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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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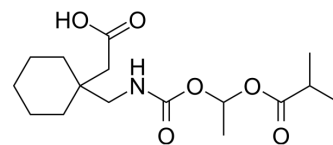
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Gabapentin enacarbil

Cat. No.:	HY-16216
CAS No.:	478296-72-9
Molecular Formula:	C ₁₆ H ₂₇ NO ₆
Molecular Weight:	329.39
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	-20°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 100 mg/mL (303.59 mM; Need ultrasonic)
DMSO : ≥ 100 mg/mL (303.59 mM)
* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		3.0359 mL	15.1796 mL	30.3591 mL
	5 mM		0.6072 mL	3.0359 mL	6.0718 mL
	10 mM		0.3036 mL	1.5180 mL	3.0359 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (7.59 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (7.59 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (7.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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 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).

REFERENCES

- [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 Pharmacol Exp Ther*. 2004 Oct;311(1):315-23.
- [2]. Cundy KC, et al. Clinical pharmacokinetics of XP13512, a novel transported prodrug of gabapentin. *J Clin Pharmacol*. 2008 Dec;48(12):1378-88.

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

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