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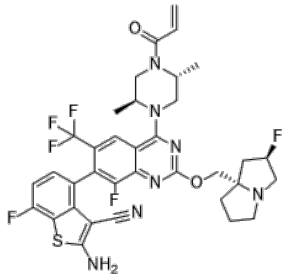
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BBO-8520
Cat. No.	:	PC-22123
CAS No.	:	2893809-51-1
Molecular Formula	:	$C_{35}H_{33}F_6N_7O_2S$
Molecular Weight	:	729.75
Target	:	Ras
Solubility	:	10 mM in DMSO



CAS: 2893809-51-1

Biological Activity

BBO-8520 (BBO8520) is a potent, selective and covalent inhibitor of **KRAS G12C** (ON), locks GTP-bound KRASG12C in the state 1 conformation resulting in rapid and complete blockade of effector binding.
BBO-8520 binds in the switch II pocket and covalently modifies both the (ON) and (OFF) forms of KRASG12C independently of any other partner proteins.
BBO-8520 inhibits KRASG12C (ON) by locking the GTP-bound protein in state 1.
BBO-8520 displays highly significant binding to KRAS G12C in a global cysteine proteome analysis and is 100x more selective for KRASG12C than for WT KRAS and other mutant isoforms, with no measurable activity against N- or H-RAS.
BBO-8520 has sub-nanomolar potency against KRASG12C mutant cell lines.
BBO-8520 rapidly and completely blocks the RAS-RAF1 interaction in effector binding assays, at least 30x more potent than sotorasib and adagrasib at preventing outgrowt in long-term clonogenic assays.
BBO-8520 (10 mg/kg, daily dosing, oral) causes significant tumor volume regression in the KrasG12C-p53 driven GEMM model, exhibits in vivo target engagement and pERK inhibition in the MIAPaCa-2 and H358 KRASG12C mutant tumor models.

References

Anna E. Maciag, et al. ***Cancer Res*** (2024) 84 (7_Supplement): ND07.

E-mail: tech@probechem.com