Product Data Sheet

Product Name: BU 239 hydrochloride
Cat. No.: GC11580

Chemical Properties

Cas. No.	1217041-98-9		
Chemical Name	2-(4,5-dihydro-1H-imidazol-2-yl)quinoxaline hydrochloride		
SMILES	C12=CC=CC=C1N=C(C3=NCCN3)C=N2.Cl		
Formula	$C_{11}H_{10}N_4$.HCl	M.Wt	234.69
Solubility	<11.73mg/ml in DMSO	Storage	Desiccate 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	BU 239 hydrochloride		

Background

Target: I1 imidazoline receptor, I2 imidazoline receptor

IC50: 35 nM, 47 nM

BU 239 hydrochloride is an imidazoline receptors-selective antagonist. BU239 displayed high affinity for both I1 imidazoline and I2 imidazoline receptors in competition binding experiments with IC50 values of 35 nM and 47 nM, respectively [1]. The imidazoline receptors involved in circulatory system are classified in two groups: the I1 type and the I2 type. I1 type is sensitive to idazoxan and clonidine, an antagonist with an imidazoline structure. I2 type displays a high affinity for idazoxan, cirazoline, guanabenz and a medium-tolow affinity for clonidine. In particular, Imidazoline I1 receptors play a critical role in the central regulation of blood pressure [2].

In vitro: BU239 (1 μM) reversed α2 agonists-mediated inhibition of arginine vasopressin (AVP)-stimulated water permeability in the rat inner medullary collecting duct (IMCD)

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[3]. In addition, BU239 significantly reversed agmatine-induced inhibition of AVP-stimulated urea permeability (Pu) in IMCD [4]. BU239 produced positive inotropic activity with the maximum effect observed at 117.4 % [2].

In vivo: N/A

References:

1. Flamez A, De Backer JP, Czerwiec E, Ladure P, Vauquelin G. Pharmacological characterization of I1 and I2 imidazoline receptors in human striatum. Neurochem Int. 1997;30(1):25-9.
2. Radwanska A, Dlugokecka J, Wasilewski R, Kaliszan R. Testing conception of engagement of imidazoline receptors in imidazoline drugs effects on isolated rat heart atria. J Physiol Pharmacol. 2009;60(1):131-42.
3. Kudo LH, Hebert CA, Rouch AJ. Inhibition of water permeability in the rat collecting duct: effect of imidazoline and alpha-2 compounds. Proc Soc Exp Biol Med. 1999;221(2):136-46.
4. Rouch AJ, Kudo LH. Agmatine inhibits arginine vasopressin-stimulated urea transport in the rat inner medullary collecting duct. Kidney Int. 2002;62(6):2101-8.

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