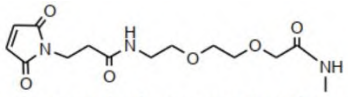
Albuvirtide PK Fact Sheet

Details

Generic Name	Albuvirtide
Trade Name	Aikening®
Class	HIV-1 fusion inhibitor
Molecular Weight	4666.93
Structure	

Ac-Trp-Glu-Glu-Trp-Asp-Arg-Glu-Ile-Asn-Asn-Tyr-Thr-Lys-Leu-Ile-His-Glu-Leu-Ile-Glu-Glu-Ser-Gln-Asn-Gln-Gln-Glu-Lys-Asn-Glu-Gln-Glu-Leu-Leu-NH_2

Summary of Key Pharmacokinetic Parameters

Albuvirtide is currently available in China and is administered by intravenous infusion at a dose of 320 mg once a day on day 1, 2, 3, and 8, and thereafter once a week.

Linearity/non-linearity	Good linear correlation (r=0.971) was shown with doses of 20 mg, 80 mg, 160 mg and 320 mg.
Steady state	Not available
Plasma half life	10-12 days
Cmax	51.4 ± 6.8 mg/L (n=6)
Ctau	6.9 mg/L (n=6)
AUC	4946.3 ± 407.1 mg/L.h (n=6)
Bioavailability	Not available
Absorption	Interactions with food and drink are unlikely. Interactions with food have not been established.
Protein Binding	>96% [1]
Volume of Distribution	25.6 ± 6.5 L (n=6)
CSF:Plasma ratio	Not available
Semen:Plasma ratio	Not available
Renal Clearance	Not available

Dosing in Renal and Hepatic Impairment

Renal Impairment	Pharmacokinetics have not been determined in patients with renal impairment.
Hepatic Impairment	Pharmacokinetics have not been determined in patients with hepatic impairment. Administration of the standard dosing regimen to 7 HIV-infected patients with severe liver impairment was well tolerated and no dose adjustment was required [2].

Metabolism and Distribution

Metabolised by	Albuvirtide is a peptide which is eliminated by catabolism to its constituent amino acids.
Inducer of	Not determined in vitro. When coadministered with lopinavir/ritonavir to 9 patients in a clinical study, exposure to lopinavir/ritonavir was reduced (lopinavir AUC, Cmax and Ctrough decreased by 37%, 33% and 35%, respectively; ritonavir AUC, Cmax and Ctrough decreased by 38%, 39% and 28%, respectively) [1].
Inhibitor of	No significant inhibition effect on the activity of human liver microsomal enzymes CYPs 1A2, 2C8, 2C9, 2C19, 2D6 and 3A4 in vitro.
Transported by	Not available

References

Unless otherwise stated (see below), information is from:
Aikening® Product Monograph, Frontier Biotechnologies Inc (personal communication).

1. Yang W, Xiao Q, Wang D, et al. Evaluation of pharmacokinetic interactions between long-acting HIV-1 fusion inhibitor albuvirtide and lopinavir/ritonavir, in HIV-infected subjects, combined with clinical study and simulation results. Xenobiotica. 2017, 47(2): 133-143.
2. Feilong Xu et. al. Long-acting HIV fusion inhibitor albuvirtide is a safe and effective treatment in HIV patients with severe liver impairment, HBV co-infection and high HIV RNA copies. *J HIV AIDS Infect Dis, 2021, 8: 1-9.*