Product Data Sheet

Product Name: RWJ-56110 dihydrochloride
Cat. No.: GC61777

Chemical Properties

Cas. No.	2387505-58-8		
SMILES	O=C(N[C@H](C(NCC1=CC=CC=C1)=O)CCN)[C@@H](NC(NC2=CC3=C(C=C2)C(CN4CCCC4)=CN3CC5=C(Cl)C=CC=C5Cl)=O)CC6=CC=C(F)C(F)=C6.[H]Cl.[H]Cl		
Formula	$C_{41}H_{45}Cl_4F_2N_7O_3$	M.Wt	863.65
Solubility	DMSO : 200 mg/mL (231.58 mM; Need ultrasonic)	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	RWJ-56110 dihydrochloride		

Background

RWJ-56110 dihydrochloride is a potent, selective, peptide-mimetic inhibitor of PAR-1 activation and internalization (binding IC50=0.44 uM) and shows no effect on PAR-2, PAR-3, or PAR-4. RWJ-56110 dihydrochloride inhibits the aggregation of human platelets induced by both SFLLRN-NH2 (IC50=0.16 μM) and thrombin (IC50=0.34 μM), quite selective relative to U46619 . RWJ-56110 dihydrochloride blocks angiogenesis and blocks the formation of new vessels in vivo. RWJ-56110 dihydrochloride induces cell apoptosis[1][2].

Proteinase-activated receptors (PARs) are a family of G protein-coupled receptors activated by the proteolytic cleavage of their N-terminal extracellular domain, exposing a new amino terminal sequence that functions as a tethered ligand to activate the receptors.RWJ56110 inhibits the aggregation of human platelets induced by both SFLLRN-NH2 (IC50=0.16 μM) and thrombin (IC50=0.34 μM) while being quite selective relative to collagen and the thromboxane mimetic U46619 [1].RWJ-56110 dihydrochloride is fully inhibits thrombin-induced RASMC proliferation with an IC50 value of 3.5 μM. RWJ-56110 dihydrochloride shows blockade of thrombin's action with RASMC calcium mobilization (IC50=0.12 μM), as well as with HMVEC (IC50=0.13 μM) and HASMC calcium mobilization (IC50=0.17 μM)[1].RWJ56110 (0.1-10 μM; 24-96 hours) inhibits endothelial cell growth dose-dependently, with half-maximal inhibitory concentration of RWJ56110 is approximately 10 μM[2].RWJ56110 (0.1-10 μM; 6 hours) inhibits DNA synthesis of endothelial cells in a thymidine incorporation assays. Endothelial cells are in fast-growing state (50-60% confluence), RWJ56110 inhibits cell DNA synthesis in a dose-dependent manner, but when cells that are in the quiescent state (100% confluent), the inhibitory effect of PAR-1 antagonists is much less pronounced[2].RWJ56110 (0.1-10 μM; pretreatment for 15 min) inhibits thrombin-induced Erk1/2 activation in a concentration-dependent manner. However, when endothelial cells are stimulated by FBS (final concentration 4%), it reduces partially the activated levels of Erk1/2[2].RWJ56110 (30 μM; 24 hours)

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

has an inhibitory effect on endothelial cell cycle progression. It reduces the percentage of cells in the S phase, while alterations in the percentages of G1 and G2/M cells are less pronounced[2]. Western Blot Analysis[2] Cell Line: Endothelial cells

[1]. Andrade-Gordon, et al.Design, synthesis, and biological characterization of a peptide-mimetic antagonist for a tethered-ligand receptor. oc Natl Acad Sci U S A. 1999 Oct 26;96(22):12257-62. [2]. Panagiota Zania, et al. Blockade of angiogenesis by small molecule antagonists to protease-activated receptor-1: association with endothelial cell growth suppression and induction of apoptosis. J Pharmacol Exp Ther. 2006 Jul;318(1):246-54.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA