Product Data Sheet

Product Name: RC-3095
Cat. No.: GC37072

Chemical Properties

Cas. No.	138147-78-1		
Formula	$C_{56}H_{79}N_{15}O_9$	M.Wt	1106.32
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	RC-3095		

Protocol

Cell experiment [1]:

Cell lines	Neuro2a neuroblastoma cells
Preparation Method	Cells were seeded at $5x10^4$ cells/well in 24-well plates and treated 24h later with RC-3095 (0.1, 1, 10, or 100nM). 48 hours later, the medium was removed and the cells were washed twice with HBSS. They were detached with 0.25% trypsin/EDTA and 10mL of cellular suspension was homogenized 1:1 with 0.4% trypan blue solution. Cells were counted immediately in a hemocytometer.
Reaction Conditions	0.1, 1, 10, or 100nM; 48h
Applications	RC-3095, at 0.1nM inhibited, whereas at 100nM stimulated proliferation of Neuro2a murine neuroblastoma cells

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

Product Data Sheet

Animal experiment [2]:

Animal models	Male Balb/c wild-type mice with AIA (antigen-induced arthritis) model; Male DBA/1J inbred mice with CIA (collagen-induced arthritis) model
Preparation Method	AIA studies: The Balb/c mice were injected with RC-3095 (1mg/kg; s.c.) or vehicle (0.9% saline) twice a day for a total of 2 or 10 days, starting on the second day before the induction of arthritis. CIA studies: mice were randomly divided into 4 groups. Group 1 comprised mice that were not manipulated, group 2 comprised immunized mice treated with vehicle, and groups 3 and 4 comprised immunized mice treated with either 0.3mg/kg (group 3) or 1mg/kg (group 4) RC-3095, administered s.c. twice a day for 10 days after the onset of the disease.
Dosage form	AIA studies: 2mg/kg/d; 2 or 10d; s.c. CIA studies: 0.6 or 2mg/kg/d; 10d; s.c.
Applications	In mice with AIA, administration of RC-3095 reduced neutrophil migration, mechanical hypernociception, and proteoglycan loss. In the CIA model, treatment with RC-3095 led to a significant reduction in arthritis clinical scores and the severity of disease determined histologically.

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

References:
[1] Abujamra AL, Almeida VR, Brunetto AL, Schwartsmann G, Roesler R. A gastrin-releasing peptide receptor antagonist stimulates Neuro2a neuroblastoma cell growth: prevention by a histone deacetylase inhibitor. *Cell Biol Int*. 2009;33(8):899-903.
[2] Oliveira PG, Grespan R, Pinto LG, et al. Protective effect of RC-3095, an antagonist of the gastrin-releasing peptide receptor, in experimental arthritis. *Arthritis Rheum*. 2011;63(10):2956-2965.

Background

RC-3095 is a gastrin-releasing peptide (GRP) receptor antagonist[1]. GRP affects several systems in mammals, including neuroendocrine regulation, gastrointestinal secretion, and cell proliferation[2]. RC-3095 works by blocking bombesin/GRP receptors and is considered an anticancer drug with clinical application value[3].

In vitro, treatment with RC-3095 for 48h inhibited proliferation at 0.1nM but stimulated proliferation at 100nM in Neuro2a murine neuroblastoma cells[4].

In vivo, RC-3095 treatment (1mg/kg; s.c.; twice daily for 2 or 10 days) significantly reduced neutrophil migration, mechanical hypernociception, and proteoglycan loss in

mice with AIA (antigen-induced arthritis)[2]. Administration of RC-3095 (0.3 or 1mg/kg; s.c.; twice daily for 10 days) significantly decreased arthritis clinical scores and reduced disease severity as assessed by histological analysis in mice with CIA (collagen-induced arthritis)[2]. A single intraperitoneal injection of RC-3095 (0.2 or 1.0mg/kg) 30 minutes before behavioral testing impaired short-term and long-term inhibitory avoidance memory in mice, but did not affect their cognitive memory[3].

References:

[1]Qin Y, Halmos G, Cai RZ, Szoke B, Ertl T, Schally AV. Bombesin antagonists inhibit in vitro and in vivo growth of human gastric cancer and binding of bombesin to its receptors. *J Cancer Res Clin Oncol*. 1994;120(9):519-528.

[2] Oliveira PG, Grespan R, Pinto LG, et al. Protective effect of RC-3095, an antagonist of the gastrin-releasing peptide receptor, in experimental arthritis. *Arthritis Rheum*. 2011;63(10):2956-2965.

[3] Roesler R, Kopschina MI, Rosa RM, Henriques JA, Souza DO, Schwartsmann G. RC-3095, a bombesin/gastrin-releasing peptide receptor antagonist, impairs aversive but not recognition memory in rats. *Eur J Pharmacol*. 2004;486(1):35-41.

[4] Abujamra AL, Almeida VR, Brunetto AL, Schwartsmann G, Roesler R. A gastrin-releasing peptide receptor antagonist stimulates Neuro2a neuroblastoma cell growth: prevention by a histone deacetylase inhibitor. *Cell Biol Int*. 2009;33(8):899-903.