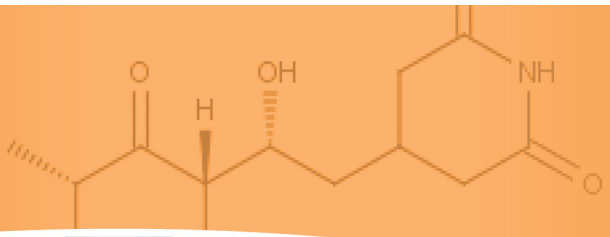
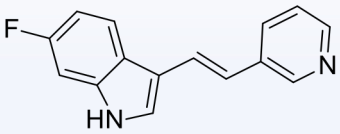
FOCUS on...



Aryl Hydrocarbon Receptor (AHR)



NEW! - 680C91

The aryl hydrocarbon receptor (AHR) is a basic helix-loop-helix (bHLH) Per-Arnt-SIM (PAS) family transcription factor that is activated by xenobiotics such as benzo[a] pyrene and dioxin and numerous endogenous ligands including tryptophan derivatives, bilirubin, lipoxin A4 and prostaglandin G[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]. However the ligands, if any, that activate the receptor in specific tumors are still being determined.

Kynurenine

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

10-2666 20 mg , 100 mg

680C91

A potent and selective inhibitor of tryptophan 2,3-dioxygenase (TDO) (K_i=42 nM)[6,7]. Displays no activity against indoleamine 2,3-dioxygenase, MAO-A and B and does not affect serotonin uptake. TDO inhibition by 680C91 in glioma cells blocked the release of kynurenine, an endogenous tumor promoting AHR ligand[5].

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].

10-1463 100 µg , 500 µg

References

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