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**Product Data Sheet**

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Product Name: KRN4884

Cat. No.: GC32629

**Chemical Properties**

Cas. No. 152802-84-1

SMILES N#C/N=C(C1=CC(N)=CN=C1)/NCCCC2=CC=CC=C2ClFormula  $C_{15}H_{14}ClN_5$  M.Wt 299.76

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**

KRN4884 is dissolved in DMSO as a 10 mM stock solution, and diluted in the bath solution. The final concentration of DMSO to which cells are exposed is less than 0.1% [1]. To quantitatively analyze the effect of KRN4884 or other compounds, channel activity is expressed as NPoi. NPoi is calculated by integrating a 5- to 15-s continuous current record during the steady effect of each drug. The concentration-response curve for KRN4884 is obtained by normalizing NPoi to that obtained by superfusing with the ATP-free bath solution, and fit to a Hill equation [1].

**Kinase experiment:**

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

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### Animal experiment:

Rats[2] Five-week old male Sprague-Dawley (Crj; CD) rats are obtained and housed in a temperature-controlled environment with a 12 h daily light cycle with free access to water and chow (CE-2). At 6-weeks old, the animals are assigned to vehicle, Clofibrate (160 mg/kg) or KRN4884 (3 mg/kg) treatment groups. The drug is given daily, by gavage for 10 days at 2 mL/kg as a suspension in 0.5% (w/v) carboxymethyl cellulose. Systolic blood pressure is determined 1 h after administration on day 9 with the tail cu. method by use of a sphygmomanometer. Four hours after the final administration of the drug or vehicle on day 10, non-fasting blood is collected from an abdominal aorta of each rat under ether anaesthesia. Serum is provided for lipid analysis. Epididymal adipose tissue, thigh muscle, and liver are removed and weighed, then immediately immersed in liquid nitrogen and stored at -80°C until use. Epididymal adipose tissue and thigh muscle are used for determining lipoprotein lipase (LPL) activity and the liver is used for determining hepatic triglyceride lipase (HTGL) activity.

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### References:

- [1]. Shinbo A, et al.  
Activation of cardiac  
ATP-sensitive K<sup>+</sup>  
channels by KRN4884,  
a novel K<sup>+</sup> channel  
opener. J Pharmacol  
Exp Ther. 1997  
Nov;283(2):770-7.
- [2]. Yokoyama T, et al.  
Effects of KRN4884, a  
novel  
pyridinecarboxamidine  
type KATP channel  
opener, on serum  
triglyceride levels in  
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6.

### Background

KRN4884 is a K<sup>+</sup> channel opener. In the presence of intracellular ATP (1 mM), KRN4884 (0.1-3 μM) activates KATP channels in a concentration-dependent manner (EC<sub>50</sub>=0.55 μM).

KRN4884 (0.3 μM) shifts the concentration-response relationship for ATP-induced KATP channel inhibition to the right and slightly upward direction without altering the slope. After either the spontaneous or Ca<sup>2+</sup>-induced channel rundown, KRN4884 (1 and 3 μM) partially restores the KATP channel activity. Furthermore, the effect of KRN4884 is augmented by the presence of uridine 5'-diphosphate (3 mM). KRN4884 activates cardiac KATP channels through not only decreasing the sensitivity of the channel to ATP but also directly stimulating the opening of the channel. KRN4884 (0.3 and 3 μM) increases the outward current in a concentration-dependent manner, and the unitary

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current amplitudes are similar to that of KATP channels in the ATP-free solution[1].

The effects of KRN4884, a novel pyridinecarboxamidine type KATP channel opener, on serum triglyceride levels are investigated in Sprague-Dawley rats. Oral administration of KRN4884 (3 mg/kg) for 10 days causes a significant reduction in serum triglyceride levels, which is comparable to that of Clofibrate (160 mg/kg). Reduction in serum triglyceride levels by KRN4884 and Clofibrate are accompanied by a reduction in triglyceride levels both in chylomicron and in very low density lipoprotein. KRN4884 treatment does not affect serum concentrations of total cholesterol and phospholipid, but increases free fatty acid levels. Rats receiving KRN4884 exhibit an increase in lipoprotein lipase (LPL) activity both in adipose tissue and in skeletal muscle[2].

[1]. Shinbo A, et al. Activation of cardiac ATP-sensitive K<sup>+</sup> channels by KRN4884, a novel K<sup>+</sup> channel opener. *J Pharmacol Exp Ther.* 1997 Nov;283(2):770-7. [2]. Yokoyama T, et al. Effects of KRN4884, a novel pyridinecarboxamidine type KATP channel opener, on serum triglyceride levels in rats. *Br J Pharmacol.* 1997 Apr;120(8):1471-6.

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