Dual mechanism MAP2K inhibitors bind MAP2Ks

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Introduction

Reactome is open-source, open access, manually curated and peer-reviewed pathway database. Pathway annotations are authored by expert biologists, in collaboration with Reactome editorial staff and cross-referenced to many bioinformatics databases. A system of evidence tracking ensures that all assertions are backed up by the primary literature. Reactome is used by clinicians, geneticists, genomics researchers, and molecular biologists to interpret the results of high-throughput experimental studies, by bioinformaticians seeking to develop novel algorithms for mining knowledge from genomic studies, and by systems biologists building predictive models of normal and disease variant pathways.

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Literature references

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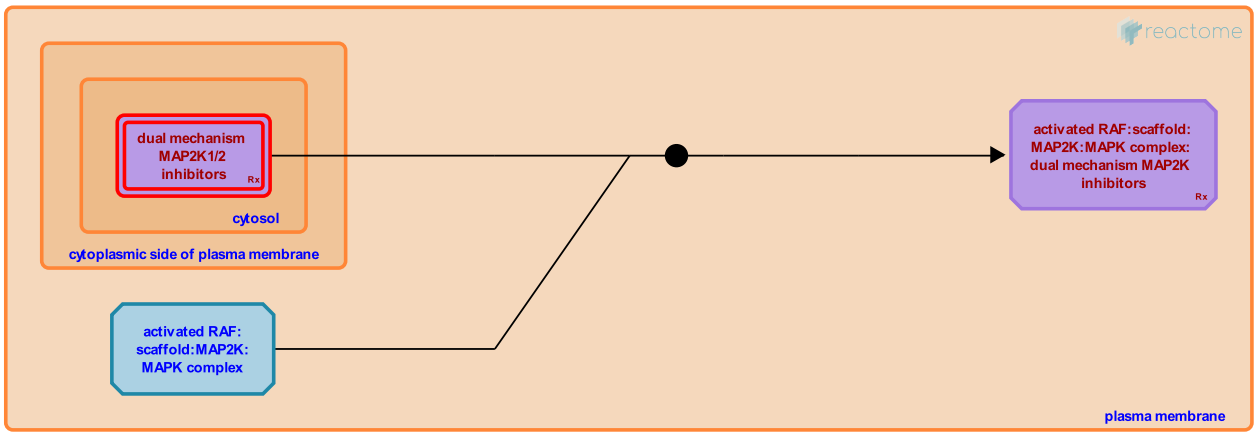
Stable identifier: R-HSA-9657599

Type: binding

Compartments: plasma membrane, cytosol



Although mutations in MAP2K proteins are infrequent in human cancers, the position of these kinases downstream of RAS and RAF make them good candidates for therapeutic targeting. Dual mechanism inhibitors such as trametinib bind to non-phosphorylated MAP2K proteins, inhibiting their MAPK-directed kinase activity as well as preventing their phosphorylation by RAF proteins (Hatzivassiliou et al, 2013; Lito et al, 2014; Ishii et al, 2013; reviewed in Samatar and Poulikakos, 2014).

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Editions

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