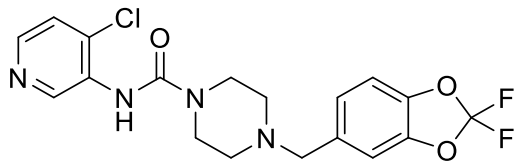
Product data sheet

MedKoo Cat#: 522477 Name: JNJ-42165279 CAS: 1346528-50-4 (free base) Chemical Formula: $C_{18}H_{17}ClF_2N_4O_3$ Exact Mass: 410.0957 Molecular Weight: 410.8058	
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-42165279 is a potent and selective fatty acid amide hydrolase (FAAH) inhibitor. JNJ-42165279 covalently inactivates the FAAH enzyme, but is highly selective with regard to other enzymes, ion channels, transporters, and receptors. JNJ-42165279 exhibited excellent ADME and pharmacodynamic properties as evidenced by its ability to block FAAH in the brain and periphery of rats and thereby cause an elevation of the concentrations of anandamide (AEA), oleoylethanolamide (OEA), and palmitoyl ethanolamide (PEA). JNJ-42165279 was also efficacious in the spinal nerve ligation (SNL) model of neuropathic pain. JNJ-42165279 is currently under clinical trials.

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	73.03
DMSO	65.0	158.23
Ethanol	30.0	73.03

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.43 mL	12.17 mL	24.34 mL
5 mM	0.49 mL	2.43 mL	4.87 mL
10 mM	0.24 mL	1.22 mL	2.43 mL
50 mM	0.05 mL	0.24 mL	0.49 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. Bonifácio MJ, Sousa F, Aires C, Loureiro AI, Fernandes-Lopes C, Pires NM, Palma PN, Moser P, Soares-da-Silva P. Preclinical pharmacological evaluation of the fatty acid amide hydrolase inhibitor BIA 10-2474. Br J Pharmacol. 2020 May;177(9):2123-2142. doi: 10.1111/bph.14973. Epub 2020 Feb 12. PMID: 31901141; PMCID: PMC7161550.

In vivo study

1. Bonifácio MJ, Sousa F, Aires C, Loureiro AI, Fernandes-Lopes C, Pires NM, Palma PN, Moser P, Soares-da-Silva P. Preclinical pharmacological evaluation of the fatty acid amide hydrolase inhibitor BIA 10-2474. Br J Pharmacol. 2020 May;177(9):2123-2142. doi: 10.1111/bph.14973. Epub 2020 Feb 12. PMID: 31901141; PMCID: PMC7161550.
2. Keith JM, Jones WM, Tichenor M, Liu J, Seierstad M, Palmer JA, Webb M, Karbarz M, Scott BP, Wilson SJ, Luo L, Wennerholm ML, Chang L, Rizzolio M, Rynberg R, Chaplan SR, Breitenbucher JG. Preclinical Characterization of the FAAH Inhibitor JNJ-

42165279. ACS Med Chem Lett. 2015 Nov 2;6(12):1204-8. doi: 10.1021/acsmedchemlett.5b00353. PMID: 26713105; PMCID: PMC4677372.

7. Bioactivity

Biological target:

JNJ-42165279 is a FAAH inhibitor with IC50 of 70 ± 8 nM and 313 ± 28 nM for hFAAH and rFAAH, respectively.

In vitro activity

BIA 10-2474, PF-04457845 and JNJ-42165279 concentration-dependently inhibited human recombinant fatty acid amide hydrolase in COS-human fatty acid amide hydrolase cells at all tested pre-incubation periods (IC_{50} values are in Table 2). The IC_{50} values for PF-04457845 were similar to those observed in vitro, in contrast to BIA 10-2474 and JNJ-42165279, which inhibited more potently fatty acid amide hydrolase in cells than in vitro.

Reference: Br J Pharmacol. 2020 May;177(9):2123-2142. doi: 10.1111/bph.14973. https://pubmed.ncbi.nlm.nih.gov/31901141/

In vivo activity

JNJ-42165279 exhibited excellent ADME and pharmacodynamic properties as evidenced by its ability to block FAAH in the brain and periphery of rats and thereby cause an elevation of the concentrations of anandamide (AEA), oleoyl ethanolamide (OEA), and palmitoyl ethanolamide (PEA).

Reference: ACS Med Chem Lett. 2015 Nov 2;6(12):1204-8. https://pubmed.ncbi.nlm.nih.gov/26713105/

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.