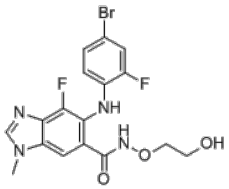
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Binimetinib
Cat. No.	:	PC-49771
CAS No.	:	606143-89-9
Molecular Formula	:	$C_{17}H_{15}BrF_2N_4O_3$
Molecular Weight	:	441.23
Target	:	MEK (MAP2K)
Solubility	:	10 mM in DMSO



Biological Activity

Binimetinib (ARRY-162, ARRY-438162, MEK162) is a potent, selective and non-competitive inhibitor of MEK1/2 with IC50 of 12 nM in cell-free assays.
Binimetinib (ARRY-162, ARRY-438162, MEK162) induces G1 cell cycle arrest and apoptosis in human NSCLC cell lines and induces autophagy.
Binimetinib (ARRY-162, ARRY-438162, MEK162) inhibits in vitro osteoclast differentiation with IC50 of 39 nM.
Binimetinib (ARRY-162, ARRY-438162, MEK162) (10 μM) inhibits in vitro osteoclast resorption with IC50 of 625 nM.
Binimetinib (ARRY-162, ARRY-438162, MEK162) inhibits pERK in cells with an IC50 of 11 nM.
Binimetinib (ARRY-162, ARRY-438162, MEK162) (1 μM) combined with MK-2206 (2 μM) completely reverses the resistance of RSK-expressing MCF7 cells.
Binimetinib (ARRY-162, ARRY-438162, MEK162) (10 mg/kg, po, bid) reduces disease severity in a dose-related manner in rat collagen-induced arthritis (CIA) and rat adjuvant-induced arthritis (AIA) models.
Binimetinib (ARRY-162, ARRY-438162, MEK162) (6 mg/kg, BID) combined with BEZ235 treatment caused a significant reduction of tumor growth in immunodeficient mice injected with MCF7 cells.

References

Ascierto PA, et al. ***Lancet Oncol.*** 2013 Mar;14(3):249-56.

Gritsman K, et al. ***J Clin Invest.*** 2014 Apr;124(4):1794-809.

Johanna C Bendell, et al. ***Br J Cancer.*** 2017 Feb 28;116(5):575-583.

E-mail: tech@probechem.com