Product Data Sheet

Product Name: AS 2034178
Cat. No.: GC11467

Chemical Properties

Cas. No.	1030846-42-4		
Chemical Name	3-(2-fluoro-4-(((1-(2-phenoxyethyl)-1,2,3,4-tetrahydroquinolin-5-yl)methyl)amino)phenyl)propanoic acid		
SMILES	FC1=C(CCC(O)=O)C=CC(NCC(C=CC=C23)=C2CCCN3CCOC4=CC=CC=C4)=C1		
Formula	$C_{27}H_{29}FN_2O_3$	M.Wt	448.53
Solubility	<22.43mg/ml in DMSO; <8.97mg/ml in ethanol	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	AS 2034178		

Background

AS2034178 is a GPR40 agonist [1] with an hEC50 value of 380 nM [2].

GPR40 is a receptor of free fatty acid. It regulates glucose-dependent insulin secretion [1].

AS2034178 can improve glucose homeostasis and maintain or enhance islet beta cell functions [3]. AS2034178 demonstrated highly and dose-dependently increase in intracellular Ca2+ levels [1]. The maximum efficacy of the increase in Ca2+ was nearly equal to that of an endogenous ligand of GPR40, namely linolenic acid. But the potency of AS2034178 was much higher than that of linolenic acid. Human GPR41-, GPR43-, GPR119-, and GPR120-overexpressing CHO cells were developed to evaluate the increase of intracellular Ca2+ concentration caused by AS2034178. Only GPR40-expressing cells showed increased intracellular Ca2+. In pancreas b-cell–derived MIN6 cells, AS2034178 dose-dependently and significantly induced insulin secretion only under high-glucose conditions (22.4 mM) [1].

In ob/ob mice, chronic treatment with AS2034178 significantly improved whole-body

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glucose metabolism, insulin, HbA1c, and pancreatic insulin levels [2]. In normal mice, AS2034178 at 0.3 to 10 mg/kg dose-dependently induced the suppression of plasma-glucose increases after oral administration with glucose, and the area decrease under the plasma glucose concentration-time curve was significant at doses over 1 mg/kg. After oral glucose administration, plasma insulin levels increased and at 5 minutes after glucose administration were dose-dependently and significantly increased at AS2034178 dosages over 3 mg/kg [1].

References:

[1]. Tanaka H, Yoshida S, Oshima H, et al. Chronic treatment with novel GPR40 agonists improve whole-body glucose metabolism based on the glucose-dependent insulin secretion[J]. Journal of Pharmacology and Experimental Therapeutics, 2013, 346(3): 443-452.

[2]. Defossa E, Wagner M. Recent developments in the discovery of FFA1 receptor agonists as novel oral treatment for type 2 diabetes mellitus[J]. Bioorganic & medicinal chemistry letters, 2014, 24(14): 2991-3000.

[3]. Milligan G, Alvarez-Curto E, Watterson KR, et al. Characterizing pharmacological ligands to study the long-chain fatty acid receptors GPR40/FFA1 and GPR120/FFA4[J]. British journal of pharmacology, 2015, 172(13): 3254-3265.