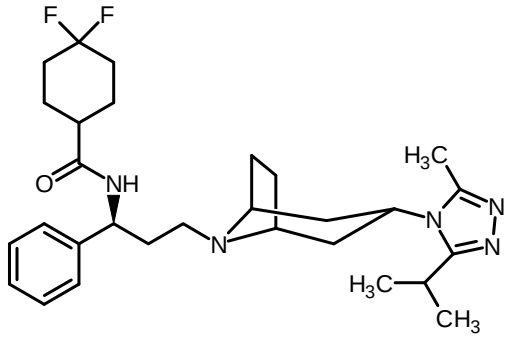
Maraviroc PK Fact Sheet

Details

Generic Name	Maraviroc
Trade Name	Celsentri®, Selzentry®
Class	Entry Inhibitor- CCR5 Antagonist
Molecular Weight	513.67
Structure	

Summary of Key Pharmacokinetic Parameters

Linearity/non-linearity	The pharmacokinetics of oral maraviroc are not dose proportional over the dose range.
Plasma half life	13.2 h (30 mg IV administration)
Cmax	888 ng/ml (300 mg twice daily, healthy subjects) 618 ng/ml (asymptomatic HIV patients); 266 ng/ml (treatment experienced HIV patients) 332 ng/ml (150 mg twice daily plus CYP3A inhibitor)
Cmin	43.1 ng/ml (300 mg twice daily, healthy subjects) 33.6 ng/ml (asymptomatic HIV patients); 37.2 ng/ml (treatment experienced HIV patients) 101 ng/ml (150 mg twice daily plus CYP3A inhibitor):
AUC	2908 ng.h/ml (300 mg twice daily, healthy subjects) 2550 ng.h/ml (asymptomatic HIV patients); 1513 ng.h/ml (treatment experienced HIV patients) 2463 ng.h/ml (150 mg twice daily plus CYP3A inhibitor)
Bioavailability	23% (100 mg dose). Predicted to be 33% for 300 mg dose.
Absorption	Maraviroc can be taken with or without food at the recommended doses. Coadministration of a 300 mg tablet with a high fat breakfast reduced maraviroc Cmax and AUC by 33% in healthy volunteers. There were no food restrictions in the studies that demonstrated the efficacy and safety of maraviroc.
Protein Binding	~ 76%
Volume of Distribution	~194 L
CSF:Plasma ratio	Unknown
Semen:Plasma ratio	Unknown
Renal Clearance	~8% as unchanged drug (oral administration) and ~22% as unchanged drug (IV administration). However, in the presence of metabolic inhibitors, renal clearance may account for up to 70%.
Renal Impairment	Safety and efficacy have not been specifically studied in patients with renal impairment, therefore maraviroc should be used with caution in this population. Dosage adjustment is only recommended in patients with renal impairment also receiving potent CYP3A4 inhibitors.
Hepatic Impairment	Limited data are available in hepatic impairment; Maraviroc should be used with caution.

Metabolism and Distribution

Metabolised by	CYP3A4
Inducer of	Unlikely at clinically relevant concentrations.
Inhibitor of	Unlikely at clinically relevant concentrations; potential inhibition of CYP2D6 at higher doses. Effects on P-glycoprotein have not been evaluated, an inhibitory effect can not be excluded.
Transported by	P-glycoprotein

References

Unless otherwise stated (see below), information is from:
Celsentri® Summary of Product Characteristics, ViiV Healthcare UK Ltd.
Selzentry™ US Prescribing Information, ViiV Healthcare.