Validation data for CH-223191

https://www.invivogen.com/ch223191

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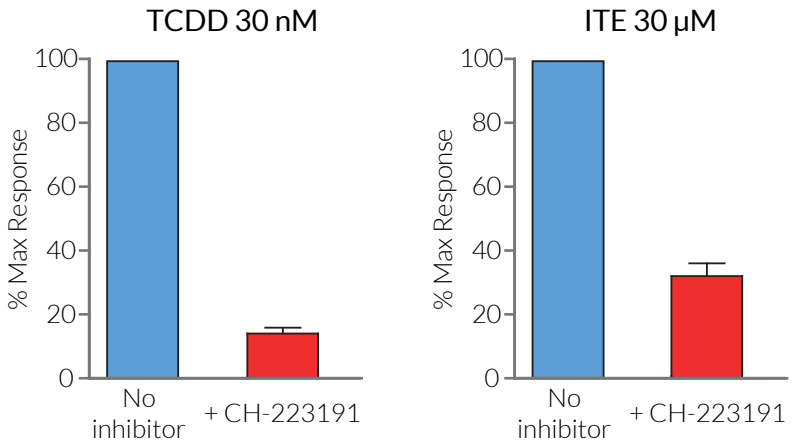
Version 23A19-MM

CH-223191 is a synthetic antagonist for the cytosolic aryl hydrocarborn receptor (AhR) that was first described as a competitive ligand of the xenobiotic 2,3,7,8-tetrachlorodibenzo-p-dioxin (TCDD). CH-223191 blocks TCDD-mediated nuclear translocation and DNA binding of AhR. This AhR inhibitor exerts a ligand-selective antagonism and appears to be more effective on halogenated aromatic hydrocarbons such as TCDD, than on polycyclic aromatic hydrocarbons and non halogenated aromatic hydrocarbons such as FICZ (6-formylindolol[3,2-b]carbazole) and ITE (2-(1'H-indole-3'-carbonyl)-thiazole-4-carboxylic acid methyl ester), respectively. Co-incubation of InvivoGen's HepG2-Lucia™-AhR cells with CH-223191, and TCDD or ITE, results in a significant inhibition (70% to 85%) of the AhR genomic signaling pathway, evidenced by a decreased Cyp1a1-induced expression of Lucia luciferase reporter.

Evaluation of cellular AhR inhibition by CH-223191



Inhibition of AhR activity by CH-223191 in HepG2-Lucia™ Ahr cells. The cells were stimulated with 30 nM TCDD or 30 µM ITE in the presence of 10 µM CH-223191. After overnight incubation, the AhR response was assessed by determining Lucia luciferase activity in the supernatant using QUANTI-Luc™ 4 Lucia/Gaussia. Percentages of the maximal response for the ligand with no inhibitor are shown.

TECHNICAL SUPPORT
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