

PF-04634817

Chemical Properties

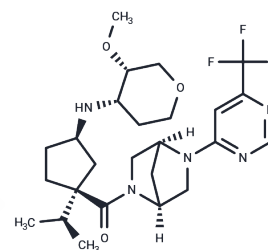
CAS No. : 1228111-63-4

Formula: C₂₅H₃₆F₃N₅O₃

Molecular Weight: 511.58

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-0463481 is safe and well-tolerated and has the potential for the study of diabetic nephropathy. PF-0463481 is an effective and orally active dual CCR2/CCR5 antagonist. It also has comparable human and rodent CCR2 potency (rat IC ₅₀ =20.8 nM). PF-0463481 shows 10-20 fold less rodent CCR5 potency (rat IC ₅₀ =470 nM).
Targets(IC ₅₀)	CCR
In vivo	PF-04634817 (p.o.; 30 mg/kg; once daily; 31 days intervention (weeks 2-15 after Streptozotocin)) intervention at the onset of diabetes (week 2) has no impact on the fasting blood glucose levels in diabetic Nos3 ^{-/-} 221 mice. Early intervention with PF-04634817 induces an additional increase in glycated hemoglobin (HbA1c) levels. The development of diabetes causes a marked increase in the levels of glycated haemoglobin (HbA1c) in Nos3 ^{-/-} mice [1].

Solubility Information

Solubility	DMSO: 50 mg/mL (97.74 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: 2.5 mg/mL (4.89 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9547 mL	9.7736 mL	19.5473 mL
5 mM	0.3909 mL	1.9547 mL	3.9095 mL
10 mM	0.1955 mL	0.9774 mL	1.9547 mL
50 mM	0.0391 mL	0.1955 mL	0.3909 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

Tesch GH, et al. Combined inhibition of CCR2 and ACE provides added protection against progression of diabetic nephropathy in Nos3-deficient mice. *Am J Physiol Renal Physiol*. 2019 Dec 1;317(6):F1439-F1449.

Gale JD, et al. A CCR2/5 Inhibitor, PF-04634817, Is Inferior to Monthly Ranibizumab in the Treatment of Diabetic Macular Edema. *Invest Ophthalmol Vis Sci*. 2018 May 1;59(6):2659-2669.

Gale JD, et al. Effect of PF-04634817, an Oral CCR2/5 Chemokine Receptor Antagonist, on Albuminuria in Adults with Overt Diabetic Nephropathy. *Kidney Int Rep*. 2018 Aug 3;3(6):1316-1327.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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