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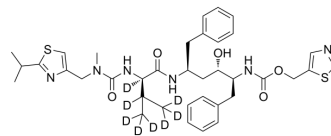
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Ritonavir-d₈

Cat. No.:	HY-90001S2
Molecular Formula:	C ₃₇ H ₄₀ D ₈ N ₆ O ₅ S ₂
Molecular Weight:	728.99
Target:	Apoptosis; HIV Protease; SARS-CoV; HIV; Isotope-Labeled Compounds
Pathway:	Apoptosis; Anti-infection; Metabolic Enzyme/Protease; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ritonavir-d ₈ is deuterated labeled Ritonavir (HY-90001). Ritonavir (ABT 538) is an inhibitor of HIV protease used to treat HIV infection and AIDS. Ritonavir is also a SARS-CoV 3CL ^{pro} inhibitor with an IC ₅₀ of 1.61 μM.
In Vitro	<p>Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs^[1].</p> <p>Ritonavir (ABT 538) is an inhibitor of CYP3A4 mediated testosterone 6β-hydroxylation with mean K_i of 19 nM and also inhibits tolbutamide hydroxylation with IC₅₀ of 4.2 μM^[2].</p> <p>Ritonavir (ABT 538) is found to be a potent inhibitor of CYP3A-mediated biotransformations (nifedipine oxidation with IC₅₀ of 0.07 mM, 17α-ethynylestradiol 2-hydroxylation with IC₅₀ of 2 mM; terfenadine hydroxylation with IC₅₀ of 0.14 mM). Ritonavir is also an inhibitor of the reactions mediated by CYP2D6 (IC₅₀=2.5 mM) and CYP2C9/10 (IC₅₀=8.0 mM)^[3].</p> <p>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^[4].</p> <p>Ritonavir inhibits p-glycoprotein-mediated extrusion of saquinavir with an IC₅₀ of 0.2 μM, indicating a high affinity of ritonavir for p-glycoprotein^[5].</p> <p>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 (IC₅₀=1.1 and 4.6 μM), albeit less potently than Ritonavir (IC₅₀=0.14 μM)^[6].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

REFERENCES

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- [4]. 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.

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- [5]. 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.
- [6]. 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.
- [7]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother.* 2019 Feb;53(2):211-216.
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Caution: Product has not been fully validated for medical applications. For research use only.

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