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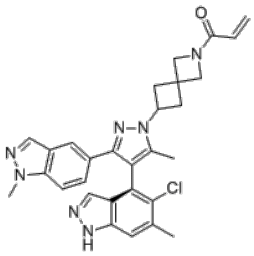
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	JDQ443
Cat. No.	:	PC-72897
CAS No.	:	2653994-08-0
Molecular Formula	:	$C_{29}H_{28}ClN_7O$
Molecular Weight	:	526.03
Target	:	Ras
Solubility	:	10 mM in DMSO (5.3 mg/mL)



Biological Activity

Opnurasib (JDQ443, NVP-JDQ443) is a potent, mutant-selective, covalent **KRAS G12C** inhibitor.
JDQ443 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 of 18 and 63 nM, respectively.
JDQ443 binds under the switch II loop with a novel binding mode, exploiting unique interactions with the KRAS G12C protein compared to sotorasib and adagrasib.
JDQ443 potently inhibits KRASG12C cellular signaling and proliferation in a mutant selective manner.
JDQ443 exhibits dose-dependent anti-tumor activity in mice bearing KRAS G12C mutated tumor xenografts comparable to sotorasib and adagrasib.
JDQ443 is orally bioavailable and is well-tolerated.
Combination of JDQ443 with the SHP2 inhibitor TNO155 further increases KRAS G12C target occupancy in vivo, enhanced pre-clinical anti-tumor activity, and delayed the emergence of resistance in xenografts.

References

Saskia M. Brachmann, et al. ***Mol Cancer Ther*** (2021) 20 (12_Supplement): P124.

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