Datasheet

Ritonavir

Product Name	Ritonavir
Catalogue Number	BSV-S1185
Chemical Formula	$C_{37}H_{48}N_6O_5S_2$
Function	HIV protease inhibitor, P450 inhibitor
CAS No.:	155213-67-5

Description:

Ritonavir is a **Cytochrome P450 3A** and **Protease** Inhibitor; Also inhibits **Cytochrome P450 2D6**, **P-Glycoprotein** and induces **Cytochrome P450 2C19**, **Cytochrome P450 1A2**, **Cytochrome P450 2C9**, **Cytochrome P450 2B6** and **UDP Glucuronosyltransferases**.

Product Details:

Target: HIV protease [1] , CYP3A4 [1]

Chemical name: (3S,4S,6S,9S)-4-hydroxy-12-methyl-9-(1-methylethyl)-13-[2-(1-methylethyl)-4-thiazolyl]-8,11-dioxo-3,6-bis(phenylmethyl)-2,7,10,12-Tetraazatridecanoic acid 5-thiazolylmethyl ester

Formula: $C_{37}H_{48}N_6O_5S_2$

Molecular weight: 720.94

Purity: 99.92 %

Solubility: **144** mg/mL (DMSO), **20** mg/mL (ethanol)

Storage: 3 years -20°C powder, 2 years -80°C in solvent

Preparing stock solutions

Concentration/ Mass	1 mg	5 mg	10 mg
1 mM	1.3871 mL	6.9354 mL	13.8708 mL
5 mM	0.2774 mL	1.3871 mL	2.7742 mL

The Innovation Centre, 217 Portobello, Sheffield, S1 4DP
Tel: +44(0)114 224 2235 Email: info@bioservuk.com

10 mM	0.1387 mL	0.6935 mL	1.3871 mL
50 mM	0.0277 mL	0.1387 mL	0.2774 mL

Biological Activity:

In vitro:

Ritonavir is a very potent inhibitor of CYP3A4 mediated testosterone 6β-hydroxylation with mean Ki of 19 nM and also inhibits tolbutamide hydroxylation with IC50 of 4.2 μM. [1] Ritonavir is found to be a potent inhibitor of CYP3A-mediated biotransformations (nifedipine oxidation with IC50 of 0.07 mM, 17alpha-ethynylestradiol 2-hydroxylation with IC50 of 2 mM; terfenadine hydroxylation with IC50 of 0.14 mM). Ritonavir is also found to be an inhibitor of the reactions mediated by CYP2D6 (IC50 = 2.5 mM) and CYP2C9/10 (IC50 = 8.0 mM). [2] Ritonavir results in an increase in cell viability in uninfected human PBMC cultures. Ritonavir markedly decreases the susceptibility of PBMCs to apoptosis correlated with lower levels of caspase-1 expression, decreases in annexin V staining, and reduces caspase-3 activity in uninfected human PBMC cultures. Ritonavir inhibits induction of tumor necrosis factor (TNF) production by PBMCs and monocytes in a time- and dose-dependent manner at nontoxic concentrations. [3] Ritonavir inhibits p-glycoprotein-mediated extrusion of saquinavir with an IC50 of 0.2 μM, indicating a high affinity of ritonavir for p-glycoprotein. [4] Ritonavir inhibits human liver microsomal metabolism of ABT-378 potently with Ki of 13 nM. Ritonavir combined with ABT-378 (at 3:1 and 29:1 ratios) inhibits CYP3A (IC50 = 1.1 and 4.6 μM), albeit less potently than Ritonavir (IC50 = 0.14 μM). [5]

References:

[1] Eagling VA, et al. Br J Clin Pharmacol, 1997, 44(2), 190-194.
[2] Kumar GN, et al. J Pharmacol Exp Ther, 1996, 277(1), 423-431.
[3] Weichold FF, et al. J Hum Virol, 1999, 2(5), 261-269.
[4] Drewe J, et al. Biochem Pharmacol, 1999, 57(10), 1147-1152.
[5] Kumar GN, et al. Drug Metab Dispos, 1999, 27(8), 902-908.