

Aryl Hydrocarbon Receptor (AhR)

The aryl hydrocarbon receptor (AhR) is a basic helix-loop-helix (bHLH) Per-Arnt-SIM (PAS) family transcription factor that is activated by xenobiotics and numerous endogenous ligands.¹ It has been implicated in a number of normal and pathophysiological processes including embryogenesis, cellular transformation, tumorigenesis, and inflammation. Inhibition of AhR promotes hemopoietic stem cell self-renewal and expansion.² AhR is expressed in multiple tumors and cancer cell lines and knockdown of AhR typically results in decreased proliferation and invasiveness suggesting a pro-oncogenic role.³

Kynurenine

A tryptophan catabolite generated by a Trp-degrading enzyme, tryptophan-2,3-dioxygenase (TDO).⁴ Kynurenine is an endogenous ligand of the AhR produced during cancer progression and found in tumor microenvironments at concentrations sufficient for activating AhR. It suppresses tumor immune responses and promotes tumor survival suggesting that inhibitors of the TDO-AhR pathway may be effective as cancer therapeutics.⁵

Product No: 10-2666 20 mg/ 100 mg/

680C91

A potent and selective inhibitor of tryptophan 2,3-dioxygenase (TDO) ($K_i = 42$ nM).^{6,7} TDO inhibition by 680C91 in glioma cells blocked the release of kynurenine, an endogenous tumor promoting AhR ligand.⁵

Product No: 10-1443 5 mg/ 25 mg/

6-Formylindolo(3,2-b)carbazole

Very potent ($K_d = 0.07$ nM) endogenous ligand for the aryl hydrocarbon receptor (AhR).^{8,9}

Product No: 10-1463 500 µg/ 1 mg/

SR1

StemRegenin1 (SR1) extends the pluripotency of hematopoietic stem cells by antagonizing AhR signaling ($IC_{50} = 127$ nM) resulting in continued expression of CD34.²

Product No: 10-4508 1 mg/ 5 mg/

DIMNF

An AhR ligand acting as a selective aryl hydrocarbon modulator (SAhRM). Exerts DRE-independent anti-inflammatory activity via suppression of cytokine-mediated complement factor gene expression.¹⁰ May regulate the immune response to tumor formation.¹⁰

Product No: 10-1557 10 mg/ 50 mg/

Cinnabarinic acid

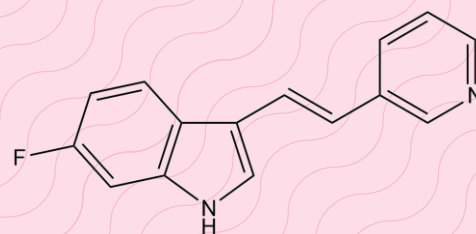
Endogenous AhR agonist which drives T cell IL-22 production.¹¹

Product No: 10-2883 5 mg/ 25 mg/

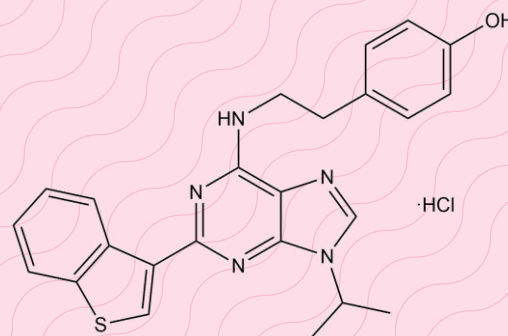
CH-223191

Potent and selective AhR antagonist. Important tool for probing role AhR in cell toxicity.¹²

Product No: 10-3089 5 mg/ 25 mg/



680C91



SR-1

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