GSK1820795A

Cat. No.: HY-111616

CAS No.: 2650253-86-2

Molecular Formula: $C_{35}H_{34}N_8$

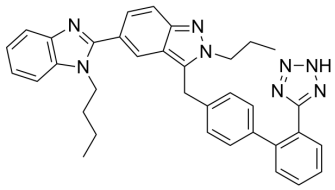
Molecular Weight: 566.7

Target: PPAR; Angiotensin Receptor

Pathway: Cell Cycle/DNA Damage; Vitamin D Related/Nuclear Receptor; GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (176.46 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7646 mL	8.8230 mL	17.6460 mL
5 mM	0.3529 mL	1.7646 mL	3.5292 mL
10 mM	0.1765 mL	0.8823 mL	1.7646 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.41 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK1820795A, as a telmisartan analog, is a selective hGPR132a antagonist. GSK1820795A blocks activation of yeast cells expressing hGPR132a by N-acylamides[1]. GSK1820795A is also a angiotensin II antagonists and partial PPARγ agonists (compound 38)[2].

IC_{50} & Target

AT1 Receptor	hPPARγ	mouse PPARγ
0.006 μM (IC_{50})	0.25 μM (EC50)	0.27 μM (EC50)

In Vitro	GSK1820795A blocks responses of yeast expressing hGPR132a to agonists NPGly, NLGly, linoleamide, and SB-583831[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lamotte Y, Faucher N, Sançon J, et al. Discovery of novel indazole derivatives as dual angiotensin II antagonists and partial PPARγ agonists. Bioorg Med Chem Lett. 2014;24(4):1098-1103.

[2]. Foster JR, et al. N-Palmitoylglycine and other N-acylamides activate the lipid receptor G2A/GPR132. Pharmacol Res Perspect. 2019;7(6):e00542.

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