Product Data Sheet

Product Name: (+)-U-50488 hydrochloride
Cat. No.: GC12688

Chemical Properties

Cas. No.	114528-81-3		
Chemical Name	2-(3,4-dichlorophenyl)-N-methyl-N-((1R,2R)-2-(pyrrolidin-1-yl)cyclohexyl)acetamide hydrochloride		
SMILES	CN(C(CC1=CC(Cl)=C(Cl)C=C1)=O)[C@]2([H])CCCC[C@@]2([H])N3CCCC3.Cl		
Formula	$C_{19}H_{26}Cl_2N_2O.HCl$	M.Wt	405.79
Solubility	<40.58mg/ml in DMSO; <40.58mg/ml in Water	Storage	Store at RT
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	(+)-U-50488 hydrochloride		

Background

(+)-U-50488 hydrochloride is a selective agonist for κ-opioid receptor [1].

The κ-opioid receptor (KOR) is a type of opioid receptor for opioid peptide dynorphin and controls addiction. Also, KOR plays an important role in stress, anxiety, anhedonia, depression and increased drug-seeking behavior.

(+)-U-50488 hydrochloride is a selective KOR agonist [1]. In isolated rat DRG neurons, U-50488 (0.3-40 μM) inhibited voltage-independent Ca2+ channel currents. In HeLa cells that didn’t express KOR, U-50488 (20 μM) blocked Ca2+ channels [2].

In rhesus monkeys, U-50488 exhibited potent antinociceptive activity and produced diuresis [1]. U-50488 enhanced contraction of the rabbit vas deferens induced by electrically with IC50 value of 26.5 nM. In mice, U-50488 impaired motor function with ED50 value of 15.3 mg/kg and reduced spontaneous activity [3]. In adult rats, U-50488 increased the threshold required to maintain self-stimulation responding, a depressive-

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like effect. While, males were significantly more sensitive than females to the threshold-increasing effects [4].

References:

[1]. Tang AH, Collins RJ. Behavioral effects of a novel kappa opioid analgesic, U-50488, in rats and rhesus monkeys. Psychopharmacology (Berl), 1985, 85(3): 309-314.
[2]. Hassan B, Ruiz-Velasco V. The κ-opioid receptor agonist U-50488 blocks Ca2+ channels in a voltage- and G protein-independent manner in sensory neurons. Reg Anesth Pain Med, 2013, 38(1): 21-27.
[3]. Lu SN, Ma SC, Zhang KG, et al. Comparison of pharmacological profile of selective kappa-opioid agonist K-II and U-50488. Yao Xue Xue Bao, 1991, 26(3): 171-174.
[4]. Russell SE, Rachlin AB, Smith KL, et al. Sex differences in sensitivity to the depressive-like effects of the kappa opioid receptor agonist U-50488 in rats. Biol Psychiatry, 2014, 76(3): 213-222.

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