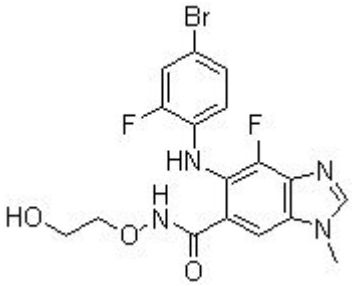
Product Introduction

MEK162 (ARRY-162, ARRY-438162)

ARRY-438162 is a potent inhibitor of **MEK1/2** with **IC50** of 12 nM.

Technical Data:

Molecular Weight (MW):	441.23	
Formula:	$C_{17}H_{15}BrF_2N_4O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 88 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	606143-89-9	

Biological Activity

ARRY-438162 (625 nM) inhibits in vitro osteoclast differentiation with IC50 of 39 nM. ARRY-438162 (10 μM) inhibits in vitro osteoclast resorption with IC50 of 625 nM. ARRY-438162 (2 μM) weakly affects osteoblast differentiation. [2] ARRY-438162 is a recently disclosed potent and selective ATP non-competitive MEK1/2 inhibitor, inhibits pERK in cells with an IC50 of11 nM. [3] MEK162 (1 μM) combined with MK-2206 (2 μM) completely reverses the resistance of RSK-expressing MCF7 cells. [4] ARRY-438162 (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. ARRY-438162 (po, bid) inhibits increases in ankle diameter by 27% and 50% at 1 mg/kg and 3 mg/kg in the rat collagen-induced arthritis (CIA) model, while ibuprofen has 46% inhibition. ARRY-438162 (10 mg/kg, po, bid) significantly inhibits lesions (inflammation, cartilage damage, pannus formation and bone resorption) by 32% and 60% at 1 mg/kg and 3 mg/kg in the rat collagen-induced arthritis (CIA) model. ARRY-438162 inhibits AIA ankle diameter 11% and 34% at 3 mg/kg and 10 mg/kg in rat adjuvant-induced arthritis (AIA) models. [1] ARRY-438162 demonstrates dose-related inhibition of ankle swelling in rat adjuvant-induced arthritis (AIA) models, significant at 10 mg/kg and 30 mg/kg when compared to vehicle control. ARRY-438162 demonstrates dose-related inhibition of serum IL-6 concentration in rat adjuvant-induced arthritis (AIA) models, with complete inhibition at 10 mg/kg when compared to vehicle control. ARRY-438162 (30 mg/kg) demonstrates dose-related inhibition of relative spleen weights in rat adjuvant-induced arthritis (AIA) models. ARRY-438162 (30 mg/kg) significantly inhibits bone resorption and inflammation with delayed dosing when compared to vehicle in rat adjuvant-induced arthritis (AIA) models. [2] MEK162 (6 mg/kg, BID) combined with BEZ235 results in a significant reduction of tumor growth in immunodeficient mice injected with MCF7 cells. [4]

References

[1] J Pheneger, et al. 2006, ACR Annual Scientific Meeting. Abst 794.
[2] D Wright, et al. 2009, Arraybiopharma. Abstract FRI0063.
[3] SS Bhagwat, et al. Annu Rep Med Chem, 2007, 42, 265–278.
[4] Serra V, et al. J Clin Invest, 2013, 123(6), 2551-2563.

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