

## 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

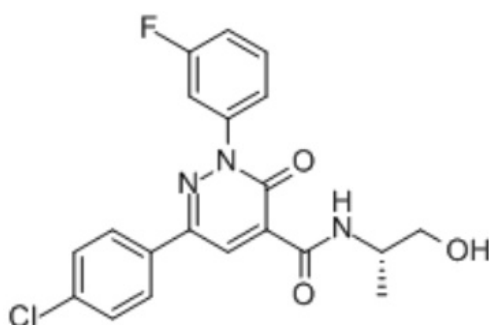
Formula  $C_{20}H_{17}ClFN_3O_3$  M.Wt 401.82

Solubility DMSO:  $\geq 250$  mg/mL (622.17 mM) Storage Store at  $-20^{\circ}\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}\text{C}$  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

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

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Human monocytes were purified by negative selection using magnetic beads from donor PBMCs and seeded at a concentration of  $2 \times 10^5$  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 1 $\mu$ M, 0.3 $\mu$ M, and 0.1 $\mu$ M, followed by culturing for 18h. LPS alone served as the positive control. TNF $\alpha$  production in the supernatant was measured using the Meso Scale Discovery immunoassay, and the ability of BAY-218 to rescue TNF $\alpha$  production was calculated based on LPS stimulation and KA-induced inhibition.

Preparation Method

Reaction Conditions 0.1, 0.3 and 1 $\mu$ M; 18h

Applications BAY-218 is able to reverse the inhibitory effect of kynurenic acid (KA) on the production of tumor necrosis factor alpha (TNF $\alpha$ ) 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<sup>[1]</sup>.

In vitro, BAY-218 (0.1, 0.3 and 1  $\mu$ M; 18h) is able to reverse the inhibitory effect of kynurenic acid (KA) on the production of tumor necrosis factor alpha (TNF $\alpha$ ) by lipopolysaccharide (LPS)-stimulated human primary monocytes<sup>[2]</sup>.

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<sup>[2]</sup>.

### 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].

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