PRODUCT INFORMATION



RO5166017

Item No. 32972

| CAS Registry No.: | 1048346-74-2 |
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| Formal Name: | (4S)-2-amino-N-ethyl-4,5-dihydro- |
| | N-phenyl-4-oxazolemethanamine |
| MF: | C ₁₂ H ₁₇ N ₃ O |
| FW: | 219.3 |
| Purity: | ≥95% |
| UV/Vis.: | λ_{max} : 253 nm |
| Supplied as: | A crystalline solid |
| Storage: | -20°C |
| Stability: | ≥4 years |
| Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis. | |

Laboratory Procedures

RO5166017 is supplied as a crystalline solid. A stock solution may be made by dissolving the RO5166017 in the solvent of choice, which should be purged with an inert gas. RO5166017 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of RO5166017 in ethanol and DMSO is approximately 10 mg/ml and 30 mg/ml in DMF.

RO5166017 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, RO5166017 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. RO5166017 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

RO5166017 is an agonist of trace amine-associated receptor 1 (TAAR1).¹ It binds to TAAR1 (K.s = 31, 24, 1.9, and 2.7 nM in HEK293 cells expressing the recombinant human, cynomolgus monkey, mouse, or rat receptor, respectively) and is greater than 15-fold selective for TAAR1 over a panel of 123 receptors, ion channels, and transporters at 10 μM. RO5166017 induces cAMP production in HEK293 cells expressing the recombinant human, cynomolgus monkey, mouse, or rat TAAR1 (EC₅₀s = 55, 97, 3.3, and 14 nM, respectively). It reduces the frequency of neuronal firing in mouse ventral tegmental area (VTA) and dorsal raphe nucleus (DRN) slices ($IC_{50}s = 1.73$ and 2.99 nM, respectively), which endogenously express high levels of dopaminergic and serotonergic neurons, respectively. RO5166017 (0.3 mg/kg) inhibits stress-induced hyperthermia, indicating anxiolytic-like activity, as well as reduces cocaine-induced hyperlocomotion, in mice. It also impairs expression, but not reconsolidation, of cocaine-induced place preference in rats.²

References

- 1. Revel, F.G., Moreau, J.-L., Gainetdinov, R.R., et al. TAAR1 activation modulates monoaminergic neurotransmission, preventing hyperdopaminergic and hypoglutamatergic activity. Proc. Natl. Acad. Sci. USA 108(20), 8485-8490 (2011).
- 2. Liu, J.-F., Thorn, D.A., Zhang, Y., et al. Effects of trace amine-associated receptor 1 agonists on the expression, reconsolidation, and extinction of cocaine reward memory. Int. J. Neuropsychopharmacol. 19(7), pyw009 (2016).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897 [734] 971-3335 FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.CAYMANCHEM.COM