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MEK162 (ARRY-162)

产品编号: D50755

CAS: 606143-89-9

分子式: C17H15BrF2N4O3

纯度: ≥98%

InChi: InChI=1S/C17H15BrF2N4O3/c1-24-8-21-16-13(24)7-10(17(26)23-27-5-4-25)15(14(16)20)22-12-3-2-9(18)6-11(12)19/h2-3,6-8,22,25H,4-5H2,1H3,(H,23,26)

InChi Key: ACWZRVQXLIRSDF-UHFFFAOYSA-N

Smiles: CN1C=NC2C(F)=C(NC3C=CC(Br)=CC=3F)C(=CC1=2)C(=O)NOCCO

外观: 固体粉末

作用通路: Autophagy

溶解性: DMSO up to 100 mM

保存条件: Store in dry, dark place for one year.

产品介绍: MEK162 (ARRY-162) is a highly potent, selective, and orally bioavailable MEK inhibitor. It is a non-ATP competitive inhibitor of MEK1/2 (IC50 ~ 12 nM). It can inhibit pERK (IC50 ~11 nM) in cellular assays. At up to 20 μM it has no activity against a panel of 220 other kinases. MEK162 is especially potent at inhibiting cell proliferation of mutant B-Raf and Ras cell lines, such as HT29, Malme-3M, SK-MEL-2, COLO 205, SK-MEL-28 and A375 (IC50 from 30-250 nM). In vivo it has demonstrated efficacy in several xenograft tumor models in mice, including HT29, BxPC3, MIA PaCa2, A549, LoVo, Calu6, DU145 and COLO 205. In the HT29 and in the COLO 205 colon carcinoma models, dose-dependent inhibition of tumor growth (up to 75% TGI) was observed at doses ranging from 3 to 30 mg/kg, QD, PO for 21 days. Currently a phase I study of MEK162 in patients with biliary tract cancer is undergoing. MEK162 also shows inhibition of cytokine production such as IL-1, TNF and IL-6 in clinical trials for patients with rheumatoid arthritis.