CH-223191 AhR antagonist - InvitroFit™ Catalog code: inh-ch22, inh-ch22-2

https://www.invivogen.com/ch223191

For research use only

Version 23L07-MM

PRODUCT INFORMATION

Contents CH-223191 is available in two quantities:

• inh-ch22: 10 mg CH-223191 - InvitroFit™

• inh-ch22-2:20 mg (2 x 10 mg) CH-223191 - InvitroFit™

Storage and stability

- CH-223191 is shipped at room temperature. Upon receipt, store at -20 °C. Protect this product from light.

- Upon resuspension of CH-223191, store at 4 °C. Resuspended product is stable for at least 2 months when properly stored.

Quality control

- Purity ≥ 95% (UHPLC)

- The absence of bacterial contamination (e.g. lipoproteins and endotoxins) has been confirmed using HEK-Blue[™] TLR2 and HEK-Blue[™] TLR4 cells.

- The inhibitory activity has been validated using cellular assays.

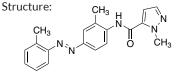
DESCRIPTION

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)¹. Interestingly, CH-223191 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 and ITE, respectively². CH-223191 blocks TCDD-mediated nuclear translocation and DNA binding of AhR, but has no impact on the AhR-Src induction of IL-10 expression in macrophages *ex vivo*^{1.3}.

1. Kim, S.H. et al., 2006. Novel compound 2-methyl-2H-pyrazole-3-carboxylic acid (2-methyl-4-o-tolylazo-phenyl)-amide (CH-223191) prevents 2,3,7,8-TCDD-Induced toxicity by antagonizing the aryl hydrocarbon receptor. Mol. Pharmacol. 69:1871-78. 2. Zhao B. et al., 2010. CH223191 is a ligand-selective antagonist of the Ah (Dioxin) receptor. Toxicol. Sci. 117:393-403. 3. Zhu J. et al., 2018. Aryl hydrocarbon receptor promotes IL-10 expression in inflammatory macrophages through Src-STAT signaling pathway. Front. Immunol. 9:2033.

CHEMICAL PROPERTIES

CAS number: 301326-22-7 Synonym: 2-methyl-2H-pyrazole-3-carboxylic acid Solubility: 30 mg/ml (90 mM) in DMSO Formula: $C_{19}H_{19}N_5O$ Molecular weight: 333.4 g/mol



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METHODS

Preparation of 10 mg/ml (30 mM) stock solution

- 1. Add 1 ml of DMSO to 10 mg CH-223191. Mix by vortexing.
- 2. Use immediately or store at 4 °C.
- 3. Prepare further dilutions using sterile endotoxin-free water or PBS.

Working concentration range: 1 μM (333 ng/ml) to 30 μM (10.1 $\mu\text{g/ml})$ for cell culture assays.

AhR inhibition assay

Described below is a protocol to study AhR inhibition in HepG2-Lucia[™] AhR cells which derive from the human HepG2 hepatoma cell line. These cells report AhR activation through the monitoring of human Cyp1a1-induced Lucia luciferase activity. For more information, visit https://www.invivogen.com/hepg2-lucia-ahr.

1. Add 20 μl of CH-223191 at 1-30 μM (final concentration) per well of a flat-bottom 96-well plate.

- 2. Add 160 µl of cell suspension (~20,000 cells) per well.
- 3. Incubate at 37 °C for 1 hour.

4. Add 20 μl of a test sample or an AhR ligand such as TCDD (10 nM final concentration) or ITE at 30 μM (final concentration) per well of a flat-bottom 96-well plate.

Incubate the plate at 37 °C in a 5% CO₂ incubator for 18-24 hours.
Monitor Lucia luciferase reporter protein production using a luciferase detection reagent, such as QUANTI-Luc[™] 4 Lucia/Gaussia.

RELATED PRODUCTS

Product	Description	Cat. Code
FICZ	AhR ligand	tlrl-ficz
HepG2-Lucia [™] AhR Cells	AhR reporter cells	hpgl-ahr
HT29-Lucia [™] AhR Cells	AhR reporter cells	ht2l-ahr
QUANTI-Luc [™] 4 Lucia/Gaussia	Luminesence detection kit	rep-qlc4lg1

