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Inhibitors, Agonists, Screening Libraries

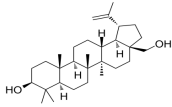
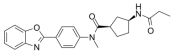
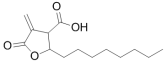
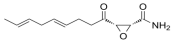
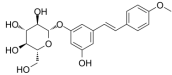
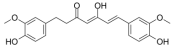
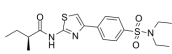
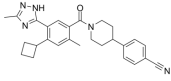
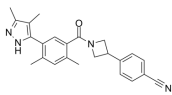
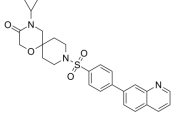
Fatty Acid Synthase (FASN)

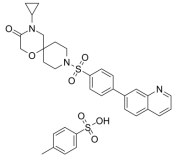
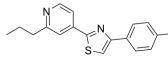
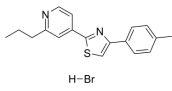
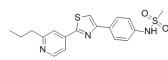
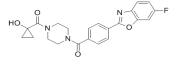
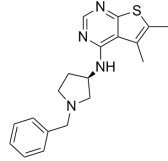
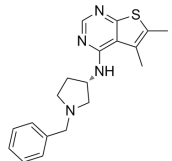
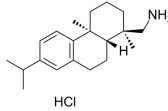
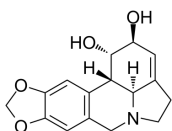

Fatty Acid Synthase (FASN) is a multifunctional homodimeric enzyme protein, and it is the major enzyme required for the anabolic conversion of dietary carbohydrates to fatty acids. Fatty acid synthase catalyzes the conversion of acetyl-CoA and malonyl-CoA, in the presence of NADPH, into long-chain saturated fatty acids.

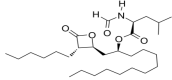
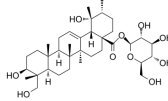
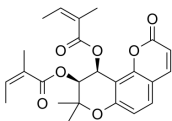
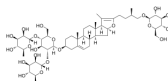
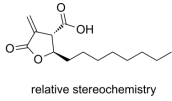
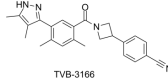
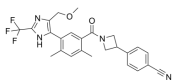
Human fatty acid synthase is a large homodimeric multifunctional enzyme that synthesizes palmitic acid. The unique carboxyl terminal thioesterase domain of fatty acid synthase hydrolyzes the growing fatty acid chain and plays a critical role in regulating the chain length of fatty acid released. Also, the up-regulation of human fatty acid synthase in a variety of cancer makes the thioesterase a candidate target for therapeutic treatment.

Fatty acid synthase of animal tissues is a complex multifunctional enzyme consisting of two identical monomers.

Fatty Acid Synthase (FASN) Inhibitors & Modulators

<p>Betulin (Trochol)</p> <p>Cat. No.: HY-N0083</p> <p>Betulin (Trochol), is a sterol regulatory element-binding protein (SREBP) inhibitor with an IC_{50} of 14.5 μM in K562 cell line.</p>  <p>Purity: >98.0% Clinical Data: No Development Reported Size: 50 mg, 100 mg, 200 mg</p>	<p>BI99179</p> <p>Cat. No.: HY-16100</p> <p>BI99179 is a potent and selective type I fatty acid synthase (FASN) inhibitor with an IC_{50} of 79 nM. BI 99179 exhibits significant exposure (both peripheral and central) upon oral administration in rats.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>C75</p> <p>Cat. No.: HY-12364</p> <p>C75 is a synthetic fatty-acid synthase (FASN) inhibitor; inhibits prostate cancer cells PC3 with an IC_{50} of 35 μM.</p>  <p>Purity: 99.86% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Cerulenin</p> <p>Cat. No.: HY-A0210</p> <p>Cerulenin, the best known natural inhibitor of fatty acid synthase (FASN), is an epoxide produced by the fungus <i>Cephalosporium caeruleus</i>.</p>  <p>Purity: >99.0% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg</p>
<p>Desoxyrhaponticin</p> <p>Cat. No.: HY-N2486</p> <p>Desoxyrhaponticin is a stilbene glycoside from the Tibetan nutritional food <i>Rheum tanguticum</i> Maxim. Desoxyrhaponticin is a Fatty acid synthase (FASN) inhibitor, and has apoptotic effect on human cancer cells.</p>  <p>Purity: 99.80% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg</p>	<p>Dihydrocurcumin</p> <p>Cat. No.: HY-N1967</p> <p>Dihydrocurcumin, a major metabolites of curcumin, reduces lipid accumulation and oxidative stress.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p>
<p>FASN-IN-1</p> <p>Cat. No.: HY-111777</p> <p>FASN-IN-1 is a fatty acid synthase (FASN) inhibitor extracted from patent WO2015134790A1, compound 56.</p>  <p>Purity: 99.62% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>FASN-IN-2</p> <p>Cat. No.: HY-112829</p> <p>FASN-IN-2 is a Fatty Acid Synthase (FASN) inhibitor extracted from patent WO2012122391A1, compound 152, has an IC_{50} of 0.052 μM and an EC_{50} of 0.072 μM.</p>  <p>Purity: 98.52% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>FASN-IN-3</p> <p>Cat. No.: HY-U00436</p> <p>FASN-IN-3 is a fatty acid synthase (FASN) inhibitor extracted from patent US20170119786A1, compound 242A.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>FASN-IN-4</p> <p>Cat. No.: HY-12648</p> <p>FASN-IN-4 is a potent inhibitor of fatty acid synthase (FASN) extracted from patent WO 2012064642 A1, compound 29; has an IC_{50} of 10 nM.</p>  <p>Purity: 99.21% Clinical Data: No Development Reported Size: 2 mg</p>

<p>FASN-IN-4 tosylate</p> <p>Cat. No.: HY-12648A</p>	<p>Fatostatín (125B11)</p> <p>Cat. No.: HY-14452</p>
<p>FASN-IN-4 tosylate is a potent inhibitor of fatty acid synthase (FASN) extracted from patent WO 2012064642 A1, compound 29; has an IC_{50} of 10 nM.</p> <p>Purity: 98.63%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg</p> 	<p>Fatostatín (125B11), a specific inhibitor of SREBP activation, impairs the activation of SREBP-1 and SREBP-2. Fatostatín binds to SCAP (SREBP cleavage-activating protein), and inhibits the ER-Golgi translocation of SREBPs.</p> <p>Purity: 99.77%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 
<p>Fatostatín hydrobromide (125B11 hydrobromide)</p> <p>Cat. No.: HY-14452A</p>	<p>FGH10019</p> <p>Cat. No.: HY-16207</p>
<p>Fatostatín hydrobromide (125B11 hydrobromide), a specific inhibitor of SREBP activation, impairs the activation of SREBP-1 and SREBP-2. Fatostatín hydrobromide binds to SCAP (SREBP cleavage-activating protein), and inhibits the ER-Golgi translocation of SREBPs.</p> <p>Purity: 99.61%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 	<p>FGH10019 is a novel sterol regulatory element-binding protein (SREBP) inhibitor with IC_{50} of 1 μM.</p> <p>Purity: 99.43%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>FT113</p> <p>Cat. No.: HY-111551</p>	<p>HS79</p> <p>Cat. No.: HY-112522</p>
<p>FT113 is a potent and orally active fatty acid synthase (FASN) inhibitor, with an IC_{50} of 213 nM for full-length recombinant human FASN enzyme. In cell-based assay, FT113 blocks FASN activity in BT474 cells (IC_{50} 90 nM).</p> <p>Purity: 99.18%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>HS-79 is an enantiomer of Fasnall, which is a selective fatty acid synthase (FASN) inhibitor. HS-79 is able to inhibit the incorporation of tritiated acetate into lipids with an IC_{50} of 1.57 μM.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p> 
<p>HS80</p> <p>Cat. No.: HY-112522A</p>	<p>Leelamine hydrochloride</p> <p>Cat. No.: HY-110028</p>
<p>HS-80 is an enantiomer of Fasnall, which is a selective fatty acid synthase (FASN) inhibitor. HS-80 is able to inhibit the incorporation of tritiated acetate into lipids with an IC_{50} of 7.13 μM.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p> 	<p>Leelamine hydrochloride is a tricyclic diterpene molecule that is extracted from the bark of pine trees.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p> 
<p>Lycorine</p> <p>Cat. No.: HY-N0288</p>	<p>Nicodicosapent</p> <p>Cat. No.: HY-17640</p>
<p>Lycorine is a natural alkaloid extracted from the Amaryllidaceae plant. Lycorine is a potent and orally active SCAP inhibitor with a K_d value 15.24 nM. Lycorine downregulates the SCAP protein level without changing its transcription.</p> <p>Purity: >98.0%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 50 mg, 100 mg</p> 	<p>Nicodicosapent is a fatty acid niacin conjugate that is also an inhibitor of the sterol regulatory element binding protein (SREBP), a key regulator of cholesterol metabolism proteins such as PCSK9, HMG-CoA reductase, ATP citrate lyase, and NPC1L1.</p> <p>Purity: 98.19%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 

<p>Orlistat (Tetrahydropolstatin; Ro-18-0647)</p>	<p>Pedunculoside</p>
<p>Orlistat is a lipase inhibitor for obesity management that acts by inhibiting the absorption of dietary fats.</p>  <p>Purity: 99.75% Clinical Data: Launched Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg</p>	<p>Pedunculoside is a main bioactive component isolated from Jiubiyang. Pedunculoside exerts lipid-lowering effects partly through the regulation of lipogenesis and fatty acid β-oxidation.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 20 mg</p>
<p>Praeruptorin B (Praeruptorin D)</p>	<p>Pseudoprotodioscin</p>
<p>Praeruptorin B is an inhibitor of sterol regulatory element-binding proteins (SREBPs).</p>  <p>Purity: 99.95% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg</p>	<p>Pseudoprotodioscin, a furostanoside, inhibits SREBP1/2 and microRNA 33a/b levels and reduces the gene expression regarding the synthesis of cholesterol and triglycerides.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 20 mg</p>
<p>trans-C75 (\pm)-C75)</p>	<p>TVB-3166</p>
<p>trans-C75 (\pm)-C75) is an enantiomer of C75. C75 is a synthetic fatty-acid synthase (FASN) inhibitor.</p>  <p>relative stereochemistry</p> <p>Purity: 99.71% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>TVB-3166 is an orally-available, reversible, and selective fatty acid synthase (FASN) inhibitor with IC_{50}s of 42 nM and 81 nM for biochemical FASN and cellular palmitate synthesis, respectively. TVB-3166 induces apoptosis, and inhibits in-vivo xenograft tumor growth.</p>  <p>TVB-3166</p> <p>Purity: 99.55% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>TVB-3664</p>	<p>TVB-3664</p>
<p>TVB-3664 is an orally available, reversible, potent, selective and highly bioavailable fatty acid synthase (FASN) inhibitor, with IC_{50} values of 18 nM and 12 nM for human and mouse cell palmitate synthesis, respectively.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Cat. No.: HY-B0218</p> <p>Cat. No.: HY-N0458</p> <p>Cat. No.: HY-N0082</p> <p>Cat. No.: HY-N0686</p> <p>Cat. No.: HY-12364A</p> <p>Cat. No.: HY-120394</p> <p>Cat. No.: HY-120062</p>