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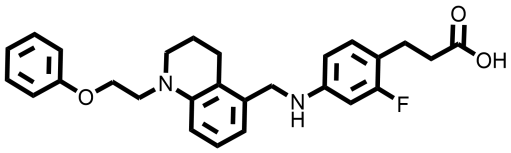
GPR40 Agonist AS2034178

Chemical Name: 3-(2-fluoro-4-(((1-(2-phenoxyethyl)-1,2,3,4-tetrahydroquinolin-5-yl)methyl)amino)phenyl)propanoic acid



Molecular Weight:	448.53
Formula:	$C_{27}H_{29}FN_2O_3$
Purity:	≥98%
CAS#:	1030846-42-4
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

AS2034178 is the potent, selective and orally bioavailable GPR40 (FFA1) agonist. It has good selectivity for over GPR41, GPR43, GPR119, GPR120 and PPARγ. It can Induce glucose-dependent insulin secretion in pancreatic MIN6 cells and in vivo. AS2034178 could decrease plasma glucose and HbA1c levels after repeat administration to ob/ob mice, with favorable oral absorption and pharmacokinetics. Repeat administration of AS2034178 enhanced insulin sensitivity in an insulin tolerance test and a euglycemic-hyperinsulinemic clamp test.

How to Use:

In vitro: AS2034178 was used at 1-10 μM final concentration in various in vitro assays.

In vivo: AS2034178 was dosed to normal mice and Zucker fatty rats under fasting conditions for oral glucose tolerance test via oral gavage at 3-10 mg/kg. It was dosed to diabetic ob/ob mice via oral gavage at 3-30 mg/kg once per day for 2 weeks.

Reference:

1. Tanaka H, et al. Chronic treatment with novel GPR40 agonists improve whole-body glucose metabolism based on the glucose-dependent insulin secretion. (2013) J Pharmacol Exp Ther. 346(3):443-52.