Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Sacubitril

Catalog No: tcsc2119

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
149709-62-6

Formula:
$C_{24}H_{29}NO_5$

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].