Product data sheet



MedKoo Cat#: 510347			
Name: Raxatrigine free base		→ NILI	
CAS: 934240-30-9 (free base)		NH_2	
Chemical Formula: C ₁₈ H ₁₉ FN ₂ O ₂			
Exact Mass: 314.1431		, ii , ii	
Molecular Weight: 314.36		H ~	
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.		

Product description:

Raxatrigine, also known as vixotrigine, GSK1014802, and CNV1014802, is a small molecule state-dependent sodium channel blocker; Nav1.7 sodium channel inhibitor.

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	83	264.04

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.18 mL	15.91 mL	31.81 mL
5 mM	0.64 mL	3.18 mL	6.36 mL
10 mM	0.32 mL	1.59 mL	3.18 mL
50 mM	0.06 mL	0.33 mL	0.64 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under se ction of "Calculator"

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

- 1. Zheng YM, Wang WF, Li YF, Yu Y, Gao ZB. Enhancing inactivation rather than reducing activation of Nav1.7 channels by a clinically effective analgesic CNV1014802. Acta Pharmacol Sin. 2018 Apr;39(4):587-596. doi: 10.1038/aps.2017.151. Epub 2017 Nov 2. PMID: 29094728; PMCID: PMC5888685.
- 2. Hinckley CA, Kuryshev Y, Sers A, Barre A, Buisson B, Naik H, Hajos M. Characterization of Vixotrigine, a Broad-Spectrum Voltage-Gated Sodium Channel Blocker. Mol Pharmacol. 2021 Jan;99(1):49-59. doi: 10.1124/molpharm.120.000079. PMID: 33298520.

In vivo study

- 1. Naik H, Steiner DJ, Versavel M, Palmer J, Fong R. Safety, Tolerability and Pharmacokinetics of Single and Repeat Doses of Vixotrigine in Healthy Volunteers. Clin Transl Sci. 2021 Jul;14(4):1272-1279. doi: 10.1111/cts.12935. Epub 2020 Dec 13. PMID: 33278330; PMCID: PMC8301589.
- Witty DR, Alvaro G, Derjean D, Giblin GMP, Gunn K, Large C, Macpherson DT, Morisset V, Owen D, Palmer J, Rugiero F, Tate S, Hinckley CA, Naik H. Discovery of Vixotrigine: A Novel Use-Dependent Sodium Channel Blocker for the Treatment of Trigeminal Neuralgia. ACS Med Chem Lett. 2020 Jul 16;11(9):1678-1687. doi: 10.1021/acsmedchemlett.0c00263. PMID: 32945812; PMCID: PMC7488392.

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7. Bioactivity

Biological target:

Raxatrigine is a sodium channel blocker and a Nav1.7 sodium channel inhibitor.

In vitro activity

This study demonstrates that raxatrigine enhances the inactivation but does not reduce the activation of Nav1.7 channels.

Reference: Acta Pharmacol Sin. 2018 Apr;39(4):587-596. https://pubmed.ncbi.nlm.nih.gov/29094728/

In vivo activity

Orally administered raxatrigine for neuropathic pain is well-tolerated when administered as single doses up to 825 mg and multiple doses up to 450 mg twice daily.

Reference: Clin Transl Sci. 2021 Jul;14(4):1272-1279. https://pubmed.ncbi.nlm.nih.gov/33278330/

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.