

# Product data sheet



MedKoo Cat#: 317129 Name: Setipiprant CAS#: 866460-33-5 Chemical Formula: C <sub>24</sub> H <sub>19</sub> FN <sub>2</sub> O <sub>3</sub> Exact Mass: 402.13797 Molecular Weight: 402.43		
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:

Setipiprant, also known as ACT-129968 and KYTH-105, is a potent and selective CRTH2 antagonist. Setipiprant at multiple oral doses was well tolerated and reduced both the allergen-induced LAR and the associated AHR in allergic asthmatics. Setipiprant may be a promising target for the treatment of allergic disorders.

## 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	48.67	120.94
DMF	50.0	124.25
DMF:PBS (pH 7.2) (1:1)	0.5	1.24
Ethanol	3.0	7.45

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.48 mL	12.42 mL	24.85 mL
5 mM	0.50 mL	2.48 mL	4.97 mL
10 mM	0.25 mL	1.24 mL	2.48 mL
50 mM	0.05 mL	0.25 mL	0.50 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

To be determined

In vivo study

- Diamant Z, Sidharta PN, Singh D, O'Connor BJ, Zuiker R, Leaker BR, Silkey M, Dingemanse J. Setipiprant, a selective CRTH2 antagonist, reduces allergen-induced airway responses in allergic asthmatics. Clin Exp Allergy. 2014 Aug;44(8):1044-52. doi: 10.1111/cea.12357. PMID: 24964348.
- Fretz H, Valdenaire A, Pothier J, Hilpert K, Gnerre C, Peter O, Leroy X, Riederer MA. Identification of 2-(2-(1-naphthoyl)-8-fluoro-3,4-dihydro-1H-pyrido[4,3-b]indol-5(2H)-yl)acetic acid (setipiprant/ACT-129968), a potent, selective, and orally bioavailable chemoattractant receptor-homologous molecule expressed on Th2 cells (CRTH2) antagonist. J Med Chem. 2013 Jun 27;56(12):4899-911. doi: 10.1021/jm400122f. Epub 2013 Jun 13. PMID: 23721423.

## 7. Bioactivity

Biological target:

# Product data sheet



Setipiprant is a CRTH2 antagonist with an IC50 value of 6.0 nM.

## In vitro activity

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To be determined

## In vivo activity

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This article demonstrates that setipiprant at multiple oral doses was well tolerated and reduced both the allergen-induced late asthmatic response (LAR) and the associated airway hyperresponsiveness (AHR) in allergic asthmatics. Compared with placebo, setipiprant significantly reduced the allergen-induced LAR, inhibiting the area under the response vs. time curve by, on average, 25.6% and significantly protected against the allergen-induced AHR to methacholine.

Reference: Clin Exp Allergy. 2014 Aug;44(8):1044-52. <https://pubmed.ncbi.nlm.nih.gov/24964348/>

*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.*