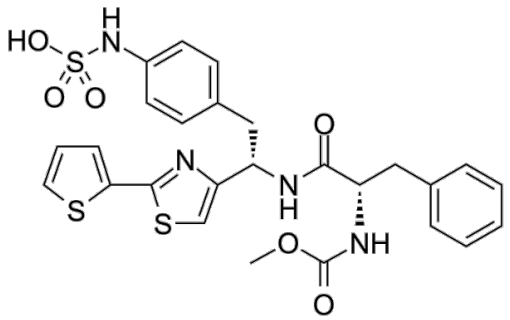
Product Data Sheet

Product Name: Razuprotafib
Cat. No.: GC62687

Chemical Properties

Cas. No.	1008510-37-9		
Formula	$C_{26}H_{26}N_4O_6S_3$	M.Wt	586.7
Solubility	DMSO : 100 mg/mL (170.44 mM; Need ultrasonic)	Storage	-20°C avoid light
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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



Background

Razuprotafib (AKB-9778) is a potent and selective inhibitor of the catalytic activity of VE-PTP (vascular endothelial protein tyrosine phosphatase) with an IC50of 17 pM. Razuprotafib promotes TIE2 activation, enhances ANG1-induced TIE2 activation, and stimulates phosphorylation of signaling molecules in the TIE2 pathway, including AKT, eNOS, and ERK. Razuprotafib inhibits the structurally

related phosphatase PTP1B with an IC50 of 780 nM. Razuprotafib shows excellent selectivity for VE-PTP versus a variety of phosphatases, with the exception of HPTPH (IC50=36 pM) and HPTPγ (100 pM)[1].

Razuprotafib (AKB-9778) promotes TIE2 phosphorylation and activation of downstream signaling in HUVECs and enhances angiopoietin-induced TIE2 phosphorylation[1].

Razuprotafib (20 mg/kg; s.c.) promotes phosphorylation of TIE2 in retinal endothelial cells in vivo[1].Razuprotafib (10-20 mg/kg; s.c.; twice daily for 7 days) suppresses subretinal neovascularization (NV)[1].

[1]. Shen J, et al. Targeting VE-PTP activates TIE2 and stabilizes the ocular vasculature. J Clin Invest. 2014;124(10):4564-4576.