# APD668

**Cat. No.:** HY-15565

**CAS No.:** 832714-46-2

**Molecular Formula:** $C_{21}H_{24}FN_5O_5S$

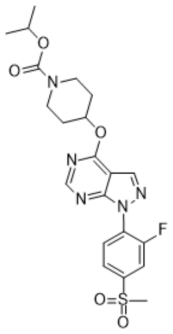
**Molecular Weight:** 477.51

**Target:** GPR119; Cytochrome P450; Potassium Channel

**Pathway:** GPCR/G Protein; Neuronal Signaling; Metabolic Enzyme/Protease; Membrane Transporter/Ion Channel

**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 : 33.33 mg/mL (69.80 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.0942 mL | 10.4710 mL | 20.9420 mL |
| 5 mM | 0.4188 mL | 2.0942 mL | 4.1884 mL |
| 10 mM | 0.2094 mL | 1.0471 mL | 2.0942 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.36 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

APD668 is a potent, selective and orally active agonist of G-protein coupled receptor GPR119, with $EC_{50}$s of 2.7 nM and 33 nM for hGPR119 and rGPR119, respectively. APD668 shows no significant inhibition of any of the five major CYP isoforms with the exception of CYP2C9 ($K_i$=0.1 μM). APD668 can be used for the research of steatohepatitis and diabetes[1][2].

**$IC_{50}$ & Target**

| hGPR119 | rGPR119 | CYP2C9 | hERG channel |
|---|---|---|---|
| 2.7 nM ($IC_{50}$) | 33 nM ($IC_{50}$) | 0.1 μM (Ki) | 3 μM ($IC_{50}$) |

**In Vitro**

APD668 increases adenylate cyclase activation in HEK293 cells transfected with human GPR119 in a concentration-dependent manner with an $EC_{50}$ of 23 nM[1].

APD668 is highly bound to plasma proteins of male and female cynomolgus monkeys and humans (≥99%), but is less extensively bound to male (93.0%) and female (96.6%) rats[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

APD668 (10-30 mg/kg; p.o. once daily for 8 weeks) significantly reduces blood glucose and glycated hemoglobin (HbA1c) levels, with no desensitization of the acute drug response[1].

APD668 (1-10 mg/kg; a single p.o.) markedly reduces blood glucose levels during oral glucose tolerance test in a dose-dependent manner in mice[1].

APD668 (0.08 mg/kg/min; i.v.) shows no effect during euglycemic condition, but significantly stimulates insulin release when blood glucose levels are raised to approximately 300 mg/dl in a hyperglycemic clamp model in the Sprague-Dawley rat[1].

APD668 (p.o.) exhibits rapid to moderate absorption ($t_{max}$≤2 h) in mice, rats, and monkeys, but slower in dogs ($t_{max}$=6 h), and moderate to good absolute oral bioavailability (44-79%) in mice, rats, and monkeys, but lower in dogs (22%)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Male Zucker Diabetic Fatty (ZDF) rats (6 weeks old, 200-250 g)[1] |
| Dosage: | 10, 30 mg/kg |
| Administration: | P.o. once daily for 8 weeks |
| Result: | Decreased the blood glucose and HbA1c levels at 30 mg/kg/day.<br>Did not develop diabetes, whereas the vehicle treated rats did. |

## CUSTOMER VALIDATION

- Invest Ophthalmol Vis Sci. 2017 Jun 1;58(7):2930-2938.

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## REFERENCES

[1]. Semple G, et al. Discovery of fused bicyclic agonists of the orphan G-protein coupled receptor GPR119 with in vivo activity in rodent models of glucose control. Bioorg Med Chem Lett. 2011 May 15;21(10):3134-41.

[2]. Bahirat UA, et, al. APD668, a G protein-coupled receptor 119 agonist improves fat tolerance and attenuates fatty liver in high-trans fat diet induced steatohepatitis model in C57BL/6 mice. Eur J Pharmacol. 2017 Apr 15;801:35-45.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA