Fenebrutinib

**Cat. No.**: HY-19834  
**CAS No.**: 1434048-34-6  
**Molecular Formula**: \( \text{C}_{37}\text{H}_{44}\text{N}_{8}\text{O}_{4} \)  
**Molecular Weight**: 664.8  
**Target**: Btk  
**Pathway**: Protein Tyrosine Kinase/RTK  
**Storage**: 4°C, stored under nitrogen  
* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

### SOLVENT & SOLUBILITY

**In Vitro**  
DMSO: \( \geq 23 \text{ mg/mL (34.60 mM)} \)

* “\( \geq \)” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.5042 mL</td>
<td>7.5211 mL</td>
<td>15.0421 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3008 mL</td>
<td>1.5042 mL</td>
<td>3.0084 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1504 mL</td>
<td>0.7521 mL</td>
<td>1.5042 mL</td>
</tr>
</tbody>
</table>

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

### BIOLOGICAL ACTIVITY

**Description**  
Fenebrutinib (GDC-0853) is a potent, selective, orally available, and noncovalent bruton’s tyrosine kinase (Btk) inhibitor with \( \text{Ki} \)s of 0.91 nM, 1.6, 1.3, 12.6, and 3.4 nM for WT Btk, and the C481S, C481R, T474I, T474M mutants. Fenebrutinib has the potential for rheumatoid arthritis and systemic lupus erythematosus research\(^1\).

**IC\(_{50}\) & Target**  
\( \text{Ki: 0.91 nM (Btk WT), 1.6 nM (Btk C481S), 1.3 nM (Btk C481R), 12.6 nM (Btk T474I), and 3.4 nM (Btk T474M)}\)\(^{[1]}\)

**In Vitro**  
Fenebrutinib (GDC-0853) inhibits CD69 expression on CD19\(^+\) B cells in human whole blood with an \( \text{IC}_{50} \) of 8.4±5.6 nM. Fenebrutinib inhibits CD63 expression on basophils with an \( \text{IC}_{50} \) of 30.7±4.1 nM\(^{[2]}\). Fenebrutinib suppresses anti-IgM induced Btk Y223 autophosphorylation in human whole blood (\( \text{IC}_{50}=11 \text{nM}\))\(^{[2]}\).

**In Vivo**  
Fenebrutinib (GDC-0853) dose-dependently reduces ankle thickness following once (0.06, 0.25, 1, 4, and 16 mg/kg QD; orally) or twice (0.125, 0.5, and 2 mg/kg BID; orally) daily in female Lewis rats with developing collagen-induced arthritis\(^{[2]}\).

Fenebrutinib (0.2 mg/kg IV and 1.0 mg/kg PO; for rats) and (0.2 mg/kg IV and 0.5 mg/kg PO for dogs) demonstrates the half-lives (\( t_{1/2} \))s of 2.2 and 3.8 h in rats, and dogs, respectively\(^{[2]}\).
<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>Female Lewis rats with developing collagen-induced arthritis (CIA)(^2)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>0.06, 0.25, 1, 4, and 16 mg/kg once daily (QD); 0.125, 0.5, and 2 mg/kg twice daily (BID)</td>
</tr>
<tr>
<td>Administration:</td>
<td>Dosed orally; for 16 days</td>
</tr>
<tr>
<td>Result:</td>
<td>Dose-dependently reduced ankle thickness following QD and BID dosing regimens.</td>
</tr>
</tbody>
</table>

**CUSTOMER VALIDATION**


See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

**REFERENCES**
