Product Data Sheet

Product Name: ARC 239 dihydrochloride
Cat. No.: GC10965

Chemical Properties

Cas. No.	55974-42-0		
Chemical Name	2-(2-(4-(2-methoxyphenyl)piperazin-1-yl)ethyl)-4,4-dimethylisoquinoline-1,3(2H,4H)-dione dihydrochloride		
SMILES	CC(C1=CC=CC=C1C(N2CCN3CCN(C4=CC=CC=C4OC)CC3)=O)(C2=O)C.Cl.Cl		
Formula	$C_{24}H_{29}N_3O_3.2HCl$	M.Wt	480.43
Solubility	<48.04mg/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	ARC 239 dihydrochloride		

Background

ARC 239 dihydrochloride is a selective antagonist of α2B adrenoceptor with pKD value of 8.8 [1].

α2B adrenoceptor is a G-protein coupled receptor. α2-adrenergic receptors include three subtypes: α2A, α2B and α2C, which play an important role in regulating neurotransmitter release from adrenergic neurons in the central nervous system and from sympathetic nerves.

ARC 239 dihydrochloride is a selective α2B adrenoceptor antagonist. In rat brain, ARC 239 inhibited the binding of 5-HTIA receptor radioligands 8-OH-DPAT and RX 821002 to cortical membranes with Ki values of 63.1 and 136 nM respectively, which suggested that ARC 239 recognized 5-HT1A receptor [2]. Treatment human platelets with ARC 239 significantly inhibited platelet aggregation induced by adenosine diphosphate (ADP), epinephrine and arachidonic acid and increased collagen/epinephrine closure time, which suggested that α2B adrenoceptor play a critical role in platelet aggregation [3]. In

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cervical samples isolated from pregnant rats, ARC 239 effectively inhibited noradrenaline-stimulated contractions [4].

In C57BL/6 mice with gastric mucosal damage induced by acidified ethanol, ARC 239 (10.4 nM) antagonized gastroprotective effect induced by α2-adrenoceptor agonists [5].

References:
[1]. Bylund DB, Ray-Prenger C, Murphy TJ. Alpha-2A and alpha-2B adrenergic receptor subtypes: antagonist binding in tissues and cell lines containing only one subtype. J Pharmacol Exp Ther, 1988, 245(2): 600-607.
[2]. Meana JJ, Callado LF, Pazos A, et al. The subtype-selective alpha 2-adrenoceptor antagonists BRL 44408 and ARC 239 also recognize 5-HT1A receptors in the rat brain. Eur J Pharmacol, 1996, 312(3): 385-388.
[3]. Marketou ME, Kintsurashvili E, Androulakis NE, et al. Blockade of platelet alpha2B-adrenergic receptors: a novel antiaggregant mechanism. Int J Cardiol, 2013, 168(3): 2561-2566.
[4]. Gál A, Kolarovszki-Sipiczki Z, et al. The effect of the ARC 239 on the myometrial and cervical action in the rat, in vitro. Acta Pharm Hung, 2009, 79(2): 75-80.
[5]. Zádori ZS, Shujaa N, Brancati SB, et al. Both α2B- and α2C-adrenoceptor subtypes are involved in the mediation of centrally induced gastroprotection in mice. Eur J Pharmacol, 2011, 669(1-3): 115-120.