Implitapide

Cat. No.: HY-106130

CAS No.: 177469-96-4

Molecular Formula: $C_{35}H_{37}N_3O_2$

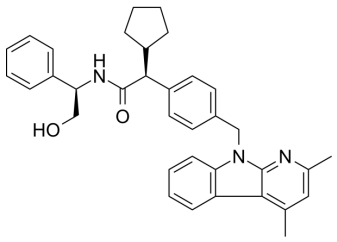
Molecular Weight: 531.69

Target: Microsomal Triglyceride Transfer Protein (MTP)

Pathway: Metabolic Enzyme/Protease

Storage: -20°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (188.08 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8808 mL	9.4040 mL	18.8080 mL
	5 mM	0.3762 mL	1.8808 mL	3.7616 mL
	10 mM	0.1881 mL	0.9404 mL	1.8808 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Implitapide (AEGR 427) is a microsomal triglyceride transfer protein (MTP) inhibitor.

IC_{50} & Target

MTP[1]

In Vitro

Implitapide suppresses MTP activity using a recombinant human form complexed with protein disulphide isomerase (IC_{50} =10 nM) and inhibit secretion of apoB-containing very low-density lipoprotein (VLDL)-like lipoproteins from a human hepatoma cell (HepG2) with an IC_{50} value of 1.1 nM[1].

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

In Vivo

Implitapide (3.2 mg/kg/d) significantly reduces the plasma lipid levels to nearly or below the chow diet (CD) level at 4 and 8 weeks of treatment ($p<0.01$). Implitapide (3.2 mg/kg/d) markedly suppresses lipid-stained lesions in the mice fed the western-type diet (WD). Implitapide (3.2 mg/kg/d) significantly decreases lesion area by 83% compared with that of the WD group ($p<0.01$). ApoE KO mice fed a WD containing Implitapide (1, 5, and 15 mg/kg/d) for 14 weeks have been shown to reduce significantly both plaque area (by 66, 78, and 93%, respectively) and lipid moieties within plaque (4.3, 2.6, and 0%,

respectively, versus 9.5% in controls). Implitapide at a dosage of approximately 3.2 mg/kg/d significantly reduces the lipid-stained aortic lesions by 83% in apoE KO mice[1].

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PROTOCOL

Animal Administration [1]

Mice[1]

Male apoE KO mice aged 6 weeks are fed either the CD or the WD. At age 7 weeks, apoE KO mice fed the WD are divided into two groups with similar mean body weight: apoE KO mice fed the WD and apoE KO mice fed the WD containing Implitapide (WI). Age-matched C57BL/6J mice fed the CD are used as a naive control (C57BL). Implitapide concentrations (14-22 ppm) in the diet are adjusted once a week to ensure dosage consumption of approximately 3.2 mg/kg/d. Body weight and average food consumption for 3 d are monitored weekly. Before and at 4 and 8 weeks of treatment, blood is collected for measurements of plasma lipid levels. At the 4^{th} week, an oral fat-loading test is performed. At the 5^{th} week of treatment, feces are collected for determination of fecal fat. At the end of 8 weeks of treatment, mice are euthanized for analysis of atherosclerotic lesions[1].

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

REFERENCES

[1]. Ueshima K, et al. Implitapide, a microsomal triglyceride transfer protein inhibitor, reduces progression of atherosclerosis in apolipoprotein E knockout mice fed a Western-type diet: involvement of the inhibition of postprandial triglyceride elevation. Biol Pharm Bull. 2005 Feb;28(2):247-52.

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

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