Product Data Sheet

Product Name: GSK269962A HCl
Cat. No.: GC25482

Chemical Properties

Cas. No.	2095432-71-4		
Formula	C29H30N8O5.HCl	M.Wt	607.06
Solubility	DMSO: 100 mg/mL (164.73 mM);Water: Insoluble;Ethanol: 28 mg/mL (46.12 mM)	Storage	Store at -20°C
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	GSK269962A HCl		

Background

GSK269962A HCl (GSK269962B, GSK269962) is a selective ROCK(Rho-associated protein kinase) inhibitor with IC50 values of 1.6 and 4 nM for ROCK1 and ROCK2, respectively.

GSK269962A completely abolished the actin stress fiber formation induced by angiotensin II in human smooth muscles. Such suppressive effect on actin fiber formation was observed beginning at around 1 μM GSK269962A. GSK269962A induced vasorelaxation in preconstricted rat aorta(tissue baths) with an IC50 of 35 nM. the relaxation induced by GSK269962A is reversible. GSK269962A suppressed IL-6 mRNA transcription and reduced LPS-induced IL-6 and TNF-α protein production in macrophages[1].

Oral administration of GSK269962A produced a profound dose-dependent reduction of systemic blood pressure in spontaneously hypertensive rats. The reduction of blood pressure was acute and substantial. The maximal effect on blood pressure was observed approximately 2 h after oral gavages. The reduction of blood pressure was accompanied by an acute, dose-dependent increase in heart rate, presumably due to the activation of baroreflex mechanism[1]. ROCK inhibition with the use of GSK 269962 in the 10 mg/kg dose, in turn, triggered an increase in VV(voided volume), PVR(post-void residual),

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VT(volume threshold), VE(voiding efficiency), ICI(intercontraction interval), BC(bladder compliance), and VTNVC(volume threshold to elicit NVC). The inhibition of the ROCK pathway through GSK 269962 appeared to have no effect on either HR(heart rate), SBP(systolic blood pressure), MBP(systolic blood pressure), or DBP(diastolic blood pressure)[2]. NVC:nonvoiding contractions.

[1] Doe C, et al. J Pharmacol Exp Ther. 2007, 320(1):89-98. [2] Andrzej WrÓbel, et al. Neurourology and Urodynamics. 2016.

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