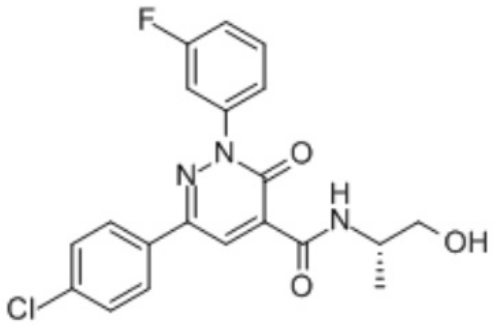
Product Data Sheet

Product Name: BAY-218 (AHR antagonist 1)
Cat. No.: GC35271

Chemical Properties

Cas. No.	2162982-11-6		
SMILES	O=C(C1=CC(C2=CC=C(Cl)C=C2)=NN(C3=CC=CC(F)=C3)C1=O)N[C@@H](C)CO		
Formula	$C_{20}H_{17}ClFN_3O_3$	M.Wt	401.82
Solubility	DMSO: ≥ 250 mg/mL (622.17 mM)	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 Human monocytes

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Preparation Method	Human monocytes were purified by negative selection using magnetic beads from donor PBMCs and seeded at a concentration of 2×10^5 cells/well in complete growth medium (RPMI 1640, 10% fetal calf serum). Monocytes were incubated with 10ng/mL LPS and 200mM KA, and BAY-218 was added at concentrations of 1μM, 0.3μM, and 0.1μM, followed by culturing for 18h. LPS alone served as the positive control. TNFα production in the supernatant was measured using the Meso Scale Discovery immunoassay, and the ability of BAY-218 to rescue TNFα production was calculated based on LPS stimulation and KA-induced inhibition.
Reaction Conditions	0.1, 0.3 and 1μM; 18h
Applications	BAY-218 is able to reverse the inhibitory effect of kynurenic acid (KA) on the production of tumor necrosis factor alpha (TNFα) by lipopolysaccharide (LPS)-stimulated human primary monocytes.

Animal experiment [1]:

Animal models	Female Balb/c mice
Preparation Method	Female Balb/c mice at the age of 8 weeks were assigned to the study. CT26 cells were cultivated in RPMI 1640 containing 10% fetal calf serum and were passaged at least 3 times before inoculation. Female Balb/c mice were inoculated subcutaneously in the flank with 500,000 CT26 tumor cells (50% medium/50% matrigel). After 4 days, the animals were randomized, and treatment began on day 5. The BAY-218 at 30mg/kg was dissolved in ethanol/solutol/water (10/40/50) and administered orally twice daily, in combination with 10mg/kg of the anti-PD-L1 antibody administered intraperitoneally every 3 days. Tumor size was measured using calipers to determine length (a) and width (b).

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Dosage form	30mg/kg; twice daily; p.o.
Applications	BAY-218 enhanced the therapeutic effect of anti-PD-L1 antibody in the CT26 mouse tumor model.

References:
[1] Schmees N, Gutcher I, Irlbacher H, et al. 3-oxo-2,6-diphenyl-2,3-dihydropyridazine-4-carboxamides: US201716303539[P]. US11040035B2[2025-10-07].

Background

BAY-218 (AHR antagonist 1) is a novel, selective, and potent AhR small molecule inhibitor. BAY-218 inhibits AhR nuclear translocation, dioxin response element (DRE)-luciferase reporter expression, and AhR-regulated target gene expression induced by both exogenous and endogenous AhR ligands[1].

In vitro, BAY-218 (0.1, 0.3 and 1μM; 18h) is able to reverse the inhibitory effect of kynurenic acid (KA) on the production of tumor necrosis factor alpha (TNFα) by lipopolysaccharide (LPS)-stimulated human primary monocytes[2].

In vivo, BAY-218 (30mg/kg; twice daily; p.o.) enhanced the therapeutic effect of anti-PD-L1 antibody in the CT26 mouse tumor model[2].

References:
[1] Gutcher I, Kober C, Roese L, et al. Abstract 1288: Blocking tumor-associated immune suppression with BAY-218, a novel, selective aryl hydrocarbon receptor (AhR) inhibitor[J].Experimental and Molecular Therapeutics, 2019.
[2] Schmees N, Gutcher I, Irlbacher H, et al. 3-oxo-2,6-diphenyl-2,3-dihydropyridazine-4-carboxamides: US201716303539[P]. US11040035B2[2025-10-07].

Caution: Product has not been fully validated for medical applications. For research use only.
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