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Inhibitors, Agonists, Screening Libraries

# FKBP

## FK506-binding protein

FKBP (FK506-binding protein) is one of two major immunophilins and most of FKBP family members bind FK506 and show peptidylprolyl cis/trans isomerase (PPIase) activity. Small size FKBP family members contain only FK506-binding domain, while FKBP family members with large molecular weights possess extra domains such as tetratricopeptide repeat domains, calmodulin binding and transmembrane motifs. FKBP family proteins play important functional roles in the T-cell activation, when complexed with their ligands.

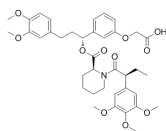
FK506-binding proteins 1a and 1b (FKBP1a/1b) are immunophilin proteins that bind the immunosuppressant agent FK506 and AY 22989. FKBP12 is a ubiquitous abundant protein that acts as a receptor for FK506, binds tightly to intracellular calcium release channels and to the transforming growth factor  $\beta$  (TGF- $\beta$ ) type I receptor.

## FKBP Inhibitors, Modulators & Activators

### AP1867

Cat. No.: HY-114434

AP1867 is a synthetic FKBP12<sup>F36V</sup>-directed ligand.

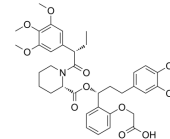


**Purity:** 98.46%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### AP1867-2-(carboxymethoxy) (PROTAC FKBP12-binding moiety 2)

Cat. No.: HY-114420

AP1867-2-(carboxymethoxy), the AP1867 (a synthetic FKBP12<sup>F36V</sup>-directed ligand) based moiety, binds to CRBN ligand via a linker to form dTAG molecules.

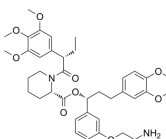


**Purity:** >98%  
**Clinical Data:**  
**Size:** 1 mg, 5 mg

### AP1867-3-(aminoethoxy)

Cat. No.: HY-129363

AP1867-3-(aminoethoxy), the AP1867 based moiety, is a synthetic ligand for FKBP. AP1867-3-(aminoethoxy) can be used in the synthesis of PROTAC FKBP12 F36V degrader.



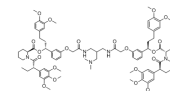
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### AP20187

(B/B Homodimerizer)

Cat. No.: HY-13992

AP20187 (B/B Homodimerizer) is a cell-permeable ligand used to dimerize FK506-binding protein (FKBP) fusion proteins and initiate biological signaling cascades and gene expression or disrupt protein-protein interactions.



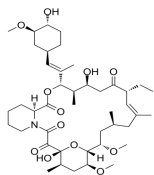
**Purity:** 99.80%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

### Ascomycin

(Immunomycin; FR-900520; FK520)

Cat. No.: HY-13557

Ascomycin(Immunomycin, FR-900520, FK520) is an ethyl analog of tacrolimus (FK506) with strong immunosuppressant properties.

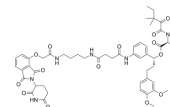


**Purity:** >98.0%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

### dFKBP-1

Cat. No.: HY-103634

dFKBP-1 is a potent and PROTAC-based FKBP12 degrader. dFKBP-1 incorporates the ligand SLF of FKBP12, the Thalidomide based cereblon ligand and a linker.



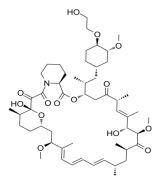
**Purity:** 98.84%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Everolimus

(RAD001; SDZ-RAD)

Cat. No.: HY-10218

Everolimus (RAD001) is a Rapamycin derivative and a potent, selective and orally active mTOR1 inhibitor. Everolimus binds to FKBP-12 to generate an immunosuppressive complex. Everolimus inhibits tumor cells proliferation and induces cell apoptosis and autophagy.



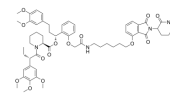
**Purity:** 98.79%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### FKBP12 PROTAC dTAG-13

(dTAG-13)

Cat. No.: HY-114421

FKBP12 PROTAC dTAG-13 (dTAG-13) is a PROTAC-based heterobifunctional degrader. FKBP12 PROTAC dTAG-13 (dTAG-13) is a degrader of FKBP12<sup>F36V</sup> with expression of FKBP12<sup>F36V</sup> in-frame with a protein of interest.



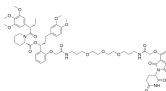
**Purity:** >98.0%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg

### FKBP12 PROTAC dTAG-7

(dTAG-7)

Cat. No.: HY-123941

FKBP12 PROTAC dTAG-7 (dTAG-7) is a heterobifunctional degrader. FKBP12 PROTAC dTAG-7 (dTAG-7) is a degrader of FKBP12<sup>F36V</sup> with expression of FKBP12<sup>F36V</sup> in-frame with a protein of interest.



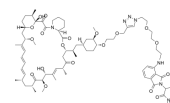
**Purity:** >98.0%  
**Clinical Data:**  
**Size:** 5 mg

### FKBP12 PROTAC RC32

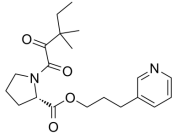
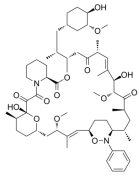
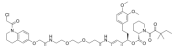
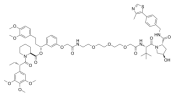
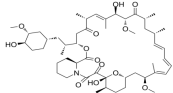
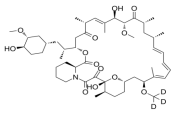
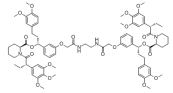
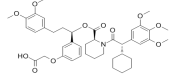
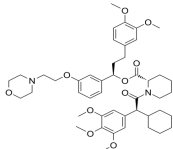
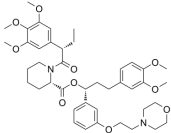
(RC32)

Cat. No.: HY-130835

FKBP12 PROTAC RC32 (RC32) is a potent FKBP12 degrader based on PROTAC technology. FKBP12 PROTAC RC32 contains conjugation of Rapamycin (HY-10219) and a ligand for an E3 ubiquitin ligase (Pomalidomide; HY-10984).



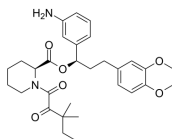
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

<p><b>GPI-1046</b></p> <p style="text-align: right;">Cat. No.: HY-124619</p>	<p><b>ILS-920</b></p> <p style="text-align: right;">Cat. No.: HY-106345</p>
<p>GPI-1046 is a immunophilin ligand without antibiotic action and attenuates ethanol intake in part through the upregulation of <b>glutamate transporter 1 (GLT1)</b> in PFC and NAc-core.</p>  <p><b>Purity:</b> 99.43%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg</p>	<p>ILS-920 is a nonimmunosuppressive Rapamycin analog with reduced immunosuppressive activity and potent neuroprotective activity. ILS-920 binds selectively to the immunophilin <b>FKBP52</b> and to the <math>\beta</math>1-subunit of <b>L-type voltage-gated calcium channels (VGCC)</b>.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>KB02-SLF</b></p> <p style="text-align: right;">Cat. No.: HY-129610</p>	<p><b>PROTAC FKBP Degradar-3</b></p> <p style="text-align: right;">Cat. No.: HY-135345</p>
<p>KB02-SLF is a PROTAC-based nuclear <b>FKBP12</b> degrader (molecular glue). KB02-SLF promotes nuclear <b>FKBP12</b> degradation by covalently modifying <b>DCAF16 (E3 ligase)</b> and can improve the durability of protein degradation in biological systems.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>	<p>PROTAC FKBP Degradar-3 is a PROTAC that comprises a <b>FKBP</b> ligand binding group, a linker and an <b>VHL</b> binding group. PROTAC FKBP Degradar-3 is a potent <b>FKBP</b> degrader.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg, 10 mg</p>
<p><b>Rapamycin</b> (Sirolimus; AY-22989)</p> <p style="text-align: right;">Cat. No.: HY-10219</p>	<p><b>Rapamycin-d3</b> (Sirolimus-d3; AY-22989-d3)</p> <p style="text-align: right;">Cat. No.: HY-10219S</p>
<p>Rapamycin (Sirolimus; AY 22989) is a potent and specific <b>mTOR</b> inhibitor with an <math>IC_{50}</math> of 0.1 nM in HEK293 cells. Rapamycin binds to <b>FKBP12</b> and specifically acts as an allosteric inhibitor of <b>mTORC1</b>. Rapamycin is an <b>autophagy</b> activator, an immunosuppressant.</p>  <p><b>Purity:</b> 99.94%  <b>Clinical Data:</b> Launched  <b>Size:</b> 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg</p>	<p>Rapamycin-d3 (Sirolimus-d3) is the deuterium labeled Rapamycin. Rapamycin is a potent and specific <b>mTOR</b> inhibitor with an <math>IC_{50}</math> of 0.1 nM in HEK293 cells. Rapamycin binds to <b>FKBP12</b> and specifically acts as an allosteric inhibitor of <b>mTORC1</b>.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Rimiducid</b> (AP1903)</p> <p style="text-align: right;">Cat. No.: HY-16046</p>	<p><b>SAFit1</b></p> <p style="text-align: right;">Cat. No.: HY-102079</p>
<p>Rimiducid (AP1903) is a dimerizer agent that acts by cross-linking the <b>FKBP</b> domains. Rimiducid (AP1903) dimerizes the Caspase 9 suicide switch and rapidly induces <b>apoptosis</b>.</p>  <p><b>Purity:</b> 99.81%  <b>Clinical Data:</b> Phase 2  <b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>SAFit1 is a <b>FK506 binding protein 51 (FKBP51)</b>-specific inhibitor with a <math>K_i</math> of <math>4 \pm 0.3</math> nM.</p>  <p><b>Purity:</b> 99.99%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p><b>SAFit2</b></p> <p style="text-align: right;">Cat. No.: HY-102080</p>	<p><b>Shield-1</b></p> <p style="text-align: right;">Cat. No.: HY-112210</p>
<p>SAFit2 is a highly potent, highly selective <b>FK506-binding protein 51 (FKBP51)</b> inhibitor with a <math>K_i</math> of 6 nM and also enhances <b>AKT2-AS160</b> binding.</p>  <p><b>Purity:</b> 98.59%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg</p>	<p>Shield-1 is a specific, cell-permeant and high-affinity ligand of <b>FK506-binding protein-12 (FKBP)</b>, and reverses the instability by binding to <b>mutated FKBP (mtFKBP)</b>, allowing conditional expression of <b>mtFKBP</b>-fused proteins. Shield-1 can stabilize the entire fusion protein.</p>  <p><b>Purity:</b> 99.62%  <b>Clinical Data:</b>  <b>Size:</b> 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

## SLF

Cat. No.: HY-114872

SLF is a synthetic ligand for **FK506-binding protein (FKBP)** with an affinity of 3.1  $\mu\text{M}$  for **FKBP51** and an  $\text{IC}_{50}$  of 0.22  $\mu\text{M}$  for **FKBP12**. SLF can be used in the synthesis of PROTAC.



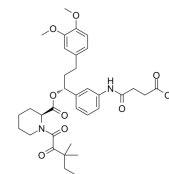
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

## SLF-amido-C2-COOH

(PROTAC FKBP12-binding moiety 1)

Cat. No.: HY-107452

SLF-amido-C2-COOH (PROTAC FKBP12-binding moiety 1) is a synthetic ligand for **FKBP (SLF)**. SLF-amido-C2-COOH (PROTAC FKBP12-binding moiety 1) can be used in the synthesis of PROTACs.



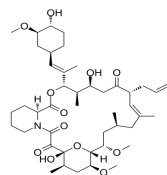
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

## Tacrolimus

(FK506; Fujimycin; FR900506)

Cat. No.: HY-13756

Tacrolimus (FK506), a macrocyclic lactone, binds to **FK506 binding protein (FKBP)** to form a complex and inhibits **calcineurin phosphatase**, which inhibits T-lymphocyte signal transduction and IL-2 transcription. Immunosuppressive properties.

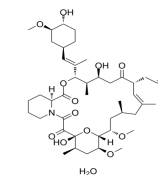


**Purity:** 99.93%  
**Clinical Data:** Launched  
**Size:** 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

## Tacrolimus monohydrate (FK506 monohydrate; Fujimycin monohydrate; FR900506 monohydrate)

Cat. No.: HY-13756A

Tacrolimus monohydrate (FK506 monohydrate), a macrocyclic lactone, binds to **FK506 binding protein (FKBP)** to form a complex and inhibits **calcineurin phosphatase**, which inhibits T-lymphocyte signal transduction and IL-2 transcription. Immunosuppressive properties.

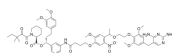


**Purity:** 98.46%  
**Clinical Data:** Launched  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg

## Zapalog

Cat. No.: HY-126316

Zapalog is a photocleavable small-molecule heterodimerizer that can be used to repeatedly initiate, and instantaneously terminate, a physical interaction between two target proteins.



**Purity:** >98%  
**Clinical Data:**  
**Size:** 1 mg, 5 mg