

Islatravir PK Fact Sheet

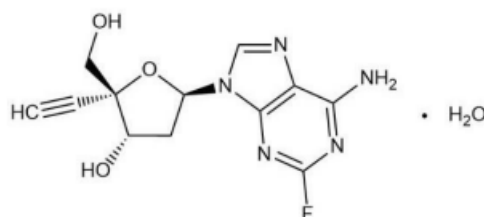
Revised September 2026

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Details

Generic Name	Islatravir
Trade Name	Idvynso® (with doravirine)
Class	Nucleoside Reverse Transcription Inhibitor
Molecular Weight	311.27
Structure	



Summary of Key Pharmacokinetic Parameters

Islatravir requires phosphorylation by deoxycytidine kinase to form the pharmacologically active islatravir triphosphate.

Linearity/non-linearity	Pharmacokinetics of islatravir were approximately dose proportional over a dose range of 0.25 to 5 mg once daily ^[1]
Steady state	7 days
Plasma half life	21 h (Terminal half-life of islatravir is ~230 h; terminal half-life of intracellular ISL-TP is ~186 h)
C _{max}	3.45 (5.5) nM (geometric mean (%CV))
C _{tau}	0.779 (22.3) nM (geometric mean (%CV))
AUC	31.4 (15.3) nM.h (geometric mean (%CV))
Bioavailability	Not determined Total radioactivity recovery in urine suggests that islatravir has high (> 90%) bioavailability ^[2]
Absorption	Islatravir (as Idvynso with doravirine) can be taken with or without food. Administration with a high fat meal (~1000 kcal, 50% fat) increase islatravir AUC by 13% and decreased C _{max} by 20%. The effect of food is not clinically relevant.
Protein Binding	3%
Volume of Distribution	264 L
CSF:Plasma ratio	Not reported
Semen:Plasma ratio	Not reported
Renal Clearance	The major route of elimination is metabolism, with 32% eliminated unchanged in the urine. Renal clearance is 9.3 ml/min

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Dosing in Renal and Hepatic Impairment

Renal Impairment	No dosage adjustment of islatravir (with doravirine) required in patients with eGFR ≥ 30 mL/min/1.73 m². Islatravir (with doravirine) is not recommended in patients with eGFR < 30 mL/min/1.73 m². Islatravir (with doravirine) has not been studied in participants undergoing dialysis. No clinically significant differences in the pharmacokinetics of islatravir were observed with mild to moderate renal impairment (eGFR ≥ 30 mL/min/1.73 m²). In a study comparing 6 participants with severe renal impairment (eGFR < 30 mL/min/1.73 m², not on dialysis) to 6 participants without renal impairment, the single dose exposure of islatravir was approximately 2-fold higher in participants with severe renal impairment.
Hepatic Impairment	No dosage adjustment of islatravir (with doravirine) is recommended in patients with mild or moderate hepatic impairment (Child-Pugh Class A or B). Islatravir (with doravirine) has not been studied in patients with severe hepatic impairment (Child-Pugh Class C) and therefore is not recommended in these patients. No clinically significant differences in the pharmacokinetics of islatravir were observed with mild (Child-Pugh Class A) or moderate (Child-Pugh Class B) hepatic impairment. The pharmacokinetics of islatravir in patients with severe hepatic impairment (Child-Pugh Class C) is unknown.

Metabolism and Distribution

Metabolised by	Metabolized by adenosine deaminase (ADA, ~53%) to an inactive deoxyinosine metabolite. Phosphorylated by deoxycytidine kinase to islatravir triphosphate (pharmacologically active). Not significantly metabolized by CYP enzymes.
Inducer of	None expected. Does not induce CYP3A4, 1A2, or 2B6, in vitro.
Inhibitor of	None expected. Does not inhibit any major drug metabolizing enzymes including CYPs 1A2, 2B6, 2C8, 2C9, 2C19, 2D6, 3A, UGT1A1, or UGT2B7. Does not inhibit OATP1B1, OATP1B3, OCT1, OAT1, MATE1, MATE2K, P-gp, BSEP, MRP2, MRP3, or MRP4 at concentrations ≥ 75 μM ($> 10,000$-fold the C_{max} at the therapeutic dose). Inhibited OCT2, OAT3, BCRP by 15-35% at 100 μM, but not at clinically relevant concentrations.
Transported by	Determined to be a substrate of BCRP; however, considering its high absorption and lack of significant biliary excretion observed in preclinical species, islatravir is not expected to be an object of BCRP-mediated drug interactions. Not a substrate for major hepatic or renal transporters, such as OAT1, OAT3, OCT2, MATE1, MATE2K, or P-gp.

References

Unless otherwise stated (see below), information is from:

Idvynso® [US Prescribing Information](#), Merck & Co Inc.

(Accessing the prescribing information outside of America may require a browser with a free VPN such as [Opera](#))

1. Safety and pharmacokinetics of once-daily multiple-dose administration of islatravir in adults without HIV. Matthews RP, Jackson Rudd D, Zhang S, et al. [J Acquir Immune Defic Syndr](#). 2021 Nov 1;88(3):314-321.
2. FDA, Idvynso Multi-Discipline Review (NDA 216964)
https://www.accessdata.fda.gov/drugsatfda_docs/nda/2026/216964s000MultidisciplineR.pdf