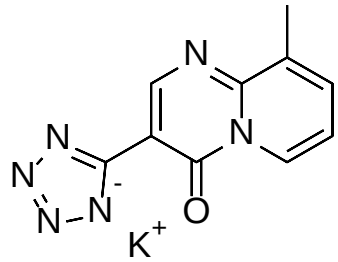
Product data sheet

MedKoo Cat#: 319614 Name: Pemirolast potassium CAS: 100299-08-9 (potassium) Chemical Formula: $C_{10}H_7KN_6O$ Molecular Weight: 266.3053	
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:
Pemirolast potassium, also known as BMY 26517, is a potent histamine H1 antagonist and mast cell stabilizer that acts as an antiallergic agent. It has also been studied for the treatment of asthma. Pemirolast potently attenuates paclitaxel hypersensitivity reactions through inhibition of the release of sensory neuropeptides in rats. Pemirolast reduces cisplatin-induced kaolin intake in rats.

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	0.1	0.38
DMSO	1.0	3.76
PBS (pH 7.2)	10.0	37.55
Water	51.5	193.39

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.76 mL	18.78 mL	37.55 mL
5 mM	0.75 mL	3.76 mL	7.51 mL
10 mM	0.38 mL	1.88 mL	3.76 mL
50 mM	0.08 mL	0.38 mL	0.75 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. Fujimiya H, Nakashima S, Kumada T, Nakamura Y, Miyata H, Nozawa Y. An antiallergic drug, pemirolast potassium, inhibits inositol 1,4,5-trisphosphate production and Ca2+ mobilization in antigen-stimulated rat basophilic leukemia (RBL-2H3) cells. Arerugi. 1994 Feb;43(2 Pt 1):142-51. PMID: 8147717.
2. Kawashima T, Iwamoto I, Nakagawa N, Tomioka H, Yoshida S. Inhibitory effect of pemirolast, a novel antiallergic drug, on leukotriene C4 and granule protein release from human eosinophils. Int Arch Allergy Immunol. 1994;103(4):405-9. doi: 10.1159/000236662. PMID: 8130655.

In vivo study

1. Tatsushima Y, Egashira N, Matsushita N, Kurobe K, Kawashiri T, Yano T, Oishi R. Pemirolast reduces cisplatin-induced kaolin intake in rats. Eur J Pharmacol. 2011 Jul 1;661(1-3):57-62. doi: 10.1016/j.ejphar.2011.04.026. Epub 2011 Apr 27. PMID: 21539837.
2. Itoh Y, Sendo T, Hirakawa T, Takasaki S, Goromaru T, Nakano H, Oishi R. Pemirolast potently attenuates paclitaxel hypersensitivity reactions through inhibition of the release of sensory neuropeptides in rats. Neuropharmacology. 2004 May;46(6):888-94. doi: 10.1016/j.neuropharm.2003.11.018. PMID: 15033348.

Product data sheet

7. Bioactivity

Biological target:

Pemirolast potassium (TWT-8152) is a histamine H1 antagonist and mast cell stabilizer that acts as an antiallergic agent.

In vitro activity

An antiallergic drug, pemirolast potassium (TBX) at concentrations between 0.01 and 10 micrograms/ml inhibited antigen (Ag)-stimulated degranulation in RBL-2H3 cells, which have the properties of mucosal mast cells. At the same concentrations, the drug suppressed both the formation of inositol 1,4,5-trisphosphate and the mobilization of Ca2+, indicating the prevention of phospholipase C activation. The production of 1,2-diacylglycerol and phosphatidic acid, which was mainly due to phosphatidylcholine hydrolysis, was also suppressed. Moreover, TBX reduced Ag-induced liberation of arachidonic acid, a precursor of eicosanoids, implying the inhibition of phospholipase A2. These data suggest that TBX inhibits the activation of phospholipase C, leading to decreased formation of the signal transducing molecules necessary for cell activation.

Reference: Arerugi. 1994 Feb;43(2 Pt 1):142-51. https://pubmed.ncbi.nlm.nih.gov/8147717/

In vivo activity

The present study investigated the effect of pemirolast on cisplatin-induced kaolin intake, which is an index of nausea/vomiting in the rat. Cisplatin-induced kaolin intake was significantly reduced by co-administration of ondansetron (2 mg/kg, i.p.), a 5-HT(3) receptor antagonist, and dexamethasone (2 mg/kg, i.p.) from days 1 to 5. Similarly, pemirolast (10 mg/kg, p.o.) and the tachykinin NK(1) receptor antagonist aprepitant (10 and 30 mg/kg, p.o.) significantly reduced cisplatin-induced kaolin intake on days 3 and 4. Moreover, pemirolast at the same dose significantly reversed the cisplatin-induced increase in the cerebrospinal fluid level of substance P in rats.

Reference: Eur J Pharmacol. 2011 Jul 1;661(1-3):57-62. https://pubmed.ncbi.nlm.nih.gov/21539837/