Product Data Sheet

Product Name: GPBAR-A
Cat. No.: GC13880

Chemical Properties

Cas. No.	877052-79-4		
Formula	$C_{23}H_{15}F_7N_2O_2$	M.Wt	484.37
Solubility	<9.69mg/ml in ethanol; <48.44mg/ml in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	GPBAR-A		

Background

GPBAR-A is an agonist of bile acid receptor GPBAR1 [1].

The G protein-coupled bile acid receptor 1 (GPBAR1, TGR5) is a plasma membrane-bound receptor for bile acids. TGR5 is involved in gallstone formation and is expressed in the epithelium of human gallbladders [2].

GPBAR-A is an agonist of bile acid receptor GPBAR1. In GLUTag cells, GPBAR-A stimulated glucagon-like peptide (GLP-1) release. In primary colonic cultures, GPBAR-A increased GLP-1 release by 4.2-fold. In upper small intestinal cultures, GPBAR-A increased GLP-1 release by 2.6-fold. In GLUTag cells, GPBAR-A increased cAMP concentration by 57%. Also, GPBAR-A increased calcium in 56/149 cells and caused the mean response by 1.3-fold. In the presence of glucose, GPBAR-A increased calcium in 148/149 cells and caused the mean response by 2.6-fold. In the presence of diazoxide (the KATP channel opener, 340 μM) and 70 mM KCl, GPBAR-A also increased GLP-1 secretion. In colonic and small intestinal cultures, GPBAR-A increased the GLP-1 secretion by 2.4-fold and 1.5-fold. The GLP-1 secretion mediated by GPBAR-A was independent on KATP channel closure [1].

References:

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[1]. Parker HE, Wallis K, le Roux CW, et al. Molecular mechanisms underlying bile acid-stimulated glucagon-like peptide-1 secretion. Br J Pharmacol, 2012, 165(2): 414-423.
[2]. Keitel V, Cupisti K, Ullmer C, et al. The membrane-bound bile acid receptor TGR5 is localized in the epithelium of human gallbladders. Hepatology, 2009, 50(3): 861-870.

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