Web: www.taiclone.com
Tel: +886-2-2735-9682
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Sacubitril hemicalcium salt

Catalog No: tcsc2514

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 500mg

Size: 1g

Specifications

CAS No:
1369773-39-6

Formula:
$C_{24}H_{28}Ca_{0.5}NO_5$

Pathway:
Metabolic Enzyme/Protease

Target:
Neprilysin

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 54 mg/mL (125.43 mM)

Alternative Names:
AHU-377 (hemicalcium salt)

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Observed Molecular Weight:
430.52

Product Description

Sacubitril (AHU-377) hemicalcium salt is a potent **NEP** inhibitor with an **IC_{50}** of 5 nM. Sacubitril hemicalcium salt 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 hemicalcium salt, 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 hemicalcium salt, 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 hemicalcium salt 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].