Technical Data Sheet: BIRB796 (Doramapimod)

Catalog Number	SML16B
Synonyms	Doramapimod, p38 MAP Kinase Inhibitor X
Size	10 mg
Description	BIRB796 (Doramapimod) is an orally active, highly potent p38 MAPK inhibitor, which has an IC50 for p38α=38 nM, for p38 β=65 nM, for p38γ=200 nM, and for p38δ=520 nM. BIRB796 has picomolar affinity for p38 kinase (Kd=0.1 nM) and inhibits B-Raf with an IC50 of 83 nM[1,2]. BIRB796 is usually associated with inflammation because of its role in T-cell proliferation and cytokine production[1]. BIRB796 blocks the stress-induced phosphorylation of the scaffold protein SAP97, further establishing that this is a physiological substrate of SAPK3/p38γ. The binding of Doramapimod to the p38 MAPKs or JNK1/2 is impairing their phosphorylation by the upstream kinase MKK6 or MKK4[3].
Molecular Weight	527.66
Molecular Formula	$C_{31}H_{37}N_5O_3$
Chemical Name	Urea, N-[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]-N'-[4-[2-(4-morpholinyl)ethoxy]-1-naphthalenyl]
CAS Number	285983-48-4
Target	p38 MAPK; Raf; Autophagy
Appearance	White to gray (Solid)
Purity	≥98% by NMR
Solubility and Reconstitution	Soluble in DMSO up to 189.52mM, for example: 10 mg/94.758 mL = 0.10553 mg/mL = 0.2 mM 10 mg/ 18.9516 mL = 0.528 mg/mL = 1 mM 10 mg/ 3.7903 mL = 2.638 mg/mL = 5 mM 10 mg/ 1.8952 mL = 5.276 mg/mL = 10 mM
Storage Temperature and Stability	Powder: -20°C 3 years 4°C 2 years In solvent: -80°C 6 months -20°C 1 month
References	1. Dietrich J, et al. The design, synthesis, and evaluation of 8 hybrid DFG-out allosteric kinase inhibitors. Bioorg Med Chem. 2010 Aug 1;18(15):5738-48 2. Cicenas J, et al. JNK, p38, ERK, and SGK1 Inhibitors in Cancer. Cancers (Basel). 2017 Dec 21;10(1). pii: E1. 3. Kuma Y, et al. BIRB796 inhibits all p38 MAPK isoforms in vitro and in vivo. J Biol Chem, 2005, 280(20), 19472-19479.

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