

NSP-805

Chemical Properties

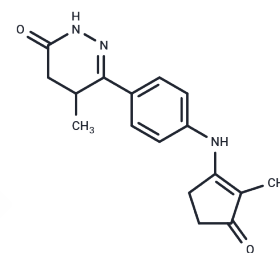
CAS No. : 125068-54-4

Formula: C₁₇H₁₉N₃O₂

Molecular Weight: 297.35

Storage: 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 | NSP-805 is a potent and selective inhibitor of guinea pig cardiac phosphodiesterase 3 (PDE3). |
| Targets(IC50) | PDE |
| In vitro | In isolated guinea pig left atria, the positive inotropic EC ₅₀ values (microM) ranked by potency were approximately 0.18 (NSP-805), 0.39 (indolidan), 1.1 (MCI-154), 1.7 (NSP-804, milrinone), 2.0 (denopamine), 4.0 (papaverine), 4.4 3-isobutyl-1-methylxanthine (IBMX), 6.5 (imazodan), and 27 (amrinone)[1]. |
| In vivo | In anesthetized dogs, intravenous (i.v.) injection of NSP-804 and NSP-805 produced dose-dependent increases in left ventricular VVdp/dtmax and decreases in aortic blood pressure (ABP) with relatively small increases in heart rate (HR). The ED ₅₀ values (micrograms/kg) for LVdP/dtmax of NSP-804, NSP-805, denopamine, milrinone, MCI-154, and indolidan were 15, 12, 22, 23, 15, and 7.3, respectively. When administered intraduodenally to anesthetized dogs, the ED ₅₀ values (micrograms/kg) for LVdP/dtmax of NSP-804, NSP-805, milrinone, and indolidan were approximately 30, 10, 200, and 25, respectively. In the propranolol-induced heart failure model, NSP-804 and NSP-805 completely normalized the hemodynamic state. The in vitro positive inotropic effects of NSP-804 and NSP-805 were accompanied by increases in tissue cyclic AMP and abolished by carbachol. NSP-805 was the most potent and selective inhibitor of guinea pig cardiac phosphodiesterase (PDE) III among the agents examined, while NSP-804 was a potent and selective inhibitor of PDE III similar to indolidan[1]. |

Solubility Information

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| Solubility | DMSO: 20 mg/mL (67.26 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|------------|------------|
| 1 mM | 3.363 mL | 16.8152 mL | 33.6304 mL |
| 5 mM | 0.6726 mL | 3.363 mL | 6.7261 mL |
| 10 mM | 0.3363 mL | 1.6815 mL | 3.363 mL |
| 50 mM | 0.0673 mL | 0.3363 mL | 0.6726 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

Mochizuki N, et al. Cardiovascular effects of NSP-804 and NSP-805, novel cardiogenic agents with vasodilator properties. *J Cardiovasc Pharmacol.* 1993 Jun;21(6):983-95.

Uchida H, et al. [The effect of phosphodiesterase type 3 inhibitor on chorio-retinal blood flow in rabbits eyes]. *Nippon Ganka Gakkai Zasshi.* 2002 Oct;106(10):615-20.

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481