For Research Use Only

Amprenavir

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Catalog Number: CM04618

产品信息

Catalog Number:
CM04618

CAS号:
161814-49-9

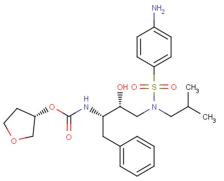
分子式:
$C_{25}H_{35}N_3O_6S$

主要靶点:
SARS-CoV|HIV Protease

主要通路:
微生物学|蛋白酶体|微生物学

分子量:
505.63

溶解度:
Ethanol:93 mg/mL (183.93 mM);DMSO:55 mg/mL (108.78 mM);H2O:< 1 mg/mL (insoluble or slightly soluble)



靶点活性

HIV protease:14.6 ng/mL

体外活性

Amprenavir promotes the specific interactions between the nuclear receptor pregnane X receptor (PXR) and the coactivators SRC-1 and PBP. Amprenavir is docked into the high-resolution crystal structure of human PXR in complex with SR12813. Amprenavir occupies all four subpockets, and its hydroxyl group forms a hydrogen bond with Ser247, which is located in the connection region of PXR, to help to position the drug in the optimal orientation inside the receptor. Amprenavir forms direct contacts with one residue on α AF of the PXR activation function-2 (AF-2) surface, Phe429, which may stabilize the active AF-2 conformation of the receptor and contribute to the agonist activity of amprenavir on PXR. Amprenavir induces the expression of bona fide PXR target genes involved in phase I (CYP3A4), phase II (UGT1A1), and phase III (MDR1) metabolism in both HepaRG cells and LS180 cells. [1]

体内活性

Amprenavir increases atherogenic LDL cholesterol fractions in WT mice, but not in PXR?/? mice. Amprenavir stimulates expression of known PXR target genes, including CYP3A11, glutathione transferase A1, and MDR1a, in the intestine of WT mice but not in PXR?/? mice. Amprenavir-mediated PXR activation stimulates the expression of both LipF and LipA in the intestine of WT mice, but not in PXR?/? mice, indicating a possible role of intestinal PXR in mediating dietary lipid breakdown and absorption in mammals. [1]

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.

For technical support and original validation data for this product please contact
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