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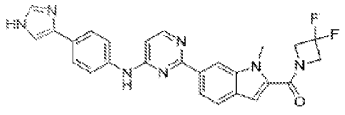
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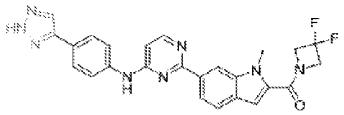
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(54) Title: INHIBITORS OF ROCK2

Figure 1



Example 1
ROCK2 IC_{50} = 473 nM
ROCK1 IC_{50} > 50000 nM
IL-17 IC_{50} = 838 nM
KS = 2.2 µg/ml
Clint (rat) < 38.5 µl/min/mg
Clint (human) < 38.5 µl/min/mg
F (mouse) = 14 %
P_{app} (A to B) < 0.54x10^{-6} cm/s
P_{app} (B to A) < 0.13x10^{-6} cm/s
Efflux ratio = **0.25**



Example 2
ROCK2 IC_{50} = 36 nM
ROCK1 IC_{50} > 50000 nM
IL-17 IC_{50} = 764 nM
KS = 2.69 µg/ml
Clint (rat) < 38.5 µl/min/mg
Clint (human) < 38.5 µl/min/mg
F (mouse) = 49 %
P_{app} (A to B) < 0.199x10^{-6} cm/s
P_{app} (B to A) < 0.062x10^{-6} cm/s
Efflux ratio = **0.31**

(57) **Abstract:** The present disclosure relates to inhibitors of Rho-associated protein kinase (ROCK), pharmaceutical compositions comprising the same, and use thereof for the prevention or treatment of a disease mediated by the ROCK. Particularly, the inhibitors of ROCK are selective for the inhibition of ROCK2.

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