Product data sheet



MedKoo Cat#: 206449		
Name: Sacubitrilat		
CAS#: 149709-44-4		
Chemical Formula: C ₂₂ H ₂₅ NO ₅		
Exact Mass: 383.1733		
Molecular Weight: 383.44		
Product supplied as:	Powder	Q - I
Purity (by HPLC):	≥ 98%] но. Д ∴ Д ,он
Shipping conditions	Ambient temperature	$\downarrow \qquad \downarrow $
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.] Ö Ü Ö
	In solvent: -80°C 3 months; -20°C 2 weeks.	

1. Product description:

Sacubitrilat, also known as LBQ657, is endopeptidase inhibitor. Sacubitrilat inhibits the enzyme neprilysin, which is responsible for the degradation of atrial and brain natriuretic peptide, two blood pressure lowering peptides that work mainly by reducing blood volume.

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
DMF	30	78.24
DMSO	77	200.81
Ethanol	77	200.81

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.61 mL	13.04 mL	26.08 mL
5 mM	0.52 mL	2.61 mL	5.22 mL
10 mM	0.26 mL	1.30 mL	2.61 mL
50 mM	0.05 mL	0.26 mL	0.52 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. Kumbhar N, Nimal S, Patil D, Kaiser VF, Haupt J, Gacche RN. Repurposing of neprilysin inhibitor 'sacubitrilat' as an anti-cancer drug by modulating epigenetic and apoptotic regulators. Sci Rep. 2023 Jun 19;13(1):9952. doi: 10.1038/s41598-023-36872-0. PMID: 37336927; PMCID: PMC10279647.
- 2. Giri P, Joshi V, Giri S, Delvadia P, Jain MR. Simultaneous determination of sacubitrilat and fimasartan in rat plasma by a triple quad liquid chromatography-tandem mass spectrometry method utilizing electrospray ionization in positive mode. Biomed Chromatogr. 2021 Feb;35(2):e4981. doi: 10.1002/bmc.4981. Epub 2020 Sep 18. PMID: 32895916.

In vivo study

- 1. Kanellopoulos P, Kaloudi A, Rouchota M, Loudos G, de Jong M, Krenning EP, Nock BA, Maina T. One Step Closer to Clinical Translation: Enhanced Tumor Targeting of [99mTc]Tc-DB4 and [111In]In-SG4 in Mice Treated with Entresto. Pharmaceutics. 2020 Nov 26;12(12):1145. doi: 10.3390/pharmaceutics12121145. PMID: 33256013; PMCID: PMC7760338.
- 2. Eiringhaus J, Wünsche CM, Tirilomis P, Herting J, Bork N, Nikolaev VO, Hasenfuss G, Sossalla S, Fischer TH. Sacubitrilat reduces pro-arrhythmogenic sarcoplasmic reticulum Ca2+ leak in human ventricular cardiomyocytes of patients with end-stage

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heart failure. ESC Heart Fail. 2020 Oct;7(5):2992-3002. doi: 10.1002/ehf2.12918. Epub 2020 Jul 25. PMID: 32710603; PMCID: PMC7586991.

7. Bioactivity

Biological target:

Sacubitrilat is an active neprilysin (NEP) inhibitor.

In vitro activity

Sacubitrilat demonstrated promising anticancer activity against SW-480 and triple-negative breast cancer cells, with IC50 values of $14.07 \mu g/mL$ and $23.02 \mu g/mL$, respectively. Sacubitrilat arrested the cell cycle at the G0/G1 phase and induced apoptotic-mediated cell death in SW-480 cells. Sacubitrilat inhibited HDAC isoforms at the transcriptomic level and increased the protein expression of tumor-suppressor and pro-apoptotic makers while decreasing the expression of anti-apoptotic Bcl2 and Nrf2 proteins.

Reference: Sci Rep. 2023 Jun 19;13(1):9952. https://pubmed.ncbi.nlm.nih.gov/37336927/

In vivo activity

In this study, sacubitrilat treatment did not acutely affect systolic myocardial contractility in human ventricular trabeculae from patients with end-stage heart failure. Sacubitrilat significantly improved Ca2+ homeostasis by reducing pro-arrhythmogenic sarcoplasmic reticulum (SR) Ca2+ leak in human cardiac tissue.

Reference: ESC Heart Fail. 2020 Oct;7(5):2992-3002. https://pubmed.ncbi.nlm.nih.gov/32710603/

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.