

Product Specification Sheet

Product Name: TAK-875 **Catalog Number:** C8875

Technical information:

Chemical Formula: $C_{29}H_{32}O_7S_{1}/_2H_2O$

CAS #: 1000413-72-8

Molecular Weight: 533.63

Purity: > 98%

Appearance: White solid

Solubility: Soluble in DMSO up to 100mM

Chemical Name: [(3S)-6-({2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy]biphe-nyl-3-yl}meth-oxy)-2,3-dihydro-1-

benzofuran-3-yl]acetic acid hemi-hydrate

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.187mL of DMSO for each mg of TAK-875.

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum

sample recovery.

Biological Activity: TAK-875 is an orally-available, benzofuran-based, agonist of GPR40 for the potential treament of

Type 2 diabetes mellitus, with an human EC50 of 0.014 uM in a FLIPR assay. It has high selectivity over GPR41, GPR43, and GPR120, with EC50s all greater than 10 uM. [1] Oral dosing (0.3-3 mg/kg) of TAK-875 in a glucose intolerance test in female Wistar rats reduced blood glucose excursion.

Insulin secretion was increased during an oral glucose tolerance test.

TAK-875 was shown to activate the Gqa-mediated signalling pathway in pancreatic b-cells. Prolonged agonist stimulation by TAK-875 revealed no evidence of b-cell dysfunction or toxicity,

nor does it cause a induction of a marker of apoptosis in pancreatic b-cells. [2]

Reference: 1. Negoro et al., ACS Med. Chem. Lett. 2010, 1, 290-294.

2. Tsujihata 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. Ther. 2011, 339(1), 228-237. Pubmed ID: 21752941

To reorder: http://www.cellagentech.com/TAK-875/

For Technical Support: <u>technical@cellagentech.com</u>

Chemicals are sold for research use only, not for clinical or diagnostic use.