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Zuschläge

- Mindermengenzuschlag
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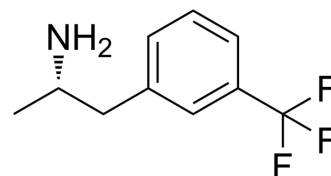
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(+)-Norfenfluramine

Cat. No.:	HY-W131725
CAS No.:	19036-73-8
Molecular Formula:	C ₁₀ H ₁₂ F ₃ N
Molecular Weight:	203.2
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; 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 : 100 mg/mL (492.13 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		4.9213 mL	24.6063 mL	49.2126 mL
		5 mM		0.9843 mL	4.9213 mL	9.8425 mL
		10 mM		0.4921 mL	2.4606 mL	4.9213 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: ≥ 2.5 mg/mL (12.30 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (12.30 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: 2.5 mg/mL (12.30 mM); Suspended solution; Need ultrasonic					

BIOLOGICAL ACTIVITY

Description	(+)-Norfenfluramine a major hepatic metabolite of (+)-fenfluramine, is a selective 5-HT _{2B} receptor agonist (K _i : 11.2 nM). (+)-Norfenfluramine potently stimulates the hydrolysis of inositol phosphates and increases intracellular Ca ²⁺ . (+)-Norfenfluramine can be used for the research of primary pulmonary hypertension and valvular heart disease ^[1] .		
IC ₅₀ & Target	5-HT _{2B} Receptor 11.2 nM (K _i)	5-HT _{2A} Receptor 1516 nM (K _i)	5-HT _{2C} Receptor 324 nM (K _i)
In Vitro	(+)-Norfenfluramine (1 nM to 100 μM) contracts arteries with a dramatic decrease in threshold (aorta and mesenteric resistance artery) in rats ^[1] .		

(+)-Norfenfluramine (1 and 10 μ M, 3 min) induces contraction in aorta from tissues of normotensive and hypertensive rats^[1].
 (+)-Norfenfluramine (0-10 μ M, 3 min) induces 5-HT release from rat hippocampal synaptosomes by Ca^{2+} -dependent way^[2].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

(+)-Norfenfluramine (1-300 μ g/kg, i.v.) induces pressor response in conscious SHAM and DOCA-salt rats^[1].
 (+)-Norfenfluramine (2.5 and 5 mg/kg, i.p.) decreases of 5-HT and 5-HIAA levels in telencephalon and brainstem of rats^[3].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Conscious SHAM and DOCA-salt rats ^[1] .
Dosage:	1-300 μ g/kg
Administration:	Intravenous injection (i.v.), given in a cumulative fashion at 6-min intervals.
Result:	Induced pressor response in conscious SHAM and DOCA-salt rats. (change in mean arterial blood pressure at 300 μ g/kg, mm Hg, SHAM vehicle=36, SHAM ketanserin=7, DOCA=51, DOCA ketanserin=19).

REFERENCES

[1]. Wei Ni, et al. The 5-hydroxytryptamine_{2A} receptor is involved in (+)-norfenfluramine-induced arterial contraction and blood pressure increase in deoxycorticosterone acetate-salt hypertension. J Pharmacol Exp Ther. 2007 May;321(2):485-91.

[2]. M Gobbi, et al. In vitro studies on the mechanism by which (+)-norfenfluramine induces serotonin and dopamine release from the vesicular storage pool. Naunyn Schmiedebergs Arch Pharmacol. 1998 Sep;358(3):323-7.

[3]. R Invernizzi, et al. Is receptor activation involved in the mechanism by which (+)-fenfluramine and (+)-norfenfluramine deplete 5-hydroxytryptamine in the rat brain? Br J Pharmacol. 1982 Mar;75(3):525-30.

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

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