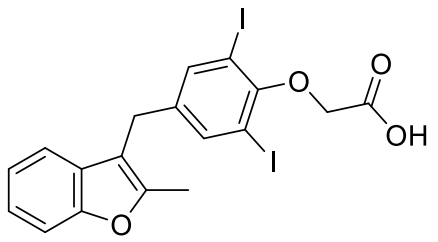
Product data sheet

MedKoo Cat#: 531993 Name: KB-130015 CAS: 147030-48-6 Chemical Formula: $C_{18}H_{14}I_2O_4$ Exact Mass: 547.8981 Molecular Weight: 548.1149	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

KB-130015 is an antiarrhythmic agent and a derivative of amiodarone. It inhibits potassium currents induced by acetylcholine or adenosine in isolated guinea pig atrial myocytes (IC50s = 0.82 and 0.57 μM, respectively). KB-130015 activates or inhibits the voltage-gated potassium channel human-ether-a-go-go (hERG), also known as Kv11.1, in HEK293 cells in a voltage-dependent manner. It activates large-conductance calcium-activated potassium (BKCa) channels in HEK293 cells expressing the BKCa subunit Slo1 (EC50 = 20.2 μM). KB-130015 (40 mg/kg) prolongs the duration of electrically stimulated action potentials in guinea pig papillary muscle ex vivo.1 It is also an antagonist of human thyroid hormone receptor α (TRα) and TRβ (IC50s = 2.2 and 4.1 μM, respectively, in reporter assays).

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10.0	18.24
Ethanol	10.0	18.24

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.82 mL	9.12 mL	18.24 mL
5 mM	0.36 mL	1.82 mL	3.65 mL
10 mM	0.18 mL	0.91 mL	1.82 mL
50 mM	0.04 mL	0.18 mL	0.36 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Gessner G, Macianskiene R, Starkus JG, Schönherr R, Heinemann SH. The amiodarone derivative KB130015 activates hERG1 potassium channels via a novel mechanism. Eur J Pharmacol. 2010 Apr 25;632(1-3):52-9. doi: 10.1016/j.ejphar.2010.01.010. Epub 2010 Jan 25. PMID: 20097192; PMCID: PMC2835770.
2. Borchard R, van Bracht M, Wickenbrock I, Prull MW, Pott L, Trappe HJ. Inhibition des muskarinergen Kaliumionenstroms durch das neue Klasse-III-Antiarrhythmikum KB130015 im Vergleich zu Ibutilide [Inhibition of the muscarinic potassium current by KB130015, a new antiarrhythmic agent to treat atrial fibrillation]. Med Klin (Munich). 2005 Nov 15;100(11):697-703. German. doi: 10.1007/s00063-005-1096-z. PMID: 16328176.

In vivo study

Product data sheet

1. Gessner G, Heller R, Hoshi T, Heinemann SH. The amiodarone derivative 2-methyl-3-(3,5-diiodo-4-carboxymethoxybenzyl)benzofuran (KB130015) opens large-conductance Ca2+-activated K+ channels and relaxes vascular smooth muscle. Eur J Pharmacol. 2007 Jan 26;555(2-3):185-93. doi: 10.1016/j.ejphar.2006.10.053. Epub 2006 Oct 28. PMID: 17134694.
2. Bito V, Dauwe D, Verdonck F, Mubagwa K, Sipido KR. The amiodarone derivative KB130015 [2-methyl-3-(3,5-diiodo-4-carboxymethoxybenzyl)benzofuran] induces an Na+-dependent increase of [Ca2+] in ventricular myocytes. J Pharmacol Exp Ther. 2006 Jan;316(1):162-8. doi: 10.1124/jpet.105.092221. Epub 2005 Sep 16. PMID: 16169937.

7. Bioactivity

Biological target:

KB130015 (KB015) is an orally active and potent ThRα and ThRβ (Thyroid Hormone Receptor) inhibitor, with IC_{50} values of 4.5 and 5.1 μM, respectively.

In vitro activity

KB130015 and ibutilide in a concentration of 50 microM effectively inhibited the muscarinic potassium current. The effect was concentrationdependent and reversible. The half-maximum effective concentration was 0.8 microM (KB130015) and 2.8 microM (ibutilide).

Reference: Med Klin (Munich). 2005 Nov 15;100(11):697-703. https://pubmed.ncbi.nlm.nih.gov/16328176/

In vivo activity

Using segments of porcine pulmonary arteries, KB130015 induced endothelium-independent vasorelaxation, half-maximal at 43 microM KB130015. Relaxation was inhibited by 1 mM tetraethylammonium, suggesting that KB130015 can activate vascular smooth muscle type BK(Ca) channels under physiological conditions.

Reference: Eur J Pharmacol. 2007 Jan 26;555(2-3):185-93. https://pubmed.ncbi.nlm.nih.gov/17134694/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.