Product Data Sheet

Product Name: Implitapide (AEGR 427)
Cat. No.: GC33766

Chemical Properties

Cas. No.	177469-96-4		
SMILES	CC1=C(C2=C3C=CC=C2)C(N3CC4=CC=C([C@H](C5CCCC5)C(N[C@H](C6=CC=CC=C6)CO)=O)C=C4)=NC(C)=C1		
Formula	$C_{35}H_{37}N_3O_2$	M.Wt	531.69
Solubility	DMSO : 100 mg/mL (188.08 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Implitapide (AEGR 427)		

Protocol

Animal experiment:

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 4th week, an oral fat-loading test is performed. At the 5th 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].

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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.

Background

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

Implitapide suppresses MTP activity using a recombinant human form complexed with protein disulphide isomerase (IC50=10 nM) and inhibit secretion of apoB-containing very low-density lipoprotein (VLDL)-like lipoproteins from a human hepatoma cell (HepG2) with an IC50 value of 1.1 nM[1].

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].

[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.