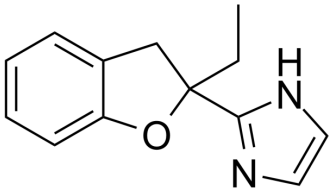
KU14R

Cat. No.:	HY-15481
CAS No.:	189224-48-4
Molecular Formula:	$C_{13}H_{14}N_2O$
Molecular Weight:	214.26
Target:	Insulin Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	KU14R is a new I(3)-R antagonist, which selectively blocks the insulin secretory response to imidazolines.IC50 Value:Target: Insulin ReceptorA new I(3)-R antagonist, KU14R (2 (2-ethyl 2,3-dihydro-2-benzofuranyl)-2-imidazole), which selectively blocks the insulin secretory response to imidazolines. KU14R partially attenuated responses to Imidazole-4-acetic acid-ribotide (IAA-RP). The effects of KU14R on stimulus secretion-coupling in normal mouse islets and beta cells was compared by measuring KATP channel activity, plasma membrane potential, cytosolic calcium concentration ([Ca2+]c) and dynamic insulin secretion. In the presence of 10 mmol/l but not of 5 mmol/l glucose, KU14R (30, 100 or 300 micromol/l) was ineffective. KATP channel was blocked by KU14R (IC50 31.9 micromol/l, Hill slope -1.5). KU14R does not act as an antagonist of either efaroxan or S22068 at an imidazoline site in vivo.

REFERENCES

[1]. Bozdagi O, Wang XB, Martinelli GP, et al. Imidazoleacetic acid-ribotide induces depression of synaptic responses in hippocampus through activation of imidazoline receptors. J Neurophysiol. 2011,105(3):1266-75.

[2]. Bleck C, Wienbergen A, Rustenbeck I. Essential role of the imidazoline moiety in the insulinotropic effect but not the KATP channel-blocking effect of imidazolines; a comparison of the effects of efaroxan and its imidazole analogue, KU14R. Diabetologia. 2005 Dec;48(12):2567-75.

[3]. Cooper EJ, Hudson AL, Parker CA, et al. Effects of the beta-carbolines, harmane and pinoline, on insulin secretion from isolated human islets of Langerhans. Eur J Pharmacol. 2003;482(1-3):189-96.

[4]. Mayer G, Taberner PV. Effects of the imidazoline ligands efaroxan and KU14R on blood glucose homeostasis in the mouse. Eur J Pharmacol. 2002;454(1):95-102.

[5]. Susan L.F Chana, Anna L Palletta, John Clewsb. Evidence that the ability of imidazoline compounds to stimulate insulin secretion is not due to interaction with σ receptors. European Journal of Pharmacology. 1997,323(2-3): 241-244.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA