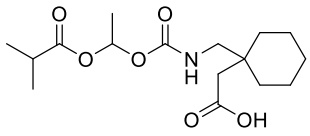
Product data sheet

MedKoo Cat#: 314219 Name: Gabapentin enacarbil CAS#: 478296-72-9 Chemical Formula: $C_{16}H_{27}NO_6$ Exact Mass: 329.18384 Molecular Weight: 329.39	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Gabapentin enacarbil is a prodrug for the anticonvulsant and analgesic drug gabapentin. It was designed for increased oral bioavailability over gabapentin, and human trials showed it to produce extended release of gabapentin with almost twice the overall bioavailability, especially when taken with a fatty meal. Gabapentin enacarbil has passed human clinical trials for the treatment of restless legs syndrome, and initial results have shown it to be well tolerated and reasonably effective. (Source: http://en.wikipedia.org/wiki/Gabapentin_enacarbil).

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100.0	303.59
Ethanol	100.0	303.59
Water	0.67	2.03

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.04 mL	15.18 mL	30.36 mL
5 mM	0.61 mL	3.04 mL	6.07 mL
10 mM	0.30 mL	1.52 mL	3.04 mL
50 mM	0.06 mL	0.30 mL	0.61 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Grankvist N, Lagerborg KA, Jain M, Nilsson R. Gabapentin Can Suppress Cell Proliferation Independent of the Cytosolic Branched-Chain Amino Acid Transferase 1 (BCAT1). Biochemistry. 2018 Dec 11;57(49):6762-6766. doi: 10.1021/acs.biochem.8b01031. Epub 2018 Nov 26. PMID: 30427175; PMCID: PMC6528808.

In vivo study

1. Akiyama T, Andoh T, Ohtsuka E, Nojima H, Ouchi H, Takahata H, Kuraishi Y. Peripheral gabapentin regulates mosquito allergy-induced itch in mice. Eur J Pharmacol. 2018 Aug 15;833:44-49. doi: 10.1016/j.ejphar.2018.05.037. Epub 2018 May 26. PMID: 29842875.
2. Ceretta APC, de Freitas CM, Schaffer LF, Reinheimer JB, Dotto MM, de Moraes Reis E, Scussel R, Machado-de-Ávila RA, Fachinetto R. Gabapentin reduces haloperidol-induced vacuous chewing movements in mice. Pharmacol Biochem Behav. 2018 Mar;166:21-26. doi: 10.1016/j.pbb.2018.01.003. Epub 2018 Jan 31. PMID: 29374574.

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7. Bioactivity

Biological target:

Gabapentin enacarbil (XP-13512) is a prodrug for the anticonvulsant and analgesic drug gabapentin.

In vitro activity

It's found that 10 mM gabapentin reduces the growth of HCT116 cells, which have an active branched-chain amino acid transferase but express very low levels of BCAT1, and presumably rely on the mitochondrial BCAT2 enzyme. Gabapentin did not affect transamination of BCAA to branched-chain keto acids (BCKA) in HCT116 cells, nor the reverse formation of BCAA from BCKA, indicating that the branched-chain amino acid transaminase is not inhibited. Moreover, the growth-inhibitory effect of gabapentin could not be rescued by supplementation with BCKA, and this was not due to the lack of uptake of BCKA, indicating that other effects of gabapentin are important. An untargeted LC-MS analysis of gabapentin-treated cells revealed a marked depletion of branched-chain carnitines. These results demonstrate that gabapentin at high concentrations can inhibit cell proliferation without affecting BCAT1 and may affect mitochondrial BCKA catabolism.

Reference: Biochemistry. 2018 Dec 11;57(49):6762-6766. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/30427175/

In vivo activity

The antipruritic activity of gabapentin, an anticonvulsant, was studied in a mouse model of allergic itch. In mice sensitized by an extract of the salivary glands of the mosquito (ESGM), an intradermal injection of ESGM elicited scratching and increased peripheral nerve firing. Oral or intradermal administration of gabapentin at the ESGM injection site inhibited ESGM-induced scratching and peripheral nerve firing. However, gabapentin did not affect histamine-induced scratching. The distributions of immunoreactivity to the voltage-dependent calcium channel α2δ-1 subunit, a site of gabapentin action, and the histamine H1 receptor differed in the mouse dorsal root ganglia. The α2δ-1 subunit was mainly found in neurons that were 15-20 μm in diameter, whereas the H1 receptor was mainly in 20-30 μm neurons. In addition, α2δ-1 subunit immunoreactivity co-localized with that of transient receptor potential vanilloid 1 (TRPV1). These results suggest that gabapentin regulates allergic itch by acting on the calcium channel α2δ-1 subunit in peripheral TRPV1-positive neurons.

Reference: Eur J Pharmacol. 2018 Aug 15;833:44-49. https://linkinghub.elsevier.com/retrieve/pii/S0014-2999(18)30308-X

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.