

BAY-218

## Chemical Properties

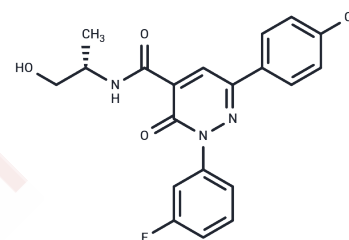
CAS No. : 2162982-11-6

Formula: C<sub>20</sub>H<sub>17</sub>ClFN<sub>3</sub>O<sub>3</sub>

Molecular Weight: 401.82

Storage: Store at low temperature, Keep away from moisture  
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	BAY-218 is an aryl hydrocarbon receptor (AHR) antagonist with IC <sub>50</sub> of 39.9 nM in the human glioblastoma U87 cell line. BAY-218 inhibition of AhR stimulates pro-inflammatory monocyte and T cell responses in vitro and drives anti-tumor immune responses, leading to reduced tumor growth in vivo.
Targets(IC <sub>50</sub> )	AhR, Aryl Hydrocarbon Receptor
In vitro	<p><b>METHODS:</b> Human monocytic U937 cells were treated with BAY-218 (AHR antagonist 1) (1 nM, 3nM, 10nM, 30nM, 100nM, 300nM, 1 μM and 3μM) and the IC<sub>50</sub> values were determined.</p> <p><b>RESULTS</b> BAY-218 exhibited CYP1A1 inhibitory activity with an IC<sub>50</sub> of 70.7 μM in the human monocytic U937 cell line. [1]</p> <p><b>METHODS:</b> BAY-218 (72 pM, 0.25 nM, 0.89 nM; 3.1 nM, 11 nM, 38 nM, 130 nM, 470 nM, 1.6 pM, 5.7 p, 20 μM) was used to treat U87 glioblastoma cells endogenously expressing AHR and the IC<sub>50</sub> value was determined.</p> <p><b>RESULTS</b> BAY-218 could inhibit the activity of AHR in U87 glioblastoma cells with an IC<sub>50</sub> of 39.9 μM. [1]</p>
In vivo	<p><b>METHODS:</b> BAY-218 (30 mg/kg, oral, twice daily) and aPD-L1 (10 mg/kg, intraperitoneal injection, twice daily) were used to treat mice with CT26 tumor cell xenografts injected subcutaneously in the flank to observe their anti-tumor ability.</p> <p><b>RESULTS</b> Combination therapy of BAY-218 and aPD-L1 inhibited tumor growth in mice. [1]</p>

## Solubility Information

Solubility	DMSO: 252 mg/mL (627.15 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (8.21 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	2.4887 mL	12.4434 mL	24.8868 mL
5 mM	0.4977 mL	2.4887 mL	4.9774 mL
10 mM	0.2489 mL	1.2443 mL	2.4887 mL
50 mM	0.0498 mL	0.2489 mL	0.4977 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Norbert Schmees, et al. 3-oxo-2,6-diphenyl-2,3-dihydropyridazine-4-carboxamides. WO2017202816A1.  
Ilona Gutcher, et al. Abstract 1288: Blocking tumor-associated immune suppression with BAY-218, a novel, selective aryl hydrocarbon receptor (AhR) inhibitor[J]. 10.1158/1538-7445.AM2019-1288 Published July 2019.

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