Desformylflustrabromine hydrochloride

Cat. No.: HY-107675

CAS No.: 951322-11-5

Molecular Formula: $C_{16}H_{22}BrClN_2$

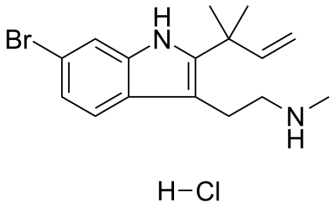
Molecular Weight: 357.72

Target: nAChR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 105 mg/mL (293.53 mM)

H_2O : 5 mg/mL (13.98 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7955 mL	13.9774 mL	27.9548 mL
5 mM	0.5591 mL	2.7955 mL	5.5910 mL
10 mM	0.2795 mL	1.3977 mL	2.7955 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 (6.99 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.99 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Desformylflustrabromine hydrochloride is a selective agonist of $\alpha_4\beta_2$ neuronal nicotinic acetylcholine receptor (nAChR) with a pEC_{50} of 6.48.

IC_{50} & Target

$\alpha_4\beta_2$ nAChR

In Vitro

Desformylflustrabromine hydrochloride is a selective agonist of $\alpha_4\beta_2$ neuronal nicotinic acetylcholine receptor (nAChR) with a pEC_{50} of 6.48[1]. ACh-induced currents are potentiated and inhibited by Desformylflustrabromine hydrochloride in the high

sensitivity (HS) and low sensitivity (LS) isoform preparations, although Desformylflustrabromine hydrochloride displays a higher potency on the LS isoform (pEC_{50}=6.4±0.2) compare with the HS isoform (pEC_{50}=5.6±0.2). Desformylflustrabromine hydrochloride potentiates ACh-induced responses of wild-type receptors expressed using the HS isoform preparation maximally by 350±20%, which is similar to receptors expressed via the LS isoform preparation (350±30%)[2].

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

PROTOCOL

Kinase Assay [2]

Receptors expressed via the high sensitivity (HS) isoform preparation are evaluated for Desformylflustrabromine hydrochloride modulation by coapplication of 10 μM ACh with increasing concentrations of Desformylflustrabromine hydrochloride (0.001 to 100 μM). To compare responses from different oocytes, individual responses to Desformylflustrabromine hydrochloride application are normalized to the control responses elicited using either 10 or 100 μM ACh for receptors expressed by the HS or LS isoform preparations, respectively, for both wild-type and mutated receptors. Data are collected from at least four replicate experiments using oocytes obtained from at least two different frogs[2].

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

REFERENCES

[1]. Nadezhda German, et al. Deconstruction of the α4β2 Nicotinic Acetylchloine (nACh) Receptor Positive Allosteric Modulator des-Formylflustrabromine (dFBr). J Med Chem. 2011 Oct 27;54(20):7259-67.

[2]. Weltzin MM, et al. Desformylflustrabromine Modulates α4β2 Neuronal Nicotinic Acetylcholine Receptor High- and Low-Sensitivity Isoforms at Allosteric Clefts Containing the β2 Subunit. J Pharmacol Exp Ther. 2015 Aug;354(2):184-94.

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