PRODUCT INFORMATION



AT-121

Item I	No.	261	50
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CAS Registry No.: Formal Name:	2099681-31-7 N-[2-[1,2-dihydro-1'-[<i>cis</i> -4- (1-methylethyl)cyclohexyl]-3- oxospiro[isoquinoline-4(3H),4'- piperidin]-2-yl]ethyl]-sulfamide	H O N
MF:	C ₂₄ H ₃₈ N ₄ O ₃ S	H ₂ N N
FW:	462.6	S V N
Purity:	≥95%	
Supplied as:	A solution in chloroform	
Storage:	-20°C	
Stability:	≥2 years	
Information represent	s the product specifications. Patch speci	fic analytical results are provided on each certificate of analysis

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Laboratory Procedures

AT-121 is supplied as a solution in chloroform. To change the solvent, simply evaporate the chloroform under a gentle stream of nitrogen and immediately add the solvent of choice. Solvents such as ethanol, DMSO, and dimethyl formamide purged with an inert gas can be used. AT-121 is miscible in these solvents.

For maximum solubility in aqueous buffers, evaporate the chloroform and dissolve in ethanol. The ethanolic solution of AT-121 should be diluted with the aqueous buffer of choice. AT-121 has a solubility of approximately 0.05 mg/ml in a 1:20 solution of ethanol:PBS (pH 7.2) using this method.

Description

AT-121 is a dual μ -opioid and nociceptin receptor partial agonist (K₅ = 16.49 and 3.67 nM, respectively).¹ It stimulates [^{35}S]GTP γS binding to cell membranes expressing μ -opioid or nociceptin receptors (EC₅₀s = 19.6 and 34.7 nM, respectively). AT-121 (0.003-0.03 mg/kg) decreases capsaicin-induced thermal allodynia without increasing scratching activity in rhesus monkeys in a dose-dependent manner. It lacks reinforcing effects, a marker of abuse potential, and reduces oxycodone, but not food pellet, reinforcement in a drug self-administration assay in rhesus monkeys when administered at doses ranging from 0.3 to $10 \,\mu g/kg$ per injection. AT-121 (0.01 or 0.03 mg/kg) does not induce hyperalgesia, a marker of tolerance development, in rhesus monkeys.

Reference

1. Ding, H., Kiguchi, N., Yasuda, D., et al. A bifunctional nociceptin and mu opioid receptor agonist is analgesic without opioid side effects in nonhuman primates. Sci. Transl. Med. 10(456), eaar3483 (2018).

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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