Product Data Sheet

Product Name: TAS-117
Cat. No.: GC63210

Chemical Properties

Cas. No.	1402602-94-1		
Formula	$C_{26}H_{24}N_4O_2$	M.Wt	424.49
Solubility		Storage	
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	TAS-117		

Background

TAS-117 is a potent, selective, orally active allosteric Akt inhibitor (with IC50s of 4.8, 1.6, and 44 nM for Akt1, 2, and 3, respectively). TAS-117 triggers anti-myeloma activities and enhances fatal endoplasmic reticulum (ER) stress induced by proteasome inhibition. TAS-117 induces apoptosis and autophagy[1].

TAS-117 (1 μM; 6 hours) blocks basal phosphorylation of Akt and downstream p-FKHR/FKHRL1 in MM cells with high baseline p-Akt[1].TAS-117 (0-10 μM; 72 hours) selectively inhibits Akt and induces cytotoxicity in MM cells with high baseline phosphorylation of Akt[1].TAS-117 abrogates the cytoprotective effect of the bone marrow microenvironment associated with Akt inhibition in both MM cells and BMSCs. TAS-117 enhances Carfilzomib-induced cytotoxicity and fatal ER stress in MM cells. TAS-117 (0.5, 1 μM) triggers G0/G1 arrest followed by apoptosis, associated with induction of autophagy and endoplasmic reticulum stress response[1].TAS-117 enhances bortezomib-induced cytotoxicity, associated with increased CHOP (a fatal ER-stress marker) and PARP cleavage and blockade of bortezomib-induced p-Akt, suggesting that TAS-117 augments Bortezomib-induced ER stress and apoptotic signaling[1].

TAS-117 (12-16 mg/kg; p.o.; daily for 5 days a week, 21 days) inhibits tumor growth in

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murine xenograft models of human MM[1].TAS-117 enhances bortezomib-induced MM cytotoxicity in vivo[1].

[1]. Mimura N, et al. Selective and potent Akt inhibition triggers anti-myeloma activities and enhances fatal endoplasmic reticulum stress induced by proteasome inhibition. Cancer Res. 2014;74(16):4458-4469.