

# Fosaprepitant (dimeglumine)

Catalog No: tcsc1761



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

265121-04-8

**Formula:**

$C_{37}H_{56}F_7N_6O_{16}P$

**Pathway:**

Neuronal Signaling;GPCR/G Protein

**Target:**

Neurokinin Receptor;Neurokinin Receptor

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Alternative Names:**

MK-0517; L785298

**Observed Molecular Weight:**

1004.83

## Product Description

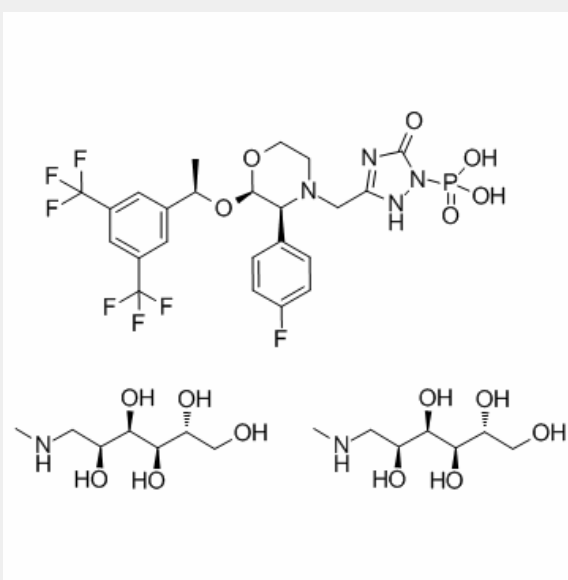
Fosaprepitant dimeglumine(MK-0517) is a neurokinin-1 receptor antagonist for the prevention of chemotherapy-induced nausea and vomiting.

IC50 Value:

Target: NK1 receptor

in vitro: Fosaprepitant (also known as MK-0517 and L-758,298) is a water-soluble phosphoryl prodrug for aprepitant, which, when administered intravenously, is converted to aprepitant within 30 min of intravenous administration via the action of ubiquitous phosphatases. Owing to the rapid conversion of fosaprepitant to the active form (aprepitant), fosaprepitant 115 mg provided the same aprepitant exposure in terms of AUC as aprepitant 12 mg orally, and fosaprepitant is expected to provide a correspondingly similar antiemetic effect as aprepitant [1].

in vivo: Fosaprepitant is well tolerated with mild to moderate venous irritation being the only additional toxicity to those seen with oral aprepitant, and that is a function of dose, concentration, and infusion rate [2]. Patients receiving cisplatin  $\geq 70$  mg/m<sup>2</sup> for the first time received ondansetron and dexamethasone with a standard aprepitant regimen (125 mg on day 1, 80 mg on day 2, 80 mg on day 3) or a single-dose fosaprepitant regimen (150 mg on day 1) [3]. Single-dose fosaprepitant used in combination with granisetron and dexamethasone was well-tolerated and effective in preventing chemotherapy-induced nausea and vomiting in patients receiving highly emetogenic cancer chemotherapy, including high-dose cisplatin [4].



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