

Product Data Sheet

Product Name: TUG-770

Cat. No.: GC16054

Chemical Properties

Cas. No. 1402601-82-4

Chemical Name 3-[4-[2-[2-(cyanomethyl)phenyl]ethynyl]-2-fluorophenyl]propanoic acid

SMILES C1=CC=C(C(=C1)CC#N)C#CC2=CC(=C(C=C2)CCC(=O)O)F

Formula $C_{19}H_{14}FNO_2$ M.Wt 307.32

Solubility ≥ 11.85 mg/mL in DMSO, ≥ 9.5 mg/mL in EtOH with ultrasonic and warming Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility, please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

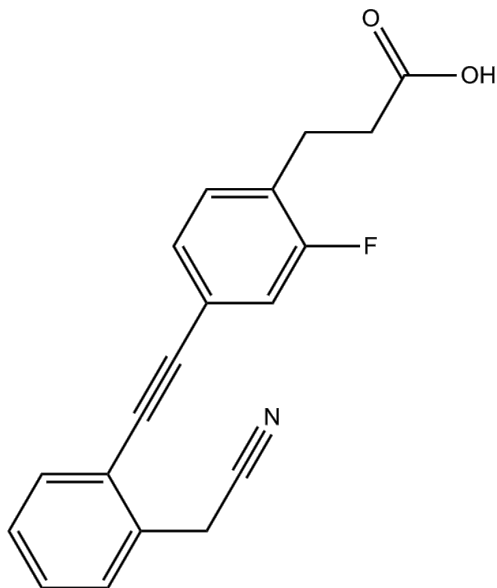
Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Structure



Background

IC₅₀: 6 nM

TUG-770 is a potent free fatty acid receptor 1 (FFA1/GPR40) agonist. Free fatty acid receptor 1 (FFA1 or GPR40) enhances the glucose-stimulated insulin secretion from pancreatic β -cells and attracts high interest as a new target for the treatment of type 2 diabetes.

In vitro: TUG-770 showed a pronounced increase in potency on FFA1 with EC₅₀ = 6 nM and 150-fold selectivity over FFA4. TUG-770 showed a high selectivity over FFA2, FFA3, PPAR γ , and 54 diverse transporters, receptors, and enzymes. In the rat INS-1E cell line, TUG-770 caused significantly increased insulin secretion at high glucose concentration and, as expected, no effect at low glucose concentration [1].

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In vivo: Pharmacokinetic studies of TUG-770 in mice showed a fast oral absorption, higher plasma concentration, a longer half-life, lower clearance, and increased bioavailability. No adverse effects were seen in mice after four weeks of daily oral treatment of 20 mg/kg and acute treatment in doses up to 250 mg/kg. In vivo examination of TUG-770 in an acute intraperitoneal glucose tolerance test in normal mice showed a good dose-dependent response with maximal reduction in glucose level reached at 50 mg/kg. The followed chronic oral glucose tolerance test study in DIO mice showed that TUG-770 was more effective than its analog. Further evaluation of TUG-770 in rats confirmed a significant glucose lowering effect for the high doses [1].

Clinical trial: N/A

Reference:

[1] Christiansen E,Hansen SV,Urban C,Hudson BD,Wargent ET,Grundmann M,Jenkins L,Zaibi M,Stocker CJ,Ullrich S,Kostenis E,Kassack MU,Milligan G,Cawthorne MA,Ulven T. Discovery of TUG-770: A Highly Potent Free Fatty Acid Receptor 1 (FFA1/GPR40) Agonist for Treatment of Type 2 Diabetes. ACS Med Chem Lett.2013 May 9;4(5):441-445.

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