Product Data Sheet

Product Name: AMG-458
Cat. No.: GC11481

Chemical Properties

Cas. No.	913376-83-7		
Chemical Name	1-(2-hydroxy-2-methylpropyl)-N-[5-(7-methoxyquinolin-4-yl)oxypyridin-2-yl]-5-methyl-3-oxo-2-phenylpyrazole-4-carboxamide		
SMILES	CC1=C(C(=O)N(N1CC(C)(C)O)C2=CC=CC=C2)C(=O)NC3=NC=C(C=C3)OC4=C5C=CC(=CC5=NC=C4)OC		
Formula	$C_{30}H_{29}N_5O_5$	M.Wt	539.58
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	AMG-458		

Background

AMG-458 is a potent and selective inhibitor of human and mouse c-Met with IC50 value of 1.2 nM and 2.0 nM respectively.

c-Met, also known as hepatocyte growth factor receptor, is a receptor tyrosine kinase that can be activated by hepatocyte growth factor/scatter factor (HGF/SF). It is a membrane protein which plays an essential role in embryonic development and wound healing.

Recent study investigated the effect of AMG-456 treatment on cell radiosensitizing response. The results showed that AMG-458 treatment enhanced radiosensitivity in H441 with higher levels of c-Met but not in A549 with lower expression of c-Met [1].

This component was also used in an animal model to study the role of c-Met in the development of tumor. For instance, orally administration of AMG-456 resulted in significant inhibition of tumor growth in /TPR-Met and U-87 MG xenograft models without any adverse effect on body weight [2].

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References:
1. Li B, Torossian A, Sun Y, Du R, Dicker AP, Lu B. Higher levels of c-Met expression and phosphorylation identify cell lines with increased sensitivity to AMG-458, a novel selective c-Met inhibitor with radiosensitizing effects. Int J Radiat Oncol Biol Phys 2012,84:e525-531.
2. Liu L, Siegmund A, Xi N, Kaplan-Lefko P, Rex K, Chen A, et al. Discovery of a potent, selective, and orally bioavailable c-Met inhibitor: 1-(2-hydroxy-2-methylpropyl)-N-(5-(7-methoxyquinolin-4-yloxy)pyridin-2-yl)-5-meth yl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazole-4-carboxamide (AMG 458). J Med Chem 2008,51:3688-3691.

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