

AM-4668

## Chemical Properties

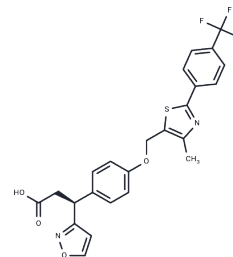
CAS No. : 1011531-27-3

Formula: C<sub>24</sub>H<sub>19</sub>F<sub>3</sub>N<sub>2</sub>O<sub>4</sub>S

Molecular Weight: 488.48

Storage: Store at low temperature, Keep away from moisture  
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	AM-4668, a GPR40 (FFA1) agonist with oral availability, was optimized to reduce central nervous system (CNS) permeability, with a mouse brain/plasma ratio of only 0.02, and significantly reduced blood glucose levels in human GPR40 knock-in mice.
Targets(IC50)	GPCR
In vitro	The in vitro activity of AM-4668 was evaluated in CHO and A9 cells stably expressing human GPR40, showing potent agonist activity with EC <sub>50</sub> values of 2.6nM (aequorin assay) and 8.4nM (IP <sub>3</sub> accumulation assay). In islets isolated from human GPR40 knock-in mice, AM-4668 stimulated insulin secretion with an EC <sub>50</sub> of 55nM. AM-4668 also showed minimal toxicity in CYP inhibition and hERG channel assays and exhibited low CNS penetration (brain-to-plasma ratio of 0.02 at 3h after oral dosing at 5mg/kg in rats) [1].
In vivo	The in vivo activity of AM-4668 was tested in human GPR40 knock-in mice. Mice were fasted for 6 hours and orally administered AM-4668 (10mg/kg); one hour later, they underwent an oral glucose tolerance test (2g/kg). AM-4668 reduced the glucose area under the curve (AUC) by 19% compared to vehicle controls. The compound also exhibited favorable pharmacokinetics in rats, dogs, and cynomolgus monkeys, with low clearance (0.04-0.15L/h/kg), moderate to long half-life (5.3-14h), and good oral bioavailability (65-100%) [1].

### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	2.0472 mL	10.2358 mL	20.4717 mL
5 mM	0.4094 mL	2.0472 mL	4.0943 mL
10 mM	0.2047 mL	1.0236 mL	2.0472 mL
50 mM	0.0409 mL	0.2047 mL	0.4094 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Liu JJ, et al. Optimization of GPR40 Agonists for Type 2 Diabetes. ACS Med Chem Lett. 2014 Feb 6;5(5):517-21.

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