Aryl Hydrocarbon Receptor

AhR

Aryl Hydrocarbon Receptor (AhR or AHR) is a cytoplasmic receptor and transcription factor that belongs to the family of basic helix-loop-helix transcription factors. The AhR is activated or inhibited by various types of exogenous and endogenous ligands. AhR is an important factor in immunity and tissue homeostasis, and structurally diverse compounds from the environment, diet, microbiome, and host metabolism can induce AhR activity, such as 2,3,7,8-tetrachlorodibenzo-p-dioxin (TCDD).

Endogenous ligands include indigoids, heme metabolites, eicosanoids, tryptophan derivatives, and equilenin. Exogenous ligands include polycyclic aromatic hydrocarbons, polychlorinated biphenyls, natural compounds, and small molecule compounds. The different structures and properties of AhR ligands mean that when they combine with AhR they have distinct biological effects.

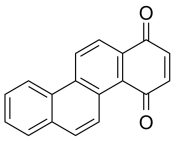
Unliganded AHR is sequestered in the cytoplasm by chaperone proteins including Hsp90, AHR-interacting protein (AIP), and p23. Upon ligand binding, AHR translocates to the nucleus and heterodimerizes with ARNT. The AHR-ARNT complex regulates transcription by binding with high affinity to specific DNA sequences termed aryl hydrocarbon response elements located in the regulatory regions of target genes including CYP1A1, CYP1B1, and TIPARP.

Aryl Hydrocarbon Receptor Inhibitors, Agonists, Antagonists, Activators, Modulators & Inducers

1,4-Chrysenequinone

(Chrysene-1,4-dione) Cat. No.: HY-111441

1,4-Chrysenequinone, a polycyclic aromatic quinone, acts as an activator of aryl hydrocarbon receptor (**AhR**).

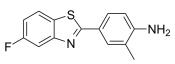


Purity: 98.06%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 50 mg

5F-203

(NSC-703786) Cat. No.: HY-124421

5F-203 (NSC-703786) is a cytotoxic molecule that forms DNA adducts and cell cycle arrest. 5F-203 induces **aryl hydrocarbon receptor (AhR) signaling** and elevates expression of CYP1A1. 5F-203 also increases the levels of reactive oxygen species as well as activates JNK, ERK, and p38.

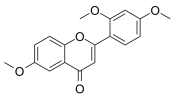


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

6,2',4'-Trimethoxyflavone

Cat. No.: HY-103220

6,2',4'-Trimethoxyflavone is a potent **aryl hydrocarbon receptor (AHR)** antagonist. 6,2',4'-Trimethoxyflavone represses AHR-mediated gene induction.

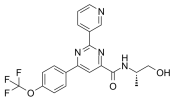


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AHR antagonist 2

Cat. No.: HY-135831

AHR antagonist 2 is a potent **aryl hydrocarbon receptor (AHR)** antagonist, extracted from patent WO2019101641A1, compound example 1, with IC_{50}s of 0.885 and 2.03 nM for human and mouse AhR.

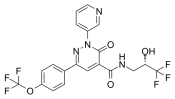


Purity: 99.48%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 250 mg

AHR antagonist 4

Cat. No.: HY-135830

AHR antagonist 4 is a 2-heteroaryl-3-oxo-2,3-dihyd ropyridazine-4-carboxamide compound and a potent **aryl hydrocarbon receptor (AHR)** antagonist extracted from patent WO2018146010A1, example 293, has an IC_{50} of 82.2 nM. AHR antagonist 4 has anti-cancer effects.

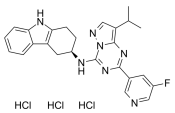


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AHR antagonist 5

Cat. No.: HY-136220

AHR antagonist 5, a potent and orally active aryl hydrocarbon receptor **(AHR)** antagonist extracted from patent WO2018195397, example 39, has an IC_{50} of < 0.5 μM. AHR antagonist 5 significantly inhibits tumor growth combined with checkpoint inhibitor anti-PD-1.

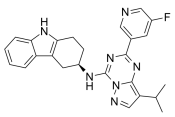


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

AHR antagonist 5 free base

Cat. No.: HY-141609

AHR antagonist 5 free base is a selective and orally active **aryl hydrocarbon receptor (AHR)** inhibitor. AHR antagonist 5 free base effectively blocks AHR from translocating from the cytoplasm to the nucleus.

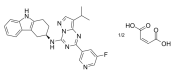


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

AHR antagonist 5 hemimaleate

Cat. No.: HY-136220A

AHR antagonist 5 hemimaleate, a potent and orally active aryl hydrocarbon receptor **(AHR)** antagonist, has an IC_{50} of < 0.5 μM. AHR antagonist 5 hemimaleate significantly inhibits tumor growth combined with checkpoint inhibitor anti-PD-1 (WO2018195397, example 39).

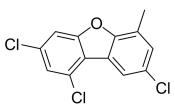


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AhR modulator-1

Cat. No.: HY-135671

AhR modulator-1 (compound 6-MCDF) is a selective and orally active **aryl hydrocarbon receptor (AhR)** modulator. AhR modulator-1 inhibits metastasis, in part, by inhibiting **prostatic VEGF** production prior to tumor formation. AhR modulator-1 also possess anti-**estrogenic** properties in rat uterus.

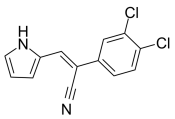


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ANI-7

Cat. No.: HY-117102

ANI-7 is an activator of **aryl hydrocarbon receptor (AhR)** pathway. ANI-7 inhibits the growth of multiple cancer cells, and potently and selectively inhibits the growth of MCF-7 breast cancer cells with a GI_{50} of 0.56 μM.

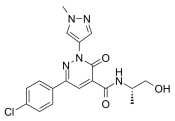


Purity: 99.25%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BAY 2416964

Cat. No.: HY-135829

BAY 2416964 is a potent and orally active **aryl hydrocarbon receptor (AHR)** antagonist extracted from patent WO2018146010A1, example 192, has an IC_{50} of 341 nM. BAY 2416964 has the potential for solid tumors treatment.



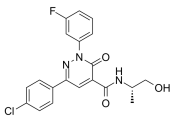
Purity: 99.59%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BAY-218

(AHR antagonist 1)

Cat. No.: HY-111449

BAY-218 (AHR antagonist 1) is an **aryl hydrocarbon receptor (AHR)** antagonist extracted from patent WO2017202816A1, example 23, has an IC_{50} of 39.9 nM in human cell line.

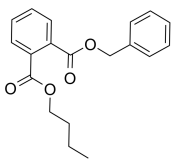


Purity: 99.91%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Benzyl butyl phthalate

Cat. No.: HY-W011338

Benzyl butyl phthalate, a member of phthalic acid esters (PAEs), can trigger the migration and invasion of hemangioma (HA) cells via upregulation of Zeb1.

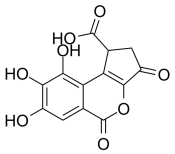


Purity: ≥97.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 500 mg

Brevifolincarboxylic acid

Cat. No.: HY-N4095

Brevifolincarboxylic acid is extracted from Polygonum capitatum, has inhibitory effect on the aryl hydrocarbon receptor (**AhR**). Brevifolincarboxylic acid is an **α-glucosidase** inhibitor with an IC_{50} of 323.46 μM.



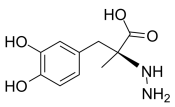
Purity: 99.80%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Carbidopa

((S)-(-)-Carbidopa)

Cat. No.: HY-B0311

Carbidopa ((S)-(-)-Carbidopa), a peripheral **decarboxylase** inhibitor, can be used for the research of Parkinson's disease. Carbidopa is a selective **aryl hydrocarbon receptor (AhR)** modulator. Carbidopa inhibits pancreatic cancer cell and tumor growth.



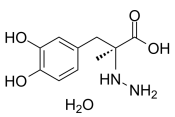
Purity: ≥98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg

Carbidopa monohydrate

((S)-(-)-Carbidopa monohydrate)

Cat. No.: HY-B0311A

Carbidopa ((S)-(-)-Carbidopa) monohydrate, a peripheral **decarboxylase** inhibitor, can be used for the research of Parkinson's disease. Carbidopa monohydrate is a selective **aryl hydrocarbon receptor (AhR)** modulator. Carbidopa monohydrate inhibits pancreatic cancer cell and tumor growth.



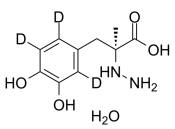
Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

Carbidopa-d3 monohydrate

((S)-(-)-Carbidopa-d3 monohydrate)

Cat. No.: HY-B0311AS

Carbidopa-d3 ((S)-(-)-Carbidopa-d3) monohydrate is the deuterium labeled Carbidopa monohydrate. Carbidopa ((S)-(-)-Carbidopa) monohydrate, a peripheral **decarboxylase** inhibitor, can be used for the research of Parkinson's disease.



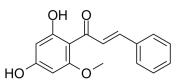
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Cardamonin

(Cardamomin; Alpinetin chalcone)

Cat. No.: HY-N0279

Cardamonin (Cardamomin) acts as an **aryl hydrocarbon receptor** (**AhR**) activator. Cardamonin alleviates inflammatory bowel disease by the inhibition of **NLRP3 inflammasome** activation via an AhR/Nrf2/NQO1 pathway.

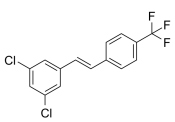


Purity: 98.54%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

CAY 10465

Cat. No.: HY-112627

CAY 10465 is a selective and high-affinity **AhR** agonist, with a K_i of 0.2 nM, and shows no effect on estrogen receptor (K_i >100000 nM).

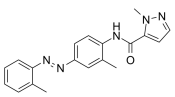


Purity: 99.00%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CH-223191

Cat. No.: HY-12684

CH-223191 is a potent and specific antagonist of aryl hydrocarbon receptor (**AhR**). CH-223191 inhibits TCDD-mediated nuclear translocation and DNA binding of AhR, and inhibits TCDD-induced luciferase activity with an IC_{50} of 0.03 μM.

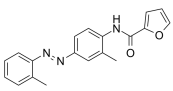


Purity: 99.60%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

CHD-5

Cat. No.: HY-118780

CHD-5 is a potent **AhR** (aryl hydrocarbon receptor) antagonist.

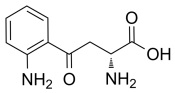


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

D-Kynurenine

Cat. No.: HY-W014502

D-kynurenine, a metabolite of D-tryptophan, can serve as the bioprecursor of kynurenic acid (KYNA) and 3-hydroxykynurenine. D-Kynurenine is an agonist for G protein-coupled receptor, **GPR109B**. D-Kynurenine is a substrate in a fluorometric assay of D-amino acid oxidase.

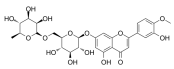


Purity: 99.36%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 25 mg, 50 mg, 100 mg

Diosmin

Cat. No.: HY-N0178

Diosmin is a flavonoid found in a variety of citrus fruits and also an agonist of the **aryl hydrocarbon receptor** (**AhR**).



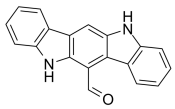
Purity: ≥98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg

FICZ

(6-Formylindolo[3,2-b]carbazole)

Cat. No.: HY-12451

FICZ is a potent **aryl hydrocarbon receptor (AhR)** agonist with a K_d of 70 pM.

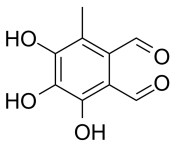


Purity: 99.42%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Flavipin

Cat. No.: HY-N10295

Flavipin is an aryl hydrocarbon receptor **(Ahr)** agonist that induces the expression of Ahr downstream genes in mouse CD4$^+$ T cells and CD11b$^+$ macrophages. Flavipin inhibits the stabilizing function of Arid5a on Il23a 3'UTR, a newly identified target mRNA.

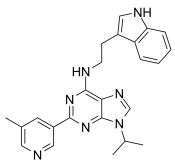


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GNF351

Cat. No.: HY-102023

GNF351 is a full **aryl hydrocarbon receptor (AHR)** antagonist. GNF351 competes with a photoaffinity AHR ligand for binding to the AHR with an IC_{50} of 62 nM. GNF351 is minimal toxicity in mouse or human keratinocytes.



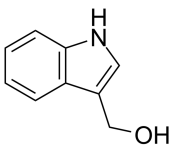
Purity: 99.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Indole-3-carbinol

(I3C; 3-Indolemethanol)

Cat. No.: HY-N0170

Indole-3-carbinol (I3C) inhibits **NF-κB** activity and also is an **Aryl hydrocarbon receptor** (**AhR**) agonist, and an inhibitor of **WWP1** (WW domain-containing ubiquitin E3 ligase 1).

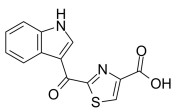


Purity: ≥98.0%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 200 mg, 1 g

Indolokine A5

Cat. No.: HY-N10123

Indolokine A5, a catabolite of L-cysteine, is a potent **AhR** agonist.

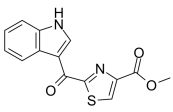


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ITE

Cat. No.: HY-19317

ITE is a potent endogenous agonist of aryl hydrocarbon receptor (**AhR**), binding directly to **AHR**, with a K_i of 3 nM. ITE also has immunosuppressive activity.

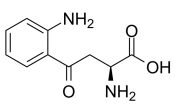


Purity: 99.27%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

L-Kynurenine

Cat. No.: HY-104026

L-Kynurenine is a metabolite of the amino acid L-tryptophan. L-Kynurenine is an **aryl hydrocarbon receptor** agonist.

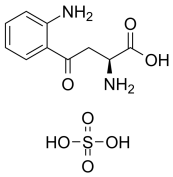


Purity: 99.85%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 50 mg

L-Kynurenine sulfate

Cat. No.: HY-104026B

L-Kynurenine sulfate, an **aryl hydrocarbon receptor** (**AHR**) agonist that activates AHR-directed, naive T cell polarization to the anti-inflammatory Treg phenotype.

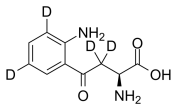


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

L-Kynurenine-d4

Cat. No.: HY-104026S

L-Kynurenine-d4 is the deuterium labeled L-Kynurenine. L-Kynurenine is a metabolite of the amino acid L-tryptophan. L-Kynurenine is an **aryl hydrocarbon receptor** agonist.

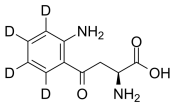


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

L-Kynurenine-d4-1

Cat. No.: HY-104026S1

L-Kynurenine-d4-1 is deuterium labeled L-Kynurenine. L-Kynurenine is a metabolite of the amino acid L-tryptophan. L-Kynurenine is an aryl hydrocarbon receptor agonist.

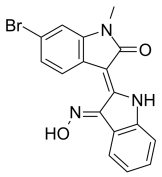


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MeBIO

Cat. No.: HY-103221

MeBIO is a potent **AhR** (aryl hydrocarbon receptor) agonist, with **IC_{50}** of 44 μM (GSK-3) and 55 μM (CDK1/cyclin B), respectively. MeBIO is inactive on GSK-3β.



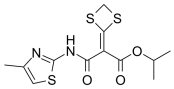
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Mivotilate

(YH439)

Cat. No.: HY-100242

Mivotilate is a nontoxic, potent activator of the **aryl hydrocarbon receptor (AhR)**, and acts as a hepatoprotective agent.

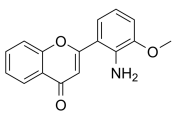


Purity: 99.01%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

PD98059

Cat. No.: HY-12028

PD98059 is a potent and selective **MEK** inhibitor with an **IC_{50}** of 5 μM. PD98059 binds to the inactive form of **MEK**, thereby preventing the activation of **MEK1** (**IC_{50}** of 2-7 μM) and **MEK2** (**IC_{50}** of 50 μM) by upstream kinases. PD98059 is a **ERK1/2** signaling inhibitor.

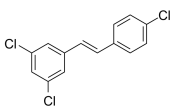


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

PDM2

Cat. No.: HY-112629

PDM2 is a selective, high-affinity aryl hydrocarbon receptor (**AhR**) antagonist with an **K_i** of 1.2±0.4 nM.



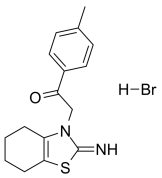
Purity: 98.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Pifithrin-α hydrobromide

(Pifithrin hydrobromide; PFTα hydrobromide)

Cat. No.: HY-15484

Pifithrin-α hydrobromide is a **p53** inhibitor which blocks its transcriptional activity and prevents cells from apoptosis. Pifithrin-α hydrobromide is also an **aryl hydrocarbon receptor (AhR)** agonist.



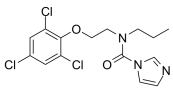
Purity: 95.42%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Prochloraz

(BTS 40542)

Cat. No.: HY-B0845

Prochloraz is an imidazole antifungal that inhibits ergosterol biosynthesis via inhibition of the cytochrome P450-dependent 14α-demethylation of lanosterol, which results in disruption of the fungal cell membrane and cell death.



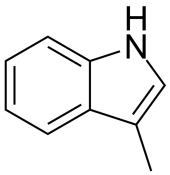
Purity: 99.32%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 250 mg

Skatole

(3-Methylindole; 3-Methyl-1H-indole)

Cat. No.: HY-W007355

Skatole is produced by intestinal bacteria, regulates intestinal epithelial cellular functions through activating **aryl hydrocarbon receptors** and **p38**.

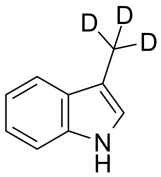


Purity: 99.86%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 100 mg

Skatole-d3

(3-Methylindole-d3; 3-Methyl-1H-indole-d3) Cat. No.: HY-W007355S

Skatole-d3 (3-Methylindole-d3) is the deuterium labeled Skatole. Skatole is produced by intestinal bacteria, regulates intestinal epithelial cellular functions through activating **aryl hydrocarbon receptors** and **p38**.

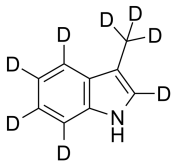


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Skatole-d8

(3-Methylindole-d8; 3-Methyl-1H-indole-d8) Cat. No.: HY-W007355S1

Skatole-d8 (3-Methylindole-d8) is the deuterium labeled Skatole. Skatole is produced by intestinal bacteria, regulates intestinal epithelial cellular functions through activating **aryl hydrocarbon receptors** and **p38**.

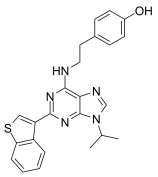


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

StemRegenin 1

(SR1) Cat. No.: HY-15001

StemRegenin 1 is a potent aryl hydrocarbon receptor (**AhR**) antagonist with **IC_{50}** of 127 nM.

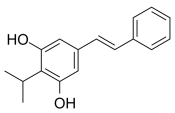


Purity: 99.87%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Tapinarof

(WBI-1001; Benvitimod; GSK2894512) Cat. No.: HY-109044

Tapinarof (WBI-1001) is a natural **aryl hydrocarbon receptor** (**AhR**) agonist with an **EC_{50}** of 13 nM. Tapinarof resolves skin inflammation in mice.

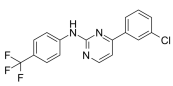


Purity: 99.95%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 250 mg

VAF347

Cat. No.: HY-135750

VAF347 is a cell permeable and highly affinity **aryl hydrocarbon receptor (AhR)** agonist and induces **AhR** signaling. VAF347 inhibits the development of $CD14^+CD11b^+$ monocytes from granulo-monocytic (GM stage) precursors. VAF347 has anti-inflammatory effects.

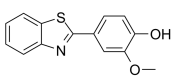


Purity: 99.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

YL-109

Cat. No.: HY-18619

YL-109 is an antitumor agent that can induce carboxyl terminus of Hsp70-interacting protein (CHIP) expression through **aryl hydrocarbon receptor (AhR)** signaling. YL-109 has ability to inhibit breast cancer cell growth and invasiveness.

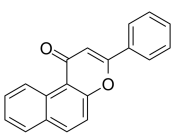


Purity: 98.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

β-Naphthoflavone

(5,6-Benzoflavone; beta-NF) Cat. No.: HY-114740

β-Naphthoflavone is a non-carcinogenic **AhR** agonist as a positive control for the induction of AhR transcriptional activity. β-Naphthoflavone inhibits hydrogen peroxide-induced apoptosis.



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