Product Data Sheet

Carbetocin acetate

Cat. No.: HY-17573A

CAS No.: 1631754-28-3 Molecular Formula: $C_{47}H_{73}N_{11}O_{14}S$ Molecular Weight: 1048.21

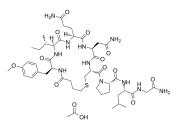
Target: Oxytocin Receptor Pathway: GPCR/G Protein

Sealed storage, away from moisture and light, under nitrogen Storage:

> -80°C 2 years -20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture

and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO: 125 mg/mL (119.25 mM; ultrasonic and warming and heat to 60°C) H₂O: 10 mg/mL (9.54 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	0.9540 mL	4.7700 mL	9.5401 mL
	5 mM	0.1908 mL	0.9540 mL	1.9080 mL
	10 mM	0.0954 mL	0.4770 mL	0.9540 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (1.98 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (1.98 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (1.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Carbetocin acetate, an oxytocin (OT) analogue, is an oxytocin receptor agonist with a K_i of 7.1 nM. Carbetocin acetate has high affinity to chimeric N-terminus (E1) of the oxytocin receptor (K_i=1.17 μM). Carbetocin acetate has the potential for postpartum hemorrhage research. Carbetocin acetate can crosse the blood-brain barrier and produces antidepressant-like activity via activation of oxytocin receptors in the CNS^{[1][2][3]}.

In Vitro

Carbetocin acetate is an agonist with about 10-fold lower affinity for the oxytocin receptor but with significantly higher stability and a longer duration of action. Carbetocin acetate has higher affinity to the chimeric E1 receptor and especially to each of the combinations of E1 with the other extracellular domains, i.e. chimeric receptors E13 (K_i =13 nM), E123 (K_i =56 nM), and E1234 (K_i =37 nM)^[2].

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

In Vivo

Carbetocin (2-20 mg/kg; i.p.) acetate has a significant effect of treatment on the percent time spent climbing, swimming and immobile^[1].

Carbetocin (1, 10,100 μ g/rat, i.c.v.) acetate reveals a dose-dependent increase in the percent time spent swimming and a corresponding reduction in immobility following acute administration of 100 μ g/rat^[1].

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

Animal Model:	Male Sprague-Dawley rats weighing between 300 and 500 $\mathrm{g}^{[1]}$	
Dosage:	2, 6.4, 20 mg/kg	
Administration:	IP; single dose	
Result:	Increased climbing with 6.4 mg/kg and resulted in a significantly greater proportion of time spent swimming with 20 mg/kg.	

REFERENCES

- [1]. Stella Chaviaras, et al. Assessing the antidepressant-like effects of carbetocin, an oxytocin agonist, using a modification of the forced swimming test. Psychopharmacology (Berl). 2010 May;210(1):35-43.
- [2]. Gerald Gimpl, et al. Binding domains of the oxytocin receptor for the selective oxytocin receptor antagonist barusiban in comparison to the agonists oxytocin and carbetocin. Eur J Pharmacol. 2005 Mar 7;510(1-2):9-16.
- [3]. David Feifel, et al. The effects of oxytocin and its analog, carbetocin, on genetic deficits in sensorimotor gating. Eur Neuropsychopharmacol. 2012 May;22(5):374-8.

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

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