Pirfenidone

Cat. No.: HY-B0673
CAS No.: 53179-13-8
Molecular Formula: C₁₂H₁₁NO
Molecular Weight: 185.22
Target: TGF-beta/Smad
Pathway: Stem Cell/Wnt; TGF-beta/Smad
Storage: Powder
-20°C 3 years
4°C 2 years
In solvent
-80°C 6 months
-20°C 1 month

Solvent & Solubility

In Vitro

DMSO : ≥ 100 mg/mL (539.90 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>5.3990 mL</td>
<td>26.9949 mL</td>
<td>53.9898 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>1.0798 mL</td>
<td>5.3990 mL</td>
<td>10.7980 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.5399 mL</td>
<td>2.6995 mL</td>
<td>5.3990 mL</td>
</tr>
</tbody>
</table>

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (13.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (13.50 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (13.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Pirfenidone is a drug used for the treatment of idiopathic pulmonary fibrosis. It inhibits FGFR, EGFR, PDGFR, TGF-β, thereby slowing tumor cell proliferation.

IC₅₀ & Target
TGF-β₂[¹]
In Vitro  Pirfenidone (PFD) reduces the protein levels of the matrix metalloproteinase (MMP)-11, a TGF-β target gene and furin substrate involved in carcinogenesis. These data define PFD or PFD-related agents as promising agents for human cancers associated with enhanced TGF-β activity[1]. In RAW264.7 cells, a murine macrophage-like cell line, Pirfenidone suppresses the proinflammatory cytokine TNF-α by a translational mechanism, which is independent of activation of the MAPK2, p38 MAPK, and JNK. In the murine endotoxin shock model, Pirfenidone potently inhibits the production of the proinflammatory cytokines, TNF-α, interferon-γ, and interleukin-6, but enhances the production of the anti-inflammatory cytokine, interleukin-10[2]. Pirfenidone (PFD) shows its inhibitory effects on the proliferation of HLECs. Cell proliferation is attenuated in the 0.3 mg/mL group after 24 hours compared with the control group (P=0.044). The effect is more apparent in the 0.5 mg/mL group at 24, 48, and 72 hours (P<0.05). The proliferation is almost completely inhibited with 1 mg/mL PFD at all the time-points (P<0.01)[3].

In Vivo  Administration of Pirfenidone (300 mg/kg/day) for 4 wk. Pirfenidone significantly attenuates the score when administered in Bleomycin (BLM)-treated mice (P<0.0001). Moreover, collagen content is quantified in the lungs to evaluate the anti-fibrotic effects of Pirfenidone. The collagen content in the lungs of BLM-treated mice is significantly increased compared with that in saline- or Pirfenidone-treated mice, and this increase is significantly attenuated by Pirfenidone administration on day 28 after BLM treatment (P=0.0012)[4].

PROTOCOL

Cell Assay [3]  HLECs are seeded in 96-well plates (1×10⁴ cells/well) for 24 hours in α-MEM/10% FBS/1%NEAA, and are cultured in stationary tubes in serum-free medium for 24 hours. And then the culture medium is removed and cells are bathed in α-MEM with 10% FBS and 1% NEAA supplemented with 0, 0.01, 0.1, 0.2, 0.3, 0.5, or 1 mg/mL Pirfenidone for 0, 4, 12, 24, 48, or 72 hours. After incubation with 180 µL α-MEM and 20 µL of 5 mg/mL MTT for 4 hours at 37°C, the MTT solution is discarded. The Formosan precipitates are dissolved in 180 µL DMSO by agitating the dishes for 10 minutes at 200 rpm on an orbital shaker. The absorbance at 490 nm in each well is read with a micro plate reader. We further examined the effects of PFD by refining the concentrations at 0.2, 0.25, 0.3, 0.4, 0.5 and 0.6 mg/mL using the MTT assay[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Nine-week-old female C57BL/6 mice are used. Pirfenidone is administered orally for 14 days after osmotic pump implantation. The volume of administration is determined according to body weight. Animals are allocated into 4 groups (n=6/group): normal control, BLM, Pirfenidone (300 mg/kg/day), and BLM + Pirfenidone. The Pirfenidone dose is selected according to a report published elsewhere. Pirfenidone is also administered in a therapeutic setting beginning at day 10 to assess the effect of the drug on the fibrotic phase of BLM model mice.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

• Oncotarget. 2018 May 4;9(34):23462-23481.

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REFERENCES


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