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**Product Data Sheet**

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Product Name: E-5324  
Cat. No.: GC31550

**Chemical Properties**

Cas. No. 141799-76-0

SMILES O=C(NC1=C(C)C=CC=C1OCCCN2C(CC)=C(C3=CC=CC=C3)N=C2)NCCCC

Formula  $C_{26}H_{34}N_4O_2$  M.Wt 434.57

Solubility Soluble in DMSO Storage Store at -20°C

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

**Protocol****Cell experiment:**

In the study of the inhibitory effect of E-5324, PMA-treated THP-1 cells are incubated in LPDS/RPMI containing 50 µg protein/mL βVLDL for 5 hr. Then, 100 µL of each concentration of E-5324 solution or vehicle (LPDS/RPMI) is added to the cultured cells. After incubation with E-5324 for 30 min, 20 µL of [3H]oleate-BSA complex is added, and the mixture is incubated for 2 hr. The lipids are extracted from the cells with hexane: 2-propanol (3:2, v/v) and then separated by TLC. The remaining cellular protein is dissolved in 0.1 N NaOH[1].

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

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### Animal experiment:

Forty male WHHL rabbits weighing approximately 1.7 kg at the age of 3 months are used in the experiment. All animals are individually caged and receive 100 g/day of food throughout the experiment. The rabbits are divided into 4 groups so as to make their plasma total cholesterol levels similar. The rabbits are fed a standard rabbit chow, ORC-4, or ORC-4 containing E5324 (0.02% or 0.1% (w/w)), or ORC-4 containing probucol (1% (w/w)) for 16 weeks[2].

### References:

- [1]. Kogushi M, et al.  
Effect of E5324, a novel  
inhibitor of acyl-  
CoA:cholesterol  
acyltransferase, on  
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synthesis and  
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Pharmacol. 1995  
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- [2]. Kogushi M, et al.  
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acyltransferase, in  
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hyperlipidemic rabbits.  
Atherosclerosis. 1996  
Aug 2;124(2):203-10.

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### Background

E-5324 is potent inhibitor of acyl-CoA:cholesterol acyltransferase (ACAT) with IC50s of 44 to 190 nM.

E-5324 is a potent ACAT inhibitor with IC50s of 44 to 190 nM in microsomes. E-5324 shows no effect on triglyceride synthesis up to 10  $\mu$ M. E-5324 also has no effect on bovine pancreatic cholesterol esterase or lecithin: cholesterol acyltransferase (LCAT) up to 10  $\mu$ M. E-5324 inhibits the incorporation of [<sup>3</sup>H]oleate into cholesteryl [<sup>3</sup>H]oleate in a concentration-dependent manner with an IC50 of 0.44  $\mu$ M. E-5324 also inhibits [<sup>3</sup>H]cholesteryl ester synthesis with an IC50 of 0.41  $\mu$ M[1].

The areas under the cholesterol-time curves for duration of this study (AUC) for control, E-5324 0.02% and E-5324 0.1% are 104985 $\pm$ 4411, 106096 $\pm$ 4476 and 105231 $\pm$ 4 348 mg $\times$ day/dL, respectively. The high dose of E-5324 (0.1%) significantly reduces the surface involvement by 34% and 54% in the aortic arch and thoracic aorta, respectively. E-5324 treatment significantly reduces the wet weight and protein content. In the aortic arch, the high dose of E-5324 (0.1%) significantly reduces both cholesteryl ester and total cholesterol by 60% and 59%, respectively. The high dose of E-5324 (0.1%) markedly reduces the ACAT activities in the aortic arch and thoracic aorta by 35% and 44%, respectively[2].

[1]. Kogushi M, et al. Effect of E5324, a novel inhibitor of acyl-CoA:cholesterol acyltransferase, on cholesteryl ester synthesis and accumulation in macrophages. *Jpn J Pharmacol.* 1995 Jun;68(2):191-9. [2]. Kogushi M, et al. Anti-atherosclerotic effect of E5324, an inhibitor of acyl-CoA:cholesterol acyltransferase, in Watanabe heritable hyperlipidemic rabbits. *Atherosclerosis.* 1996 Aug 2;124(2):203-10.

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