

AMG 510

货号: A4158

储存条件: 粉末-20°C可保存3年; 液体-80°C可保存12月。

产品描述

AMG-510 is a specific covalent inhibitor of K-RAS(G12C), which irreversibly inhibits KRAS G12C by locking it in an inactive GDP-bound state. AMG-510 selectively targets the KRAS p.G12C mutant and shows potential antineoplastic activity. KRAS encodes a key signalling protein in tumours, and the G12C KRAS mutation is relatively common in some cancer types. Treatment of KRAS p.G12C lines with covalent KRASG12C inhibitor AMG 510 can increase the expression of HLA. AMG 510 is the first drug candidate to target this mutation. In clinical trials, AMG 510 demonstrated anti-tumour activity in the first dosing cohorts and represents a potentially transformative therapy for patients.

作用机制

AMG-510 selectively forms an irreversible covalent bond to the sulfur atom in the cysteine residue that is present in the mutated form of KRAS, but not in the normal form. KRASG12C can be targeted with covalent small molecule inhibitor AMG-510 which react with the mutant cysteine adjacent to the switch II pocket (SIIP), locking KRAS in its inactive GDP-bound state.

产品信息

CAS号	2296729-00-3	
分子式	C30H30F2N6O3	
分子量	560.59	
溶解度	DMSO	100.0 mg/mL (178.4 mM)
	Water	Insoluble
	Ethanol	99.0 mg/mL (176.6 mM)





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