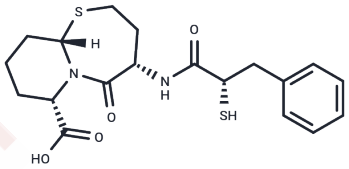
# Data Sheet (Cat.No.T16385)

TargetMol

## Omapatrilat

### Chemical Properties



CAS No. : 167305-00-2

Formula: C19H24N2O4S2

Molecular Weight: 408.53

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

### Biological Description

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|---|---|
| Description | Omapatrilat is an orally active dual inhibitor of ACE (angiotensin-converting enzyme) and NEP (neprilysin), with Ki values of 0.64 and 0.45 nM, respectively, capable of suppressing induced hypotension in rats. |
| Targets(IC50) | RAAS,Neprilysin |
| In vitro | Omapatrilat exhibits high activity against NEP (Ki=0.45 nM), NEP2 (Ki=25 nM), and ACE (Ki=0.64 nM), demonstrates moderate activity against APP (Ki=250 nM), and shows low activity against ECE1 [1]. |
| In vivo | Omapatrilat significantly promoted the excretion of urinary sodium, ANP, and cGMP in cynomolgus monkey experiments. Within 10-24 hours after administration, Omapatrilat reduced MAP by approximately 40 mmHg from baseline levels. Oral administration of Omapatrilat at 100 μmol/kg once daily resulted in a 38 mmHg reduction in systolic pressure by the third day of dosing [2].<br>Long-term oral administration of Omapatrilat alleviated aortic leakage and atherosclerotic plaque formation while enhancing the non-endothelium-dependent vasodilatory response to ANP [3].<br>Omapatrilat markedly inhibited ACE activity in rat plasma and increased plasma renin activity in rats [4]. |

### Solubility Information

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|---|---|
| Solubility | DMSO: ≥ 24 mg/mL,Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (8.08 mM),Sonication is recommended.<br>*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.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.4478 mL | 12.239 mL | 24.478 mL |
| 5 mM | 0.4896 mL | 2.4478 mL | 4.8956 mL |
| 10 mM | 0.2448 mL | 1.2239 mL | 2.4478 mL |
| 50 mM | 0.049 mL | 0.2448 mL | 0.4896 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

Fryer RM, et al. Effect of bradykinin metabolism inhibitors on evoked hypotension in rats: rank efficacy ofenzymes associated with bradykinin-mediated angioedema.Br J Pharmacol. 2008 Mar;153(5):947-55.
Robl JA, et al. Dual metalloprotease inhibitors: mercaptoacetyl-based fused heterocyclic dipeptide mimetics asinhibitors of angiotensin-converting enzyme and neutral endopeptidase. J Med Chem. 1997 May 23;40(11): 1570-7.
Ichiki T, et al. Endothelial permeability in vitro and in vivo: protective actions of ANP and omapatrilat in experimental atherosclerosis. Peptides. 2013 Oct;48:21-6.
Burrell LM, et al. Antihypertensive and antihypertrophic effects of omapatrilat in SHR. Am J Hypertens. 2000 Oct;13 (10):1110-6.