

Product data sheet



MedKoo Cat#: 319570 Name: Relebactam CAS#: 1174018-99-5 (free acid) Chemical Formula: C ₁₂ H ₂₀ N ₄ O ₆ S Exact Mass: 348.1104 Molecular Weight: 348.37	
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:

Relebactam, formerly MK-7655, a potent and selective β -lactamase inhibitor. At a concentration of 4 mg/L, MK-7655 reduced imipenem MICs for Enterobacteriaceae with KPC carbapenemases from 16-64 mg/L to 0.12-1 mg/L. MK-7655 potentiated imipenem against Enterobacteriaceae with KPC carbapenemases or combinations of β -lactamase and impermeability, but not those with metallo-carbapenemases. It augmented the activity of imipenem against *P. aeruginosa* in general and OprD mutants in particular.

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	1	2.87
DMSO	10	28.71

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.87 mL	14.35 mL	28.71 mL
5 mM	0.57 mL	2.87 mL	5.74 mL
10 mM	0.29 mL	1.44 mL	2.87 mL
50 mM	0.06 mL	0.29 mL	0.57 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

- Lob SH, Hackel MA, Kazmierczak KM, Young K, Motyl MR, Karlowsky JA, Sahm DF. In Vitro Activity of Imipenem-Relebactam against Gram-Negative ESKAPE Pathogens Isolated by Clinical Laboratories in the United States in 2015 (Results from the SMART Global Surveillance Program). *Antimicrob Agents Chemother.* 2017 May 24;61(6):e02209-16. doi: 10.1128/AAC.02209-16. PMID: 28320716; PMCID: PMC5444184.
- Blizzard TA, Chen H, Kim S, Wu J, Bodner R, Gude C, Imbriglio J, Young K, Park YW, Ogawa A, Raghoobar S, Hairston N, Painter RE, Wisniewski D, Scapin G, Fitzgerald P, Sharma N, Lu J, Ha S, Hermes J, Hammond ML. Discovery of MK-7655, a β -lactamase inhibitor for combination with Primaxin®. *Bioorg Med Chem Lett.* 2014 Feb 1;24(3):780-5. doi: 10.1016/j.bmcl.2013.12.101. Epub 2014 Jan 3. PMID: 24433862.

In vivo study

- Girón RM, Ibáñez A, Gómez-Punter RM, Alarcón T. New evidence in severe pneumonia: imipenem/ cilastatin/relebactam. *Rev Esp Quimioter.* 2022 Apr;35 Suppl 1(Suppl 1):46-49. doi: 10.37201/req/s01.11.2022. Epub 2022 Apr 22. PMID: 35488826; PMCID: PMC9106194.

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2. Kohno S, Bando H, Yoneyama F, Kikukawa H, Kawahara K, Shirakawa M, Aoyama N, Brown M, Paschke A, Takase A. The safety and efficacy of relebactam/imipenem/cilastatin in Japanese patients with complicated intra-abdominal infection or complicated urinary tract infection: A multicenter, open-label, noncomparative phase 3 study. *J Infect Chemother.* 2021 Feb;27(2):262-270. doi: 10.1016/j.jiac.2020.09.032. Epub 2020 Nov 13. PMID: 33191112.

7. Bioactivity

Biological target:

Relebactam is a β -lactamase inhibitor that inhibits hydrolysis of nitrocefin by KPC-2 β -lactamase from *K. pneumoniae* and AmpC β -lactamase from *P. aeruginosa* (IC₅₀s = 210 and 465 nM, respectively). Relebactam restores imipenem-susceptibility in imipenem-resistant *K. pneumoniae* and *P. aeruginosa* when used at concentrations of 12.5 and 4.7 μ M, respectively. Relebactam also restores imipenem sensitivity to resistant clinical isolates of *P. aeruginosa* and *K. pneumoniae* (MIC₅₀s = 0.25-2 and 8-16 μ M in the presence and absence of relebactam, respectively), but not *A. baumannii*. In vivo, relebactam (48.9 mg/kg per day) produces a bacteriostatic effect in mouse thigh models of *K. pneumoniae* and *P. aeruginosa* infection when administered with imipenem and cilastatin.

In vitro activity

(MK-7655) inhibited both class A and C β -lactamases in vitro. It effectively restored imipenem's activity against imipenem-resistant *Pseudomonas* and *Klebsiella* strains at clinically achievable concentrations.

Reference: *Bioorg Med Chem Lett.* 2014 Feb 1;24(3):780-5. <https://pubmed.ncbi.nlm.nih.gov/24433862/>

In vivo activity

This phase 3 clinical trial found that imipenem combined with relebactam is a therapeutic option in hospital-acquired pneumonia and ventilator-associated pneumonia at approved dosages of imipenem 500 mg, cilastatin 500 mg and relebactam 250 mg once every 6h, by an IV infusion over 30 min.

Reference: *Rev Esp Quimioter.* 2022 Apr;35 Suppl 1(Suppl 1):46-49. <https://pubmed.ncbi.nlm.nih.gov/35488826/>

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.