Product Data Sheet

Product Name: SR 1824
Cat. No.: GC14232

Chemical Properties

Cas. No.	1338259-06-5		
Chemical Name	(S)-4'-((5-((1-(4-bromophenyl)ethyl)carbamoyl)-2,3-dimethyl-1H-indol-1-yl)methyl)-[1,1'-biphenyl]-2-carboxylic acid		
SMILES	BrC1=CC=C([C@H](C)NC(C2=CC=C(N(CC3=CC=C(C4=CC=CC=C4C(O)=O)C=C3)C(C)=C5C)C5=C2)=O)C=C1		
Formula	$C_{33}H_{29}BrN_2O_3$	M.Wt	581.5
Solubility	≤30mg/ml in ethanol;30mg/ml in DMSO;30mg/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	SR 1824		

Background

Ki = 10 nM

SR 1824 is a non-agonist PPARγ ligand.

Peroxisome proliferator-activated receptor γ (PPARγ) can be activated by the anti-diabetes drugs known as thiazolidinediones, including rosiglitazone and pioglitazone. Phosphorylation of PPARγ by cyclin-dependent kinase 5 (Cdk5) leads to dysregulation of genes whose expression is altered in obesity, such as adiponectin.

In vitro: In a previous study, SR1824 was characterized for its ability to block Cdk5-dependent phosphorylation of PPARγ. The results demonstrated that SR1824 could potently block Cdk5-dependent phosphorylation of PPARc in cells while displaying little to no classical agonism. In the docking study, the HDX analyses showed that SR1824 and its analog of SR1664 werer able to increase the conformational mobility of the C-terminal end of H11, a helix that abuts H12; in contrast, the full and partial agonists could stabilize the same region of H11. Morover, as expected SR1664 and SR1824 did not interact with H12 in any detectable way, but unexpectedly both ligands cause an increase in the conformational mobility of H11, which was part of the AF2 surface and directly abuts H12 [1].

In vivo: Up to now, there is no animal in vivo data reported.

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

Product Data Sheet

Clinical trial: So far, no clinical study has been conducted.

Reference:
[1] Choi, J. H.,Banks, A.S.,Kamenecka, T.M., et al. Antidiabetic actions of a non-agonist PPARγ ligand blocking Cdk5-mediated phosphorylation. Nature 477(7365), 477-481 (2011).

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