

PRODUCT INFORMATION



APD668

Item No. 17642

CAS Registry No.: 832714-46-2
Formal Name: 4-[[1-[2-fluoro-4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]oxy]-1-piperidinecarboxylic acid, 1-methylethyl ester

Synonym: JNJ-28630368

MF: C₂₁H₂₄FN₅O₅S

FW: 477.5

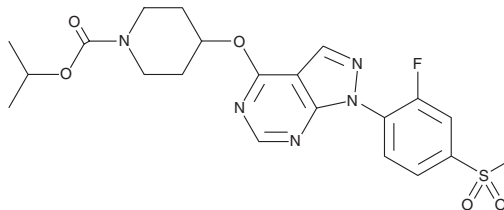
Purity: ≥95%

UV/Vis.: λ_{max}: 242, 276 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

APD668 is supplied as a crystalline solid. A stock solution may be made by dissolving the APD668 in the solvent of choice, which should be purged with an inert gas. APD668 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of APD668 in these solvents is approximately 20 and 25 mg/ml, respectively.

APD668 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, APD668 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. APD668 has a solubility of approximately 0.1 mg/ml in a 1:8 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GPR119, a glucose-dependent insulinotropic receptor, is a G protein-coupled receptor that is expressed in pancreatic β-cells and intestinal L-cells.¹ Activation of GPR119 by the endogenous ligands lyso-phosphatidylcholine (Item No. 10172) and oleoyl ethanolamide (Item No. 90265) increases intracellular cAMP levels and promotes glucose-stimulated insulin secretion.^{1,2} APD668 is a potent agonist of GPR119 (EC₅₀s = 2.7 and 33 nM for human and rat forms, respectively).³ Chronic dosing with APD668 in Zucker diabetic fatty rats over several weeks significantly reduces blood glucose and glycated hemoglobin levels.³

References

1. Overton, H.A., Babbs, A.J., Doel, S.M., *et al.* Deorphanization of a G protein-coupled receptor for oleoylethanolamide and its use in the discovery of small-molecule hypophagic agents. *Cell Metab.* **3**, 167-175 (2006).
2. Soga, T., Ohishi, T., Matsui, T., *et al.* Lysophosphatidylcholine enhances glucose-dependent insulin secretion via an orphan G-protein-coupled receptor. *Biochem. Biophys. Res. Commun.* **326**, 744-751 (2005).
3. Semple, G., Ren, A., Fioravanti, B., *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.* **21**(10), 3134-3141 (2011).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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