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SRPK Inhibitor, SRPIN340 - CAS 281856-96-8 - Calbiochem

Art. ID	SAF-5042930001
Unit	EA
Deliverydetails	No Dangerous Good /not restricted

Description

AA cell-permeable isonicotinamide compound that acts as an ATP-competitive (Ki = 0.89 &#181,M using mSRPK1), SRPK1-selective inhibitor (IC50 = 0.14 and 1.8 &#181,M, respectively, against mSRPK1- or mSRPK2-catalyzed SF2 RS domain peptide phosphorylation) with much reduced or no activity against 143 other kinases, including Clk1 and Clk4, even at concentrations as high as 10 &#181,M. Shown to effectively counteract IGF-1-induced anti-angiogenic to pro-angiogenic VEGF isoforms switch both in cultures in vitro (pro/anti VEGF mRNA ratio = 1.26- and 4.48-times of control ratio, respectively, in 12 h IGF-1 stimulated PCIPs with or without 1 h 10 &#181,M SRPIN340 pretreatment) and in a murine hypoxia-induced retinal neovascularization model in vivo (Relative retinal VEGF mRNA content = 0.3 vs. 1.1, respectively, with or without 10 pmol/&#181,L/eye intraocular SRPIN340 injection upon 48 h room air exposure of 6-day 75% O2-adopted P12 neonatal mice) by inhibiting PKC/SRPK signaling-dependent, alternate splicing factor ASF- (SF2, splicing factor 2) mediated VEGF pro-mRNA PSS (proximal splice site) selection. Suppresses RNA virus Sindbis propagation (IC50 = 60 &#181,M as determined by virus titre in 4 d-infected Vero cultures) and HCV-JFH1 replication (% HCV core protein-positive Huh7.5.1 48 h post infection = 18.2, 5.9, and 3.0, respectively, with 0. 1. 10 &#181,M SRPIN340, MOI = 0.1). Exhibits no mutagenic effects by Salmonella typhimurium AMES test, nor toxicity toward rats (2 g/kg p.o. for 2 wks), CHO (5 mg/mL for 24 h), or Huh7 (30 &#181,M for 48 h)., A cell-permeable isonicotinamide that acts as an ATP-competitive SRPK1-selective inhibitor (IC50 = 0.14 and 1.8 &#181,M, respectively, against mSRPK1 and mSRPK2) with much reduced activity against 143 other kinases. Shown to effectively counteract IGF-1-induced anti-angiogenic to pro-angiogenic VEGF isoforms switch both in cultures in vitro (1 h 10 &#181,M SRPIN340 prior to 12 h IGF-1 stimulation of PCIPs) and in a murine hypoxia-induced retinal neovascularization model in vivo (10 pmol/&#181,L/eye intraocular SRPIN340 injection) by inhibiting PKC/SRPK signaling-dependent, alternate splicing factor ASF- (SF2, splicing factor 2) mediated VEGF pro-mRNA PSS (proximal splice site) selection. Suppresses RNA virus Sindbis propagation (IC50 = 60 &#181,M in Vero cultures) and HCV-JFH1 replication (1 & 10 &#181,M SRPIN340 in Huh7.5.1 cultures). Exhibits no toxicity toward rats (2 g/kg p.o. for 2 wks), CHO (5 mg/mL for 24 h), or Huh7 (30 &#181,M for 48 h).

Text/Information	Analyte/Parameter	CAS number	Concentration/Value	Unit	Method	Source
	SRPIN340	[218156-96-8]				