

NS 1738

Catalog No: tcsc0003117



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

501684-93-1

Formula:

$C_{14}H_9Cl_2F_3N_2O_2$

Pathway:

Neuronal Signaling; Membrane Transporter/Ion Channel

Target:

nAChR; nAChR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 300 mg/mL (821.63 mM)

Alternative Names:

NSC 213859

Observed Molecular Weight:

365.13

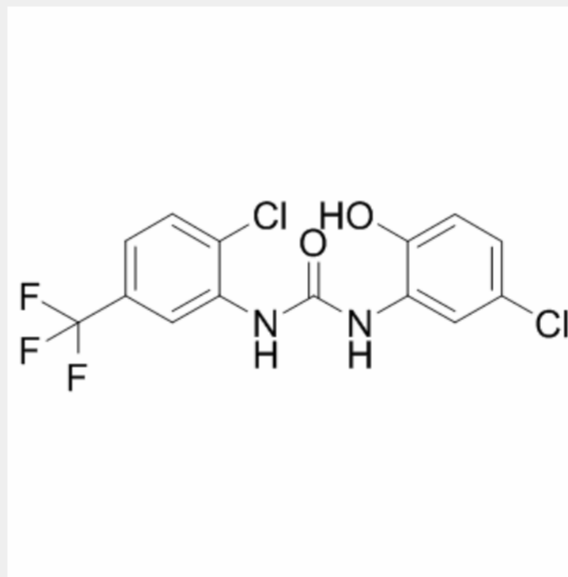
Product Description

NS1738 is a novel positive allosteric modulator of the **$\alpha 7$ nAChR**, with respect to positive modulation of $\alpha 7$ nAChR ($EC_{50}=3.4 \mu\text{M}$ in oocyte experiments).

IC50 & Target: EC_{50} : $3.4 \mu\text{M}$ ($\alpha 7$ nAChR, in oocyte experiments)^[1]

In Vitro: NS1738 acts by increasing the peak amplitude of acetylcholine (ACh)-evoked currents at all concentrations; thus, it increased the maximal efficacy of ACh. Plotting peak current amplitude against the logarithm of the NS1738 concentration used for preincubation reveals a sigmoidal concentration-response relationship that is well fit by the Hill equation ($EC_{50}=3.4 \mu\text{M}$). Under similar experimental conditions, NS1738 shows comparable efficacy and potency at the rat $\alpha 7$ nAChR ($EC_{50}=3.9 \mu\text{M}$)^[1].

In Vivo: To estimate the ability of NS1738 to permeate the blood-brain barrier, rats are administered 10 mg/kg NS1738 intraperitoneally. Peak brain concentrations are measured approximately 30 min after injection, and they amount to $\sim 80 \text{ ng/mL}$ ($\sim 200 \text{ nM}$) at this dose. The ratio between the amount of compound entering the brain and that in plasma is $AUC_{\text{brain}} / AUC_{\text{plasma}} = 0.50$. The half-life in plasma is estimated to 42 min. Incubation of NS1738 with isolated liver microsomes in vitro indicates that approximately 60 and 75% of NS1738 is metabolized via the cytochrome P450 system in mouse and rat, respectively, within 1 h. Adult rats administered NS1738 at 10 and 30 mg/kg i.p. immediately following the initial exposure to a juvenile rat (T1) display significant decreases in the investigative duration of a subsequent exposure to the same juvenile (T2) 2 h later (T2/T1 ratio of 0.69 ± 0.13 and 0.61 ± 0.07 , respectively)^[1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!