

Product Data Sheet

Product Name: Alofropodect

Cat. No.: GC79723

Chemical Properties

Cas. No. 1829530-11-1

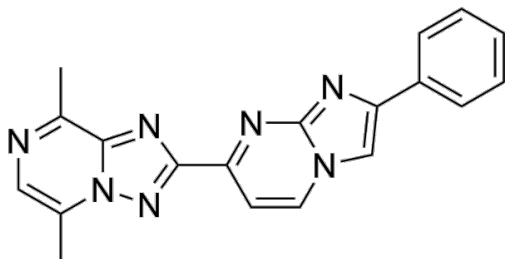
Formula $C_{19}H_{15}N_7$ M.Wt 341.37

Solubility DMSO: 25 mg/mL (73.23 mM; ultrasonic and warming and heat to 60°C) 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

Alofropodect is an orally active, blood-brain barrier permeable phosphodiesterase 10A (PDE10A) inhibitor with IC_{50} values of 1 nM (Reference 1) and 35 nM (Reference 2). Alofropodect acts as a negative allosteric modulator of the M2 muscarinic receptor with an IC_{50} of 9.2 μ M. Alofropodect alters cyclic nucleotide levels in basal ganglia circuits, inhibits the hydrolysis of cAMP and cGMP, and suppresses hERG potassium channel tail currents. Alofropodect induces catalepsy in rats and reverses injury-induced contralateral forelimb use impairment. Alofropodect can be used in research

Caution: Product has not been fully validated for medical applications. For research use only.

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related to schizophrenia, Parkinson's disease, and levodopa-induced dyskinesia [1] [2].

In Vivo, Alofropodect (0.15-2 mg/kg; p.o.; single administration) induces dose-dependent catalepsy in male Sprague-Dawley rats^[1]. Alofropodect (0.1-0.3 mg/kg; p.o.; single acute administration combined with Levodopa /Benserazide for 16 consecutive days) dose-dependently improves sensorimotor deficits in 6-hydroxydopamine-lesioned rats^[2].

In Vitro, Alofropodect (0.1-10 μ M; 5 min) inhibits hERG tail current in hERG-HEK-293 transfected cells with an IC₂₅ of 3.2 μ M^[1]. Alofropodect (0.0457-100 μ M; 14 days) does not affect key cardiac spheroid health parameters up to 100 μ M, but impairs DNA structure with an IC₅₀ of 37.4 μ M in human pluripotent cell-derived 3D cardiac spheroids^[1]. Alofropodect (8 nM-60 μ M; 72 h) is not cytotoxic at concentrations up to 60 μ M in NCI-H1299, HepG2, and SH-SY5Y cell lines^[1].

References:

- [1]. Matloka M, et al. A PDE10A inhibitor CPL500036 is a novel agent modulating striatal function devoid of most neuroleptic side-effects. *Front Pharmacol.* 2022;13:999685. Published 2022 Nov 9.
- [2]. Lenda T, et al. Antiparkinsonian-like effects of CPL500036, a novel selective inhibitor of phosphodiesterase 10A, in the unilateral rat model of Parkinson's disease. *Eur J Pharmacol.* 2021;910:174460.

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