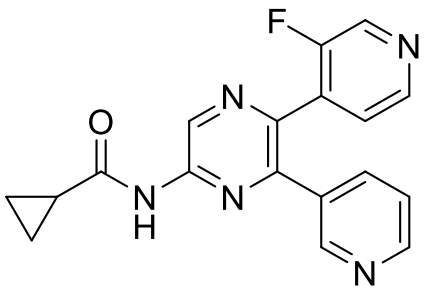
Product data sheet

MedKoo Cat#: 526720 Name: LAS101057 CAS: 925676-48-8 Chemical Formula: $C_{18}H_{14}FN_5O$ Exact Mass: 335.1182 Molecular Weight: 335.3424	
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:
LAS101057 is a Potent, Selective, and Orally Efficacious A2B Adenosine Receptor Antagonist. (last updated: 6/30/2016). LAS101057 inhibits agonist-induced IL-6 production in human fibroblasts and is active in an ovalbumin (OVA)-sensitized mouse model after oral administration, reducing airway hyperresponsiveness to methacholine, Th2 cytokine production, and OVA-specific IgE levels.

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	125.0	372.75

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.98 mL	14.91 mL	29.82 mL
5 mM	0.60 mL	2.98 mL	5.96 mL
10 mM	0.30 mL	1.49 mL	2.98 mL
50 mM	0.06 mL	0.30 mL	0.60 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. Eastwood P, Esteve C, González J, Fonquerna S, Aiguadé J, Carranco I, Doménech T, Aparici M, Miralpeix M, Albertí J, Córdoba M, Fernández R, Pont M, Godessart N, Prats N, Loza MI, Cadavid MI, Nueda A, Vidal B. Discovery of LAS101057: A Potent, Selective, and Orally Efficacious A2B Adenosine Receptor Antagonist. ACS Med Chem Lett. 2010 Dec 20;2(3):213-8. doi: 10.1021/ml100249e. PMID: 24900298; PMCID: PMC4018059.

In vivo study

1. Eastwood P, Esteve C, González J, Fonquerna S, Aiguadé J, Carranco I, Doménech T, Aparici M, Miralpeix M, Albertí J, Córdoba M, Fernández R, Pont M, Godessart N, Prats N, Loza MI, Cadavid MI, Nueda A, Vidal B. Discovery of LAS101057: A Potent, Selective, and Orally Efficacious A2B Adenosine Receptor Antagonist. ACS Med Chem Lett. 2010 Dec 20;2(3):213-8. doi: 10.1021/ml100249e. PMID: 24900298; PMCID: PMC4018059.

7. Bioactivity
Biological target:

LAS101057 is a potent, selective, and orally efficacious A2B receptor antagonist.

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In vitro activity

Given that the A2B receptor is known to mediate the release of the pro-inflammatory cytokine IL-6 through a mechanism dependent on the cAMP/cAMP response element binding (CREB) signaling pathway, it was decided to test the effect of 17 (LAS101057) on NECA-induced IL-6 release in human primary dermal fibroblasts as an additional means of demonstrating blockade of A2B receptor function. In this assay, 17 effected a concentration-dependent down-regulation of IL-6 production with a potency (67% ± 2 at 100 nM) that was in a similar range to that seen in both the A2B receptor radioligand binding and cAMP assays.

Reference: ACS Med Chem Lett. 2010 Dec 20;2(3):213-8. https://pubmed.ncbi.nlm.nih.gov/24900298/

In vivo activity

As shown in Figure 1, 17 (LAS101057) reduced the increase of lung resistance in mice induced by methacholine. LAS101057 was active in preventing methacholine-induced AHR at 3 mg/kg, and at 10 mg/kg it inhibited AHR to methacholine to a level virtually equal to that seen with dexamethasone at 1 mg/kg.

Reference: ACS Med Chem Lett. 2010 Dec 20;2(3):213-8. https://pubmed.ncbi.nlm.nih.gov/24900298/