Tesofensine

Cat. No.: HY-14472

CAS No.: 195875-84-4

Molecular Formula: $C_{17}H_{23}Cl_2NO$

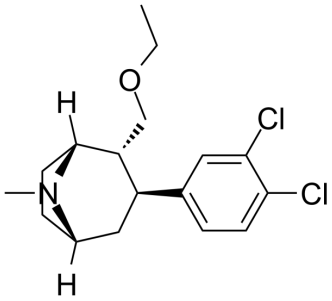
Molecular Weight: 328.28

Target: Dopamine Transporter; Serotonin Transporter

Pathway: Neuronal Signaling

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2 mg/mL (6.09 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0462 mL	15.2309 mL	30.4618 mL
	5 mM	0.6092 mL	3.0462 mL	6.0924 mL
	10 mM	---	---	---

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

In Vivo

1. Tesofensine is dissolved in 0.9% saline solution[3].

BIOLOGICAL ACTIVITY

Description

Tesofensine (NS-2330) is a triple monoamine reuptake inhibitor inducing a potent inhibition of the re-uptake process in the synaptic cleft of the neurotransmitters dopamine (DA; IC_{50}=6.5 nM), norepinephrine (NE;IC_{50}=1.7 nM), and serotonin (5-HT;IC_{50}=11 nM), and with potentials as an anti-obesity agent[1]. Tesofensine is a CNS acting anti-obesity agent[2].

IC_{50} & Target

DA/NE/5-HT[1]

In Vivo

Tesofensine (a single dose of 0.1-3 mg/kg, s.c.) induces hypophagia in the DIO rat. A single dose of Tesofensine (0. 1-3 mg/kg, s.c.) robustly and dose dependently inhibits food intake in DIO rats over the 12 h nocturnal observation period. Daily administration of a moderate dose of Tesofensine (2.0 mg/kg, s.c.) over 16 days triggers a significant reduction in body weight after 4 days of administration relative to vehicle-treated controls[3].

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

Animal Model:	Diet-induced obesity (DIO) rat[3]

Dosage:	0.1-3 mg/kg
Administration:	Administered subcutaneously (s.c.); a single dose (acute treatment)
Result:	The threshold dose for inhibition of total food intake was 1.0 mg/kg. The ED_{50} for inhibition of total food intake in DIO rats was estimated to be 1.3 mg/kg.

Animal Model:	Diet-induced obesity (DIO) rat[3]
Dosage:	2.0 mg/kg
Administration:	Administered subcutaneously (s.c.) daily for over 16 days (chronic treatment)
Result:	The average relative decrease in the body weight of tesofensine-treated DIO rats over the entire treatment period was 8.6±1.4%. When comparing to vehicle controls, the relative weight loss with tesofensine was 13.8±1.4%.

REFERENCES

[1]. Lieuwe Appel, et al. Tesofensine, a novel triple monoamine re-uptake inhibitor with anti-obesity effects: dopamine transporter occupancy as measured by PET. Eur Neuropsychopharmacol. 2014 Feb;24(2):251-61.

[2]. Ann A Coulter, et al. Centrally Acting Agents for Obesity: Past, Present, and Future. Drugs. 2018 Jul;78(11):1113-1132.

[3]. Anne Marie D Axel, et al. Tesofensine, a novel triple monoamine reuptake inhibitor, induces appetite suppression by indirect stimulation of alpha1 adrenoceptor and dopamine D1 receptor pathways in the diet-induced obese rat.Neuropsychopharmacology. 2010 J

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