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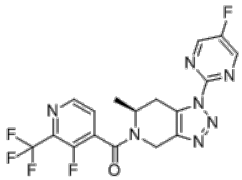
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	JNJ-55308942
Cat. No.	:	PC-62763
CAS No.	:	2166558-11-6
Molecular Formula	:	$C_{17}H_{12}F_5N_7O$
Molecular Weight	:	425.323
Target	:	P2X Receptor
Solubility	:	10 mM in DMSO



Biological Activity

JNJ-55308942 is a highly potent, selective, brain-penetrant **P2X7** antagonist with Ki of 1.0 and 6.5 nM for rat and human hP2X7.
JNJ-55308942 demonstrates no significant activity against a panel of related P2X receptors (P2X1, P2X2, P2X3, P2X2/3, and P2X4.
JNJ-55308942 also shows insignificant inhibition of nine CYP isoforms (IC50>15 uM).
JNJ-55308942 exhibits excellent P2X7 receptor occupancy in the hippocampus of rats with low ED50 of 0.07 mg/kg and unbound plasma EC50 of 12 ng/mL, suppresses brain IL-1β release in vivo in freely moving rats challenged with the P2X7 agonist Bz-ATP.
JNJ-55308942 possesses good tolerability margins in preclinical species, as well as an acceptable cardiovascular safety profile in vivo.

References

Chrovian CC, et al. ***J Med Chem.*** 2018 Jan 11;61(1):207-223.

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