Ritonavir

Products Description

Product Name: **Ritonavir**
Syn: A 84538; ABT 538; Abbott 84538; NSC 693184; RTV; $C_{37}H_{48}N_6O_5S_2$

Cat N° : LSI940, 10mg LSI941, 50mg LSI942, 100mg LSI943, 500 mg Inquire >=1 g
also available as 10 mM solution (1 mL in DMSO)

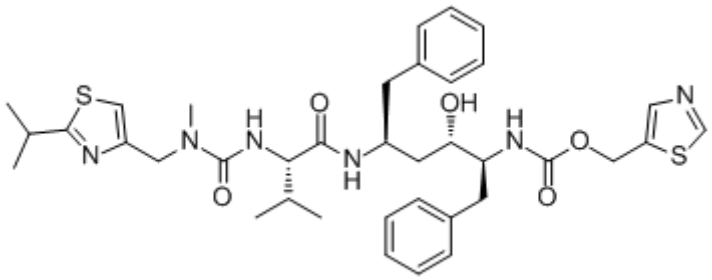
CAS No.: 155213-67-5

MWt: 720.94

Purity: 99.55% (white solid)

Melting Point: 175-178°C

Solubility: 10 mM in DMSO;
< 0.1 mg/mL in H_2O



Target: CYP3A4

Pathway: Proteaseome

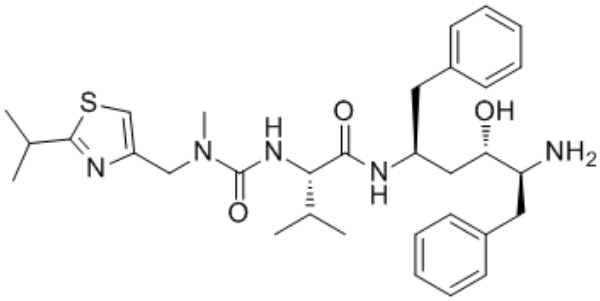
Storage:(L) store the product at -20°C (stable for 3 years) or +4°C (stable for 2 years) (M)

Product Name: **Ritonavir metabolite**
(Desthiazolylmethyloxycarbonyl Ritonavir)

Cat N° : XMH680, 5mg XMH681, 10 mg
XMH682, 50 mg

CAS No.: 176655-55-3

MWt: 579.8



$C_{37}H_{48}N_6O_5S_2$

Technical and Scientific Information

Ritonavir is an inhibitor of HIV protease used to treat HIV infection and AIDS.

Biological activity:
Ritonavir is an inhibitor of CYP3A4 mediated testosterone 6β-hydroxylation with mean K_i of 19 nM and also inhibits tolbutamide hydroxylation with IC_{50} of 4.2 μM[1]. Ritonavir is found to be a potent inhibitor of CYP3A-mediated biotransformations (nifedipine oxidation with IC_{50} of 0.07 mM, 17alpha-ethynylestradiol 2-hydroxylation with IC_{50} of 2 mM; terfenadine hydroxylation with IC_{50} of 0.14 mM). Ritonavir is also an inhibitor of the reactions mediated by CYP2D6 (IC_{50}=2.5 mM) and CYP2C9/10 (IC_{50}=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 IC_{50} 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 K_i of 13 nM. Ritonavir combined with ABT-378 (at 3:1 and 29:1 ratios) inhibits CYP3A (IC_{50}=1.1 and 4.6 μM), albeit less potently than Ritonavir (IC_{50}=0.14 μM)[5].
Ritonavir was use in many clinical trials of HIV-1 infections, AIDS-related dementia, AIDS-related infections(Tuberculosis, Malaria,…), and also in Breast cancer, Hyperlipidemia, chronic delta hepatitis and healthy volunteers.+

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FT-LSI940

Preparing Stock Solutions (Ritonavir)

Volume (DMSO) Mass:	1 mg	5 mg	10 mg
Concentration			
1 mM	11.3871 mL	6.9354 mL	13.8708 mL
5 mM	0.2774 mL	1.3871 mL	2.7742 mL
10 mM	0.1387 mL	0.6935 mL	1.3871 mL

Solutions should be stored at -20°C (<1 month), or better at -80°C (<6 months)

References:

[1]. Eagling VA, et al. Differential inhibition of cytochrome P450 isoforms by the protease inhibitors, ritonavir, saquinavir and indinavir. Br J Clin Pharmacol. 1997 Aug;44(2):190-4.
[2]. Kumar GN, et al. Cytochrome P450-mediated metabolism of the HIV-1 protease inhibitor ritonavir (ABT-538) in human liver microsomes. J Pharmacol Exp Ther. 1996 Apr;277(1):423-31.
[3]. Weichold FF, et al. HIV-1 protease inhibitor ritonavir modulates susceptibility to apoptosis of uninfected T cells. J Hum Virol. 1999 Sep-Oct;2(5):261-9.
[4]. Drewe J, et al. HIV protease inhibitor ritonavir: a more potent inhibitor of P-glycoprotein than the cyclosporine analog SDZ PSC 833. Biochem Pharmacol. 1999 May 15;57(10):1147-52.
[5]. Kumar GN, et al. Potent inhibition of the cytochrome P-450 3A-mediated human liver microsomal metabolism of a novel HIV protease inhibitor by ritonavir: A positive drug-drug interaction. Drug Metab Dispos. 1999 Aug;27(8):902-8.
[0] Chem Cent J. 2017 Jan 3;11:1.

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