Product Data Sheet

Product Name: BAY-826
Cat. No.: GC35477

Chemical Properties

Cas. No.	1448316-08-2		
SMILES	O=C(NC1=C(C)C=C(C)C(N2C3=CC(C4=CN=CC=C4)=NN3C=C2)=C1)C5=CC(C#N)=CC(S(F)(F)(F)(F)F)=C5		
Formula	$C_{26}H_{19}F_5N_6OS$	M.Wt	558.53
Solubility	Soluble in DMSO	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	BAY-826		

Background

BAY-826 is a selective and potent TIE-2 inhibitor with Kd of 1.6 nM, respectively.

BAY-826 is a selective and potent inhibitor of TIE-2 (dissociation constant = 1.6 nM) and binds with similar high affinity to only 4 of 456 tested kinases, namely, TIE-1, DDR1, DDR2, and Serine/threonine-protein kinase 10 (LOK) (dissociation constant = 0.9, 0.4,1.3, and 5.9 nM).The high biochemical affinity for TIE-2 translates into very potent cellular mechanistic activity with an EC50 of about 1.3 nM for inhibition of TIE-2 autophosphorylation in human umbilical vein endothelial cells. The TIE-2 inhibitor BAY-826 is tested for its acute growth inhibitory as well as anti-clonogenic properties in all four mouse glioma cell lines. BAY-826 is highly selective against other angiogenic kinases, such as VEGFR, fibroblast growth factorreceptor (FGFR), or Platelet-derived growth factor receptor (PDGFR), and affects VEGF-stimulated proliferation of HUVEC only atμM concentrations, respectively.

TIE-2 inhibitor (BAY-826) improves tumor control in syngeneic mouse glioma models. Co-treatment with BAY-826 and irradiation is ineffective in one model (SMA-497), but provided synergistic prolongation of survival in another (SMA-560) cell. TIE- 2 inhibition may improve tumor response to treatment in highly vascularized tumors such as glioblastoma. We observe a reduction in tumor vessels upon BAY-826 treatment with highest vessel density[1].

[1]. Schneider H, et al. J Neurochem. 2017 Jan; 140(1):170-182. doi: 10.1111/jnc.13877. Epub 2016 Dec 12.Novel TIE-2 inhibitor BAY-826 displays in vivo efficacy in experimental syngeneic murine glioma models.

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