
Product Data Sheet

Product Name: AA 29504

Cat. No.: GC10641

Chemical Properties

Cas. No. 945828-50-2

Chemical Name (E)-ethyl hydrogen (2-amino-4-((2,4,6-trimethylbenzyl)amino)phenyl)carbonimidate

SMILES CCO/C(O)=N/C1=C(N)C=C(NCC2=C(C=C(C=C2C)C)C)C=C1Formula $C_{19}H_{25}N_3O_2$ M.Wt 327.42

Solubility <32.74mg/ml in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Background

AA 29504, {2-amino-4-(2, 4, 6-trimethylbenzylamino)-phenyl}-carbamic acid ethyl ester is a positive modulator of extrasynaptic GABAA receptors. AA 29504 is also an analogue of the KCNQ channel opener retigabine with a 3-4 fold lower potency than retigabine. The EC50 of AA 29504 at KCNQ channels is between 9.6 and 13.5 μ M [2]. AA 29504 at 1 μ M had no agonist activity when tested at $\alpha 1\beta 3\gamma 2s$ or $\alpha 4\beta 3\delta$ GABAA receptors expressed in *Xenopus* oocytes, but left-shifted the EC50 of GABA and gaboxadol (THIP) at both receptors. The maximum GABA response was unchanged at $\alpha 1\beta 3\gamma 2s$ receptors by AA 29504 (1 μ M), but increased 3-fold at $\alpha 4\beta 3\delta$ receptors [1].

GABA transiently activates synaptic GABAA receptors, leading to the classical inhibitory post-synaptic currents (phasic inhibition) [2]. KCNQ (also termed Kv7) channels are voltage-dependent potassium channels composed of homo- and heteromeric complexes of five different KCNQ subunits (KCNQ1-5, or called Kv7.1-Kv7.5) [3].

In *Xenopus* oocytes, AA 29504 at concentrations below 3 μ M exhibited no intrinsic

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activity, whereas at 3 μ M and above AA 29504 could induce a small response, which could not be blocked by bicuculline. Treatment with AA 29504 at a concentration of 1 μ M showed no intrinsic activity at GABAA receptors and KCNQ channels, but left-shifted the concentration-response curve of GABA without affecting the maximum response to GABA [1].

In sub-chronic phencyclidine (PCP)-treated rats, acute administration of AA 29504 at 1 and 4 mg/kg reversed the PCP-induced deficit, but the middle dose of 2 mg/kg did not. Treatment with AA 29504 did not affect locomotor activity. AA 29504-treated animal groups were unable to significantly discriminate the novel objects from the familiar objects. The group treated with AA 29504 at 2 mg/kg showed a small but significant preference for the left object [2].

References:

- [1]. K. Hoestgaard-Jensen, N.O. Dalby, T.D. Wolinsky, et al. Pharmacological characterization of a novel positive modulator at $\alpha 4\beta 3\delta$ -containing extrasynaptic GABAA receptors. *Neuropharmacology*, 2010, 58:702-711.
- [2]. Trine Damgaard, Niels Plath, Jo C. Neill, et al. Extrasynaptic GABAA receptor activation reverses recognition memory deficits in an animal model of schizophrenia. *Psychopharmacology*, 2011, 214:403-413.
- [3]. Henrik H. Hansen, Christina Ebbesen, Claus Mathiesen, et al. The KCNQ Channel Opener Retigabine Inhibits the Activity of Mesencephalic Dopaminergic Systems of the Rat. *Journal of Pharmacology and Experimental Therapeutics*, 2006, 318(3): 1006-1019.

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