Tadalafil

Cat. No.: HY-90009A
CAS No.: 171596-29-5
Molecular Formula: C₂₂H₁₉N₃O₄
Molecular Weight: 389.4
Target: Phosphodiesterase (PDE)
Pathway: Metabolic Enzyme/Protease
Storage:
- Powder: -20°C 3 years
  - 4°C: 2 years
- In solvent: -80°C 6 months
  - -20°C: 1 month

Solvent & Solubility

<table>
<thead>
<tr>
<th>Solvent &amp; Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
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<tbody>
<tr>
<td>DMSO : ≥ 52 mg/mL (133.54 mM)</td>
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* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

- 1 mM: 2.5681 mL, 12.8403 mL, 25.6805 mL
- 5 mM: 0.5136 mL, 2.5681 mL, 5.1361 mL
- 10 mM: 0.2568 mL, 1.2840 mL, 2.5681 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Tadalafil is a PDE5 inhibitor with an IC50 value of 1.8 nM. IC50 Value: 1.8 ± 0.4 nM [1] Target: PDE 5 Tadalafil is marketed in pill form for treating erectile dysfunction (ED) under the name Cialis, and under the name Adcirca for the treatment of pulmonary arterial hypertension. Tadalafil can elevate the level of cGMP in the corpus cavernosum and effectively improve ED of various causes and degrees. In vitro: Biochemical potencies (affinities) of these compounds for PDE5 determined by IC(50), K(D) (isotherm), K(D) (dissociation rate), and K(D) ((1/2) EC(50)), respectively, were the following: sildenafil (3.7 ± 1.4 +/- 4.8 ± 0.8, 0.37 ± 0.29, and 11.7 ± 0.70 nM), tadalafil (1.8 ± 0.40, 2.4 ± 0.60, 1.9 ± 0.37, and 2.7 ± 0.25 nM); and vardenafil (0.091 ± 0.031, 0.38 ± 0.07, 0.27 ± 0.01, and 0.42 ± 0.10 nM). Thus, absolute potency values were similar for each inhibitor, and relative potencies were vardenafil >> tadalafil > sildenafil [1].

In vivo: The Tadalafil-treated group showed enhanced erectile function (intracavernosal pressure/mean arterial pressure) at 0.3, 0.5, 1, 3, and 5 Hz compared with diabetic group values at the respective frequencies (P < 0.05) that approached control values [3]. Oral administration of tadalafil (20 mg) or...
sildenafil (100 mg) was given. In both groups, computer-assisted semen analysis parameters showed no significant difference. After the administration of tadalafil (2 h) and sildenafil (1 h), there was no significant difference observed in premature acrosome reaction incidence rate [2].

Clinical trial: Study the Safety and Effectiveness of Tadalafil in Men With Problems Getting or Maintaining an Erection When Taken Prior to Desiring an Erection. Phase 3

REFERENCES

