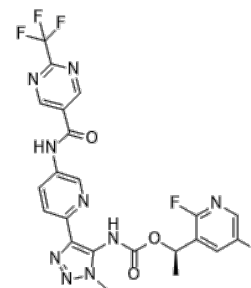


Product Name : GS-2278
Cat. No. : PC-23559
CAS No. : 2750508-72-4
Molecular Formula : C₂₂H₁₆F₅N₉O₃
Molecular Weight : 549.42
Target : Lysophospholipid Receptor
Solubility : 10 mM in DMSO



CAS: 2750508-72-4

Biological Activity

GS-2278 is a potent, selective lysophosphatidic acid receptor 1 (**LPAR1**, **LPA1**) antagonist with EC₅₀ of 12 nM in MRTF-A nuclear translocation assay in TWNT4 cells.

GS-2278 shows high selectivity for LPAR1 over LPAR2, LPAR3, and LPAR5 in the calcium (Ca²⁺) assays performed in CHO cell lines overexpressing the individual receptors.

GS-2278 antagonized all LPAR1 downstream pathways (Gαi, Gα12/13, Gαq, β-Arrestin) indicating unbiased antagonism.

GS-2278 binding to LPAR1 is competitive with LPA, with a K_i of 60 nM for human LPAR1 in a [³H]-LPA binding assay.

GS-2278 dose-dependently blocked LPA-induced histamine release and demonstrated efficacy in an interventional model of bleomycin-induced lung fibrosis.

References

Tang DT, et al. *J Med Chem.* 2024 Nov 21. doi: 10.1021/acs.jmedchem.4c02090.

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

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