



Xcess Biosciences Inc.

7144 N Harlem Ave #169
Chicago, IL 60631 USA

<http://www.xcessbio.com>

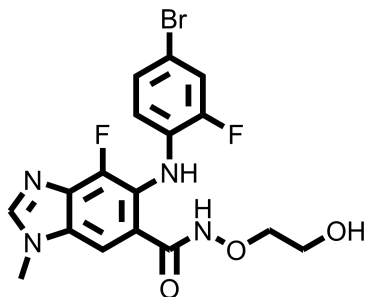
Toll free: 1-866-706-2330

Fax: 1-619- 810-0718

Email: info@xcessbio.com

MEK Inhibitor – MEK162 (ARRY-162)

Chemical Name: 5-((4-bromo-2-fluorophenyl)amino)-4-fluoro-N-(2-hydroxyethoxy)-1-methyl-1H-benzo[d]imidazole-6-carboxamide



Molecular Weight:	441.23
Formula:	C ₁₇ H ₁₅ BrF ₂ N ₄ O ₃
Purity:	≥ 98%
CAS#:	606143-89-9
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

MEK162 (ARRY-162) is a highly potent, selective, and orally bioavailable MEK inhibitor. It is a non-ATP competitive inhibitor of MEK1/2 (IC₅₀ ~ 12 nM). It can inhibit pERK (IC₅₀ ~11 nM) in cellular assays. At up to 20 μM it has no activity against a panel of 220 other kinases. MEK162 is especially potent at inhibiting cell proliferation of mutant B-Raf and Ras cell lines, such as HT29, Malme-3M, SK-MEL-2, COLO 205, SK-MEL-28 and A375 (IC₅₀ from 30-250 nM). In vivo it has demonstrated efficacy in several xenograft tumor models in mice, including HT29, BxPC3, MIA PaCa2, A549, LoVo, Calu6, DU145 and COLO 205. In the HT29 and in the COLO 205 colon carcinoma models, dose-dependent inhibition of tumor growth (up to 75% TGI) was observed at doses ranging from 3 to 30 mg/kg, QD, PO for 21 days. Currently a phase I study of MEK162 in patients with biliary tract cancer is undergoing. MEK162 also shows inhibition of cytokine production such as IL-1, TNF and IL-6 in clinical trials for patients with rheumatoid arthritis.

How to Use:

In vitro: MEK162 was used at 1 μM final concentration in vitro and in cellular assays.

In vivo: MEK162 was orally dosed to mice at 3-30 mg/kg once per day.

Reference:

1. Wallace Eli M, et al. Preparation of phenylaminobenzimidazolecarboxylates as mitogen activated protein kinase kinase (MEK) inhibitors. (2003) PCT Int. Appl., WO 2003077914.
2. Richard Woessner, et al. ARRY-162, A Potent and Selective MEK 1/2 Inhibitor, Shows Enhanced Efficacy in Combination with Other Targeted Kinase Inhibitors and with Chemotherapy. (2012) Cancer Research: Abstract 2514, Volume 70, Issue 8, Supplement 1
3. Patrice A. Lee, et al. Preclinical Development of ARRY-162, A Potent and Selective MEK 1/2 Inhibitor. (2012) Cancer Research: Abstract 2515, Volume 70, Issue 8, Supplement 1
4. http://www.arraybiopharma.com/_documents/Publication/PubAttachment292.pdf
5. http://www.arraybiopharma.com/_documents/Publication/PubAttachment227.pdf
6. http://www.arraybiopharma.com/_documents/Publication/PubAttachment349.pdf

Products are for research use only. Not for human use.