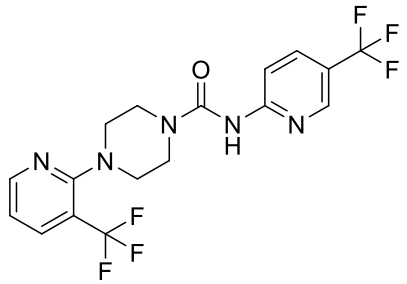
Product data sheet

MedKoo Cat#: 525309 Name: JNJ-17203212 CAS: 821768-06-3 Chemical Formula: $C_{17}H_{15}F_6N_5O$ Exact Mass: 419.1181 Molecular Weight: 419.3314	
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:
JNJ-17203212 is a reversible, competitive and potent TRPV1 antagonist (pKi values are 6.5, 7.1 and 7.3 at rat, guinea pig and human TRPV1 respectively). It inhibits capsaicin- and H+-induced channel activation (pIC50 values are 6.32 and 7.23 respectively) and exhibits antitussive and analgesic activity in vivo.

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	30.0	71.54
DMSO	57.31	136.67
Ethanol	23.98	57.18

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.38 mL	11.92 mL	23.85 mL
5 mM	0.48 mL	2.38 mL	4.77 mL
10 mM	0.24 mL	1.19 mL	2.38 mL
50 mM	0.05 mL	0.24 mL	0.48 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. Chen X, Sun W, Gianaris NG, Riley AM, Cummins TR, Fehrenbacher JC, Obukhov AG. Furanocoumarins are a novel class of modulators for the transient receptor potential vanilloid type 1 (TRPV1) channel. J Biol Chem. 2014 Apr 4;289(14):9600-10. doi: 10.1074/jbc.M113.536862. Epub 2014 Feb 25. PMID: 24569998; PMCID: PMC3975010.

In vivo study

1. Meents JE, Hoffmann J, Chaplan SR, Neeb L, Schuh-Hofer S, Wickenden A, Reuter U. Two TRPV1 receptor antagonists are effective in two different experimental models of migraine. J Headache Pain. 2015;16:57. doi: 10.1186/s10194-015-0539-z. Epub 2015 Jun 24. PMID: 26109436; PMCID: PMC4491068.

2. Bhattacharya A, Scott BP, Nasser N, Ao H, Maher MP, Dubin AE, Swanson DM, Shankley NP, Wickenden AD, Chaplan SR. Pharmacology and antitussive efficacy of 4-(3-trifluoromethyl-pyridin-2-yl)-piperazine-1-carboxylic acid (5-trifluoromethyl-pyridin-2-yl)-amide (JNJ17203212), a transient receptor potential vanilloid 1 antagonist in guinea pigs. J Pharmacol Exp Ther. 2007 Nov;323(2):665-74. doi: 10.1124/jpet.107.127258. Epub 2007 Aug 9. PMID: 17690251.

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7. Bioactivity

Biological target:

JNJ-17203212 is a selective, potent and competitive TRPV1 antagonist.

In vitro activity

Fig. 2*B* shows that 500 nm JNJ-17203212 was very effective in inhibiting 20 nm capsaicin-induced Ca^{2+} increases in TRPV1-expressing HEK cells, whereas Fig. 2*C* demonstrates that the same concentration of JNJ-17203212 completely inhibited 10 μm imperatorin-induced responses.

Reference: J Biol Chem. 2014 Apr 4;289(14):9600-10. https://pubmed.ncbi.nlm.nih.gov/24569998/

In vivo activity

Inflammatory up-regulation of c-fos in the rat trigeminal brain stem complex was dose-dependently and significantly reduced by both TRPV1 antagonists. JNJ-17203212 was effective in all doses and fully abolished CGRP release in a time and dose-dependent manner.

Reference: J Headache Pain. 2015;16:57. https://pubmed.ncbi.nlm.nih.gov/26109436/

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.