Product Data Sheet

Product Name: AG-041R
Cat. No.: GC11603

Chemical Properties

Cas. No.	159883-95-1		
Chemical Name	(3R)-1-(2,2-diethoxyethyl)-2,3-dihydro-N-(4-methylphenyl)-3-[[[(4-methylphenyl)amino]carbonyl]amino]-2-oxo-1H-indole-3-acetamide		
SMILES	CC1=CC=C(NC(N[C@@]2(C3=C(C=CC=C3)N(CC(OCC)OCC)C2=O)CC(NC4=CC=C(C)C=C4)=O)=O)C=C1		
Formula	$C_{31}H_{36}N_4O_5$	M.Wt	544.7
Solubility	≤5mg/ml in DMSO;10mg/ml in dimethyl formamide	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	AG-041R		

Background

AG-041R is a cholecystokinin-B/gastrin receptor (Gastrin/CCK-B) antagonist [1]. The Gastrin/CCK-B receptor is a regulator of gastric acid secretion and mucosal growth. The Gastrin/CCK-B is abundantly expressed in mammalian stomach [2].

In vitro: In rabbit primary chondrocytes, AG-041R (0.1 μM) stimulated the cell growth and proliferation, but suppressed with 10 μM. Treatment with AG-041R (1 μM) for 28 days accelerated the chondrocyte growth and increased the cell number [1]. In chondrocytes incubated with 0.1 and 1 μM AG-041R, synthesis of GAG increased with culture, and amounts accumulated in the composites increased [1]. 10 μM AG-041R might be even toxic to the cells and total RNA levels [1]. The ratio of the amounts of two chondroitin sulfate isomers, chondroitin-6-sulfate to chondroitin-4-sulfate, an indicator of cartilage maturation, increased with 1 μM but decreased with 10 μM AG-041R [1].

In vivo: In a preclinical toxicological study on rats, oral administration of high dose of AG-041R stimulated systemic cartilage hyperplasia, including the trachea, the intervertebral disk and the articular cartilage. Daily intraarticular injection of AG-041R for 3 weeks into rat knee joints also induced cartilage hyperplasia in marginal regions of the femoral condyle without affecting other tissues [1].

References:
[1] Ochi M, Kawasaki K, Kataoka H, et al. AG-041R, a gastrin/CCK-B antagonist, stimulates chondrocyte proliferation and metabolism in vitro[J]. Biochemical and biophysical research communications, 2001, 283(5): 1118-1123.
[2] Langhans N, Rindi G, Chiu M, et al. Abnormal gastric histology and decreased acid production in cholecystokinin-B/gastrin receptor-deficient mice[J]. Gastroenterology, 1997, 112(1): 280-286.

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