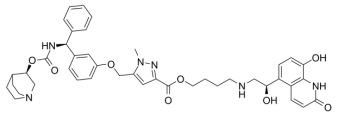
CHF-6366

Cat. No.:	HY-151198
CAS No.:	1615208-41-7
Molecular Formula:	$C_{42}H_{48}N_6O_8$
Molecular Weight:	764.87
Target:	mAChR; Adrenergic Receptor; Calcium Channel
Pathway:	GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CHF-6366 is a potent M3 muscarinic antagonist and β2-adrenergic receptors agonist with pK_i values of 10.4 and 11.4, respectively. CHF-6366 is also a weak calcium channel inhibitor (IC_{50}~50 μM). CHF-6366 inhibits bronchoconstriction in guinea pigs. CHF-6366 can be used to research chronic obstructive pulmonary disease (COPD)[1].

IC_{50} & Target

pK_i: 10.4 (M3 muscarinic receptor), 11.4 (β2-adrenergic receptors)[1]
IC_{50}: ~50 μM (calcium channel)[1]

In Vivo

CHF-6366 (0.3 and 1 nM/kg; intratracheal administration; single dosage) inhibits bronchoconstriction in a dose-dependent manner[1].

CHF-6366 (500 nM/kg; intratracheal administration; single dosage) exhibits low systemic exposure and no accumulation risk [1].

Pharmacokinetic Parameters of CHF-6366 in lung and plasma of guinea pig (intratracheal administration, 500 nM/kg)[1].

	Lung	Plasma
C_{max}	28400 ng/g	126 ng/mL
T_{max}	0.083 h	0.083 h
AUC_{last}	460361 ng/g·h	460 ng/mL·h
AUC_{inf}	725199 ng/g·h	661 ng/mL·h
half-life	49.2 h	15.4 h

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Guinea pigs[1]
Dosage:	0.3 and 1 nM/kg

Administration:	Intratracheal administration; single dosage
Result:	Inhibited bronchoconstriction in a dose-dependent manner.

Animal Model:	Guinea pigs[1]
Dosage:	500 nM/kg (Pharmacokinetic Analysis)
Administration:	Intratracheal administration; single dosage
Result:	Sustained exposure up to 72 h and the appropriate gradual decline which is suggestive of no accumulation risk. Showed very low systemic exposure.

REFERENCES

[1]. Carzaniga L, et al. Discovery of Clinical Candidate CHF-6366: A Novel Super-soft Dual Pharmacology Muscarinic Antagonist and β2 Agonist (MABA) for the Inhaled Treatment of Respiratory Diseases. J Med Chem. 2022 Aug 11;65(15):10233-10250.

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