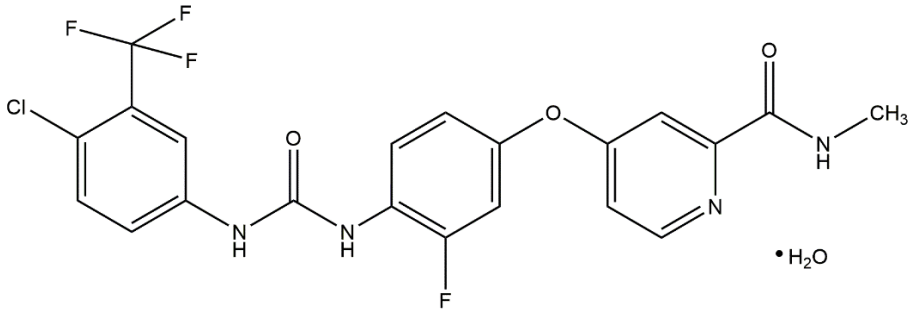
Regorafenib PK Fact Sheet

Details

Generic Name	Regorafenib
Trade Name	Stivarga®
Class	Oncolytics (Protein kinase inhibitors)
Molecular Weight	500.83
Structure	

Summary of Key Pharmacokinetic Parameters

Linearity/non-linearity	Exposure increases dose proportionally up to 60 mg and less than proportionally doses greater than 60 mg.
Steady state	Time to steady state not reported.
Plasma half-life	Regorafenib and M-2: 20-30 h M-5: ~60 h
Cmax	3.9 µg/mL after oral administration of 160 mg, at steady state.
C_{24}	Not reported
AUC	58.3 µg·h/mL after oral administration of 160 mg, at steady state.
Bioavailability	The mean relative bioavailability of 60 or 100 mg tablets compared to an oral solution is 69% and 83%, respectively.
Absorption	The concentrations of regorafenib and its major pharmacologically active metabolites (M-2 and M-5) are highest when given after a low-fat breakfast, compared to either a high-fat breakfast or fasting condition.
Protein Binding	99.5%.
Volume of Distribution	Not reported.
CSF:Plasma ratio	Not reported.
Semen:Plasma ratio	Not reported.
Renal Clearance	<10% under steady state conditions.
Renal Impairment	No dose adjustment is recommended for patients with renal impairment.
Hepatic Impairment	No dose adjustment is recommended in patients with mild or moderate impairment. Closely monitor patients with hepatic impairment for adverse reactions Regorafenib is not recommended in patients with severe hepatic impairment as this population has not been studied.

Metabolism and Distribution

Metabolised by	CYP3A4, UGT1A9.
Inducer of	None known.
Inhibitor of	UGT1A1, UGT1A9, BCRP.
Transported by	BCRP, P-gp.

References

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

Stivarga® Summary of Product Characteristics, Bayer plc.

Stivarga® Prescribing Information, Bayer HealthCare Pharmaceuticals Inc.