# Product data sheet

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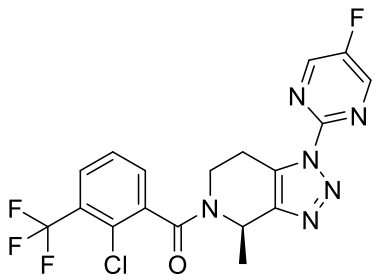
|---|---|
| MedKoo Cat#: 530648<br>Name: JNJ-54175446<br>CAS: 1627902-21-9<br>Chemical Formula: $C_{18}H_{13}ClF_4N_6O$<br>Exact Mass: 440.0775<br>Molecular Weight: 440.7866 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**

JNJ-54175446 is a potent and selective P2X7 Receptor Antagonist. JNJ-54175446 is potent, stable in liver microsomes and has an acceptable protein binding profile. JNJ-54175446 is highly permeable and has no evidence of efflux as measured by a Caco-2 cell line. JNJ-54175446 efficiently binds to recombinant rat and human P2X7 and to native tissues in both human and rat. JNJ-54175446 also inhibited P2X7 activity in human, rat, dog, mouse, and macaque Ca2+ flux assays and inhibited IL1-β release in human peripheral blood monocytes (pIC50 of 7.7 ± 0.1) and in human whole blood (pIC50 of 8.1 ± 0.1).

**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 | 62.5 | 141.79 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.27 mL | 11.34 mL | 22.69 mL |
| 5 mM | 0.45 mL | 2.27 mL | 4.54 mL |
| 10 mM | 0.23 mL | 1.13 mL | 2.27 mL |
| 50 mM | 0.05 mL | 0.23 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

TBD

In vivo study

1. Kolb HC, Barret O, Bhattacharya A, Chen G, Constantinescu C, Huang C, Letavic M, Tamagnan G, Xia CA, Zhang W, Szardenings AK. Preclinical Evaluation and Nonhuman Primate Receptor Occupancy Study of 18F-JNJ-64413739, a PET Radioligand for P2X7 Receptors. J Nucl Med. 2019 Aug;60(8):1154-1159. doi: 10.2967/jnumed.118.212696. Epub 2019 Feb 7. PMID: 30733317.

**7. Bioactivity**

Biological target:

JNJ-54175446 is a potent and selective brain penetrant P2X7 receptor antagonist, with $pIC_{50}$s of 8.46 and 8.81 for hP2X7 receptor and rP2X7 receptor, respectively.

In vitro activity

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TBD

In vivo activity

In nonhuman primate PET imaging studies, dose-dependent receptor occupancy of JNJ-54175446 was observed in 2 rhesus monkeys. At a 0.1 mg/kg dose (intravenous) of JNJ-54175446, the receptor occupancy was calculated to be 17% by Logan graphical analysis, whereas a dose of 2.5 mg/kg yielded a receptor occupancy of 60%.

Reference: J Nucl Med. 2019 Aug;60(8):1154-1159. https://pubmed.ncbi.nlm.nih.gov/30733317/

*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.*