

BBO-8520

Chemical Properties

CAS No. : 2893809-51-1

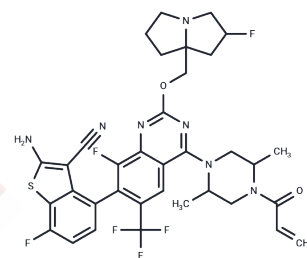
Formula: C₃₅H₃₃F₆N₇O₂S

Molecular Weight: 729.74

Storage: Keep away from direct sunlight, Store under nitrogen,
Store at low temperature

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	BBO-8520 is a first-in-class, direct dual inhibitor of both the active (ON) and inactive (OFF) conformational states of KRASG12C. BBO-8520 binds to the Switch-II/Helix3 pocket of KRASG12C, covalently modifying the target cysteine residue and thereby disabling effector binding in the ON state. BBO-8520 exhibits potent inhibition of KRAS signaling under growth factor-activated conditions, where existing OFF-only inhibitors demonstrate minimal activity. In vivo, BBO-8520 rapidly engages its target and suppresses signaling, resulting in durable tumor regression across multiple models, including those resistant to OFF-only KRASG12C inhibitors.
Targets(IC50)	Ras, Kras
In vivo	BBO-8520 (10 mg/kg/d; po) inhibits pERK and KRAS G12C activation in the KrasG12C-p53 driven GEMM model, resulting in sustained tumor regression. Additionally, BBO-8520 demonstrates a strong dose- and time-dependent pharmacodynamic effect in KRASG12C mutant tumor-bearing mice, with pERK inhibition exceeding 80% [1].

Solubility Information

Solubility	DMSO: ≥ 40 mg/mL, Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (2.74 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3704 mL	6.8518 mL	13.7035 mL
5 mM	0.2741 mL	1.3704 mL	2.7407 mL
10 mM	0.137 mL	0.6852 mL	1.3704 mL
50 mM	0.0274 mL	0.137 mL	0.2741 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Maciag A E, et al. Abstract ND07: BBO-8520, a first-in-class, direct inhibitor of KRASG12C (ON), locks GTP-bound KRASG12C in the state 1 conformation resulting in rapid and complete blockade of effector binding[J]. Cancer Research, 2024, 84(7_Supplement): ND07-ND07.

Caughey B A, Strickler J H. Targeting KRAS-Mutated Gastrointestinal Malignancies with Small-Molecule Inhibitors: A New Generation of Breakthrough Therapies[J]. Drugs, 2024, 84(1): 27-44.

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