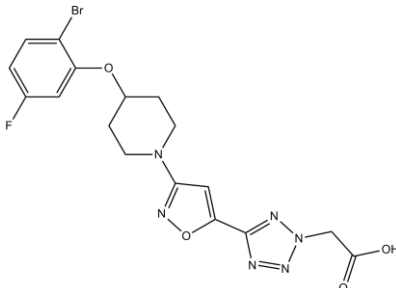


Product Data Sheet

Chemical Properties

Product Name:	MK-8245	
Cas No.:	1030612-90-8	
M.Wt:	467.25	
Formula:	C ₁₇ H ₁₆ BrFN ₆ O ₄	
Chemical Name:	2-[5-[3-[4-(2-bromo-5-fluorophenoxy)piperidin-1-yl]-1,2-oxazol-5-yl]tetrazol-2-yl]acetic acid	
Canonical SMILES:	C1CN(CCC1OC2=C(C=CC(=C2)F)Br)C3=NOC(=C3)C4=NN(N=N4)CC(=O)O	
Solubility:	≥23.35 mg/mL in DMSO, <2.09 mg/mL in EtOH, <2.11 mg/mL in H ₂ O	
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.	
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request	

Biological Activity

Targets : Metabolism

Pathways: SCD

Description:

MK-8245 is a potent and liver-selective inhibitor of stearoyl-CoA desaturase (SCD) with IC₅₀ value of 1nM [1].

SCD1 represents a therapeutic target for the treatment of type II diabetes, dyslipidemia, obesity, and metabolic diseases. As an inhibitor of SCD1, MK-8245 shows potency in both the rat enzyme and hepatocyte assay. There are no significant differences in potencies between the rat, mouse, and human SCD1. MK-8245 is developed as a liver-targeting SCD inhibitor. It demonstrates a

liver-targeted tissue distribution profile resulting from a substrate recognition by organic anionic transporter proteins (OATPs) [1, 2].

MK-8245 is effective at lowering glucose level. It can improve glucose clearance dose-dependently with ED50 value of 7mg/kg. In the chronic eDIO mouse study, MK-8245 shows prevention of body weight gain with the maximally efficacious dose of 20 mg/kg bid based on body weight and liver triglyceride reduction [1].

Reference:

[1] Oballa RM, Belair L, Black WC, Bleasby K, Chan CC, Desroches C, Du X, Gordon R, Guay J, Guiral S, Hafey MJ, Hamelin E, Huang Z, Kennedy B, Lachance N, Landry F, Li CS, Mancini J, Normandin D, Pocai A, Powell DA, Ramtohol YK, Skorey K, Srensen D, Sturkenboom W, Styhler A, Waddleton DM, Wang H, Wong S, Xu L, Zhang L. *Development of a liver-targeted stearyl-CoA desaturase (SCD) inhibitor (MK-8245) to establish a therapeutic window for the treatment of diabetes and dyslipidemia. J Med Chem. 2011 Jul 28;54(14):5082-96.*

[2] Lachance N, Guiral S, Huang Z, Leclerc JP, Li CS, Oballa RM, Ramtohol YK, Wang H, Wu J, Zhang L. *Discovery of potent and liver-selective stearyl-CoA desaturase (SCD) inhibitors in an acyclic linker series. Bioorg Med Chem Lett. 2012 Jan 1;22(1):623-7.*

Protocol

Cell experiment:

Cell lines	Rat hepatocytes
Preparation method	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions	< 200 nM
Applications	In the rat hepatocyte assay which contains functional and active OATPs, MK-8245 significantly inhibited SCD with an IC50 value of 68 nM.

Animal experiment [3]:

Animal models	eDIO mice
Dosage form	3, 10 or 30 mg/kg; p.o.
Applications	MK-8245, a liver-targeted small molecule SCD inhibitor, improved whole body insulin sensitivity.
Other notes	Please test the solubility of all compounds indoor, and the actual

solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

[1]. Oballa RM, Belair L, Black WC, Bleasby K, Chan CC, Desroches C, Du X, Gordon R, Guay J, Guiral S, Hafey MJ, Hamelin E, Huang Z, Kennedy B, Lachance N, Landry F, Li CS, Mancini J, Normandin D, Poci A, Powell DA, Ramtohul YK, Skorey K, S?rensen D, Sturkenboom W, Styhler A, Waddleton DM, Wang H, Wong S, Xu L, Zhang L. Development of a liver-targeted stearyl-CoA desaturase (SCD) inhibitor (MK-8245) to establish a therapeutic window for the treatment of diabetes and dyslipidemia. *J Med Chem.* 2011 Jul 28;54(14):5082-96.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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