

Sacubitril Catalog No: tcsc2119

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

149709-62-6

Formula:

 $\mathsf{C}_{\mathbf{24}}\mathsf{H}_{\mathbf{29}}\mathsf{NO}_{\mathbf{5}}$

Pathway:

Metabolic Enzyme/Protease

Target:

Neprilysin

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 100 mg/mL (243.02 mM); H2O :

Alternative Names:

AHU-377

Observed Molecular Weight:

411.49

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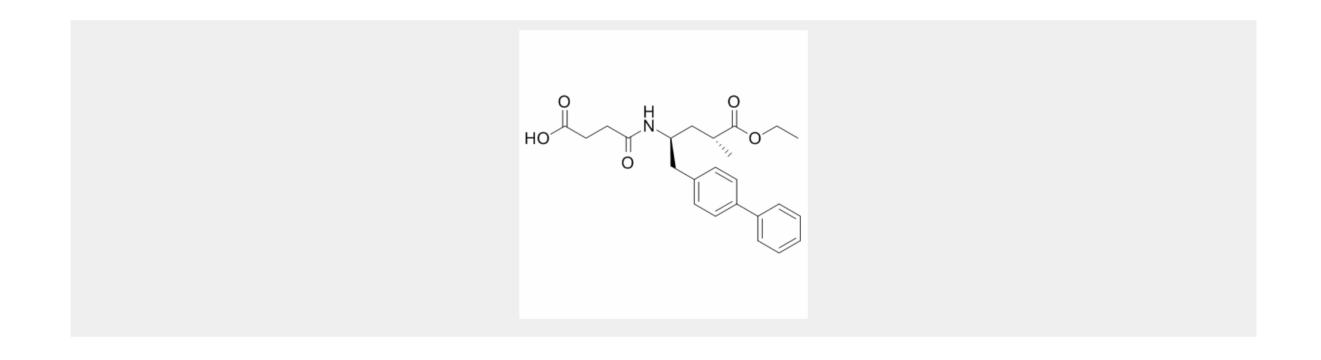
Product Description

Sacubitril (AHU-377) is a potent **NEP** inhibitor with an **IC**₅₀ of 5 nM. Sacubitril (AHU-377) is a component of the heart failure medicine LCZ696.

IC50 & Target: IC50: 5 nM (NEP)^[1]

In Vitro: Sacubitril (AHU-377) is a single molecule that is comprised of molecular moieties of valsartan, an ARB, and Sacubitril (AHU-377), a neprilysin inhibitor (1:1 ratio). Sacubitril (AHU-377) is converted by enzymatic cleavage of the ethyl ester into the active neprilysin inhibiting metabolite LBQ657^[2]. The inactive NEPi precursor, Sacubitril (AHU-377), does not inhibit collagen accumulation in fibroblasts nor cardiac myocyte hypertrophy. In cardiac fibroblasts, the active NEPi LBQ657 had no discernible effects. In contrast, LBQ657 modestly inhibits cardiac myocyte hypertrophy^[3].

In Vivo: In humans, Sacubitril (AHU-377) (t_{max} 0.5-1.1 h) are absorbed quickly. Sacubitril (AHU-377) is converted rapidly into LBQ657 with its t_{max} being reached in 1.9-3.5 h. Mean $t_{1/2}$ values for the biologically active LBQ657 is 9.9-11.1 h^[2]. In vehicle-treated dogs, ANF increases urinary sodium excretion from 17.3±3.6 to 199.5±18.4 pequivkglmin. This effect is potentiated significantly in animals which receive Sacubitril (AHU-377). Urinary volume is also potentiated in animals which receive an iv administration of Sacubitril (AHU-377)^[1].



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