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Data Sheet

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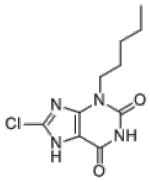
Product Name	:	GSK256073
Cat. No.	:	PC-21439
CAS No.	:	862892-90-8
Molecular Formula	:	$C_{10}H_{13}ClN_4O_2$
Molecular Weight	:	256.69
Target	:	GPR109A (Niacin Receptor 1)
Solubility	:	10 mM in DMSO



Biological Activity

GSK256073 is a highly potent, selective agonist of hydroxy-carboxylic acid receptor 2 (HCA2, HM74A/GPR109A) with pEC50 of 7.5, 100-fold selective over HCA3 (pEC50=5.6).
GSK256073 is a full and potent agonist (pEC50=6.48) at human adipocyte membranes using a [35S]GTP-γS binding assay.
GSK256073 does not display significant activity against a number of 7-transmembrane receptors, ion channels, transporters, and phophodiesterases.
GSK256073 (0.03, 0.3, 3.0 and 30 mg/kg) inhibited triglyceride accumulation by 7%, 30%, 51% and 78%, respectively, in rats.

References

Sprecher D, et al. Eur J Pharmacol. 2015 Jun 5;756:1-7.

Dobbins R, et al. Eur J Pharmacol. 2015 May 15;755:95-101.

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