Phenylbiguanide

Cat. No.: HY-101331

CAS No.: 102-02-3

Molecular Formula: $C_8H_{11}N_5$

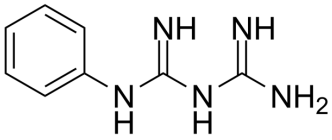
Molecular Weight: 177.21

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (846.45 mM; Need ultrasonic)

H_2O : ≥ 25 mg/mL (141.08 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	5.6430 mL	28.2151 mL	56.4302 mL
5 mM	1.1286 mL	5.6430 mL	11.2860 mL
10 mM	0.5643 mL	2.8215 mL	5.6430 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 (14.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (14.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (14.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Phenylbiguanide is a 5-HT_3 receptor selective agonist with an EC_{50} of 3.0±0.1 μM.

IC_{50} & Target

5-HT_3 Receptor

3 μM (EC50)

In Vitro	Phenylbiguanide (1-Phenylbiguanide) is a 5-HT_3 receptor selective agonist with pEC_{50}s of 5.57, 4.07, and 4.47 for r5-$HT_{3A(b)}$, h5-HT_{3A}, m5-$HT_{3A(b)}$, respectively[1]. The effect of Phenylbiguanide (1-Phenylbiguanide hydrochloride) in promoting the growth of the HT29 cell line is investigated. Phenylbiguanide causes a dose dependent proliferation of HT29 cells after 48 hours incubation. The maximum proliferation is at a 5HT concentration of 12.5 μM (P≤0.01). Phenylbiguanide significantly stimulates the growth of cells at concentrations of 3.125 μM (P≤0.05) and 6.25 μM (P≤0.01)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In anaesthetised mice, Phenylbiguanide (PBG), a drug that is known to stimulate cardiopulmonary afferent C-fibres,is injected into the right atrium of the heart and mapped c-Fos expression within specific regions of the central nervous system. Intraatrial injection of PBG produces a reflex cardiorespiratory response including a pronounced bradycardia and a respiratory depression[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	HT29 cells are washed with Phosphate buffer saline (PBS) and harvested with a 0.5% trypsin solution at 50-60% confluency. Cells are then added to wells at a density of 104 cells/well in a 96-well plate to a final volume of 100 μL/well. After 24 hours of incubation at 37°C in a 5% CO_2 atmosphere, the culture medium is replaced with 200 μL fresh culture medium containing 5HT hydrochloride, Phenylbiguanide hydrochloride at concentrations of: 3.125, 6.25, 12.5, 25, 50, and 100 μM . Cells cultured solely in media served as negative controls. After 48 hours of incubation at 37°C in a 5% CO_2 atmosphere, the culture medium is removed and 8 μL MTT reagent (diluted in PBS at a concentration of 4 mg/mL) is added to 50 μL of fresh culture medium at a final concentration of 0.55 mg/mL. The optimum incubation period time is determined in a pilot study[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Mice[3] Adult male specified pathogen free (SPF) BALB/c mice (28-33 g; n=10) are used throughout this study. All mice have free access to water and food in a light (12: 12 h light/ dark cycle, lights on at 0700 h) and temperature-controlled (21-23°C) environment. Mice are randomly assigned to two treatment groups, 1) intra-atrial injection of 0.9% saline (controls, n=5) or 2) intra-atrial injection of vehicle containing Phenylbiguanide (n=5). For the PBGinjected group, mice are injected with an effective dose of Phenylbiguanide (1-1.5 μg in 10-15 μL saline) . This is repeated five times in total with each injection separated by 8-10 min. For control mice, each mouse receives five saline injections (10-15 μL each), also at 8-10 min intervals[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Mair ID, et al. Pharmacological characterization of a rat 5-hydroxytryptamine type3 receptor subunit (r5-$HT_{3A(b)}$) expressed in Xenopus laevis oocytes. Br J Pharmacol. 1998 Aug;124(8):1667-74.

[2]. Ataee R, et al. Study of 5HT3 and HT4 receptor expression in HT29 cell line and human colon adenocarcinoma tissues. Arch Iran Med. 2010 Mar;13(2):120-5.

[3]. de Vries A, et al. Characterisation of c-Fos expression in the central nervous system of mice following right atrialinjections of the 5-HT3 receptor agonist Phenylbiguanide. Auton Neurosci. 2005 Dec 30;123(1-2):62-75.

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