-IFN and RBV at the same time.[5]

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.