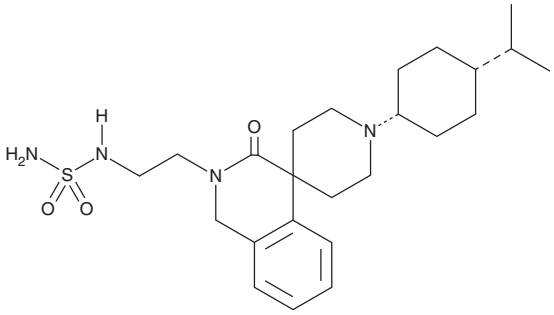
PRODUCT INFORMATION

AT-121

Item No. 26150

CAS Registry No.:	2099681-31-7
Formal Name:	N-[2-[1,2-dihydro-1'-[*cis*-4-(1-methylethyl)cyclohexyl]-3-oxospiro[isoquinoline-4(3H),4'-piperidin]-2-yl]ethyl]-sulfamide
MF:	$C_{24}H_{38}N_4O_3S$
FW:	462.6
Purity:	≥95%
Supplied as:	A solution in chloroform
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

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 (K_is = 16.49 and 3.67 nM, respectively).[1] It stimulates [^{35}S]GTPγS binding to cell membranes expressing μ-opioid or nociceptin receptors (EC_{50}s = 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 μg/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).

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