Product Datasheet

TAK875

Catalog No. **BP-01824** · CAS **1000413-72-8** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-08**

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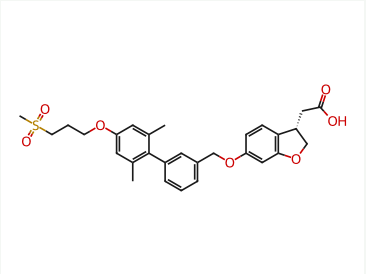
Target	Research area
Free Fatty Acid Receptor	**Metabolic Disease**

Molecular formula	$C_{29}H_{32}O_7S$
Molecular weight	**524.6250**

STRUCTURE



DESCRIPTION

Fasiglifam (TAK-875) is a potent, selective and orally bioavailable GPR40 agonist with EC50 of 72 nM.

BIOLOGICAL ACTIVITY

In Vitro

Fasiglifam (TAK-875) (0.01-10 μM) produces a concentration-dependent increase in intracellular IP production in CHO-hGPR40, with EC50 of 0.072 μM. Fasiglifam (TAK-875) (0.1-10 μM) dose-dependently augments intracellular IP production in CHO cells. Fasiglifam (TAK-875) (3-30 μM) concentration-dependently augments [Ca2+]i. In the presence of 10 mM glucose, TAK-875 (0.001-10 μM) dose-dependently stimulats insulin secretion from INS-1 833/15 cells.

In Vivo

Fasiglifam (TAK-875) (10 mg/kg, p.o.) increases plasma insulin levels in ZDF rats. Fasiglifam (TAK-875) (30 mg/kg, p.o.) improves fasting hyperglycemia without affecting fasting normoglycemia. Fasiglifam (TAK-875) at 30 mg/kg, which is a 3- to 10-fold higher dose compared with the dose that improved glucose tolerance in diabetic rats, does not alter fasting glucose levels in SD rats with normal glucose homeostasis. Likewise, Fasiglifam (TAK-875) does not significantly alter insulin secretion in SD rats with normal fasting glucose levels.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Tsujihata Y,et al. TAK-875, an orally available G protein-coupled receptor 40/free fatty acid receptor 1 agonist, enhances glucose-dependent insulin secretion and improves both postprandial and fasting hyperglycemia in type 2 diabetic rats.J Pharmacol Exp

[2]. Yoshiyuki Tsujihata, et al. TAK-875, an Orally Available GPR40/FFA1 Agonist Enhances Glucose-Dependent Insulin Secretion and Improves Both Postprandial and Fasting Hyperglycemia in Type 2 Diabetic Rats. JPET July 13, 2011

[3]. Nagatake T, et al. 17,18-EpETE-GPR40 axis ameliorates contact hypersensitivity by inhibiting neutrophil mobility in mice and cynomolgus macaques. J Allergy Clin Immunol. 2017 Dec 26. pii: S0091-6749(17)32949-4.

[4]. Urano Y, et al. Comparative hepatic transcriptome analyses revealed possible pathogenic mechanisms of fasiglifam (TAK-875)-induced acute liver injury in mice. Chem Biol Interact. 2018 Sep 20;296:185-197.

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