Product Data Sheet

Product Name: PR-924
Cat. No.: GC61945

Chemical Properties

Cas. No.	1416709-79-9		
SMILES	O=C(N[C@@H](CC1=CC=CC=C1)C([C@]2(CO2)C)=O)[C@@H](NC([C@@H](C)NC(C(CC3=CC=CC=C43)=C4C)=O)=O)CC5=CNC6=CC=CC=C56		
Formula	$C_{37}H_{38}N_4O_5$	M.Wt	618.72
Solubility		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	PR-924		

Background

PR-924 is a selective tripeptide epoxyketone immunoproteasome subunit LMP-7 inhibitor with an IC50 of 22 nM. PR-924 covalently modifies proteasomal N-terminal threonine active sites. PR-924 inhibits growth and triggers apoptosis in multiple myeloma (MM) cells. PR-924 has antitumor activities[1][2].

PR-924 (1-20 μM; 24-72 hours; MM.1S, MM.1R, RPMI-8226, KMS12, LR-5, DOX40, INA-6, OPM1 and OPM2 cells) treatment significantly decreases the viability of all the MM cell lines in a time-and dose-dependent manner (IC 50 range for cell lines: 3-7 μM for 48 h) [1]. PR-924 (3 μM; 48 hours; MM.1S and MM.1R cells) treatment triggers apoptosis in MM cells[1]. PR-924 (3 μM; 48 hours; MM.1S and MM.1R cells) treatment triggers activation of caspase-3, caspase-8 and caspase-9, and significantly down-regulated the expression of Bcl-2 protein, without altering Bax or MCL-1 protein levels[1]. PR-924 induces BID cleavage and its translocation to mitochondria, as well as cyto-c release BID, a proapoptotic BH-3 family protein, is linked to mitochondria-mediated apoptotic signaling pathways via cyto-c release[1].

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

PR-924 (6 mg/kg; intravenous injection; twice a week; for 21 days; CB-17 SCID-mice) treatment significantly inhibits tumour growth in human plasmacytoma xenografts[1]. PR-924 treatment significant reduces the shIL-6R levels in SCID-hu model. Treatment of tumour-bearing mice with PR-924, prolongs survival[1].

References:

[1]. Singh AV, et al. PR-924, a selective inhibitor of the immunoproteasome subunit LMP-7, blocks multiple myeloma cell growth both in vitro and in vivo. Br J Haematol. 2011 Jan;152(2):155-63.
[2]. Parlati F, et al. Carfilzomib can induce tumor cell death through selective inhibition of the chymotrypsin-like activity of the proteasome. Blood. 2009 Oct 15;114(16):3439-47.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA