# BAY-299

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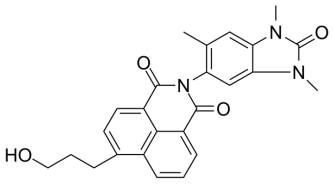
| **Cat. No.:** | HY-107424 |
| **CAS No.:** | 2080306-23-4 |
| **Molecular Formula:** | $C_{25}H_{23}N_3O_4$ |
| **Molecular Weight:** | 429.47 |
| **Target:** | Epigenetic Reader Domain |
| **Pathway:** | Epigenetics |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years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

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| BRPF2 BD<br>67 nM ($IC_{50}$) | BRPF1 BD<br>3150 nM ($IC_{50}$) | BRPF3 BD<br>5550 nM ($IC_{50}$) | TAF1 BD2<br>8 nM ($IC_{50}$) |
| TAF1L BD2<br>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

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| | 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].<br>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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

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| **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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [1] | Rats[1]<br>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].<br>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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