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Zuschläge

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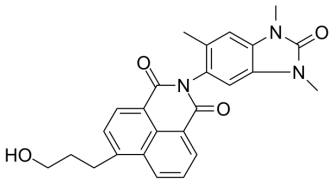
BAY-299

Cat. No.:	HY-107424
CAS No.:	2080306-23-4
Molecular Formula:	$C_{25}H_{23}N_3O_4$
Molecular Weight:	429.47
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (58.21 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3285 mL	11.6423 mL	23.2845 mL
	5 mM	0.4657 mL	2.3285 mL	4.6569 mL
	10 mM	0.2328 mL	1.1642 mL	2.3285 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BAY-299 is a very potent, dual inhibitor with IC_{50}s of 67 nM for BRPF2 bromodomains (BD), 8 nM for TAF1 BD2, and 106 nM for TAF1L BD2.

IC_{50} & Target

BRPF2 BD 67 nM (IC_{50})	BRPF1 BD 3150 nM (IC_{50})	BRPF3 BD 5550 nM (IC_{50})	TAF1 BD2 8 nM (IC_{50})
TAF1L BD2 106 nM (IC_{50})			

In Vitro

BAY-299 is a dual inhibitor of the bromodomain and PHD finger (BRPF) family member BRPF2 and the TATA box binding

	protein-associated factors TAF1 and TAF1L. TR-FRET assays showed that BAY-299 is a potent inhibitor of BRPF2 BD with an IC_{50} of 67 nM, and a selectivity of 47- and 83-fold over BRPF1 and BRPF3 BDs. The profile of BAY-299 is further confirmed by AlphaScreen assay, where an IC_{50} of 97 nM and a selectivity of 23- and 25-fold over BRPF1 and BRPF3 BDs are measured. NanoBRET experiments show that the interaction of BRPF2 BD with histones H4 and H3.3 is blocked by BAY-299 with IC_{50} values of 575 and 825 nM, respectively. For TAF1 BD2, the IC_{50} values are 970 and 1400 nM, respectively. No inhibitory effect is observed for the interaction between BRPF1 or BRD4 and histone H4 up to 10 μM for BAY-299. BAY-299 inhibits MOLM-13, MV4-11, 769-P, Jurkat, NCI-H526, CHL-1, and 5637 cells proliferation with GI_{50}s of 1060, 2630, 3210, 3900, 6860, 7400, and 7980 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Studies of the in vivo pharmacokinetic properties of BAY-299 in rat reveal that blood clearance is low (ca. 17% of hepatic blood flow), volume of distribution in steady-state high, terminal half-life long to very long ($t_{1/2}$=10 h), and bioavailability high (F=73%). In vivo blood clearance is as anticipated based on rat liver microsome values but lower than expected based on hepatocyte data[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	MOLM-13, MV4-11, 769-P, Jurkat, NCI-H526, CHL-1, and 5637 cell lines are treated with BAY-299 while in the logarithmic growth phase, and their viability is determined by AlamarBlue staining[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Rats[1] BAY-299 is administered to three male Wistar rats per arm, either intravenously or intragastrally formulated as solutions. BAY-299 is given as i.v. bolus, and blood samples are taken at 2 min, 8 min, 15 min, 30 min, 45 min, 1 h, 2 h, 4 h, 6 h, 8 h, and 24 h after dosing. For pharmacokinetics after intragastral administration, BAY-299 is given intragastrally to fasted rats and blood samples are taken at 5 min, 15 min, 30 min, 45 min, 1 h, 2 h, 4 h, 6 h, 8 h, and 24 h after dosing. Blood is collected into lithium-heparin tubes and centrifuged for 15 min at 3000 rpm[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Carcinog. 2023 May 5.
- Transl Cancer Res. 2021 Dec;10(12):5307-5318.

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REFERENCES

[1]. Bouché L, et al. Benzoisoquinolinediones as Potent and Selective Inhibitors of BRPF2 and TAF1/TAF1L Bromodomains. J Med Chem. 2017 May 11;60(9):4002-4022.

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