Pimobendan (Vetmedin) Datasheet

Technical Data

<table>
<thead>
<tr>
<th>Molecular Weight (MW)</th>
<th>334.37</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula</td>
<td>C_{19}H_{16}N_{2}O_{2}</td>
</tr>
<tr>
<td>CAS No.</td>
<td>74150-27-9, 77469-98-8 (HCl)</td>
</tr>
<tr>
<td>Synonyms</td>
<td>Acardi, pimobendane</td>
</tr>
<tr>
<td>IC50</td>
<td>0.32 μM [^1]</td>
</tr>
</tbody>
</table>

Biological Activity

In vitro

Pimobendan exhibits selective inhibition of PDE III isolated from guinea pig cardiac muscle with IC50 of 0.32 μM compared to the inhibition of PDE I and PDE II (IC50s >30 μM). \[^{1}\]\] Pimobendan inhibits the activity of cAMP-PDE III with IC50 of 2.4 μM. It also exerts concentration-dependent positive inotropic effects in isolated guinea-pig papillary muscles with a potency (EC50) of 6.0 μM, which is partly due to selective cardiac PDE III inhibition. \[^{2}\] In human atrial cells, 100 μM pimobendan significantly increases the L-type calcium current (I_{Ca,L}) evoked by depolarization to +10 mV from a holding potential of -40 mV by 250.4% with the half-maximal stimulation (EC50) of 1.13 μM. In rabbit atrial cells, Pimobendan increases I_{Ca,L} at +10 mV by 67.4%, which is significantly lower than that obtained in human atrial cells. \[^{3}\]

In vivo

Pimobendan shows a beneficial effect on survival in the murine model of EMC virus-induced myocarditis. Administration of Pimobendan significantly increases the final survival rate from 33.6% (control) to 53.3% (0.1 mg/kg) or 66.7% (1 mg/kg). Pimobendan (1 mg/kg) also significantly reduces myocardial cellular infiltration, the level of intracardiac tumor necrosis factor (TNF)-α and interleukin (IL)-1β compared with the control group, which shows no effect on myocardial necrosis, heart weight and body weight. Pimobendan suppresses expression of the intracardiac iNOS gene, causing reduction of intracardiac NO production. \[^{4}\]

Clinical Trials

Features

Protocol (Only for Reference)

Kinase Assay: \[^{1}\]

Phosphodiesterase isoenzyme inhibition

The isoenzymes PDE I, PDE II and PDE III are isolated from left ventricular guinea pig muscle. For determination of enzyme inhibition, Pimobendan is preincubated for 5 minutes with PDE in a buffer containing 40 mM Tris HCl, 50 mM MgCl_{2}, and 10 mM EGTA (pH 8.0). The reaction is initiated at 37 °C by adding 0.3 μM [H]AMP (or 2.5 μM [H]cGMP in the case of PDE II). The concentration of PDE is such that only 10% of the substrate is hydrolyzed during the reaction, which is stopped after 20 minutes by heating to 90 °C briefly. The reaction product [H]AMP is split to [H]adenosine by a phosphatase from king cobra venom. [H]adenosine is separated from unhydrolyzed [H]AMP by chromatography and quantified in a scintillation counter. The concentration that produces 50% inhibition of hydrolysis (IC50) is determined from concentration-response curves.

Animal Study: \[^{4}\]

Animal Models

Male DBA/2 mice of viral myocarditis

Formulation

Prepared as an oral suspension in 0.25% methylcellulose solution, in concentrations of 120 μg/mL and 12 μg/mL

Doses

0.1 or 1 mg/kg

Administration

Orally once daily

References


Customer Reviews

"... Dr. Zhang of Tianjin Medical University"

Pimobendan (Vetmedin) purchased from Selleck

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Website: www.selleckchem.com

www.selleckchem.com/datasheet/Pimobendan(Vetmedin)-DataSheet.html
A549 cells were pretreated with 100ng/ml EGF for 20 min and then treated with the indicated concentrations of AZD8055 for 24 hours.

Please keep the product under -20°C for long-term storage.

Not for human, veterinary diagnostic or therapeutic use.

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