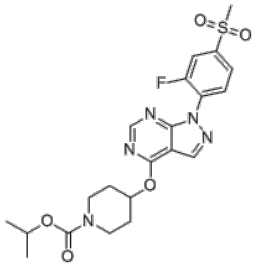
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	APD668
Cat. No.	:	PC-20437
CAS No.	:	832714-46-2
Molecular Formula	:	$C_{21}H_{24}FN_5O_5S$
Molecular Weight	:	477.51
Target	:	GPR119
Solubility	:	10 mM in DMSO



Biological Activity

APD668 (JNJ-28630368) is a potent and selective **GPR119** agonist with EC50 of 2.7 and 33 nM for huamn and rat GPR119, respectively.
APD668 increases adenylate cyclase activation in HEK293 cells transfected with human GPR119 with EC50 of 23 nM.
APD668 enhances insulin release from both rat and human isolated pancreatic islets in a glucose-dependent manner.
APD668 does not show any binding in a panel of 80 known receptors and ion channels.
APD668 displays no significant inhibition of any of the five major CYP isoforms with the exception of CYP2C9 (Ki = 0.1 uM).
APD668 (30 mg/kg) significantly reduced blood glucose and glycated hemoglobin (HbA1c) levels over eight weeks of treatment (QD), with no desensitization of the acute drug response in Zucker Diabetic Fatty (ZDF) rats.

References

Semple G, et al. ***Bioorg Med Chem Lett***. 2011 May 15;21(10):3134-41.

Bahirat UA, et al. ***Eur J Pharmacol***. 2017 Apr 15;801:35-45.

E-mail: tech@probechem.com