

Product Data Sheet

Product Name: DGAT1-IN-3

Cat. No.: GC60134

Chemical Properties

Cas. No. 939375-07-2

SMILES O=C(C1=C(C(F)(F)F)OC(C2=CC=CC=C2)=N1)NC3=CC=C(N(CCOC)C)N=C3

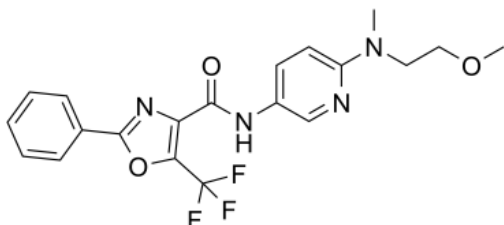
Formula $C_{20}H_{19}F_3N_4O_3$ M.Wt 420.39

Solubility DMSO: 100 mg/mL (237.87 mM) Storage

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°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.

Structure



Background

DGAT1-IN-3 is a potent, selective and orally bioavailable inhibitor of DGAT-1, with IC₅₀s of 38 nM for human DGAT-1 and 120 nM for rat DGAT-1. DGAT1-IN-3 could be used to research of obesity, dyslipidemia, and metabolic syndrome[1][2].

DGAT1-IN-3 blocks the human ether-a-go-go-related gene (hERG) encoded potassium channel with an IC₂₀ of 0.2 μM[1]. DGAT1-IN-3 inhibits human DGAT-1 in CHOK1 cells with an EC₅₀ of 0.66 μM[1].

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

Product Data Sheet

DGAT1-IN-3 (5-50 mg/kg; p.o once daily for three weeks) reduces weight gain and plasma triglycerides, and improves lipid profile[2]. DGAT1-IN-3 (50 mg/kg; p.o) exhibits good oral bioavailability (77%) and the maximum exposure level in plasma (C_{max}) is 24 μ M[2]. DGAT1-IN-3 (5 mg/kg; i.v) exhibits terminal elimination half-lives (1.95 h) and low clearance (13.5 mL/min/kg)[2].
Animal Model: Three-month-old male Sprague Dawley DIO rats (fed with a high-fat diet)[2]

[1]. Yimin Q, et, al. Discovery of orally active carboxylic acid derivatives of 2-phenyl-5-trifluoromethyloxazole-4-carboxamide as potent diacylglycerol acyltransferase-1 inhibitors for the potential treatment of obesity and diabetes. J Med Chem. 2011 Apr 14; 54(7): 2433-46. [2]. Weiya Y, et, al. Discovery and optimization of 2-phenyloxazole derivatives as diacylglycerol acyltransferase-1 inhibitors. Bioorg Med Chem Lett. 2011 Dec 1; 21(23): 7205-9.

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