Product Data Sheet

Product Name: RTI-122 dihydrochloride
Cat. No.: GC79211

Chemical Properties

Cas. No.

Formula	$C_{29}H_{36}Cl_2FN_3O_3$	M.Wt	564.52
Solubility	H_2O: 100 mg/mL (177.14 mM; Need ultrasonic)	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

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RTI-122 dihydrochloride is a selective, blood-brain barrier-permeable GPR88 agonist (cAMP EC 50 =11 nM), with EC 50 values of 11.5 nM and 155 nM for human and mouse GPR88, respectively ([35 S]GTPγS assay). By activating the GPR88 receptor to regulate the cAMP signaling pathway and G protein activity, RTI-122 dihydrochloride significantly attenuates Binge -like drinking, reduces alcohol intake, and decreases alcohol-seeking motivation. RTI-122 dihydrochloride blocks the reinstatement of alcohol-seeking behavior without affecting water or sucrose intake. RTI-122 dihydrochloride exhibits metabolic stability in mice (T 1/2 =5.8 h) and can be used to investigate alcohol use disorder [1] [2].

In Vivo, RTI-122 (10 mg/kg; i.p.; single administration) dihydrochloride significantly attenuates binge-like drinking behavior in male C57BL/6J mice, with an efficacy comparable to that of 30 mg/kg RTI-13951-33 [1]. RTI-122 (10-20 mg/kg; i.p.; single administration) dihydrochloride reduces spontaneous locomotor activity in male C57BL/6J mice in a dose-dependent manner. Within the first 20 min post-injection, both the 10 mg/kg and 20 mg/kg groups exhibit efficacy, while only the 20 mg/kg group shows efficacy during the 20-40 min period[2]. RTI-122 (10-20 mg/kg; i.p.; single administration) dihydrochloride induces GPR88-specific reduction of alcohol intake in wild-type mice. Both 10 mg/kg and 20 mg/kg doses are effective in male mice, while only the 20 mg/kg dose is effective in female mice[2]. RTI-122 (5-10 mg/kg; i.p.; single administration) dihydrochloride dose-dependently reduces operant alcohol self-administration in alcohol-preferring male and female rats, with no effect on sucrose self-administration, indicating its regulatory role in alcohol-specific reward[2]. RTI-122 (2.5-10 mg/kg; i.p.; single administration) dihydrochloride reduces the motivation of alcohol-preferring male and female rats to self-administer unadulterated alcohol and quinine -adulterated alcohol[2]. RTI-122 (20 mg/kg; i.p.; single administration) dihydrochloride reduces yohimbine -induced alcohol-seeking behavior in both male and female alcohol-preferring rats, and inhibits relapse of alcohol self-administration in both sexes at doses of 10 mg/kg and 20 mg/kg[2].

In Vitro, RTI-122 dihydrochloride potently activates human GPR88 in CHO cells stably expressing PPLS-HA-GPR88, with an EC50 of 10.8 nM for cAMP at 30 min; it also potently activates the G protein signaling pathway of human GPR88 in PPLS-HA-hGPR88-CHO cell membranes, with an EC50 of 11.5 nM for [35S]GTPγS at 60 min[1]. RTI-122 dihydrochloride (30 μM; 60 min) shows no activity in striatal membranes from GPR88 knockout mice, but selectively activates endogenous mouse GPR88 in striatal membranes from wild-type mice, with an EC50 of 155 nM for

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[35S]GTPγS[1]. RTI-122 dihydrochloride exhibits favorable metabolic stability in mouse liver microsomes, with a half-life of 24.6 min and a clearance rate of 56.4 μL/min/mg[1]. RTI-122 dihydrochloride (10 μM; 90 min) exhibits favorable blood-brain barrier penetration potential, with an apical-to-basolateral Papp of 2.8 × 10-6 cm/s and an efflux ratio of 2.5 in the MDCK-MDR1 assay[1]. RTI-122 dihydrochloride (1 μM; 6 h) binds highly to mouse plasma proteins, with a binding rate of 99.5%[1].

References:

[1]. Rahman MT, et al. Improvement of the Metabolic Stability of GPR88 Agonist RTI-13951-33: Design, Synthesis, and Biological Evaluation. J Med Chem. 2023;66(4):2964-2978.
[2]. Lovelock DF, et al. The GPR88 Agonist RTI-122 Reduces Alcohol-Related Motivation and Consumption. Addict Biol. 2025;30(6):e70058.

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