www.bioss.com.cn
sales@bioss.com.cn
techsupport@bioss.com.cn
400-901-9800

TAK-875 (Fasiglifam)

产品编号: D50882

CAS: 1374598-80-7

分子式: C58H66O15S2

纯度: ≥98%

InChi: InChI=1S/2C29H32O7S.H2O/c2*1-19-12-25(34-10-5-11-37(3,32)33)13-20(2)29(19)22-7-4-6-21(14-22)17-35-24-8-9-26-23(15-28(30)31)18-36-27(26)16-24;/h2*4,6-9,12-14,16,23H,5,10-11,15,17-18H2,1-3H3,(H,30,31);1H2/t2*23-;/m11./s1

InChi Key: OJXYMYYDAVXPIK-IWKNALKQSA-N

Smiles: O.CS(=O)(=O)CCCOC1=CC(C)=C(C2=CC(COC3=CC4OC[C@@H](CC(O)=O)C=4C=C3)=CC=C2)C(C)=C1.CS(=O)(=O)CCCOC1=CC(C)=C(C2=CC(COC3=CC4OC[C@@H](CC(O)=O)C=4C=C3)=CC=C2)C(C)=C1

外观: 固体粉末

溶解性: DMSO up to 100 mM

保存条件: Store in dry, dark place for one year.

产品介绍: TAK-875 (Fasiglifam) is the potent, selective and orally bioavailable partial GPR40 agonist with an EC50 ~14 nM. It has binding affinity to the human GPR40 receptor with Ki of 38 nM and the rat GPR40 receptor with Ki of 140 nM. TAK-875 has no agonist potency to other members of the FFA receptor family with EC50 >10 μM. The 2.3 ANG resolution co-complex structure of hGPR40-TAK-875 reveals a unique binding mode of TAK-875 and suggests that entry to the non-canonical binding pocket most probably occurs via the lipid bilayer. Consistent with the activation of the Gqα-mediated signaling pathway, TAK-875 augments glucose-dependent insulin secretion in pancreatic β cells. Prolonged stimulation of GPR40/FFA1 by TAK-875 does not cause pancreatic β Cell dysfunction or induction of apoptosis. Termination phase III development of TAK-875 (Fasiglifam) for the potential treatment of type-2 diabetes mellitus was announced in 2013 due to concerns about liver safety.