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产品名称：HTS01037
产品别名：HTS01037

生物活性：

Description	HTS01037 is an inhibitor of fatty acid binding; and a competitive antagonist of protein-protein interactions mediated by AFABP/aP2 with a Ki 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 Ki 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].

Solvent&Solubility

In Vitro:

DMSO : ≥ 150 mg/mL (444.62 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: 2.5 mg/mL (7.41 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (7.41 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

	2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (7.41 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (7.41 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.41 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.41 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.
实验参考：	
Cell Assay	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].
Kinase Assay	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].
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.