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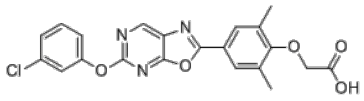
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	SAR247799
Cat. No.	:	PC-72368
CAS No.	:	1315311-14-8
Molecular Formula	:	$C_{21}H_{16}ClN_3O_5$
Molecular Weight	:	425.825
Target	:	Lysophospholipid Receptor
Solubility	:	10 mM in DMSO



Biological Activity

SAR247799 is a potent, selective G protein-biased **S1P1** agonist with EC50 of 39 and 19 nM in β-arrestin and internalization assays, respectivey.
SAR247799 preferentially activated the Gi pathway, induced a concentration-dependent phosphorylation of extracellular-regulated kinase-1/2 (Erk1/2) and protein kinase B (Akt, EC50, 72.9 to 118 nM).
SAR247799 is inactive at S1P2, S1P3, and S1P5, and the EC50 value for S1P4 is >100-fold higher than that for S1P1 in inhibiting cAMP production.
SAR247799 induced phosphorylation of Ser1177 of endothelial nitric oxide synthase (eNOS) in a S1P1-dependent manner, indicating eNOS activation.
SAR247799 improved the microvascular hyperemic response without reducing lymphocyte numbers in a pig model of coronary endothelial damage.

References

Bruno Poirier, et al. ***Sci Signal.*** 2020 Jun 2;13(634):eaax8050.

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