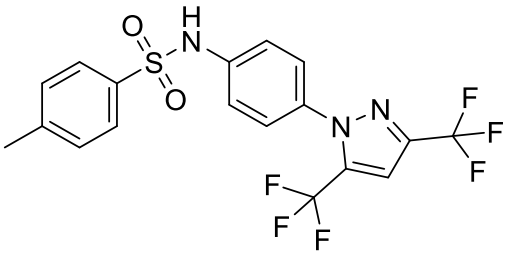
Product data sheet

MedKoo Cat#: 564056 Name: Pyr10 CAS#: 1315323-00-2 Chemical Formula: $C_{18}H_{13}F_6N_3O_2S$ Exact Mass: 449.0633 Molecular Weight: 449.37	
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:
Pyr10 is a selective inhibitor of the transient receptor potential channel TRPC3.

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
Ethanol	44.94	100

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.23 mL	11.13 mL	22.25 mL
5 mM	0.45 mL	2.23 mL	4.45 mL
10 mM	0.22 mL	1.11 mL	2.23 mL
50 mM	0.04 mL	0.22 mL	0.45 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. Kim HJ, Woo J, Nam Y, Nam JH, Kim WK. Differential modulation of TWIK-related K+ channel (TREK) and TWIK-related acid-sensitive K+ channel 2 (TASK2) activity by pyrazole compounds. Eur J Pharmacol. 2016 Nov 15;791:686-695. doi: 10.1016/j.ejphar.2016.08.030. Epub 2016 Aug 26. PMID: 27568832.
2. Schleifer H, Doleschal B, Lichtenegger M, Oppenrieder R, Derler I, Frischauf I, Glasnov TN, Kappe CO, Romanin C, Groschner K. Novel pyrazole compounds for pharmacological discrimination between receptor-operated and store-operated Ca(2+) entry pathways. Br J Pharmacol. 2012 Dec;167(8):1712-22. doi: 10.1111/j.1476-5381.2012.02126.x. PMID: 22862290; PMCID: PMC3525873.

In vivo study

1. Zhu J, Fan Y, Lu Q, Yang Y, Li H, Liu X, Zhang H, Sun B, Liu Q, Zhao J, Yang Z, Li L, Feng H, Xu J. Increased transient receptor potential canonical 3 activity is involved in the pathogenesis of detrusor overactivity by dynamic interaction with Na+/Ca2+ exchanger 1. Lab Invest. 2022 Jan;102(1):48-56. doi: 10.1038/s41374-021-00665-8. Epub 2021 Sep 8. PMID: 34497367.
2. Saliba Y, Jebara V, Hajal J, Maroun R, Chacar S, Smayra V, Abramowitz J, Birnbaumer L, Farès N. Transient Receptor Potential Canonical 3 and Nuclear Factor of Activated T Cells C3 Signaling Pathway Critically Regulates Myocardial Fibrosis. Antioxid Redox Signal. 2019 Jun 1;30(16):1851-1879. doi: 10.1089/ars.2018.7545. Epub 2018 Nov 29. PMID: 30318928; PMCID: PMC6486676.

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7. Bioactivity

Biological target:

Pyr10 is a TRPC3 channel inhibitor (IC50 = 0.72 μM for TRPC3 mediated calcium entry in vitro), which displays approximately 18-fold selectivity for TRPC3-mediated receptor operated calcium entry (ROCE) over STIM1/Orai1-mediated store operated calcium entry (SOCE). Pyr10 inhibits NFATc3 activation and inhibits proliferation of rat ventricular cardiac fibroblasts.

In vitro activity

The in vitro activity of pyr10, a pyrazole derivative, was investigated in this study using cloned human TWIK-related K+ channels (TREKs) and TWIK-related acid-sensitive K+ channel 2 (TASK-2) channels expressed in HEK293T cells. Pyr10 exhibited subtype-specific inhibition, primarily targeting ITREK1, while sparing ITREK2. Pyr10 displayed inhibitory effects on ITASK2. At a concentration of 100μM, pyr10 resulted in a 70.9±3.1% reduction in ITASK2 current.

Reference: Eur J Pharmacol. 2016 Nov 15;791:686-695. https://pubmed.ncbi.nlm.nih.gov/27568832/

In vivo activity

PYR10 significantly reduced bladder excitability in detrusor overactive and control rats, but the decrease of the bladder excitability of detrusor overactivity rats was more obvious. PYR10 significantly reduced the intracellular calcium concentration in smooth muscle cells in detrusor overactivity and control rats.

Reference: Lab Invest. 2022 Jan;102(1):48-56. https://pubmed.ncbi.nlm.nih.gov/34497367/

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.