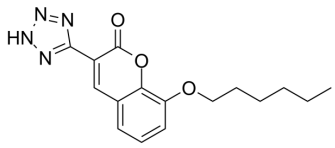
KP136

Cat. No.:	HY-U00168
CAS No.:	76239-32-2
Molecular Formula:	$C_{16}H_{18}N_4O_3$
Molecular Weight:	314.34
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	KP136 (AL136) is an orally effective antiallergic agent. The IC_{50} is 76.1 μg/mL for histamine release and 63 ug/mL for degranulation[1].
IC_{50} & Target	Histamine release[1]
In Vitro	KP136 (0.01 μg/mL) inhibits this histamine release and degranulation in a dose-dependent manner, confirming that it is an inhibitor of mast cell activation. The IC_{50} is 76.1 μg/mL for histamine release and 63 ug/mL for degranulation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	C4C at 0.2 mg/kg produces an equipotent effect to 1 mg/kg KP136 (KP-136) or 5 mg/kg DSCG. However, C4C is less effective by the oral route because it only produces a maximum inhibition of about 35% even at the high dose of 100 mg/kg, whereas KP136 shows an overt inhibitory effect of about 66% at the oral dose of 2 mg/kg. On the other hand, KP136 (1 mg/kg, i.v.) also remarkably inhibits 5-h homologous PCA, having an activity similar to that of C4C[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Pigs[1] The trachea is taken from male guinea pigs, weighing 500-590 g, that are sacrificed by bleeding and then cut into 1.5 mm wide to make tracheal rings. Five specimens are tied at cartilage sites crossing each smooth muscle layer. This preparation is incubated in Tyrode's solution at 37°C, which is bubbled with 95% O_2/5% CO_2. The tension change of the tracheal muscle preparation is recorded through an isotonic transducer. C4C and KP136 in 0.5% $KHCO_3$ or isoproterenol in saline is added cumulatively to the Tyrode's solution at a 1/200 volume. Relaxation percentage is calculated from the maximum relaxation value (100%) produces by re placement of the bathing medium with Tyrode's solution containing no $CaCl_2$. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Kuriyama K, et al. Antiallergic effects of 4-[2-oxo-3-(1H-tetrazol-5-yl)-2H-chromen-8-yloxy]-bu tyric acid. Jpn J Pharmacol. 1989 Jun;50(2):111-8.

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

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