Product Datasheet

Basroparib

Catalog No. **BP-02158** · CAS **1858179-75-5** · Form **free base** · Physical state **other** · Color **White to light yellow** · Version **1.0** · Revision **2026-09-04**

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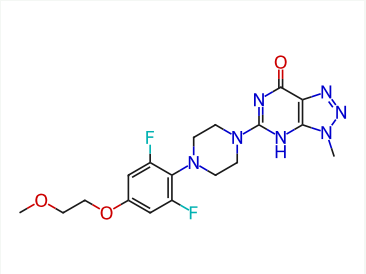
Target	Research area
PARP	**Cancer**

Molecular formula	**$C_{18}H_{21}F_2N_7O_3$**
Molecular weight	**421.4012**

STRUCTURE



DESCRIPTION

Basroparib is a potent poly (ADP-ribose) polymerase (PARP) inhibitor, with antineoplastic activity.

BIOLOGICAL ACTIVITY

In Vitro

Basroparib (1.25-20 μM; 72 h) has synergistic inhibitory potency (CI <1) with the MEK inhibitor Trametinib (HY-10999) (3.125-50 nM; 72 h) in cell viability experiments of KRAS-G12V/D mutant colorectal cancer cell lines (such as SW480, SW620).

Basroparib (5 μM; 14 d) significantly inhibits the colony formation of KRAS-G12V mutant cells (SW480, SW620), with enhanced inhibitory effect combined with Trametinib (5 nM; 14 d).

Basroparib (5 μM; 7 d) inhibits the growth of 3D clonal spheroids of KRAS-G12V mutant cells, and significantly reduced the spheroid diameter combined with Trametinib (0.1 nM; 7 d).

Basroparib (5 μM; 48 h) upregulates the AXIN1/2 protein level and downregulates the expression of phosphorylated β-catenin and p-ERK in KRAS-G12V mutant cells (SW480).

Basroparib (5 μM; 48 h) reduces the nuclear active β-catenin aggregation in KRAS-G12V mutant cells.

In Vivo

Basroparib (10 mg/kg; oral; once daily; for 27-28 days) combined with trametinib (0.5 mg/kg; oral; once daily), significantly inhibits tumor growth and downregulates β-catenin and p-ERK expression in the KRAS-G12V mutant SW480/SW620 colorectal cancer model in female nude mice.

Basroparib (10 mg/kg; oral; once daily; for 4 weeks) combined with trametinib (0.5 mg/kg; oral; once daily), delays

tumor regrowth in the trametinib-resistant SW620 tumor model in female nude mice and prolongs the survival of mice.

SOLUBILITY

Soluble in DMSO : 100 mg/mL

REFERENCES

[1]. Kwon YJ, et al. Basroparib overcomes acquired resistance to MEK inhibitors by inhibiting Wnt-mediated cancer stemness in KRAS-mutated colorectal cancer. Biochem Pharmacol. 2025 May;235:116842.

[2]. Kim, Uk-Il, et al. Formulation development of basroparib as a first-in-class tankyrase inhibitor using a microprecipitated bulk powder approach. Journal of Pharmaceutical Investigation (2025): 1-11.

[3]. Bai YR, et al. The recent advance and prospect of poly(ADP-ribose) polymerase inhibitors for the treatment of cancer. Med Res Rev. 2024 Aug 24.