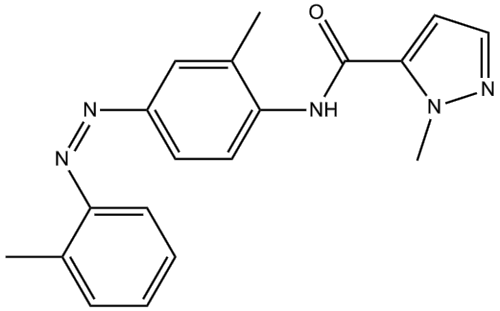
Product Data Sheet

Product Name: CH 223191
Cat. No.: GC16220

Chemical Properties

Cas. No.	301326-22-7		
Chemical Name	2-methyl-N-[2-methyl-4-[(2-methylphenyl)diazenyl]phenyl]pyrazole-3-carboxamide		
SMILES	CC1=CC=CC=C1N=NC2=CC(=C(C=C2)NC(=O)C3=CC=NN3C)C		
Formula	$C_{19}H_{19}N_5O$	M.Wt	333.39
Solubility	≥ 33.3mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:	
Cell lines	HepG2 cell lines

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Preparation method	The cells were treated with different concentrations of CH223191 for 5 h.
Reaction Conditions	0.1-10 μM; 5 h
Applications	CH223191 was able to inhibit the catalytic activity of CYP1A1 with IC_{50} of 1.48 μM. CYP1A1 transcription activity caused by the CH223191 up to 16.84%.
Animal experiment [2]:	
Animal models	Male ICR mice
Preparation method	Male ICR mice (6 weeks old) were given oral vehicle (corn oil) or CH-223191 (10 mg/kg in corn oil) once a day for 25 days and treated i.p. with TCDD (100g/kg in corn oil) once after the first week of CH-223191 treatment. The body weights of all mice were measured before dosing and at termination.
Dosage form	10 mg/kg; 25 days ; oral
Applications	CH-223191 (10 mg/kg; once a day; 25 days) suppresses cytochrome P450 1A1 expression and the intrahepatocyte fat content in liver, reduces activity of AST and ALT in TCDD-treated mice.

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References:

[1] Mohammadi-Bardbori A , Omidi M , Arabnezhad M R. Impact of CH223191-Induced Mitochondrial Dysfunction on Its Aryl Hydrocarbon Receptor Agonistic and Antagonistic Activities[J]. Chemical Research in Toxicology, 2019.

[2] Kim SH, et al. 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. 2006 Jun;69(6):1871-8.

Background

CH 223191 is an effective and specific antagonist of the aryl hydrocarbon receptor (AhR), capable of inhibiting TCDD-mediated AhR nuclear translocation and DNA binding, and suppresses TCDD-induced luciferase activity, with an IC50 of 0.03 μM[1]. CH-223191 effectively prevents TCDD-induced cytochrome P450 induction, hepatotoxicity, and wasting syndrome in mice.

In vitro, CH 223191 (0.1-10 μM; pre-treated for 1 hour) inhibits TCDD-induced cytochrome P450 1A1 mRNA expression in a dose-dependent manner[1]. CH 223191 reduces the invasiveness of the LNT-229 cell line by 81% and the LN-308 cell line by 72%[2]. Pre-treatment with CH 223191 (10–6 M) significantly reverses the inhibition effect of TCDD (10–9 M) on human AChE promoter activity[3].

In vivo, CH 223191 (10 mg/kg; once daily; for 25 days) inhibits the expression of cytochrome P450 1A1 in the liver of mice treated with TCDD and reduces hepatic fat content, lowering AST and ALT activity[1].

References:

[1] Kim SH, et al. 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. 2006 Jun;69(6):1871-8.

[2] Gramatzki D , Pantazis G , Schittenhelm J ,et al. Aryl hydrocarbon receptor inhibition downregulates the TGF-beta/Smad pathway in human glioblastoma cells[J]. Oncogene. 2009(28).

[3] Xie, Heidi Qunhui,Xu, Hai-Ming,Fu, Hua-Ling,et al. AhR-Mediated Effects of Dioxin on Neuronal

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Acetylcholinesterase Expression in Vitro[J].Environmental Health Perspectives, 2013, 121.