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Inhibitors, Agonists, Screening Libraries

RIP kinase

Receptor-interacting protein kinases; RIPK

Receptor interacting protein 2 (RIP2), a serine/threonine kinase, is an adaptor molecule of NOD1 and NOD2, and genetic variation in this receptor is known to be associated with the severity of allergic asthma in children.

Receptor interacting protein kinase 2 (RIPK2) is critical for NOD-mediated NF- κ B activation and cytokine production. WEHI-345, a selective RIPK2 kinase inhibitor, which delays RIPK2 ubiquitylation and NF- κ B activation downstream of NOD engagement.

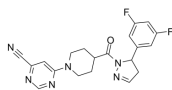
Receptor interacting protein kinase 3 (RIPK3) is a cytosolic master regulator of necroptosis. RIPK3 has an active serine/threonine kinase domain at the N-terminus, and a unique protein-protein interaction domain called the RIP homotypic interaction motif (RHIM) at the C-terminus. Both kinase activity and RHIM are indispensable for necroptosis. RIPK3 interacts with other RHIM-containing proteins such as RIPK1, Toll/interleukin-1 (IL-1) receptor domain-containing adaptor protein inducing TRIF or DAI. RIPK3 induces necroptosis, a type of regulated necrosis, through its kinase domain and RHIM.

RIP kinase Inhibitors

(Rac)-GSK547

Cat. No.: HY-114492A

(Rac)-GSK547 is the racemate of GSK547. GSK547 is a highly selective and potent inhibitor of receptor-interacting serine/threonine protein kinase 1 (RIP1), inhibits macrophage-mediated adaptive immune tolerance in pancreatic cancer.

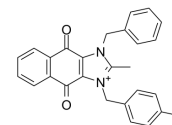


Purity: 99.92%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

cRIPGBM

Cat. No.: HY-125466

cRIPGBM, a proapoptotic derivative of RIPGBM, a cell type-selective inducer of **apoptosis** in GBM cancer stem cells (CSCs) by binding to receptor-interacting protein kinase 2 (RIPK2), with an EC_{50} of 68 nM in GBM-1 cells.

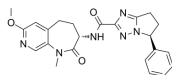


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GNE684

Cat. No.: HY-128585

GNE684 is a potent inhibitor of **potent receptor interacting protein 1 (RIP1)**, with mean K_i^{app} values of 21 nM, 189 nM and 691 nM for human mouse and rat RIP1, respectively.



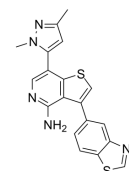
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK-843

(GSK'843)

Cat. No.: HY-125402

GSK-843 (GSK'843) is a **receptor-interacting protein kinase 3 (RIP3 or RIPK3)** inhibitor, which binds RIP3 kinase domain with an IC_{50} of 8.6 nM, and inhibits kinase activity with an IC_{50} of 6.5 nM.

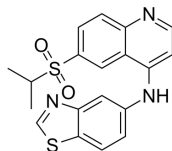


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

GSK-872

Cat. No.: HY-101872

GSK-872 is a **RIPK3** inhibitor, which binds RIP3 kinase domain with an IC_{50} of 1.8 nM, and inhibits kinase activity with an IC_{50} of 1.3 nM.



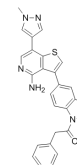
Purity: 99.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GSK2593074A

(GSK'074)

Cat. No.: HY-122909

GSK2593074A (GSK'074) is a **necroptosis** inhibitor with dual targeting ability to both **RIP1** and **RIP3**.

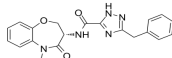


Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GSK2982772

Cat. No.: HY-101760

GSK2982772 is a potent, orally active and ATP competitive **RIP1** kinase inhibitor with IC_{50} values of 16 nM and 20 nM for human and monkey RIP1, respectively.

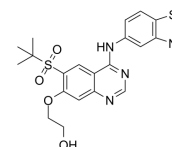


Purity: 95.23%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

GSK2983559 active metabolite

Cat. No.: HY-19764

GSK2983559 active metabolite is an active metabolite of GSK2983559. GSK2983559 active metabolite is a receptor interacting protein-2 (**RIP2**) kinase inhibitor extracted from patent WO/2014043446 A1, compound example 1.

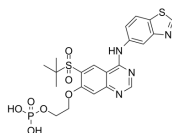


Purity: 98.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

GSK2983559 free acid

Cat. No.: HY-112038

GSK2983559 free acid (compound 3) is a potent, specific and oral bioavailable **receptor interacting protein 2 (RIP2)** kinase inhibitor.

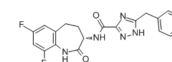


Purity: 99.51%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

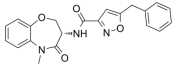
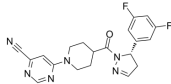
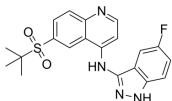
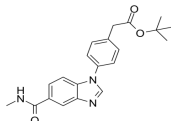
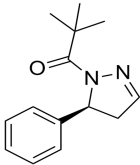
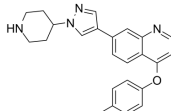
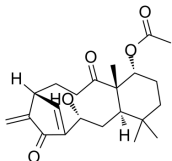
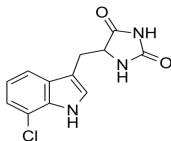
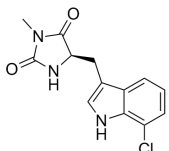
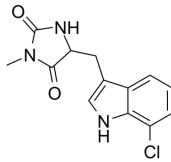
GSK3145095

Cat. No.: HY-111946

GSK3145095 is a **RIP1** kinase inhibitor with an IC_{50} of 6.3 nM.



Purity: 98.27%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

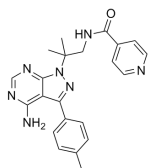
<p>GSK481</p> <p style="text-align: right;">Cat. No.: HY-100131</p>	<p>GSK547 (GSK'547)</p> <p style="text-align: right;">Cat. No.: HY-114492</p>
<p>GSK481 is a highly potent, selective, and specific receptor interacting protein 1 (RIP1) kinase inhibitor with an IC_{50} of 1.3 nM, which inhibits Ser¹⁶⁶ phosphorylation in wild-type human RIP1 (IC_{50}=2.8 nM).</p> <p style="text-align: center;"></p> <p>Purity: >98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>GSK547 (GSK'547) is a highly selective and potent inhibitor of receptor-interacting serine/threonine protein kinase 1 (RIP1), inhibits macrophage-mediated adaptive immune tolerance in pancreatic cancer.</p> <p style="text-align: center;"></p> <p>Purity: 99.74% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>GSK583</p> <p style="text-align: right;">Cat. No.: HY-100339</p>	<p>GSK840 (GSK'840)</p> <p style="text-align: right;">Cat. No.: HY-104021</p>
<p>GSK583 is a highly potent, orally active and selective inhibitor of RIP2 Kinase, with IC_{50} of 5 nM. GSK583 inhibits both TNF-α and IL-6 production with an IC_{50} value of 200 nM.</p> <p style="text-align: center;"></p> <p>Purity: 98.64% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>GSK840 (GSK'840) is a receptor-interacting protein kinase 3 (RIP3 or RIPK3) inhibitor, which binds RIP3 kinase domain with an IC_{50} of 0.9 nM, and inhibits kinase activity with an IC_{50} of 0.3 nM.</p> <p style="text-align: center;"></p> <p>Purity: 98.02% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg</p>
<p>GSK963</p> <p style="text-align: right;">Cat. No.: HY-103028A</p>	<p>HS-1371</p> <p style="text-align: right;">Cat. No.: HY-114349</p>
<p>GSK963 is a chiral, highly potent and selective inhibitor of RIP1 kinase, with an IC_{50} of 29 nM. GSK963 is a selective and potent inhibitor of necroptosis in murine and human cells in vitro.</p> <p style="text-align: center;"></p> <p>Purity: 98.57% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg</p>	<p>HS-1371 is a potent and ATP-competitive receptor-interacting protein kinase 3 (RIP3) inhibitor with an IC_{50} of 20.8nM.</p> <p style="text-align: center;"></p> <p>Purity: 98.49% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Kongensin A</p> <p style="text-align: right;">Cat. No.: HY-N3417</p>	<p>Necroptosis-IN-1</p> <p style="text-align: right;">Cat. No.: HY-135826</p>
<p>Kongensin A is a natural product isolated from <i>Croton kongensis</i>. Kongensin A is an effective, covalent HSP90 inhibitor that blocks RIP3-dependent necroptosis. Kongensin A is a potent necroptosis inhibitor and an apoptosis inducer.</p> <p style="text-align: center;"></p> <p>Purity: >98.0% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Necroptosis-IN-1, an analog of Necrostatin-1 (HY-15760), is a potent necroptosis inhibitor. Necroptosis-IN-1 is a RIPK inhibitor.</p> <p style="text-align: center;"></p> <p>Purity: >98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>Necrostatin 2</p> <p style="text-align: right;">Cat. No.: HY-14622</p>	<p>Necrostatin 2 racemate (Necrostatin 1S; Nec-1S; 7-Cl-O-Nec1)</p> <p style="text-align: right;">Cat. No.: HY-14622A</p>
<p>Necrostatin 2 is a potent necroptosis inhibitor. EC_{50} for inhibition of necroptosis in FADD-deficient Jurkat T cells treated with TNF-α is 0.05 μM. Necrostatin 2 is also a RIPK1 inhibitor.</p> <p style="text-align: center;"></p> <p>Purity: 99.97% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Necrostatin 2 racemate (Nec-1S), the Nec-1 stable, is a potent and specific RIPK1 inhibitor lacking the IDO-targeting effect.</p> <p style="text-align: center;"></p> <p>Purity: 99.59% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg</p>

<p>Necrostatin 2 S enantiomer</p> <p>Cat. No.: HY-14622B</p>	<p>Necrostatin-1 (Nec-1)</p> <p>Cat. No.: HY-15760</p>
<p>Necrostatin 2 S enantiomer is the S enantiomer of Necrostatin 2. Necrostatin 2 is a potent necroptosis inhibitor, acts as a RIPK1 inhibitor lacking the IDO-targeting effect.</p> <p>Purity: 99.83%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg</p>	<p>Necrostatin-1 (Nec-1) is a potent necroptosis inhibitor with an EC₅₀ of 490 nM in Jurkat cells. Necrostatin-1 inhibits RIP1 kinase (EC₅₀=182 nM). Necrostatin-1 is also an IDO inhibitor.</p> <p>Purity: 99.87%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p>PK68</p> <p>Cat. No.: HY-128348</p>	<p>PROTAC RIPK degrader-2</p> <p>Cat. No.: HY-111866</p>
<p>PK68 is a potent and selective type II inhibitor of receptor-interacting kinase 1 (RIPK1) with an IC₅₀ of ~90nM, displays inhibition of RIPK1-dependent necroptosis.</p> <p>Purity: 99.92%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>PROTAC RIPK degrader-2 is a nonpeptidic PROTAC which potently targets serine-threonine kinase RIPK2 and has highly selective for RIPK2 degradation.</p> <p>Purity: 99.05%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg</p>
<p>PROTAC RIPK degrader-6</p> <p>Cat. No.: HY-111870</p>	<p>RIP2 kinase inhibitor 2</p> <p>Cat. No.: HY-19761</p>
<p>PROTAC RIPK degrader-6 (example 1) is a PROTAC targeting RIP Kinase degradation wherein the RIP2 kinase inhibitor is linked via a linker to a cereblon binder.</p> <p>Purity: 99.32%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg</p>	<p>RIP2 kinase inhibitor 2 is a receptor interacting protein-2 (RIP2) kinase inhibitor extracted from patent WO/2014043437 A1, compound example 9.</p> <p>Purity: 99.95%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>RIPA-56</p> <p>Cat. No.: HY-101032</p>	<p>RIPK-IN-4</p> <p>Cat. No.: HY-107978</p>
<p>RIPA-56 is a highly potent, selective, and metabolically stable inhibitor of receptor-interacting protein 1 (RIP1) with an IC₅₀ of 13 nM. RIPA-56 can be used for the treatment of systemic inflammatory response syndrome.</p> <p>Purity: 99.96%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg</p>	<p>RIPK-IN-4 is a potent and selective RIPK2 inhibitor with excellent oral bioavailability, and has an IC₅₀ of 3 nM.</p> <p>Purity: 99.35%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 50 mg</p>
<p>RIPK1-IN-4</p> <p>Cat. No.: HY-18901</p>	<p>RIPK1-IN-7</p> <p>Cat. No.: HY-119933</p>
<p>RIPK1-IN-4 (compound 8) is a potent and selective type II kinase inhibitor of receptor interacting protein 1 (RIP1) kinase and binds to a DLG-out inactive form of RIP1 with an IC₅₀s of 16 nM and 10 nM for RIP1 and ADP-Glo kinase.</p> <p>Purity: 98.22%</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>RIPK1-IN-7 is a potent and selective RIPK1 inhibitor with a K_d of 4 nM and an enzymatic IC₅₀ of 11 nM. RIPK1-IN-7 exhibits excellent antimetastasis activity in the experimental B16 melanoma lung metastasis model.</p> <p>Purity: 98.27%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>

WEHI-345

Cat. No.: HY-18937

WEHI-345 is a potent and selective **RIPK2 kinase** inhibitor with an IC_{50} of 0.13 μ M, which delays **RIPK2** ubiquitylation and **NF- κ B** activation on oligomerization domain (NOD) stimulation.



Purity: 99.27%

Clinical Data: No Development Reported

Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg