Taiclone
Quality Guarantee

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

PNU-120596

Catalog No: tcsc0415

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
501925-31-1

Formula:
$C_{13}H_{14}ClN_3O_4$

Pathway:
Neuronal Signaling;Membrane Transporter/Ion Channel

Target:
nAChR;nAChR

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (160.40 mM; Need ultrasonic)

Alternative Names:
NSC 216666

Observed Molecular Weight:
311.72

Product Description

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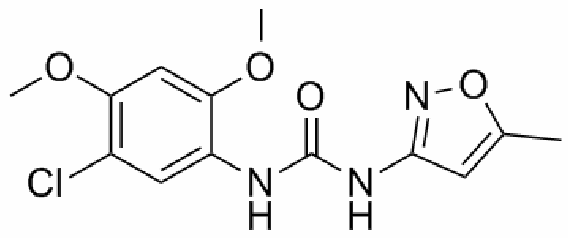
PNU-120596 (NSC 216666) is a potent and selective positive allosteric α7 nAChR modulator with an EC50 of 0.2 μM.

IC50 value: 0.2 uM (EC50) [1]

Target: α7

in vitro: PNU-120596 increases agonist (Ach)-evoked calcium flux mediated by an engineered variant of the human α7 nAChR. PNU-120596 increases agonists (choline and ACh)-evoked currents mediated by wild-type receptors and also demonstrates a pronounced prolongation of the evoked response in the continued presence of agonist in Xenopus oocytes. PNU-120596 increases the channel mean open time ofα7 nAChRs but has no effect on ion selectivity and relatively little, if any, effect on unitary conductance. When applied to acute hippocampal slices, PNU-120596 increases the frequency of ACh-evoked GABAergic postsynaptic currents measured in pyramidal neurons; this effect is suppressed by TTX, suggesting that PNU-120596 modulates the function of α7 nAChRs located on the somatodendritic membrane of hippocampal interneurons [1]. Besides the positive modulation to α7 nAChR, PNU-120596 induces a profound retardation of the kinetics of desensitization, raising the potential of Ca2+-induced toxicity through excessive stimulation of α7 nAChR [2]. PNU-120596 causes changes in cysteine accessibility at the inner beta sheet, transition zone and agonist binding site while binding to α7 nAChR. Binding sites for PNU-120596 are not in the agonist-binding sites and PNU-120596 enhances agonist-evoked gating of nicotinic receptors by eliciting conformational effects that are similar but nonidentical to the gating conformations promoted by Ach [3].

in vivo: Systemic administration of PNU-120596 (1 mg/kg) to rats improves the auditory gating deficit caused by amphetamine, a model proposed to reflect a circuit level disturbance associated with schizophrenia. [1] When administered prior to Carrageenan, 30 mg/kg PNU-1230596 significantly blunts mechanical hyperalgesia and weight bearing deficits for up to 4 hours. PNU-120596 attenuates the carrageenan-induced increase in levels of TNF-α and IL-6 within the hindpaw oedema, diclofenac only attenuated IL-6 levels. Established mechanical hyperalgesia induced by Carrageenan or CFA is also partially reversed by PNU-120596 [4].



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