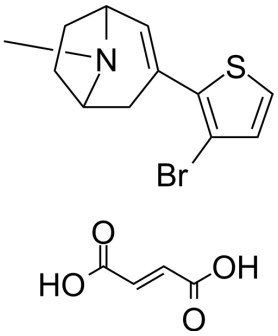
NS3861 fumarate

Cat. No.:	HY-110121
CAS No.:	216853-60-0
Molecular Formula:	$C_{16}H_{18}BrNO_4S$
Molecular Weight:	400.29
Target:	nAChR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (249.82 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4982 mL	12.4909 mL	24.9819 mL
	5 mM	0.4996 mL	2.4982 mL	4.9964 mL
	10 mM	0.2498 mL	1.2491 mL	2.4982 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 (6.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NS3861 fumarate is an agonist of nicotinic acetylcholine receptors (nAChRs) and binds with high affinity to heteromeric α3β4 nAChR. The binding K_i values of 0.62, 25, 7.8, 55 nM for α3β4, α3β2, α4β4, α4β2, respectively[1].

In Vitro

NS3861 fumarate displays the opposite β-subunit preference and a complete lack of activation at α4-containing receptors in HEK293 cell lines. NS3861 fumarate selectively activates α3- but not α4-containing nAChRs and it displays higher efficacy at the α3β2 receptor compared with the α3β4 receptor, with EC_{50}s of 1.7 and 0.15 μM for α3β2 and α3β4 receptor, respectively [1].

NS3861 fumarate shows high affinity and partial agonist properties in α3β4-expressed nAChRs[2].

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

REFERENCES

[1]. Kasper Harpsøe, et al. Molecular determinants of subtype-selective efficacies of cytisine and the novel compound NS3861 at heteromeric nicotinic acetylcholine receptors. J Biol Chem. 2013 Jan 25;288(4):2559-70.

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