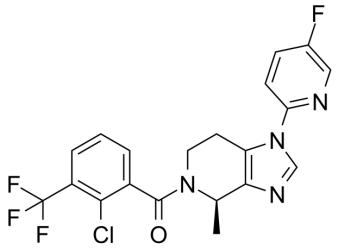
JNJ-54166060

Cat. No.:	HY-124300
CAS No.:	1627900-41-7
Molecular Formula:	$C_{20}H_{15}ClF_4N_4O$
Molecular Weight:	438.81
Target:	P2X Receptor
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	JNJ-54166060 is a potent and selective P2X7 receptor antagonist, with IC_{50}s of 4/115/72 nM for human/rat/mouse P2X7 receptor, respectively[1].
IC_{50} & Target	IC50: 4/115/72 nM (human/rat/mouse P2X7 receptor)[1]

In Vivo

JNJ-54166060 exhibits high oral bioavailability (rat 55%, dog >100%, monkey 54 %) and C_{max} (rat 375, dog 1249, monkey 389 ng/mL) following oral administration (rat 5 and, dog 5 mg/kg, monkey 5 mg/kg)[1].

JNJ-54166060 exhibits terminal elimination half-lives (rat 1.7 and, dog 11.9 h, monkey 4.2 h) due to low-moderate clearance (30, 5.5, and 14 mL/min/kg respectively) following intravenous administration (rat 1.0 and, dog 1.0 mg/kg, monkey 1.0 mg/kg)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague-Dawley rats[1]
Dosage:	1 mg/kg for i.v.; 5 mg/kg for oral (Pharmacokinetic Analysis)
Administration:	Intravenous administration and oral administration
Result:	Oral bioavailability (55%), C_{max} (375 ng/mL), $T_{1/2}$ (1.7 h).

Animal Model:	Beagle dogs[1]
Dosage:	1 mg/kg for i.v.; 5 mg/kg for oral (Pharmacokinetic Analysis)
Administration:	Intravenous administration and oral administration
Result:	Oral bioavailability (>100%), C_{max} (1249 ng/mL), $T_{1/2}$ (11.9 h).

Animal Model:	Cynomolgus monkeys[1]
Dosage:	1 mg/kg for i.v.; 5 mg/kg for oral (Pharmacokinetic Analysis)

Administration:	Intravenous administration and oral administration
Result:	Oral bioavailability (54%), C_{max} (389 ng/mL), $T_{1/2}$ (4.2 h).

REFERENCES

[1]. Devin M Swanson, et al. Identification of (R)-(2-Chloro-3-(trifluoromethyl)phenyl)(1-(5-fluoropyridin-2-yl)-4-methyl-6,7-dihydro-1H-imidazo[4,5-c]pyridin-5(4H)-yl)methanone (JNJ 54166060), a Small Molecule Antagonist of the P2X7 receptor. J Med Chem. 2016 Sep 22;59(18):8535-48.

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