Product Data Sheet

Product Name: P32/98 (hemifumarate)
Cat. No.: GC14786

Chemical Properties

Cas. No.	251572-86-8		
Chemical Name	(2S,3S)-2-amino-3-methyl-1-(3-thiazolidinyl)-1-pentanone, (2E)-2-butenedioate		
SMILES	O=C([C@@H](N)[C@@H](C)CC)N1CCSC1.OC(/C=C/C(O)=O)=O		
Formula	$C_9H_{18}N_2OS \cdot 1/2C_4H_4O_4$	M.Wt	260.4
Solubility	≤1mg/ml in ethanol;15mg/ml in DMSO;5mg/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	P32/98 (hemifumarate)		

Background

Ki: 126 nM

P32/98 (hemifumarate) is an inhibitor of DPP IV.

Glucose-dependent insulinotropic polypeptide (GIP) and glucagon-like peptide 1 (GLP-1) are responsible for >50% of nutrient-stimulated insulin secretion. After being released into the circulation, GIP and GLP-1 are quickly inactivated by the circulating enzyme dipeptidyl peptidase IV (DPP IV).

In vitro: P32/98 was found to be able to block adipogenesis dose-dependently, starting at the concentration of 100 μM, and P32/98 could completely block adipogenesis in 3T3-L1 cell line at 500 μM concentration. In addition, the inhibitory effects of P32/98 was further confirmed by detecting the expression of adipocyte markers at the end of differentiation [1].

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

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In vivo: In previou animal study, two groups of fa/fa Zucker rats were orally treated twice daily for three months with P32/98 at 20 mg/kg/day and monthly oral glucose tolerance tests (OGTTs) were conducted after drug washout. Results showed that after 12 weeks of P32/98 treatment, the peak OGTT blood glucose values in the treated rats averaged 8.5 mmol/l less than in the controls. In addition, the concomitant insulin resulted in an increased early-phase insulin response in the treated group. Moreover, in response to an 8.8 mmol/l glucose perfusion, pancreata from controls showed no increase in insulin secretion, while pancreata from P32/98-treated animals had a 3.2-fold rise in insulin secretion [2].

Clinical trial: Up to now, P32/98 is still in the preclinical development stage.

References:
1. Han R, Wang X, Bachovchin W, Zukowska Z, Osborn JW. Inhibition of dipeptidyl peptidase 8/9 impairs preadipocyte differentiation. Sci Rep. 2015 Aug 5;5:12348.
2. J. A. Pospisilik, S. G. Stafford, H. U. Demuth, et al. Long-term treatment with the dipeptidyl peptidase IV inhibitor P32/98 causes sustained improvements in glucose tolerance, insulin sensitivity, hyperinsulinemia, and β-cell glucose responsiveness in VDF (fa/fa) zucker rats. Diabetes 51, 943-950 (2002).

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