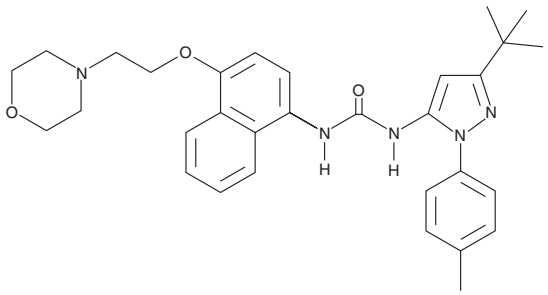
PRODUCT INFORMATION

Doramapimod

Item No. 10460

CAS Registry No.: 285983-48-4
Formal Name: N-[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]-N'-[4-[2-(4-morpholinyl)ethoxy]-1-naphthalenyl]-urea
Synonym: BIRB-796
MF: $C_{31}H_{37}N_5O_3$
FW: 527.7
Purity: ≥98%
UV/Vis.: λ_{max}: 211, 238, 303 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Doramapimod is supplied as a crystalline solid. A stock solution may be made by dissolving the doramapimod in the solvent of choice, which should be purged with an inert gas. Doramapimod is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of doramapimod in these solvents is approximately 3, 30, and 25 mg/ml, respectively.

Doramapimod is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

The stress-activated p38 mitogen-activated protein kinase (MAPK) plays a critical role in regulating the production of proinflammatory cytokines such as tumor necrosis factor and interleukin-1. Doramapimod is a highly potent inhibitor of p38 MAPK with a K_d value of 0.1 nM that blocks TNFα release in LPS-stimulated THP-1 cells with an IC_{50} value of 18 nM.[1] At 10 µM, doramapimod inhibits JNK2α2 *in vitro*, but at the low concentration necessary to inhibit p38 MAPK, it does not affect the phosphorylation of JNK substrates in cells.[2,3]

References

1. Pargellis, C., Tong, L., and Churchill, L. Inhibition of p38 MAP kinase by utilizing a novel allosteric binding site. *Nat. Struct. Biol.* **9(4)**, 268-72 (2002).
2. Bain, J., Plater, L., Elliot, M., *et al*. The selectivity of protein kinase inhibitors: A further update. *Biochem. J.* **408(3)**, 297-315 (2007).
3. Kuma, Y., Sabio, G., Bain, J., *et al*. BIRB796 inhibits all p38 MAPK isoforms *in vitro* and *in vivo*. *J. Biol. Chem.* **280(20)**, 19472-9 (2005).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM