Web: www.taiclone.com
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ARRY-162 (Binimetinib)

Catalog No: tcsc0627

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
606143-89-9

Formula:
$C_{17}H_{15}BrF_2N_4O_3$

Pathway:
Autophagy;MAPK/ERK Pathway

Target:
Autophagy;MEK

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (113.32 mM; Need ultrasonic)

Alternative Names:
MEK162;ARRY-162;ARRY-438162

Observed Molecular Weight:
441.23

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Product Description

Binimetinib (MEK162) is an oral and selective **MEK1/2** inhibitor with an **IC_{50}** of 12 nM.

IC50 & Target: IC50: 12 nM (MEK)[1]

In Vitro: In MCF7 cells, RSK3 or RSK4 expression decreases response to treatment with any of the PI3K inhibitors alone. However, the combination of PI3K inhibition with Binimetinib (MEK162) or BI-D1870 completely reverses the resistance of RSK-expressing cells [2]. Binimetinib (MEK162) blocks basal ERK phosphorylation in all HRAS mutant cell lines. The combination of Everolimus and AZD6244/MEK162 causes a stronger inhibition of S6 kinase than single use of Everolimus on Western blot. The combination of Everolimus and AZD6244/MEK162 also translated in a stronger blockade of cell growth in HRAS mutant cells than single use. Binimetinib (MEK162) shows stronger synergism with Everolimus than AZD6244[3].

In Vivo: Treatment with Binimetinib (ARRY-438162) reduces disease severity in a dose-related manner in both animal models. ARRY-438162 in the CIA model inhibits increases in ankle diameter by 27% and 50% at 1 and 3 mg/kg, while Ibuprofen has 46% inhibition. When combined with Ibuprofen, these same two doses result in 74% and 72% inhibition, respectively. Microscopic examination of the ankle joints show Binimetinib (ARRY-438162) significantly inhibits lesions (inflammation, cartilage damage, pannus formation and bone resorption) by 32% and 60% at 1 and 3 mg/kg, while treatment with Ibuprofen alone results in 17% inhibition, which is not significantly different from the controls. When these two doses of Binimetinib (ARRY-438162) are combined with ibuprofen, the result is 54% and 77% inhibition of joint destruction. In AIA, 3 and 10 mg/kg of Binimetinib (ARRY-438162) inhibit AIA ankle diameter 11% and 34%, while MTX has 33% inhibition. When combined with MTX, 3 and 10 mg/kg of Binimetinib (ARRY-438162) result in 55% and 71% inhibition. Microscopic examination of ankle joints for inflammation and bone resorption also shows improved efficacy versus either compound alone[1]. When Binimetinib (MEK162) is combined with BEZ235, a significant reduction of tumor growth is observed (P=0.01). This increase in antitumor activity is accompanied by a decrease in phospho-ERK and phospho-S6 staining. No significant changes are observed in phospho-4EBP1 staining, a direct target of mTOR activity[2].