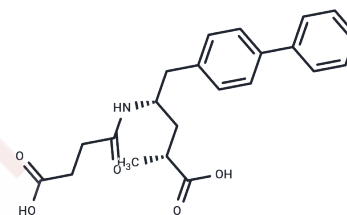


Sacubitrilat

Chemical Properties

CAS No. :	149709-44-4
Formula:	C ₂₂ H ₂₅ N ₅ O ₅
Molecular Weight:	383.44
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	Sacubitrilat (LBQ-657) (LBQ657) is an effective inhibitor of active neprilysin (NEP).
Targets(IC50)	Neprilysin
In vitro	Sacubitrilat is bound to the active site of NEP by an intricate network of interactions that involves all functional groups of the compound giving rise to the high inhibitory potency of 5 nM [1].
In vivo	Pharmacokinetics of Sacubitril, Sacubitrilat, and valsartan following the administration of single oral doses of LCZ696 400 or 1200 mg under fasting conditions are summarized. The mean plasma concentrations of Sacubitril increases rapidly with a median T _{max} of 0.52 h for the 400 mg dose and 1.05 h for the 1200 mg dose, followed by Sacubitrilat, with the corresponding T _{max} values of 2.07 and 3.05 h, respectively. The median T _{max} for valsartan is 2.07 h for both the LCZ696 400 mg and 1200 mg doses. The C _{max} of Sacubitrilat shows a dose-proportional increase, while the C _{max} of Sacubitril and Valsartan shows less than proportional increases between the doses [2].

Solubility Information

Solubility	DMSO: 130 mg/mL (339.04 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: 10 mg/mL (26.08 mM), Solution. 10% DMSO+90% Saline: < 10 mg/mL (26.08 mM), Lower concentrations may be soluble, but exact solubility limit is unknown. <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	2.608 mL	13.0398 mL	26.0797 mL
5 mM	0.5216 mL	2.608 mL	5.2159 mL
10 mM	0.2608 mL	1.304 mL	2.608 mL
50 mM	0.0522 mL	0.2608 mL	0.5216 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

Schiering N, et al. Structure of neprilysin in complex with the active metabolite of sacubitril. Sci Rep. 2016 Jun 15;6: 27909.

Langenickel TH, et al. Single therapeutic and suprathreshold doses of sacubitril/valsartan (LCZ696) do not affect cardiac repolarization. Eur J Clin Pharmacol. 2016 Aug;72(8):917-24.

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