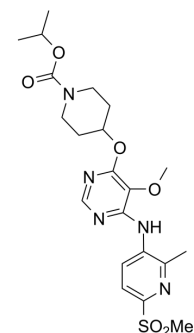


APD597

Cat. No.:	HY-15566		
CAS No.:	897732-93-3		
Molecular Formula:	C ₂₁ H ₂₉ N ₅ O ₆ S		
Molecular Weight:	479.55		
Target:	GPR119		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (208.53 mM; Need ultrasonic)
 H₂O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.0853 mL	10.4264 mL	20.8529 mL
	5 mM	0.4171 mL	2.0853 mL	4.1706 mL
	10 mM	0.2085 mL	1.0426 mL	2.0853 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (5.21 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (5.21 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (5.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

APD597 is a GPR119 agonist intended for the treatment of type 2 diabetes, with EC₅₀ of 46 nM for hGPR119. IC₅₀ value: 46 nM (EC₅₀) [1] Target: hGPR119 The design and synthesis of a second generation GPR119-agonist clinical candidate for the treatment of diabetes is described. APD597 was selected for preclinical development based on a good balance between agonist potency, intrinsic activity and in particular on its good solubility and reduced drug-drug interaction potential. [1]

CUSTOMER VALIDATION

- Sci Rep. 2017 Jun 28;7(1):4351.

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REFERENCES

- [1]. Buzard DJ, et al. Discovery and optimization of 5-fluoro-4,6-dialkoxypyrimidine GPR119 agonists. *Bioorg Med Chem Lett*. 2014 Sep 1;24(17):4332-4335.
- [2]. Semple G, et al. Discovery of a second generation agonist of the orphan G-protein coupled receptor GPR119 with an improved profile. *Bioorg Med Chem Lett*. 2012 Feb 15;22(4):1750-1755.
- [3]. Huan Y, et al. The dual DPP4 inhibitor and GPR119 agonist HBK001 regulates glycemic control and beta cell function ex and in vivo. *Sci Rep*. 2017 Jun 28;7(1):4351.
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Caution: Product has not been fully validated for medical applications. For research use only.

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