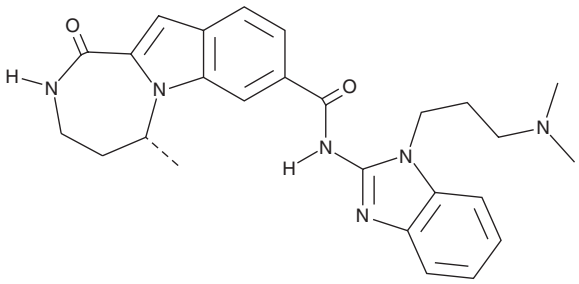
PRODUCT INFORMATION

BIX 02565

Item No. 19183

CAS Registry No.: 1311367-27-7
Formal Name: (5R)-N-[1-[3-(dimethylamino) propyl]-1H-benzimidazol-2-yl]-2,3,4,5-tetrahydro-5-methyl-1-oxo-1H-[1,4]diazepino[1,2-a] indole-8-carboxamide
MF: $C_{26}H_{30}N_6O_2$
FW: 458.6
Purity: ≥98%
UV/Vis.: λ_{max}: 248, 335 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

BIX 02565 is supplied as a crystalline solid. A stock solution may be made by dissolving the BIX 02565 in the solvent of choice, which should be purged with an inert gas. BIX 02565 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of BIX 02565 in these solvents is approximately 1 mg/ml.

BIX 02565 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIX 02565 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BIX 02565 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The 90 kDa ribosomal S6 kinases (RSKs) are a group of serine/threonine kinases involved in diverse cellular processes, including growth, survival, and motility. Phosphorylation of the sodium-hydrogen exchanger (NHE) in cardiac tissue by RSK has been shown to activate NHE during cellular stresses, such as myocardial infarction, as a mechanism to maintain pH. BIX 02565 is a RSK2 inhibitor with an IC_{50} value of 1.1 nM.[1,2] It also demonstrates off-target binding at multiple adrenergic receptor subtypes that are important for vascular tone and cardiac function (IC_{50}s = 0.052-1.820 µM for adrenergic α_{1A}, α_{1B}, α_{1D}, α_{2A}, β_2, and imidazoline I_2 receptors.[1,2] BIX 02565 can also inhibit LRRK2 and PRKD1 with IC_{50} values of 16 and 35 nM, respectively).[1] In rats, BIX 02565 at 1, 3, and 10 mg/kg was shown to significantly decrease heart rate and mean arterial pressure.[2]

References

1. Kirrane, T.M., Boyer, S.J., Burke, J., *et al.* Indole RSK inhibitors. Part 2: Optimization of cell potency and kinase selectivity. *Bioorg. Med. Chem. Lett.* **22(1)**, 738-742 (2012).
2. Fryer, R.M., Muthukumarana, A., Chen, R.R., *et al.* Mitigation of off-target adrenergic binding and effects on cardiovascular function in the discovery of novel ribosomal S6 kinase 2 inhibitors. *J. Pharmacol. Exp. Ther.* **340(3)**, 492-500 (2012).

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