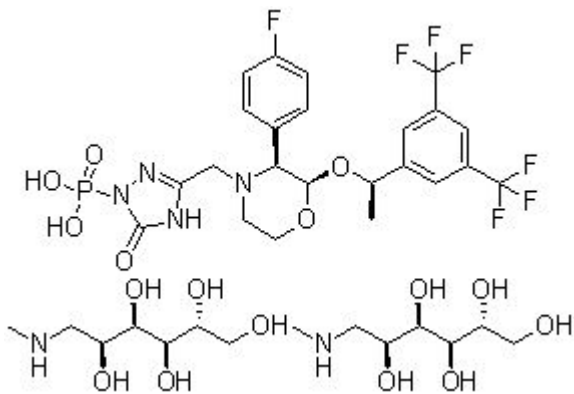


Product Introduction

Fosaprepitant dimeglumine salt

Fosaprepitant is a water-soluble phosphoryl prodrug for Aprepitant which is a **NK1** antagonist.

Technical Data:

Molecular Weight (MW):	1004.83	
Formula:	C ₂₃ H ₂₂ F ₇ N ₄ O ₆ P.2C ₇ H ₁₇ N O ₅	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 201 mg/mL	
	Water 135 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder	
	6 months-80°C in DMSO	
CAS No.:	265121-04-8	

Biological Activity

Fosaprepitant (MK-0517, L-758,298) is a phosphoryl prodrug for aprepitant. Aprepitant is a selective substance P (NK-1 receptor) antagonist approved as part of combination therapy with a corticosteroid and a 5-HT₃ receptor antagonist for the prevention of acute and delayed Chemotherapy-induced nausea and vomiting. ^[1]

Fosaprepitant is converted to aprepitant within 30 min after intravenous administration via the action of

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ubiquitous phosphatases when administered intravenously. Fosaprepitant is well tolerated up to 150 mg (1 mg/ml), and Fosaprepitant 115 mg is bioequivalent in its AUC to aprepitant 125 mg. Fosaprepitant 115 mg has been submitted for FDA approval as an alternative on day 1 of a 3-day oral aprepitant regimen, with oral aprepitant administered on days 2 and 3. ^[1]

References

[1] Navari RM. Expert Opin Investig Drugs, 2007, 16(12), 1977-1985.



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