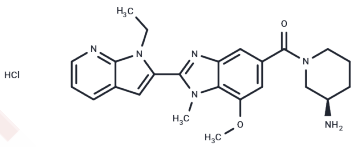
Data Sheet (Cat.No.T8861)

TargetMol

GSK199

Chemical Properties



CAS No. :	1549811-53-1
Formula:	C24H29ClN6O2
Molecular Weight:	468.98
Storage:	Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK199 is a selective PAD4 inhibitor(IC50 of 200 nM in the absence of calcium).
Targets(IC50)	PAD
In vivo	GSK199, in the murine collagen-induced arthritis model of rheumatoid arthritis. Mice were dosed daily from the time of collagen immunization with GSK199. Efficacy was assessed against a wide range of end-points, including clinical disease scores, joint histology and immunohistochemistry, serum and joint citrulline levels and quantification of synovial autoantibodies using a proteomic array containing joint peptides. Administration of GSK199 at 30 mg/kg led to significant effects on arthritis, assessed both by global clinical disease activity and by histological analyses of synovial inflammation, pannus formation and damage to cartilage and bone. In addition, significant decreases in complement C3 deposition in both synovium and cartilage were observed robustly with GSK199 at 10 mg/kg. Neither the total levels of citrulline measurable in joint and serum, nor levels of circulating collagen antibodies, were affected significantly by treatment with GSK199 at any dose level. In contrast, a subset of serum antibodies reactive against citrullinated and non-citrullinated joint peptides were reduced with GSK199 treatment.

Solubility Information

Solubility	DMSO: 11 mg/mL (23.46 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1 mg/mL (2.13 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1323 mL	10.6614 mL	21.3229 mL
5 mM	0.4265 mL	2.1323 mL	4.2646 mL
10 mM	0.2132 mL	1.0661 mL	2.1323 mL
50 mM	0.0426 mL	0.2132 mL	0.4265 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Willis V C , Banda N K , Cordova K N , et al. Protein arginine deiminase 4 inhibition is sufficient for the amelioration of collagen-induced arthritis[J]. Clinical & Experimental Immunology, 2017.