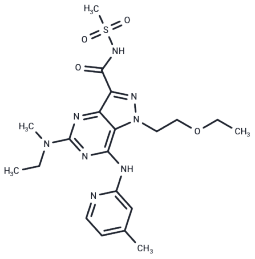
Data Sheet (Cat.No.T28360)

PF-00489791

Chemical Properties



CAS No. : 853003-48-2

Formula: C20H28N8O4S

Molecular Weight: 476.55

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	PF-00489791 (PF4634817) is a long-acting PDE5 inhibitor with hypotensive activity for the study of diabetic nephropathy.
Targets(IC50)	PDE
In vivo	The novel, highly specific, and long-acting PDE5 inhibitor, PF-00489791, was assessed in a multinational, multicenter, randomized, double-blind, placebo-controlled, parallel-group trial of subjects with type 2 diabetes mellitus and overt nephropathy receiving angiotensin-converting enzyme inhibitor or angiotensin receptor blocker background therapy. In total, 256 subjects with an eGFR between 25 and 60 ml/min per 1.73 m2 and macroalbuminuria defined by a urinary albumin-to-creatinine ratio >300 mg/g, were randomly assigned 3:1, respectively, to receive PF-00489791 (20 mg) or placebo orally, once daily for 12 weeks. Using the predefined primary assessment of efficacy (Bayesian analysis with informative prior), we observed a significant reduction in the urinary albumin-to-creatinine ratio of 15.7% (ratio 0.843; 95% credible interval 0.73 to 0.98) in response to the 12-week treatment with PF-00489791 compared with placebo. PF-00489791 was safe and generally well tolerated in this patient population. Most common adverse events were mild in severity and included headache and upper gastrointestinal events. In conclusion, the safety and efficacy profile of PDE5 inhibitor PF-00489791 supports further investigation as a novel therapy to improve renal outcomes in DN.[1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0984 mL	10.4921 mL	20.9842 mL
5 mM	0.4197 mL	2.0984 mL	4.1968 mL
10 mM	0.2098 mL	1.0492 mL	2.0984 mL
50 mM	0.042 mL	0.2098 mL	0.4197 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

Scheele W, Diamond S, Gale J, Clerin V, Tamimi N, Le V, Walley R, Grover-Páez F, Perros-Huguet C, Rolph T, El Nahas M. Phosphodiesterase Type 5 Inhibition Reduces Albuminuria in Subjects with Overt Diabetic Nephropathy. J Am Soc Nephrol. 2016 Apr 25. pii: ASN.2015050473. [Epub ahead of print] PubMed PMID: 27113485.
Afsar B, et al. Phosphodiesterase type 5 inhibitors and kidney disease. Int Urol Nephrol. 2015 Sep;47(9):1521-8.
Wolk R, et al. Blood pressure lowering effects of a new long-acting inhibitor of phosphodiesterase 5 in patients with mild to moderate hypertension. Hypertension. 2009 Jun;53(6):1091-7.