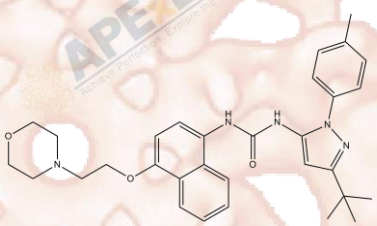
Product Data Sheet

BIRB 796 (Doramapimod)



Cat. No.: A5639
CAS No.: 285983-48-4
Formula: C31H37N5O3
M.Wt: 527.66
Synonyms:
Target: MAPK Signaling
Pathway: p38
Storage: Store at -20°C

Solvent & Solubility

In Vitro

≥26.4 mg/mL in DMSO; insoluble in H2O; ≥11.24 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.8952 mL	9.4758 mL	18.9516 mL
5 mM	0.3790 mL	1.8952 mL	3.7903 mL
10 mM	0.1895 mL	0.9476 mL	1.8952 mL

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

Biological Activity

Shortsummary	P38 MAPK inhibitor,cell permeable and highly selective
IC_{50} & Target	0.1 nM (Kd) (p38α MAPK)

In Vitro

Cell Viability Assay

Cell Line:	MM.1S cells
Preparation method：	The solubility of this compound in DMSO is >10 mM. 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:	400 nM, 24 hours

	Applications:	BIRB 796 inhibited baseline and Dex-induced phosphorylation of both p38 MAPK and Hsp27 in MM.1S cells. Although MM.1S cell proliferation was strongly inhibited by Dex alone at 24–72 h, BIRB 796 significantly enhanced its growth inhibition. Cell cycle profiling suggests that BIRB 796 augmented Dex-mediated growth inhibition by enhancing apoptosis (Sub-G1 portion: control = 6.1%, BIRB 796 alone = 8.0%, Dex alone = 34.7%, BIRB 796 plus Dex = 45.7%).
In Vivo	**Animal experiment**	
	Animal models:	Male Crlj:CD1(ICR)mice
	Dosage form:	Oral administration; 250, 500 or 1000 mg/kg
	Applications:	To characterize the mechanism(s) responsible for the hepatotoxicity, a toxicogenomic analysis was performed using total RNA prepared from the liver from mice treated with BIRB-796. A variety of genes were up-regulated or down-regulated by BIRB‐796 at all dosages (250, 500 and 1000 mg kg−1), including the genes of Alpha-2-HS-glycoprotein, Apolipoprotein A-IV, CD5 antigen-like, Cathepsin S and so on.
	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. Cheriyan VT, Alsaab H, et al. "A CARP-1 functional mimetic compound is synergistic with BRAF-targeting in non-small cell lung cancers." Oncotarget. 2018 Jul 3;9(51):29680-29697.PMID:30038713

See more customer validations on www.apexbt.com.

References

[1] Yasui H, Hideshima T, Ikeda H, et al. BIRB 796 enhances cytotoxicity triggered by bortezomib, heat shock protein (Hsp) 90 inhibitor, and dexamethasone via inhibition of p38 mitogen-activated protein kinase/Hsp27 pathway in multiple myeloma cell lines and inhibits paracrine tumour growth. British journal of haematology, 2007, 136(3): 414-423.

[2] Iwano S, Asaoka Y, Akiyama H, et al. A possible mechanism for hepatotoxicity induced by BIRB-796, an orally active p38 mitogen-activated protein kinase inhibitor. Journal of Applied Toxicology, 2011, 31(7): 671-677.

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. Shortterm 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.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com