Product Data Sheet

Product Name: AMG 548
Cat. No.: GC14899

Chemical Properties

Cas. No.	864249-60-5		
Chemical Name	(S)-2-((2-amino-3-phenylpropyl)amino)-3-methyl-5-(naphthalen-2-yl)-6-(pyridin-4-yl)pyrimidin-4(3H)-one		
SMILES	O=C1N(C)C(NC[C@H](CC2=CC=CC=C2)N)=NC(C3=CC=NC=C3)=C1C4=CC=C5C(C=CC=C5)=C4		
Formula	$C_{29}H_{27}N_5O$	M.Wt	461.56
Solubility	<49.8mg/ml in DMSO; <49.8mg/ml in ethanol	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	AMG 548		

Background

AMG 548 is a potent and selective inhibitor of p38α with IC50 values of 0.5, 3.6, 2600 and 4100 nM for p38α, p38β, p38γ and p38δ, respectively.

P38 mitogen-activated protein kinase (p38) is a serine/threonine kinase and is responsive to a variety of cellular stresses including inflammatory cytokines, osmotic shock, ultraviolet light, lipopolysaccharides (LPS) and growth factors. P38α kinase involved in the biosynthesis of TNFα and IL-1β at the transcriptional and translational level [1][2].

AMG 548 is a potent and selective p38α inhibitor. In the antagonistic enzyme fragment complementation (EFC) and β-catenin-driven luciferase (SuperTOPflash) reporter gene assays, AMG 548 inhibited Wnt/β-catenin signaling, which was due to cross-reactivity with another kinase. AMG 548 inhibited 17 kinases by more than 80%. In U2OS-EFC cells, AMG 548 inhibited CKIδ and CKIε, which played an important role in the activation

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of Wnt/b-catenin signaling. Also, the concentration of AMG 548 needed to inhibit CKIδ/ε in cells was closely approximate that required to inhibit Wnt/b-catenin signaling in the EFC and TOPflash assays, which suggested AMG 548 inhibited Wnt/b-catenin signaling mediated by the inhibition of CKIδ/ε [3].

References:

[1]. Dominguez C, Powers DA, Tamayo N. p38 MAP kinase inhibitors: many are made, but few are chosen. Curr Opin Drug Discov Devel, 2005, 8(4): 421-430.
[2]. Lee MR, Dominguez C. MAP kinase p38 inhibitors: clinical results and an intimate look at their interactions with p38alpha protein. Curr Med Chem, 2005, 12(25): 2979-2994.
[3]. Verkaar F, van der Doelen AA, Smits JF, et al. Inhibition of Wnt/β-catenin signaling by p38 MAP kinase inhibitors is explained by cross-reactivity with casein kinase Iδ/ε. Chem Biol, 2011, 18(4): 485-494.