

Product data sheet



MedKoo Cat#: 327016 Name: RG6080 CAS#: 1452458-86-4 (free acid) Chemical Formula: C ₉ H ₁₆ N ₄ O ₇ S Exact Mass: 324.0740 Molecular Weight: 324.31	
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:

RG6080, also known as FPI-1459, and OP-0595, Nacubactam, is a beta-lactamase inhibitor used for treating bacterial infections.

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
Water	62.50	192.72

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.08 mL	15.42 mL	30.83 mL
5 mM	0.62 mL	3.08 mL	6.17 mL
10 mM	0.31 mL	1.54 mL	3.08 mL
50 mM	0.06 mL	0.31 mL	0.62 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

- Morinaka A, Tsutsumi Y, Yamada K, Takayama Y, Sakakibara S, Takata T, Abe T, Furuuchi T, Inamura S, Sakamaki Y, Tsujii N, Ida T. In vitro and in vivo activities of the diazabicyclooctane OP0595 against AmpC-derepressed *Pseudomonas aeruginosa*. J Antibiot (Tokyo). 2017 Mar;70(3):246-250. doi: 10.1038/ja.2016.150. Epub 2016 Dec 21. PMID: 27999441.
- Morinaka A, Tsutsumi Y, Yamada K, Takayama Y, Sakakibara S, Takata T, Abe T, Furuuchi T, Inamura S, Sakamaki Y, Tsujii N, Ida T. In Vitro and In Vivo Activities of OP0595, a New Diazabicyclooctane, against CTX-M-15-Positive *Escherichia coli* and KPC-Positive *Klebsiella pneumoniae*. Antimicrob Agents Chemother. 2016 Apr 22;60(5):3001-6. doi: 10.1128/AAC.02704-15. PMID: 26953205; PMCID: PMC4862534.

In vivo study

- Morinaka A, Tsutsumi Y, Yamada K, Takayama Y, Sakakibara S, Takata T, Abe T, Furuuchi T, Inamura S, Sakamaki Y, Tsujii N, Ida T. In vitro and in vivo activities of the diazabicyclooctane OP0595 against AmpC-derepressed *Pseudomonas aeruginosa*. J Antibiot (Tokyo). 2017 Mar;70(3):246-250. doi: 10.1038/ja.2016.150. Epub 2016 Dec 21. PMID: 27999441.
- Morinaka A, Tsutsumi Y, Yamada K, Takayama Y, Sakakibara S, Takata T, Abe T, Furuuchi T, Inamura S, Sakamaki Y, Tsujii N, Ida T. In Vitro and In Vivo Activities of OP0595, a New Diazabicyclooctane, against CTX-M-15-Positive *Escherichia coli* and KPC-Positive *Klebsiella pneumoniae*. Antimicrob Agents Chemother. 2016 Apr 22;60(5):3001-6. doi: 10.1128/AAC.02704-15. PMID: 26953205; PMCID: PMC4862534.

7. Bioactivity

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Biological target: Nacubactam (OP0595 free acid) is a potent non- β -lactam- β -lactamase inhibitor with activity against class A and class C β -lactamases.

In vitro activity

To assess the functional concentration of OP0595 for use with β -lactam agents, the MICs (the lowest concentration to prevent visible growth after incubation at 35°C for 18 to 20 h) of piperacillin, cefepime, and meropenem in combination with different concentrations of OP0595 were determined against five strains of CTX-M-15-positive *E. coli* and five strains of KPC-positive *K. pneumoniae*. The log averages of MICs were plotted as the geometric mean MICs (Fig. 1). For all β -lactam agents, the geometric mean MICs decreased as the OP0595 concentrations increased, regardless of the type of partner β -lactam agent or the bacterial species. In each case, the MIC reached a plateau at 2 to 4 $\mu\text{g/ml}$ of OP0595. These results suggest that a concentration of 4 $\mu\text{g/ml}$ of OP0595 against these strains is sufficient to result in maximal MIC reduction in in vitro studies.

Reference: Antimicrob Agents Chemother. 2016 Apr 22;60(5):3001-6. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4862534/>

In vivo activity

A neutropenic murine model of thigh infection was used to clarify the efficacy of OP0595 alone and in combination with cefepime in vivo. The strains tested were CTX-M-15-positive *E. coli* MSC20653 and MSC20662 and KPC-positive *K. pneumoniae* ATCC BAA-1705 and ATCC BAA-1904, which have been confirmed to grow in the thigh of neutropenic Crlj:CD1 (ICR) mice. The viable cell counts of CTX-M-15-positive *E. coli* MSC20653 or MSC20662 in control mice and in mice after administration of the test compounds are shown in Fig. 3A. The viable cell count in mice treated with cefepime (10 mg/kg) or OP0595 (2.5 mg/kg) was not significantly lower than that in mice treated with vehicle at 23 h after the start of treatment. However, mice in the cefepime-OP0595 groups (5/1.25 mg/kg and 10/2.5 mg/kg) had significantly ($P < 0.05$) lower bacterial counts than those in the saline vehicle group. Cefepime-OP0595 showed stronger efficacy than cefepime alone against all β -lactamase-positive strains tested, whereas OP0595 alone showed weaker or no efficacy. Taken together, these data indicate that combinational use of OP0595 and a β -lactam agent is important to exert the antimicrobial functions of OP0595.

Reference: Antimicrob Agents Chemother. 2016 Apr 22;60(5):3001-6. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4862534/>

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.