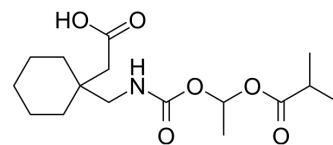


## Gabapentin enacarbil

<b>Cat. No.:</b>	HY-16216
<b>CAS No.:</b>	478296-72-9
<b>Molecular Formula:</b>	C <sub>16</sub> H <sub>27</sub> NO <sub>6</sub>
<b>Molecular Weight:</b>	329.39
<b>Target:</b>	Calcium Channel
<b>Pathway:</b>	Membrane Transporter/Ion Channel; Neuronal Signaling
<b>Storage:</b>	-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.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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. IC<sub>50</sub> 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

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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).

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## REFERENCES

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA