Product Data Sheet

Product Name: Spiradoline
Cat. No.: GC63200

Chemical Properties

Cas. No.	87151-85-7		
Formula	$C_{22}H_{30}Cl_2N_2O_2$	M.Wt	425.39
Solubility	DMSO : 50 mg/mL (117.54 mM; Need ultrasonic)	Storage	
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	Spiradoline		

Background

Spiradoline (U-62066), an arylacetamide, is a selective kappa opioid receptor (KOR) agonist with a Ki of 8.6 nM in guinea pig. The Ki values of Spiradoline for μ and δ receptors are 252 nM and 9400 nM, respectively. Spiradoline has potent diuretic, analgesic, antiarrythmic, antitussive, neuroprotective properties and easily penetrates the blood-brain barrier[1][2].

Using the patch-clamp method in isolated rat cardiac myocytes, indicated that Spiradoline (15 to 500 μM) produces its antiarrythmic effect via blockade of sodium channels (and at the higher doses also of potassium currents) in myocardial tissue. Thus, Spiradoline reduces the peak sodium current, increased the decay rate of the transient outward potassium current, and reduced the sustained plateau potassium amplitude[2].

Spiradoline (U-62066; 0.1-0.4 mg/kg; subcutaneous injection; once; Sprague-Dawley rats) treatment dose-dependently reduces social behaviors in non-stressed adults, producing social avoidance at the highest dose tested, while younger animals displays reduced sensitivity to this socially suppressing effect of Spiradoline. In stressed animals, the socially suppressing effects of the Spiradoline are blunted at all ages, with juveniles and adolescents exhibiting increased social preference in response to certain doses of U-

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62066[1].

[1]. Elena I Varlinskaya, et al. Stress alters social behavior and sensitivity to pharmacological activation of kappa opioid receptors in an age-specific manner in Sprague Dawley rats. Neurobiol Stress. 2018 Sep 11;9:124-132.
[2]. M-L G Wadenberg. A review of the properties of spiradoline: a potent and selective kappa-opioid receptor agonist. CNS Drug Rev. Summer 2003;9(2):187-98.

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