

Catalog # 10-4789 Binimetinib

CAS# 606143-89-9

6-(4-Bromo-2-fluoroanilino)-7-fluoro-N-(2-hydroxyethoxy)-3-methylbenzimidazole-5-carboxamide; ARRY-162 Lot # FBS1125



Binimetinib is a potent ($IC_{50} = 12 \text{ nM}$) and selective allosteric inhibitor of MEK1/2.^{1,2} Recently approved by the FDA for treatment of melanoma in combination with Encorafenib. Binimetinib has had limited success as monotherapy but has shown promise in combination with other chemotherapeutic agents.³⁻⁵

- 1) Lee et al. (2010), Preclinical development of ARRY-162, a potent and selective MEK1/2 inhibitor; Cancer Res. 70 2515
- 2) Winski et al. (2010), MEK162 (ARRY-162), a novel MEK ½ inhibitor, inhibits tumor growth regardless of KRAS/RAF pathway mutations; EJC Supplements 8 56
- 3) Lee et al. (2016), Efficacy of the combination of MEK and CDK4/6 inhibitors in vitro and in vivo in KRAS mutant colorectal cancer models; Oncotarget **7** 39595
- 4) Gong et al. (2017), MEK162 Enhances Antitumor Activity of 5-Fluorouracil and Trifluridine in KRAS-mutated Human Colorectal Cancer Cell Lines; Anticancer Res. **37** 2831
- 5) Van Cutsem et al. (2019), Binimetinib, Encorafenib, and Cetuximab Triplet Therapy for Patients With BRAF V600E-Mutant Metastatic Colorectal Cancer: Safety Lead-In Results From Phase III BEACON Colorectal Cancer study; J.Clin.Oncol. JCO1802459

PHYSICAL DATA

Molecular Weight:	441.23
Molecular Formula:	$C_{17}H_{15}BrF_2N_4O_3$
Purity:	>99% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in
	DMSO may be stored at -20°C for up to 1 month.

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