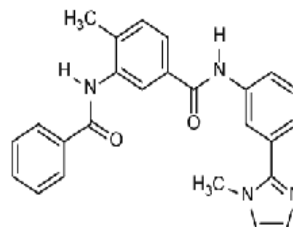


RIPK2 Inhibitor 1

ORDERING INFORMATION

Catalog No.: 19510-BID002
Size: 10mg
Form: Powder



BACKGROUND

RIPK2 (Receptor Interacting Protein Kinase 2) is the obligate kinase of the NOD2 intracellular pathogen recognition pathway receptor and an upstream kinase activator for NF κ B via activation of TAK1. Inhibiting the activity of RIPK2 may be important in controlling inflammatory responses.

SPECIFICATION SUMMARY

Alternative Name: 3-benzamido-4-methyl-N-[3-(1-methyl-1H-imidazol-2-yl)phenyl] benzamide.

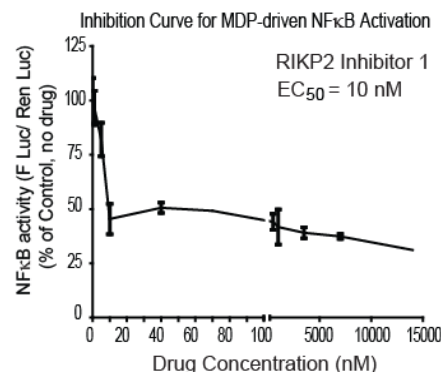
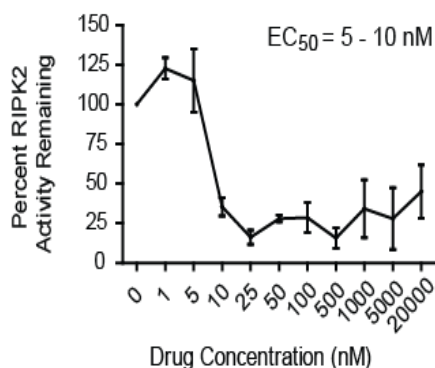
Molecular Weight: 410.47g/mol

Solubility: Stocks should be made up in 100% DMSO to 10-20mM. Stocks can be diluted in >12% DMSO to desired concentration or dissolved in 100% olive oil.

APPLICATIONS

In vitro: IC₅₀ is 5-50 nM depending on cell type.

Cell-based inhibition kinetics



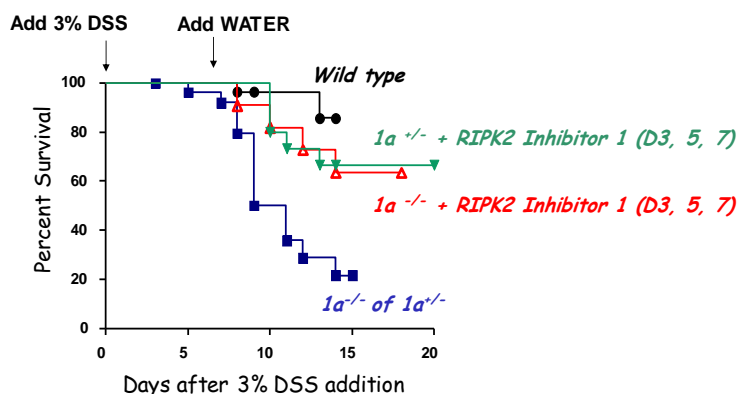
Left side: Inhibition was carried out for 24-36 hours on Hodgkins lymphoma cell line KMH2 revealing constitutively active RIPK2. This was followed by lysis and immunoprecipitation (IP) with rabbit anti-RIPK2 antibody (#19510) overnight for total RIPK2 pull down. Following Protein G pull down and wash, ³²P- γ -ATP is added and kinase reaction allowed to proceed for 30 minutes at 30°C. Following kinase reaction, SDS-PAGE was used to separate out the proteins, gel was then dried and exposed to film. The p60 RIPK2 band was quantitated.

Right side: NF κ B gene reporter assay determination of inhibition of MDP stimulated NF κ B activity with #19510-BID002. An approximate EC₅₀ determination for inhibition of MDP driven-NF κ B activation is shown.

RIPK2 Inhibitor 1

In vivo: May be evaluated at 1-15ug/g body weight.

In vivo inhibition of DSS-induced colitis



DSS-induced inflammation can be inhibited by RIPK2 inhibitor 1 at 1ug/g body weight injected intraperitoneally (IP) at day 3, 5 and 7 (during period of active disease and time of recovery). The Kaplan-Meier survival curve is plotted for *Rassf1a*^{-/-} and *1a*^{+/-} mice. Both potentially have RIPK2 unchecked in colonic tissue (and hence elevated inflammation) if *Rassf1a* is missing. N = 12-20 animals per condition; p value > 0.001.

STORAGE AND STABILITY

Store at -80°C in appropriate aliquots to avoid multiple freeze-thaw cycles.

PRODUCT REFERENCE

Salla M et al. *Identification and Characterization of Novel Receptor-Interacting Serine/Threonine-Protein Kinase 2 Inhibitors using structural similarity analysis*. 2018 J Pharmacol Exp Ther 365: 354-367.

For investigational use only. Not for use in therapeutic or diagnostic procedures.