Acyltransferase

Diacylglycerol acyltransferase; Diglyceride acyltransferase; acyl-CoA: cholesterol acyltransferase; monoacylglycerol acyltransferase

DGAT (acyl-CoA: diacylglycerol acyltransferase) is a transmembrane enzyme that acts in the final and committed step of triacylglycerides (TAGs) synthesis, and it has been proposed to be the rate-limiting enzyme in plant storage lipid accumulation. DGAT catalyzes the acylation of sn-1,2-diacylglycerol (DAG) at the sn-3 position using an acyl-CoA substrate. DGAT has been proposed to be the rate-limiting enzyme in plant storage lipid accumulation. DGAT is considered a key enzyme for biotechnological purposes; it might be utilized to increase oil content in oleaginous plant species. DGAT1 and DGAT2 are two of the enzymes that are responsible for the main part of TAG synthesis in most organisms, and they have been studied in many eukaryotic organisms.
Acyltransferase Inhibitors & Modulators

2-Furoic acid (Furan-2-carboxylic acid)  Cat. No.: HY-W012946

Bioactivity: 2-Furoic acid (Furan-2-carboxylic acid) is an organic compound produced through furfural oxidation \(^{(1)}\). 2-Furoic acid exhibits hypolipidemic effect, lowers both serum cholesterol and serum triglyceride levels in rats \(^{(2)}\).

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 100 mg

ABT-046  Cat. No.: HY-15197

Bioactivity: ABT-046 is a potent, selective, and orally bioavailable Diacylglycerol acyltransferase 1 (DGAT-1) inhibitor (IC\textsubscript{50} = 8 nM). IC\textsubscript{50} value: 8 nM \(^{(1)}\) Target: DGAT-1 Oral administration at doses ≥0.03 mg/kg significantly reduced postprandial triglycerides in mice following an oral lipid challenge...

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

Avasimibe (CI-1011; PD-148515)  Cat. No.: HY-13215

Bioactivity: Avasimibe is an oral inhibitor of \textit{acyl-Coenzyme A:cholesterol acyltransferase (ACAT)} with IC\textsubscript{50}s of 24 and 9.2 µM for ACAT1 and ACAT2, respectively.

Purity: 99.74%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

AZD7687  Cat. No.: HY-15497

Bioactivity: AZD7687 is a potent and selective DGAT1 inhibitor with an IC\textsubscript{50} value of 80 nM (hDGAT1).

Purity: 98.09%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

DGAT1-IN-1  Cat. No.: HY-12425

Bioactivity: DGAT1-IN-1 is a potent DGAT1 inhibitor with IC\textsubscript{50} of < 10 nM (cell lysate from Hep3B cells overexpressing human DGAT1).

Purity: 95.14%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

AZD988  Cat. No.: HY-50861

Bioactivity: AZD988 is a \textit{diacylglycerol acyl transferase-1 (DGAT-1)} inhibitor with IC\textsubscript{50}s of 6, 5, 11 nM for human, rat, mouse, respectively \(^{(1)}\).

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

AZD101648  Cat. No.: HY-101648

Bioactivity: ACAT-IN-1 cis isomer is a potent ACAT inhibitor with an IC\textsubscript{50} of 100 nM.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

AZD7687  Cat. No.: HY-15497

Bioactivity: DGAT-1 inhibitor 2 is an effective inhibitor of DGAT-1, antiobesity agents. IC\textsubscript{50} value: Target: DGAT-1 Acyl-CoA:diacylglycerol acyltransferase 1 (DGAT1) is one of two known DGAT enzymes that catalyze the final step in triglyceride synthesis. Findings from genetically modified...

Purity: 95.20%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Diacylglycerol acyltransferase inhibitor-1  Cat. No.: HY-112851

Bioactivity: Diacylglycerol acyltransferase inhibitor-1 is a diacylglycerol acyltransferase (DGAT1) inhibitor.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg
### E-5324
**Cat. No.: HY-19183**

**Bioactivity:** E-5324 is a potent inhibitor of acyl-CoA:cholesterol acyltransferase (ACAT) with an IC$_{50}$ of 44 to 190 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg

![E-5324](image)

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### FCE 28654
**Cat. No.: HY-U00369**

**Bioactivity:** FCE 28654 is a water-soluble inhibitor of acylCoA: cholesterol acyltransferase (ACAT), weakly inhibiting ACAT in microsomes from rabbit aorta and intestine, and monkey liver, with an IC$_{50}$ of 2.55, 1.08 and 5.69 μM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg

![FCE 28654](image)

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### GOAT-IN-1
**Cat. No.: HY-103479**

**Bioactivity:** GOAT-IN-1 is an inhibitor of ghrelin O-acyltransferase (GOAT), which could be useful for the prophylaxis or treatment of obesity, diabetes, hyperlipidemia, metabolic, non-alcoholic fatty liver, steatohepatitis, sarcopenia, appetite control, alcohol/narcotic dependence, Alzheimer's disease, Parkinson's...

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

![GOAT-IN-1](image)

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### K-604 dihydrochloride
**Cat. No.: HY-100400A**

**Bioactivity:** K-604 dihydrochloride is a potent and selective acyl-CoA:cholesterol acyltransferase 1 (ACAT-1) inhibitor with an IC$_{50}$ of 0.45±0.06 μM.

**Purity:** 99.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

![K-604 dihydrochloride](image)

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### FR-190809
**Cat. No.: HY-122078**

**Bioactivity:** FR-190809 is a potent, nonadrenotoxic, orally efficacious acyl-CoA:cholesterol O-acyltransferase (ACAT) inhibitor, with an IC$_{50}$ of 45 nM [1].

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

![FR-190809](image)

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### GSK2973980A
**Cat. No.: HY-111417**

**Bioactivity:** GSK2973980A is a potent and selective Acyl-CoA:diacylglycerol acyltransferase 1 (DGAT1) inhibitor with an IC$_{50}$ of 3 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 100 mg, 250 mg, 500 mg

![GSK2973980A](image)

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### MGAT2-IN-1
**Cat. No.: HY-101857**

**Bioactivity:** MGAT2-IN-1 is an orally active inhibitor of monoaicylglycerol acyltransferase (MGAT2) with IC$_{50}$ of 7.8 and 2.4 nM for human and mouse MGAT2, respectively.

**Purity:** 98.63%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

![MGAT2-IN-1](image)

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### MGAT2-IN-2
**Cat. No.: HY-U00430**

**Bioactivity:** MGAT2-IN-2 is a potent and selective acyl CoA:monoacylglycerol acyltransferase 2 (MGAT2) inhibitor with an IC$_{50}$ of 3.4 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 25 mg

![MGAT2-IN-2](image)

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### Nevanimibe (PD-132301; ATR-101)
**Cat. No.: HY-100399**

**Bioactivity:** Nevanimibe (PD-132301; ATR101) is a selective and potent acyl-coenzyme A:cholesterol O-acyltransferase 1 (ACAT1) inhibitor with an EC$_{50}$ of 9 nM. Nevanimibe (PD-132301; ATR101) inhibits ACAT2 with an EC$_{50}$ of 368 nM [1].

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 50 mg, 100 mg

![Nevanimibe (PD-132301; ATR-101)](image)

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### Nevanimibe hydrochloride (PD-132301 hydrochloride; ATR101 hydrochloride)
**Cat. No.: HY-100399A**

**Bioactivity:** Nevanimibe hydrochloride (PD-132301 hydrochloride; ATR101 hydrochloride) is a selective and potent acyl-coenzyme A:cholesterol O-acyltransferase 1 (ACAT1) inhibitor with an EC$_{50}$ of 9 nM. Nevanimibe hydrochloride (PD-132301 hydrochloride; ATR101 hydrochloride) inhibits ACAT2 with an EC$_{50}$ of 99.77%.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

![Nevanimibe hydrochloride (PD-132301 hydrochloride; ATR101 hydrochloride)](image)
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>PF-04620110</td>
<td>HY-13009</td>
<td>PF-04620110 is an orally active, selective and potent diglyceride acyltransferase-1 (DGAT1) inhibitor with IC₅₀ of 19 nM.</td>
<td>99.37%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Pradigastat (LCQ-908)</td>
<td>HY-16278</td>
<td>Pradigastat (LCQ-908) is a diacylglycerol acyltransferase 1 (DGAT1) inhibitor.</td>
<td>96.39%</td>
<td>Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>RP-64477</td>
<td>HY-16437</td>
<td>RP-64477 is a potent inhibitor of the cholesterol esterifying enzyme Acyl-coenzyme A:cholesterol O-acyltransferase (ACAT).</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Xanthohumol</td>
<td>HY-N1067</td>
<td>Xanthohumol is one of the principal flavonoids isolated from hops, the inhibitor of diacylglycerol acetyltransferase (DGAT), COX-1 and COX-2, and shows anti-cancer and anti-angiogenic activities.</td>
<td>99.68%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>PF-06471553</td>
<td>HY-108339</td>
<td>PF-06471553 is a potent, selective and orally available monoacylglycerol acyltransferase 3 (MGAT3) inhibitor, with an IC₅₀ of 92 nM.</td>
<td>98.29%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>RP 70676</td>
<td>HY-101576</td>
<td>RP 70676 is a potent inhibitor of ACAT, with IC₅₀ of 25 and 44 nM for rat and rabbit ACAT.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>T863</td>
<td>HY-32219</td>
<td>T-863 (DGAT-1 inhibitor) is an orally active, selective and potent DGAT1 (Acyl-CoA:diacylglycerol acyltransferase 1) inhibitor that interacts with the acyl-CoA binding site of DGAT1, and inhibits triacylglycerol synthesis in cells.</td>
<td>99.08%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>YM17E</td>
<td>HY-101627</td>
<td>YM17E is an inhibitor of acyl CoA:cholesterol acyltransferase (ACAT), with IC₅₀ of 44 nM in rabbit liver microsomes in vitro.</td>
<td>97.11%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
</tbody>
</table>