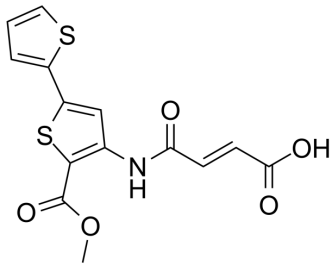
HTS01037

Cat. No.:	HY-101503
CAS No.:	682741-29-3
Molecular Formula:	$C_{14}H_{11}NO_5S_2$
Molecular Weight:	337.37
Target:	FABP
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (296.41 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9641 mL	14.8205 mL	29.6410 mL
	5 mM	0.5928 mL	2.9641 mL	5.9282 mL
	10 mM	0.2964 mL	1.4821 mL	2.9641 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (7.41 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.41 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

HTS01037 is an inhibitor of fatty acid binding; and a competitive antagonist of protein-protein interactions mediated by AFABP/aP2 with a K_i of 0.67 μM.

IC_{50} & Target

IC50: 0.67 μM (AFABP/aP2)[1]

In Vitro

HTS01037 functions as a high affinity ligand of AFABP/aP2 with an apparent K_i of 0.67 μM. HTS01037 is somewhat selective for AFABP/aP2, but at higher concentrations is a pan-specific FABP inhibitor. HTS01037 inhibits lipolysis in 3T3-L1

adipocytes and reduces LPS-stimulated inflammation in cultured macrophages. HTS01037 acts as an antagonist of the protein-protein interaction between AFABP/aP2 and hormone sensitive lipase but does not activate PPARγ in macrophage or CV-1 cells[1]. Treatment of microglial cells with HTS01037 increases expression of Ucp2 and arginase in the presence or absence of palmitic acid. Moreover, cells exposed to HTS01037 exhibits attenuated expression of inducible nitric oxide synthase (iNOS) compared to palmitic acid alone indicating reduced NFκB signaling[2]. Treatment of macrophages with HTS01037results in a marked decrease in both basal and fatty acid-stimulated LTC4 secretion but no change in 5-HETE production or 5-lipoxygenase expression[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

To analyze the ligand (HTS01037) binding properties of the FABPs, the fluorescent ligand 1-anilinonapthalene 8-sulfonic acid (1,8-ANS) is utilized. 1,8 ANS is dissolved in absolute ethanol and diluted with 25 mM Tris-HCl (pH 7.4) to a final concentration of 5 μM (final EtOH concentration of 0.05%). Protein is titrated into 500 μL 1,8-ANS and the fluorescence enhancement is measured using a Perkin Elmer 650-10S fluorescence spectrophotometer with 4 nm excitation and emission slit widths. Quantitative analysis of ligand binding is evaluated using non-linear regression using PRISM software[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Assay [2]

Cells are pretreated with HTS01037 or vehicle for 3 h and then challenged with or without palmitic acid for 1 h. Cells are then exposed to the ROS Deep Red Dye for 1 h in 5% CO_2 at 37°C. Intracellular superoxide and hydroxyl radicals react with the deep red dye, producing a fluorescent signal which is measured using a spectrophotometer at 650Ex/675Em[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hertzel AV, et al. Identification and characterization of a small molecule inhibitor of Fatty Acid binding proteins. J Med Chem. 2009 Oct 8;52(19):6024-31.

[2]. Duffy CM, et al. Identification of a fatty acid binding protein4-UCP2 axis regulating microglial mediated neuroinflammation. Mol Cell Neurosci. 2017 Apr;80:52-57.

[3]. Long EK, et al. Fatty acids induce leukotriene C4 synthesis in macrophages in a fatty acid binding protein-dependent manner. Biochim Biophys Acta. 2013 Jul;1831(7):1199-207.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA