Protein Arginine Deiminase

Peptidylarginine Deiminase

Protein arginine deiminase (PAD), is a group of calcium-dependent enzymes, which play crucial roles in citrullination, and can catalyze arginine residues into citrulline. his chemical reaction induces citrullinated proteins formation with altered structure and function, leading to numerous pathological diseases, including inflammation and autoimmune diseases. These pathologies established the PADs as therapeutic targets and multiple PAD inhibitors are known.

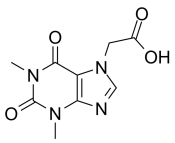
Humans encode five PADs, designated PADs 1-4 and PAD6. Of the five PAD isozymes (PAD1, 2, 3, 4 and 6), only four (PADs1-4) are catalytically active. PAD activity is tightly regulated by Ca^{2+} and PADs contain 4 (PAD1), 5 (PAD3, 4) or 6 (PAD2) Ca^{2+}-binding sites. Dysregulated PAD activity, most notably PAD2 and PAD4, is associated with multiple inflammatory diseases (e.g., rheumatoid arthritis) as well as cancer, and PAD inhibitors, such as Cl-amidine and BB-Cl-amidine, show efficacy in multiple preclinical animal models of disease.

Protein Arginine Deiminase Inhibitors & Activators

Acefylline

(Theophyllineacetic acid; Theophylline-7-acetic acid) Cat. No.: HY-B1505

Acefylline (Theophyllineacetic acid), a xanthine derivative, is an **adenosine receptor** antagonist. Acefylline is a **peptidylarginine deiminase (PAD)** activator. Acefylline is also a bronchodilator, which inhibits rat lung cAMP **phosphodiesterase** isoenzymes.

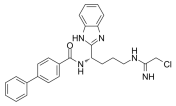


Purity: 99.89%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg

BB-Cl-Amidine

Cat. No.: HY-111347

BB-Cl-Amidine is a peptidylarginine deminase **(PAD)** inhibitor.

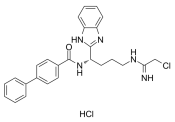


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BB-Cl-Amidine hydrochloride

Cat. No.: HY-111347A

BB-Cl-Amidine hydrochloride is a peptidylarginine deminase **(PAD)** inhibitor.

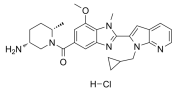


Purity: 99.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

BMS-P5

Cat. No.: HY-137655

BMS-P5 is a specific and orally active **peptidylarginine deiminase 4 (PAD4)** inhibitor. BMS-P5 blocks MM-induced NET formation and delays progression of MM in a syngeneic mouse model.

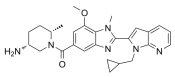


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BMS-P5 free base

Cat. No.: HY-137655A

BMS-P5 free base is a specific and orally active **peptidylarginine deiminase 4 (PAD4)** inhibitor. BMS-P5 free base blocks MM-induced NET formation and delays progression of MM in a syngeneic mouse model.

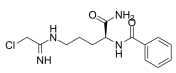


Purity: 99.96%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Cl-amidine

Cat. No.: HY-100574

Cl-amidine is an orally active **peptidylarginine deminase (PAD)** inhibitor, with IC_{50} values of 0.8 μM, 6.2 μM and 5.9 μM for PAD1, PAD3, and PAD4, respectively. Cl-amidine induces apoptosis in cancer cells.

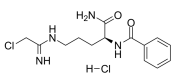


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Cl-amidine hydrochloride

Cat. No.: HY-100574A

Cl-amidine hydrochloride is an orally active **peptidylarginine deminase (PAD)** inhibitor, with IC_{50} values of 0.8 μM, 6.2 μM and 5.9 μM for PAD1, PAD3, and PAD4, respectively. Cl-amidine hydrochloride induces apoptosis in cancer cells.

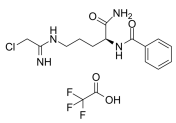


Purity: 99.10%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cl-amidine TFA

Cat. No.: HY-100574B

Cl-amidine TFA is an orally active **peptidylarginine deminase (PAD)** inhibitor, with IC_{50} values of 0.8 μM, 6.2 μM and 5.9 μM for PAD1, PAD3, and PAD4, respectively. Cl-amidine TFA induces apoptosis in cancer cells.

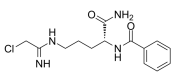


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

D-Cl-amidine

Cat. No.: HY-100574C

D-Cl-amidine is a potent and highly selective **PAD1** inhibitor. D-Cl-amidine is well-torelated with no significant toxicity.

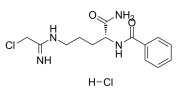


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

D-Cl-amidine hydrochloride

Cat. No.: HY-100574D

D-Cl-amidine hydrochloride is a potent and highly selective **PAD1** inhibitor. D-Cl-amidine is well-torelated with no significant toxicity.

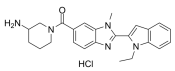


Purity: 99.40%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GSK106

Cat. No.: HY-120343

GSK106 is an inactive control for the selective PAD4 inhibitors, GSK484 and GSK199.

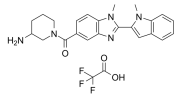


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK121

Cat. No.: HY-117777

GSK-121 Trifluoroacetates a selective **PAD4** inhibitor.

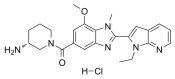


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK199

Cat. No.: HY-103058

GSK199 is a reversible and selective **PAD4** inhibitor with an IC_{50} of 200 nM in the absence of calcium.

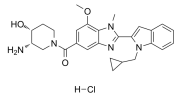


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK484 hydrochloride

Cat. No.: HY-100514

GSK484 hydrochloride is a selective and reversible peptidylarginine deiminase 4 (**PAD4**) inhibitor. GSK484 hydrochloride demonstrates high affinity binding to PAD4 with IC_{50}s of 50 nM in the absence of Calcium. In the presence of 2 mM Calcium, notably lower potency (250 nM) is observed.

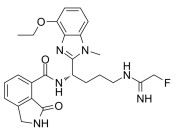


Purity: 98.76%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PAD2-IN-1

Cat. No.: HY-136557

PAD2-IN-1, a benzimidazole-based derivative, is a potent and selective **protein arginine deiminase 2 (PAD2)** inhibitor. PAD2-IN-1 shows superior selectivity for **PAD2** over PAD4 (95-fold) and PAD3 (79-fold).

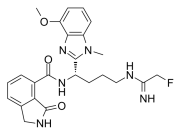


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PAD2-IN-2

Cat. No.: HY-125099

PAD2-IN-2 is a potent **PAD2** inhibitor. PAD2-IN-2 enters the HEK293T/PAD2 cells with an EC_{50} of 5.9 μM. PAD2-IN-2 inhibits histone H3 citrullination with an EC_{50} of 2.1 μM in HEK293/PAD2 cells. PAD2-IN-2 can be used for the research of cancer.



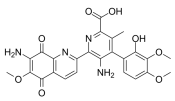
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Streptonigrin

(Bruneomycin)

Cat. No.: HY-124586

Streptonigrin (Bruneomycin), a natural product produced by Streptomyces flocculus, possesses both anti-tumor and anti-bacterial activity.



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