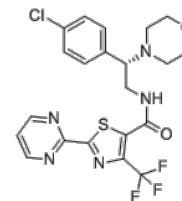


Product Name : Lu AF27139
Cat. No. : PC-38015
CAS No. : 2097117-06-9
Molecular Formula : C₂₁H₁₉ClF₃N₅O₂S
Molecular Weight : 497.921
Target : P2X Receptor
Solubility : 10 mM in DMSO



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-1 β release in rat (IC₅₀=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-1 β 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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