

Product Datasheet

(S)-JDQ-443

Catalog No. **BP-02040** · CAS **2653994-10-4** · Form **free base** · Physical state **solid** · Color **Off-white to light yellow** · Version **1.0** · Revision **2026-09-11**

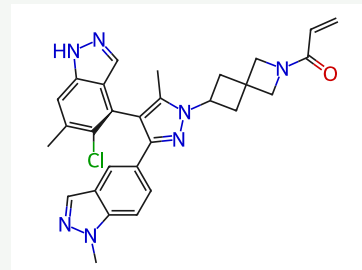
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Target Drug Isomer; Ras; PERK	Research area Inflammation/Immunology; Cancer
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Molecular formula **C₂₉H₂₈ClN₇O**

Molecular weight **526.0320**

STRUCTURE



DESCRIPTION

(S)-JDQ-443 is an isomer of JDQ-443. JDQ-443 is an orally active, potent, selective, and covalent KRAS G12C inhibitor (extracted from patent WO2021120890A1). JDQ-443 shows antitumor activity.

BIOLOGICAL ACTIVITY

In Vitro

Opnurasib traps the GDP-bound inactive conformation of KRAS.

Opnurasib promotes dose-dependent reductions of phosphorylated ERK (pERK) levels and the proliferation of the KRASG12C-mutated cell lines NCI-H358 and NCI-H2122, with IC₅₀ values of 0.018 and 0.063 μM, respectively.

Opnurasib covalently and selectively binds and inhibits GDP-bound KRASG12C with low reversible binding affinity to the RAS switch II pocket, and also inhibits proliferation of KRASG12C-mutated and KRAS G12C/H95, G12C/R68S, and G12C/Y96 double-mutant cell lines.

In Vivo

Opnurasib (10-100 mg/kg, Orally, daily for 14 days) shows antitumor activity in KRAS G12C-mutated CDX models.

Opnurasib (Orally, 100 mg/kg, daily (JDQ443) + 7.5 mg/kg, twice daily (TNO155), for 36 days) shows greater cell growth inhibition or cell killing compared with single-agent JDQ443 when combined with TNO155.

Opnurasib generates categorical antitumor responses in PDX models of NSCLC and colorectal tumors that are improved by combination treatment with other agents.

SOLUBILITY

Soluble in DMSO : 100 mg/mL

REFERENCES

[1]. LIU BO, et al. PYRAZOLYL DERIVATIVES USEFUL AS ANTI-CANCER AGENTS. Patent WO2021120890A1.

[2]. Weiss A, Lorthiois E, Barys L, et al. Discovery, Preclinical Characterization, and Early Clinical Activity of JDQ443, a Structurally Novel, Potent and Selective, Covalent Oral Inhibitor of KRASG12C. Cancer Discov. 2022;22(15):2022.

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