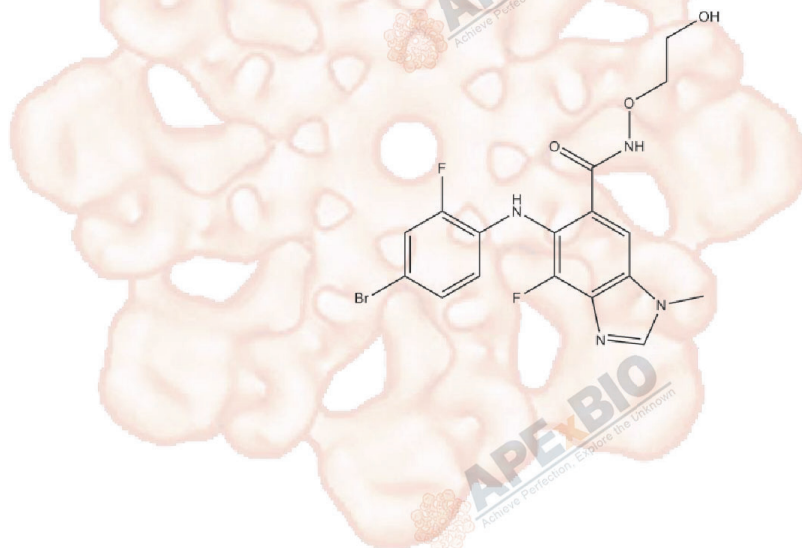


Product Data Sheet

MEK162 (ARRY-162, ARRY-438162)

Cat. No.:	A1947
CAS No.:	606143-89-9
Formula:	C ₁₇ H ₁₅ BrF ₂ N ₄ O ₃
M.Wt:	441.23
Synonyms:	
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; insoluble in EtOH; insoluble in DMSO

In Vitro	Preparing Stock Solutions	Mass			
		Solvent Concentration	1mg	5mg	10mg
		1 mM	2.2664 mL	11.3320 mL	22.6639 mL
		5 mM	0.4533 mL	2.2664 mL	4.5328 mL
		10 mM	0.2266 mL	1.1332 mL	2.2664 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	MEK1/2 inhibitor, potent and selective
IC ₅₀ & Target	12 nM (MEK1), 12 nM (MEK2)
In Vitro	Cell Viability Assay Cell Line: WT (YUVON and YUROB), B-RAF mutant (YUKSI and YUMAC) and N-RAS mutant (YUDOSO and YUKIM) cells
	Preparation method: The solubility of this compound in DMSO is >22.1mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	10-1000 nM; 4 and 24 hours
	Applications:	Compared to sensitive cultures (YUROB, YUMAC, YUDOSO, YUKIM), in the MEK162 resistant melanoma cultures (YUVON and YUKSI), the baseline level of phospho-ERK1/2 and the ratio of phospho-ERK1/2 to total ERK1/2 was lower. In MEK162-sensitive melanomas, MEK162 significantly decreased the level of ERK1/2 phosphorylation and clonogenic survival, and induced apoptosis.
In Vivo	Animal experiment	
	Animal models:	Rat collagen-induced arthritis (CIA) and rat adjuvant-induced arthritis (AIA) models
	Dosage form:	CIA studies: 0.3, 1 or 3 mg/kg ARRY-438162 (PO, BID) with or without 30 mg/kg ibuprofen (PO, QD) for six days. AIA model: 1, 3 or 10 mg/kg ARRY-438162 (PO, QD) beginning on day 8 and continuing for 6 days, with or without the addition of 0.05 mg/kg methotrexate (PO, QD) which was dosed days 0-13.
	Applications:	In rat collagen-induced arthritis (CIA) model, ARRY-438162 inhibited increases in ankle diameter by 27% and 50% at 1 and 3 mg/kg, while ibuprofen had 46% inhibition. When combined with ibuprofen, these same two doses resulted in 74% and 72% inhibition, respectively and also inhibited joint destruction by 54% and 77%, respectively. In AIA model, when combined with MTX, 3 and 10 mg/kg of ARRY-438162 inhibited ankle diameter by 55% and 71%, respectively.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

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References

[1]. Thumar J, Shahbazian D, Aziz SA, Jilaveanu LB, Kluger HM. MEK targeting in N-RAS mutated metastatic melanoma. Mol Cancer. 2014 Mar 4;13:45.

[2]. Jed Pheneger, Eli Wallace, Allison Marlow, Brian Hurley, Joe Lyssikatos, Alison M. Bendele, Patrice A. Lee. Array BioPharma, Boulder, CO; Bolder BioPath, Boulder, CO. Characterization of ARRY-438162, a potent MEK inhibitor in combination with Methotrexate or Ibuprofen in vivo models of arthritis. American college of rheumatology. 2006 Annual Scientific Meeting.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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