Product Data Sheet

Product Name: SAR247799
Cat. No.: GC65910

Chemical Properties

Cas. No.	1315311-14-8		
Formula	$C_{21}H_{16}ClN_3O_5$	M.Wt	425.82
Solubility	DMSO : 33.33 mg/mL (78.27 mM; Need ultrasonic)	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	SAR247799		

Background

SAR247799 (S1P1 agonist 3) is an oral activity, selective G-protein-biased **sphingosine-1 phosphate receptor-1 (S1P1)** agonist, with EC_{50}s rang from 12.6 to 493 nM in S1P1-overexpressing cells and HUVECs. SAR247799 can be used for the research of endothelial protection, including type-2 diabetes, metabolic syndrome[1][2][3][4].

SAR247799 (0, 0.003, 0.01, 0.03, 0.1, 0.3, 1, 3, 10 μM; 10 min) induces a concentration-dependent phosphorylation of extracellular-regulated kinase-1/2 (Erk1/2) and protein kinase B (Akt) in HUVECs[1].
SAR247799 (0-10 μM, 8 min) induces impedance change in HUVECs in a dose-dependent manner[1].
SAR247799 (1 μM, 1st) does not cause desensitization demonstrated by Ca^{2+} flux assay in S1P1-Chinese hamster ovary (CHO) cells[1].

SAR247799 (1 and 3 mg/kg; p.o.; 1 h before renal occlusion) dose dependently reduces the severity of ischemia/reperfusion (I/R)-induced acute kidney injury[1].
SAR247799 (0.3, 1, 3 mg/kg; i.v.) dose dependently increases the coronary conductance ratio in pig model of coronary endothelial dysfunction[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

SAR247799 (30-min intravenous administration; 8- to 10-week-old farm pig) exposure (C_{max} and AUC) increases with dose in pigs. Pharmacokinetic parameters[1]:

Dose (mg/kg)	N	C_{max} (g/mL)	T_{max} (h)	T_{last} (h)	$AUC_{0\text{-last}}$ (g.h/mL)	Cl (L/h/kg)	Vss (L/kg)	$T_{1/2z}$ (h)
1	4	2.08 (8)	0.5 [0.5]	[8-48]	11.8 (46)	0.113 (75)	0.516 (11)	5.62 (57)
3	7	8.10 (12)	0.5 [0.5]	[24-72]	42.2 (23)	0.0754 (30)	0.446 (16)	6.21 (28)
10	3	36.7 (5)	0.5 [0.5-0.75]	72	294 (13)	0.0343 (13)	0.338 (7)	7.73 (8)
30	6	112 (27)	0.5 [0.5-1.0]	[48-72]	908 (16)	0.0338 (18)	0.294 (11)	7.35 (11)

Mean values with (CV%) except T_{max}, which is expressed as median value with [range] and Tlast as [range]. C_{max}, maximum concentration. T_{max}, time at which maximum concentration achieved. T_{last}, last time point sampled. $AUC_{0\text{-last}}$, area under curve from 0 to last time point. Cl, clearance. Vss, volume at steady state or volume of distribution. $T_{1/2z}$, elimination half-life. N, number of animals.

Animal Model:	Acute kidney injury rats (12 to 15-week-old Fischer rats)[1]
Dosage:	1 and 3 mg/kg
Administration:	P.o.; administered 1 hour before renal occlusion.
Result:	Inhibited the increase in serum creatinine (89 and 96% at 1 and 3 mg/kg) and urea (61 and 85% at 1 and 3 mg/kg).Protected renal proximal tubules against necrosis and blunted the development of interstitial hemorrhage.
Animal Model:	Acute kidney injury rats (8- to 12-week-old Fischer rats)[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

Dosage:	3 mg/kg
Administration:	P.o.; twice a day for 7 days and twice a day for 7 day
Result:	Showed a dosedependent trend for reducing macrophage.

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