

Product Data Sheet

Product Name: Gabapentin enacarbil

Cat. No.: GC36097

Chemical Properties

Cas. No. 478296-72-9

SMILES O=C(O)CC1(CNC(OC(OC(C(C)C)=O)C)=O)CCCCC1

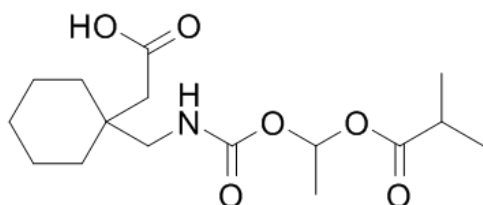
Formula $C_{16}H_{27}NO_6$ M.Wt 329.39

Solubility DMSO: ≥ 100 mg/mL (303.59 mM); Storage Store at -20°C
Ethanol: 100 mg/mL (303.59 mM);
Water: < 0.1 mg/mL (insoluble)

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Gabapentin enacarbil (XP-13512) is a prodrug for the anticonvulsant and analgesic drug gabapentin. IC₅₀ Value: Target: Calcium Channel. Gabapentin enacarbil is an actively transported prodrug of gabapentin that provides sustained dose-proportional exposure to gabapentin and predictable bioavailability. In vitro: The prodrug (XP-13512) demonstrated active apical to basolateral

Caution: Product has not been fully validated for medical applications. For research use only.

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transport across Caco-2 cell monolayers and pH-dependent passive permeability across artificial membranes. XP13512 inhibited uptake of (14)C-lactate by human embryonic kidney cells expressing monocarboxylate transporter type-1, and direct uptake of prodrug by these cells was confirmed using liquid chromatography-tandem mass spectrometry. XP13512 inhibited uptake of (3)H-biotin into Chinese hamster ovary cells overexpressing human sodium-dependent multivitamin transporter (SMVT) [1].in vivo: In 4 studies of healthy volunteers (136 subjects total), the pharmacokinetics of XP13512 immediate- and extended-release formulations were compared with those of oral gabapentin. XP13512 immediate-release (up to 2800 mg single dose and 2100 mg twice daily) was well absorbed (>68%, based on urinary recovery of gabapentin), converted rapidly to gabapentin, and provided dose-proportional exposure, whereas absorption of oral gabapentin declined with increasing doses to <27% at 1200 mg. Compared with 600 mg gabapentin, an equimolar XP13512 extended-release dose provided extended gabapentin exposure (time to maximum concentration, 8.4 vs 2.7 hours) and superior bioavailability (74.5% vs 36.6%) [2].Toxicity: Gabapentin's most common side effects in adult patients include dizziness, fatigue, weight gain, drowsiness, and peripheral edema (swelling of extremities).

[1]. Cundy KC, et al. XP13512 [(+/-)-1-([(alpha-isobutanoyloxyethoxy)carbonyl] aminomethyl)-1-cyclohexane acetic acid], a novel gabapentin prodrug: I. Design, synthesis, enzymatic conversion to gabapentin, and transport by intestinal solute transporters. J Pha [2]. Cundy KC, et al. Clinical pharmacokinetics of XP13512, a novel transported prodrug of gabapentin. J Clin Pharmacol. 2008 Dec;48(12):1378-88.

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