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Inhibitors, Screening Libraries, Proteins

# Cyclic GMP-AMP Synthase

## cGAS

Cyclic GMP-AMP synthase (cGAS) is a cytosolic DNA sensor that activates a type-I interferon response. cGAS binds to microbial DNA as well as self DNA that invades the cytoplasm, and catalyzes cGAMP synthesis. cGAMP then functions as a second messenger that binds to and activates the endoplasmic reticulum protein STING to trigger type-I IFNs production. STING recruits TBK1, which phosphorylates transcription factors, such as IRF3/7, and other substrates, such as IKK $\alpha$ , cRel, and p62.

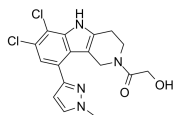
cGAS is a critical regulator of inflammatory and autophagy responses in Huntington disease (HD). cGAS can induce signaling that is known to promote the up-regulation of inflammatory genes and play a critical role in age-related macular degeneration and cellular senescence. cGAS also plays a major role in the regulation of autophagy; this indicates that there is a close molecular and signaling link between inflammatory response and autophagy.

## Cyclic GMP-AMP Synthase Inhibitors

### G140

Cat. No.: HY-133916

G140 is a potent and selective inhibitor of cyclic GMP-AMP synthase (cGAS), with  $IC_{50}$ s of 14.0nM and 442nM for h-cGAS and m-cGAS, respectively. G140 has anti-inflammatory activity.

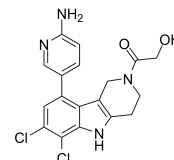


**Purity:** 98.38%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg

### G150

Cat. No.: HY-128583

G150 is a potent and highly selective human cyclic GMP-AMP synthase (h-cGAS) inhibitor for repression of dsDNA-triggered interferon expression, with an  $IC_{50}$  of 10.2 nM.

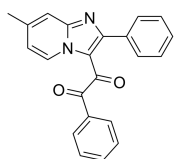


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### IRAK4-IN-4

Cat. No.: HY-114181

IRAK4-IN-4 is an interleukin-1 receptor-associated kinase 4 (IRAK4) inhibitor extracted from patent CN107163044A, Compound15, has an  $IC_{50}$  of 2.8 nM. IRAK4-IN-4 also inhibits cyclic GMP-AMP synthase (cGAS) with an  $IC_{50}$  of 2.1 nM.

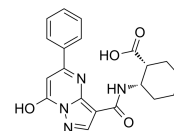


**Purity:** 99.72%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### PF-06928215

Cat. No.: HY-114182

PF-06928215 is a cGAS (cyclic GMP-AMP Synthase) inhibitor with an  $IC_{50}$  of 4.9  $\mu$ M. PF-06928215 has a high binding affinity of 0.2  $\mu$ M ( $K_d$ ).



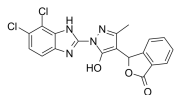
**Purity:** 98.67%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg

### RU.521

(RU320521)

Cat. No.: HY-114180

RU.521 (RU320521) is a potent and selective cyclic GMP-AMP synthase (cGAS) inhibitor and inhibits cGAS-mediated interferon upregulation. RU.521 suppresses dsDNA-activated reporter activity with an  $IC_{50}$  of 700nM. RU.



**Purity:** 98.67%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg