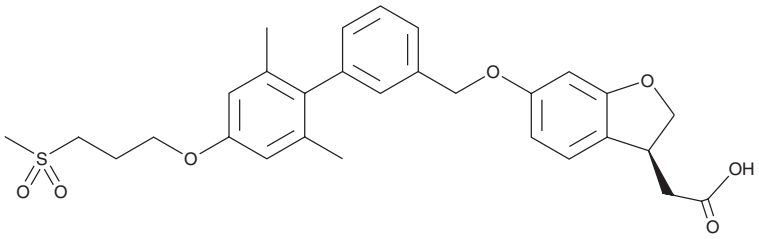
PRODUCT INFORMATION

TAK-875

Item No. 17335

CAS Registry No.:	1000413-72-8
Formal Name:	(3S)-6-[[2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-3-benzofuranacetic acid
MF:	$C_{29}H_{32}O_7S$
FW:	524.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 285 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TAK-875 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-875 in the solvent of choice, which should be purged with an inert gas. TAK-875 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of TAK-875 in these solvents is approximately 30 mg/ml.

TAK-875 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TAK-875 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TAK-875 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The free fatty acid receptor 1 (FFAR1; GPR40) is highly expressed in pancreatic β-cells and activated by medium and long-chain fatty acids.[1] There is evidence of a link between FFAR1 (GPR40) and the ability of fatty acids to amplify glucose-stimulated insulin secretion, making this signaling pathway a potential target for regulating diabetes, obesity, and other metabolic disorders.[2,3] TAK-875 is a selective FFAR1 (GPR40) agonist (EC_{50} = 26 nM) that does not exhibit activity on the related FFARs FFAR2 (GPR43) or FFAR3 (GPR41).[4]

References

1. Briscoe, C.P., Tadayyon, M., Andrews, J.L., *et al.* The orphan G protein-coupled receptor GPR40 is activated by medium and long chain fatty acids. *J. Biol. Chem.* **278(13)**, 11303-11311 (2003).
2. Itoh, Y., Kawamata, Y., Harada, M., *et al.* Free fatty acids regulate insulin secretion from pancreatic β cells through GPR40. *Nature* **422**, 173-176 (2003).
3. Steneberg, P., Rubins, N., Bartoov-Shifman, R., *et al.* The FFA receptor GPR40 links hyperinsulinemia, hepatic steatosis, and impaired glucose homeostasis in mouse. *Cell Metab.* **1**, 245-258 (2005).
4. Christiansen, E., Due-Hansen, M.E., Urban, C., *et al.* Free fatty acid receptor 1 (FFA1/GPR40) agonists: Mesylpropoxy appendage lowers lipophilicity and improves ADME properties. *J. Med. Chem.* **55**, 6624-6628 (2012).

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