Product Datasheet

IACS-10759

Catalog No. **BP-01900** · CAS **1570496-34-2** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-04**

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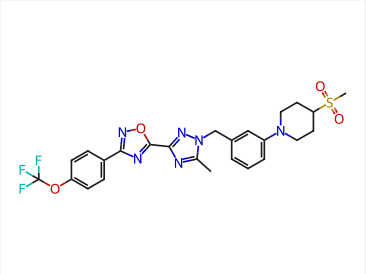
Target	Research area
Oxidative Phosphorylation; Mitochondrial Metabolism; Apoptosis	**Cancer**

Molecular formula	$C_{25}H_{25}F_3N_6O_4S$
Molecular weight	**562.5640**

STRUCTURE



DESCRIPTION

IACS-010759 is an orally active, potent mitochondrial complex I of oxidative phosphorylation (OXPHOS) inhibitor. IACS-010759 inhibits proliferation and induces apoptosis in models of brain cancer and acute myeloid leukemia (AML) reliant on OXPHOS.

BIOLOGICAL ACTIVITY

In Vitro

IACS-010759 (10, 30, 100 nM; for 4 or 5 days) reduces viability and induces apoptosis in primary AML.

IACS-010759 (0.001, 0.01, 0.1, 1, 10, 100, 1000 nM; 72 hurs) robustly inhibits both OCR and galactose-dependent H460 cell viability and has nearly identical IC50 values of 1.4 nM.

IACS-010759 is similarly active in mouse (average IC50 = 5.6 nM), rat (IC50 = 12.2 nM), and cynomolgus monkey (IC50 = 8.7 nM) cell lines.

IACS-010759 (0.01-10 μM) yieldes a maximal reduction of growth of > 50% in the majority of cancer cell lines (24 of 30 pancreatic (PDAC), 19 of 20 ovarian, 13 of 16 triple-negative breast (TNBC), 8 of 10 non-small-cell lung (NSCLC)) and a subset (11of 30 PDAC, 10 of 20 ovarian, 5 of 16 TNBC, 2 of 10 NSCLC) exhibited > 100% growth inhibition.

In Vivo

IACS-010759 (5, 10, 25 mg/kg/day; oral; for 21 d) results in tumor regression with minimal body weight loss at the 5 or 10 mg/kg dose in mice bearing NB-1 (PGD-null) subcutaneous xenografts. IACS-010759 at the 25 mg/kg dose is not tolerated.

IACS-010759 HCl (10 mg/kg; orally; QD (daily) or QD×5 (5 d on/2 d off); for 35 d) increases median survival from 28 d to longer than 60 d, whereas less-frequent dosing schedules (Q2D or Q3D) enhances survival to a lesser extent.

IACS-010759 (0.3 mg/kg for iv; 1 mg/kg for oral) has low plasma clearance with a high volume of distribution, resulting in a prolonged terminal half-life (>24 h).

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Jennifer R Molina, et al. An inhibitor of oxidative phosphorylation exploits cancer vulnerability. Nat Med. 2018 Jul;24(7):1036-1046.

[2]. Protopopova M. IACS-10759: A novel OXPHOS inhibitor which selectively kill tumors with metabolic vulnerabilities. [abstract]. In: Proceedings of the 106th Annual Meeting of the American Association for Cancer Research; 2015 Apr 18-22; Philadelphia, PA. Philadelphia (PA): AACR; Cancer Res 2015;75(15 Suppl):Abstract nr 4380. doi:10.1158/1538-7445.AM2015-4380

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com