Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

NS1738 is a novel positive allosteric modulator of the **α7 nAChR**, with respect to positive modulation of α7 nAChR (EC_{50}=3.4 μM in oocyte experiments).

IC50 & Target: EC50: 3.4 μM (α7 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 μM). Under similar experimental conditions, NS1738 shows comparable efficacy and potency at the rat α7 nAChR (EC_{50}=3.9 μM)[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 ~80 ng/mL (~200 nM) at this dose. The ratio between the amount of compound entering the brain and that in plasma is AUC_{brain}/AUC_{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].