

# Product data sheet



MedKoo Cat#: 528909 Name: Lotamilast (RVT-501) CAS#: 947620-48-6 Chemical Formula: C <sub>26</sub> H <sub>24</sub> N <sub>4</sub> O <sub>5</sub> Exact Mass: 472.1747 Molecular Weight: 472.5		
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:

RVT-501, also known as E-6005 and lotamilast, is a phosphodiesterase 4 (PDE-4) inhibitor potentially for the treatment of atopic dermatitis.

## 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	25.0	52.9

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.12 mL	10.58 mL	21.16 mL
5 mM	0.42 mL	2.12 mL	4.23 mL
10 mM	0.21 mL	1.06 mL	2.12 mL
50 mM	0.04 mL	0.21 mL	0.42 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. Ishii N, Shirato M, Wakita H, Miyazaki K, Takase Y, Asano O, Kusano K, Yamamoto E, Inoue C, Hishinuma I. Antipruritic effect of the topical phosphodiesterase 4 inhibitor E6005 ameliorates skin lesions in a mouse atopic dermatitis model. J Pharmacol Exp Ther. 2013 Jul;346(1):105-12. doi: 10.1124/jpet.113.205542. Epub 2013 May 14. PMID: 23674603.

2. Furue M, Kadono T, Tsuji G, Nakahara T. Topical E6005/RVT-501, a novel phosphodiesterase 4 inhibitor, for the treatment of atopic dermatitis. Expert Opin Investig Drugs. 2017 Dec;26(12):1403-1408. doi: 10.1080/13543784.2017.1397626. Epub 2017 Oct 31. PMID: 29068253.

### In vivo study

1. Andoh T, Yoshida T, Kuraishi Y. Topical E6005, a novel phosphodiesterase 4 inhibitor, attenuates spontaneous itch-related responses in mice with chronic atopy-like dermatitis. Exp Dermatol. 2014 May;23(5):359-61. doi: 10.1111/exd.12377. PMID: 24645735.

2. Furue M, Kadono T, Tsuji G, Nakahara T. Topical E6005/RVT-501, a novel phosphodiesterase 4 inhibitor, for the treatment of atopic dermatitis. Expert Opin Investig Drugs. 2017 Dec;26(12):1403-1408. doi: 10.1080/13543784.2017.1397626. Epub 2017 Oct 31. PMID: 29068253.

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## 7. Bioactivity

### Biological target:

Lotamilast (RVT-501; E6005) is a selective phosphodiesterase 4 (PDE4) inhibitor with an IC<sub>50</sub> of 2.8 nM.

### In vitro activity

E6005 potently and selectively inhibited human PDE4 activity with an IC<sub>50</sub> of 2.8 nM and suppressed the production of various cytokines from human lymphocytes and monocytes with IC<sub>50</sub> values ranging from 0.49 to 3.1 nM.

Reference: J Pharmacol Exp Ther. 2013 Jul;346(1):105-12.

<https://jpet.aspetjournals.org/cgi/pmidlookup?view=long&pmid=23674603>

### In vivo activity

Topical E6005/RVT-501 ameliorates the dermatitis score of chronic murine dermatitis by repeated application of oxazolone, which is associated with a downregulation of IL-1 $\beta$  and IL-4 gene expression. Mite-induced murine dermatitis is also inhibited by topical E6005/RVT-501. In histology analyses, topical E6005/RVT-501 reduced the epidermal acanthosis both in oxazolone- and mite-induced dermatitis models. Topical application of E6005/RVT-501 does increase and normalize the decreased cAMP levels in murine dermatitis lesions (Table 1).

Reference: Expert Opin Investig Drugs. 2017 Dec;26(12):1403-1408.

<https://www.tandfonline.com/doi/full/10.1080/13543784.2017.1397626>

*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.*