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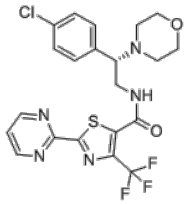
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Lu AF27139
Cat. No.	:	PC-38015
CAS No.	:	2097117-06-9
Molecular Formula	:	$C_{21}H_{19}ClF_3N_5O_2S$
Molecular Weight	:	497.921
Target	:	P2X Receptor
Solubility	:	10 mM in DMSO



Biological Activity

Lu AF27139 is a potent, selective, CNS-penetrant **P2X7** receptor antagonist, inhibits BzATP-induced currents in rat primary microglia with IC50 of 66 nM.
Lu AF27139 is highly selective and potent against rat, mouse, and human forms of the receptors.
Lu AF27139 concentration-dependently inhibits IL-1β release in rat ((C50=38 nM) and mouse (IC50=26 nM) primary cortical microglia primed with LPS and induced with 1 mM BzATP.
Lu AF27139 displays favorable PK profile with high oral bioavailability, modest clearance (0.79 L/(h kg)), and good CNS permeability.
Lu AF27139 inhibits LPS- and BzATP-induced IL-1β release in in vivo mouse CNS microdialysis studies.

References

Allen T Hopper, et al. J Med Chem. 2021 Apr 22;64(8):4891-4902.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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