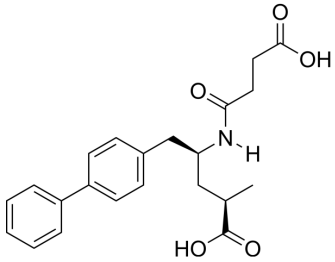
Sacubitrilat

Cat. No.:	HY-17620
CAS No.:	149709-44-4
Molecular Formula:	$C_{22}H_{25}NO_5$
Molecular Weight:	383.44
Target:	Neprilysin
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (260.80 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6080 mL	13.0399 mL	26.0797 mL
	5 mM	0.5216 mL	2.6080 mL	5.2159 mL
	10 mM	0.2608 mL	1.3040 mL	2.6080 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Sacubitrilat (Desethyl Sacubitril) is an active neprilysin (NEP) inhibitor.
IC_{50} & Target	Neprilysin[1]
In Vitro	Sacubitrilat (LBQ657) is a single diastereomer with specific stereocenters. 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Pharmacokinetics of Sacubitril, Sacubitrilat (LBQ657), and valsartan following the administration of single oral doses of LCZ696 400 or 1200 mg under fasting condition 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. The arithmetic mean $AUC_{0\text{-}24\ h}$ and AUC_{last} for Sacubitril and Sacubitrilat increases approximately dose proportionally, but shows less than dose proportional increase for Valsartan[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Eur J Pharmacol. 2020 Aug 15;881:173120.
- Arch Biochem Biophys. 2022 Sep 27;730:109415.
- J Cardiovasc Transl Res. 2021 Jun 1.
- Research Square Print. 2023 Jan 19th.
- Biomed Res Int. 28 Jul 2022.

See more customer validations on www.MedChemExpress.com

REFERENCES

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

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA