Phenformin hydrochloride

Cat. No.: HY-16397A
CAS No.: 834-28-6
Molecular Formula: C₁₀H₁₆ClN₅
Molecular Weight: 241.72
Target: AMPK; Autophagy
Pathway: Epigenetics; PI3K/Akt/mTOR; Autophagy
Storage: 4°C, stored under nitrogen
* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

SOLVENT & SOLUBILITY

In Vitro
H₂O: 12.5 mg/mL (51.71 mM; Need ultrasonic)
Ethanol: 2 mg/mL (8.27 mM; Need ultrasonic)
DMF: 1 mg/mL (4.14 mM; Need ultrasonic)
DMSO: 1 mg/mL (4.14 mM; ultrasonic and adjust pH to 2 with 1M HCl)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>4.1370 mL</td>
<td>20.6851 mL</td>
<td>41.3702 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.8274 mL</td>
<td>4.1370 mL</td>
<td>8.2740 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.4137 mL</td>
<td>2.0685 mL</td>
<td>4.1370 mL</td>
</tr>
</tbody>
</table>

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

In Vivo
1. Add each solvent one by one: PBS
   Solubility: 2.5 mg/mL (10.34 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.42 mg/mL (1.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.42 mg/mL (1.74 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.42 mg/mL (1.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Phenformin hydrochloride is an anti-diabetic agent from the biguanide class, can activate AMPK activity.

IC₅₀ & Target
AMPK
Phenformin stimulates the phosphorylation and activation of AMPKα1 and AMPKα2 without altering LKB1 activity. Phenformin increases AMPK activity and phosphorylation in the isolated heart, the increase in AMPK activity is always preceded by and correlated with increased cytosolic [AMP]. Phenformin is a 50-fold more potent inhibitor of mitochondrial complex I than metformin. Phenformin robustly induces apoptosis in LKB1 deficient NSCLC cell lines. Phenformin at 2 mM similarly induces AMPK signaling as shown by increased P-AMPK and P-Raptor levels. Phenformin induces higher levels of cellular stress, triggering induction of P-Ser51 elf2α and its downstream target CHOP, and markers of apoptosis at later times. Phenformin induces a significant increase in survival and therapeutic response in KLuic mice following long-term treatment.

Phenformin and AICAR increases AMPK activity in H441 cells in a dose-dependent fashion, stimulating the kinase maximally at 5-10 mm and 2 mm, respectively. Phenformin significantly decreases basal ion transport (measured as short circuit current) across H441 monolayers by approximately 50% compared with that of controls. Phenformin and AICAR significantly reduce amiloride-sensitive transepithelial Na+ transport compared with controls. Phenformin and AICAR suppress amiloride-sensitive Na+ transport across H441 cells via a pathway that includes activation of AMPK and inhibition of both apical Na+ entry through ENaC and basolateral Na+ extrusion via the Na+,K+-ATPase.

Phenformin-treated rats reveals a tendency towards a decrease in blood insulin level (radioimmunoassay).

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

Protein content in the solution containing the resupended (NH4)2SO4 pellet is determined using the Bradford method.

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REFERENCES


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