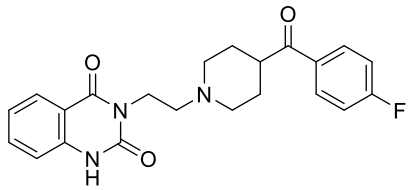
Product data sheet

MedKoo Cat#: 540257 Name: Ketanserin CAS#: 74050-98-9 (free base) Chemical Formula: $C_{22}H_{22}FN_3O_3$ Exact Mass: 395.1645 Molecular Weight: 395.43	
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:
Ketanserin is a 5-HT2A receptor antagonist and potential α1-adrenergic receptor antagonist used to treat hypertension. It decreases blood pressure, improves left ventricular remodeling, increases capillary density in myocardial tissue, and may suppress TRPV1 channel-evoked thermal hyperalgesia.

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	16.67	42.16

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.53 mL	12.64 mL	25.29 mL
5 mM	0.51 mL	2.53 mL	5.06 mL
10 mM	0.25 mL	1.26 mL	2.53 mL
50 mM	0.05 mL	0.25 mL	0.51 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. Bergqvist D, Arvidsson S, Haglund U, Hedner U, Lindblad B. The influence of ketanserin on hemostasis in vitro. Thromb Res. 1986 Jul 15;43(2):237-41. doi: 10.1016/0049-3848(86)90065-4. PMID: 2943051.
2. Chen L, Chen G, Guo Y, Liu L, Xiao L, Fan W, Shi B, Qian Y. Ketanserin, a serotonin 2A receptor antagonist, alleviates ischemia-related biliary fibrosis following donation after cardiac death liver transplantation in rats. Liver Transpl. 2014 Nov;20(11):1317-26. doi: 10.1002/lt.23947. PMID: 25045122.

In vivo study

1. Xiao J, Shao L, Shen J, Jiang W, Feng Y, Zheng P, Liu F. Effects of ketanserin on experimental colitis in mice and macrophage function. Int J Mol Med. 2016 Mar;37(3):659-68. doi: 10.3892/ijmm.2016.2486. Epub 2016 Feb 10. PMID: 26865503; PMCID: PMC4771115.
2. Liu C, Zhang X, Zhou JX, Wei W, Liu DH, Ke P, Zhang GF, Cai GJ, Su DF. The protective action of ketanserin against lipopolysaccharide-induced shock in mice is mediated by inhibiting inducible NO synthase expression via the MEK/ERK pathway. Free Radic Biol Med. 2013 Dec;65:658-666. doi: 10.1016/j.freeradbiomed.2013.07.045. Epub 2013 Aug 13. PMID: 23954471.

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7. Bioactivity

Biological target:

Ketanserin is a selective 5-HT2 receptor antagonist that also blocks hERG current (IhERG) in a concentration-dependent manner (IC50=0.11 μM).

In vitro activity

Cells were treated with 5-HT (1 μM 5-HT hydrochloride was added to the cell culture medium) or with both 5-HT and ketanserin (5-HT+K; 0.1 μM ketanserin was added 30 minutes before the addition of 5-HT) for 72 hours. In addition to the enhanced expression of α-SMA mRNA in PFs (portal fibroblast), the phosphorylation of smad2/3 and the expression of collagen I, collagen III, and α-SMA protein increased after 72 hours of culture. Ketanserin significantly decreased the phosphorylation of smad2 and smad3 by 52% and 58%, respectively, and reduced the expression of collagen I and collagen III protein by 63% and 56%, respectively; this was concurrent with 63% and 76% decreases in α-SMA mRNA and protein expression. The transdifferentiation of PFs into MFs was also suppressed because α-SMA–positive cells were notably reduced 72 hours after ketanserin administration. The results indicated that ketanserin inhibited 5-HT–activated TGF-β1–smad2/3 signaling in vitro and thereby depressed the MF conversion of PFs.

Reference: Liver Transpl. 2014 Nov;20(11):1317-26. https://pubmed.ncbi.nlm.nih.gov/25045122/

In vivo activity

To investigate whether ketanserin reduces susceptibility to colitis, colitis was induced in mice using DSS. The mice with DSS-induced colitis exhibited a continuous decrease in body weight from day 4 to day 7 and shortened colon lengths. By contrast, the administration of ketanserin during the induction of colitis significantly prevented the decrease in body weight and colon shortening. A histological examination of the colons of the mice with DSS-induced colitis revealed severe inflammation with ulcerative lesions, loss of crypts and the infiltration of inflammatory cells, whereas treatment with ketanserin alleviated these histological changes and damage to the colon, characterized by a decrease in the loss of architecture, fewer ulcerative lesions, and a decrease in inflammatory cell infiltration into the inflamed mucosa. The data therefore suggest that ketanserin exerts a potent therapeutic effect, ameliorating DSS-induced colitis.

Reference: Int J Mol Med. 2016 Mar;37(3):659-68. https://pubmed.ncbi.nlm.nih.gov/26865503/

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.