

FIG. 1

Experimental and simulated powder patterns of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, di-benzenesulfonic acid salt Form N-1 (Example 2a)

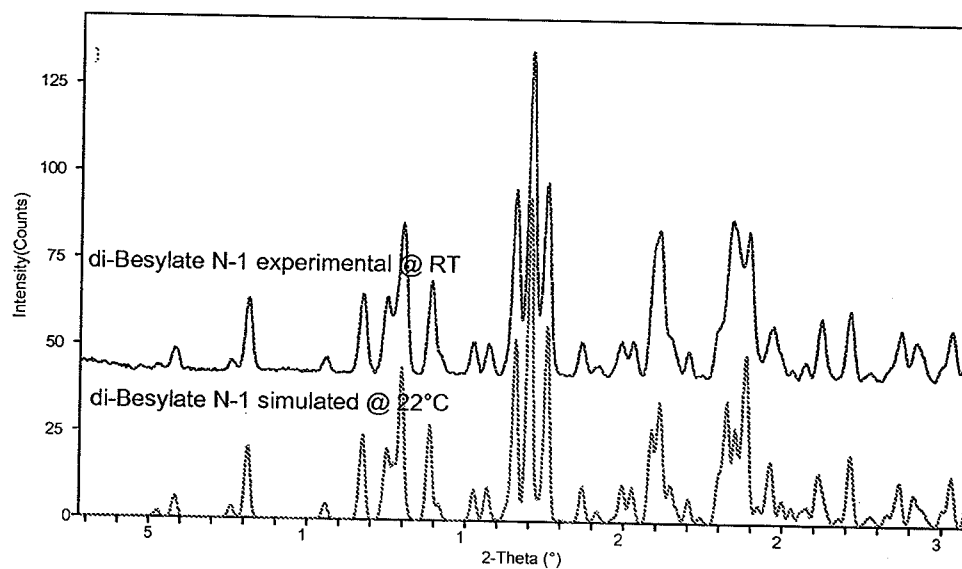


FIG. 2

Experimental and simulated powder patterns of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, free base Form N-2, (Example 2f)

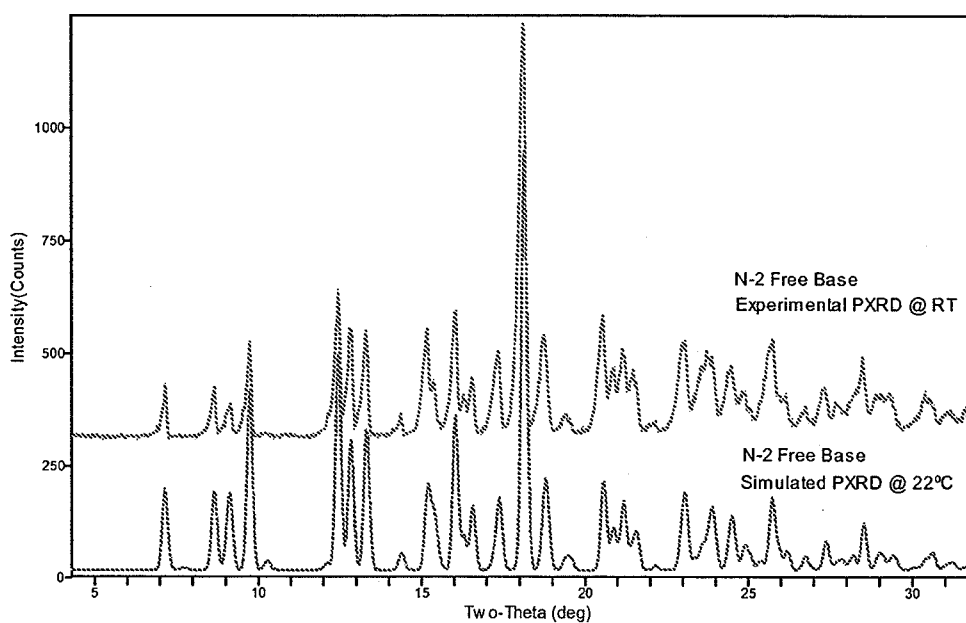


FIG. 3

Experimental and simulated powder patterns of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, free base Form E-1 (mono-ethanolate), (Example 2d)

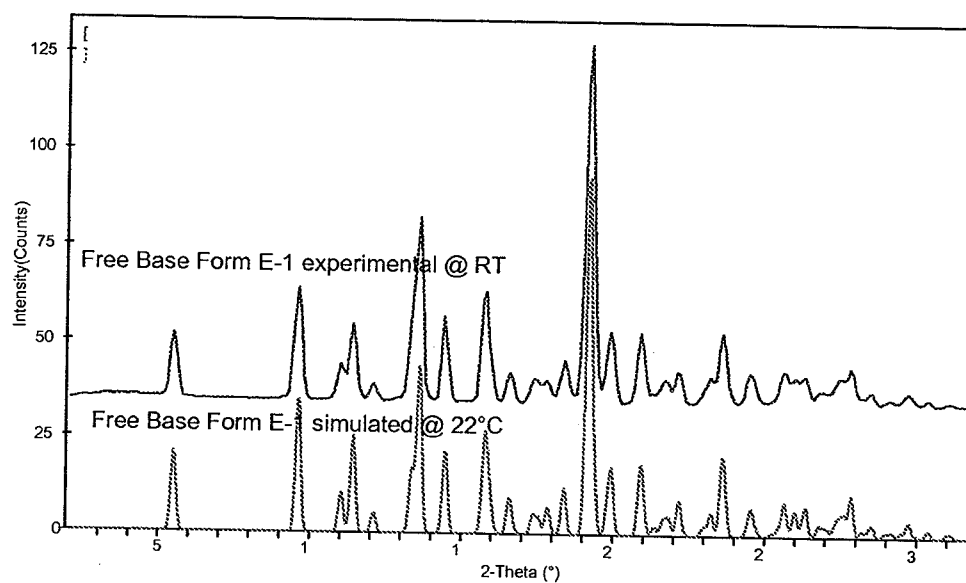


FIG. 4

Experimental and simulated powder patterns of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, HCl Salt Form H4-1 (tetrahydrate), (Example 2h)

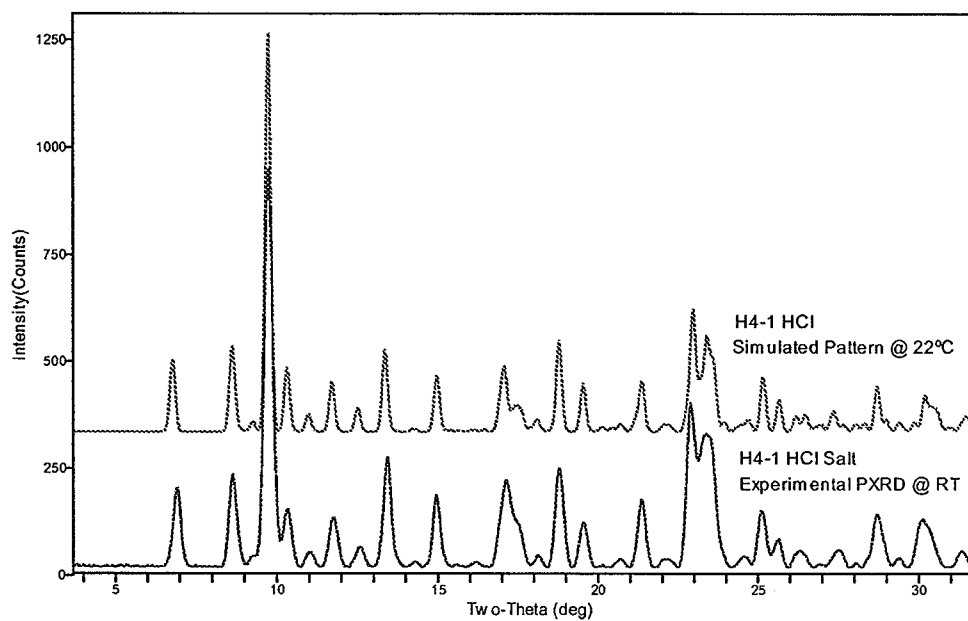


FIG. 5

Experimental and simulated powder patterns of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, free base Form A-1 (mono-acetone solvate), (Example 2e)

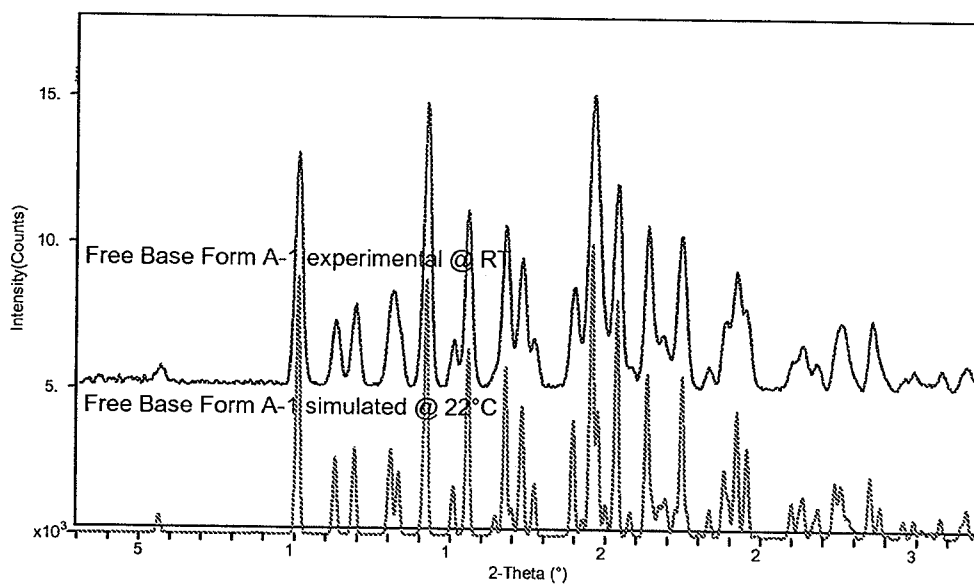


FIG. 6

Experimental and simulated powder patterns of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, free base Form DC-1 (mono-dichloromethane solvate), (Example 2b)

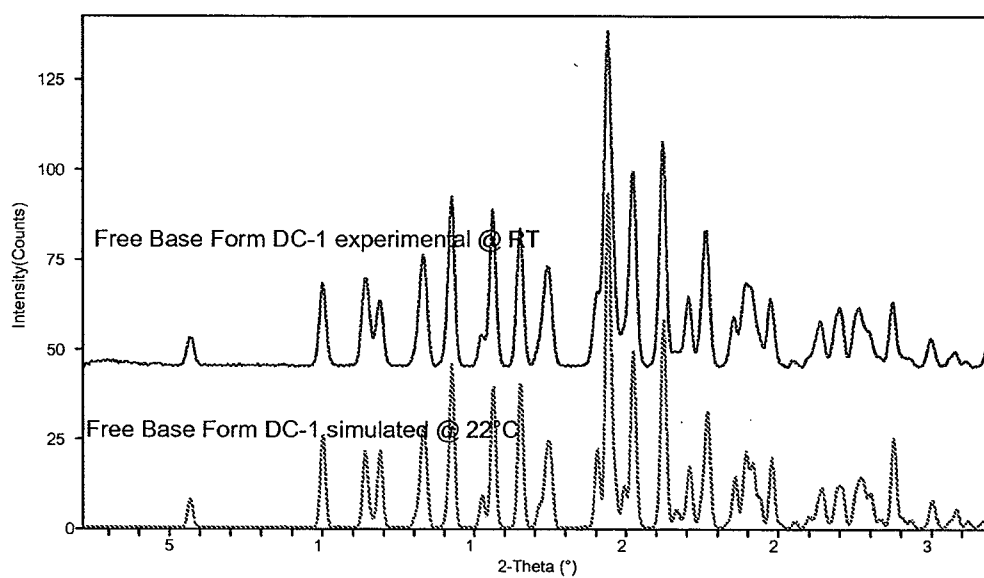


FIG. 7

Experimental and simulated powder patterns of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, free base Form AN-3 (mono-acetonitrile solvate), (Example 2g)

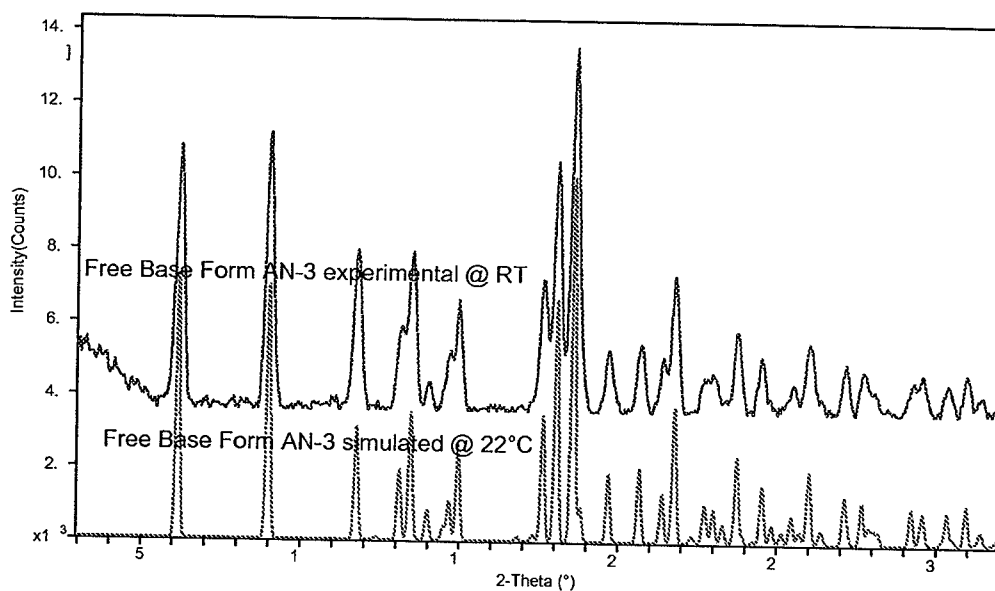


FIG. 8

DSC of the N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, di-besylate salt Form N-1 (Example 2a)

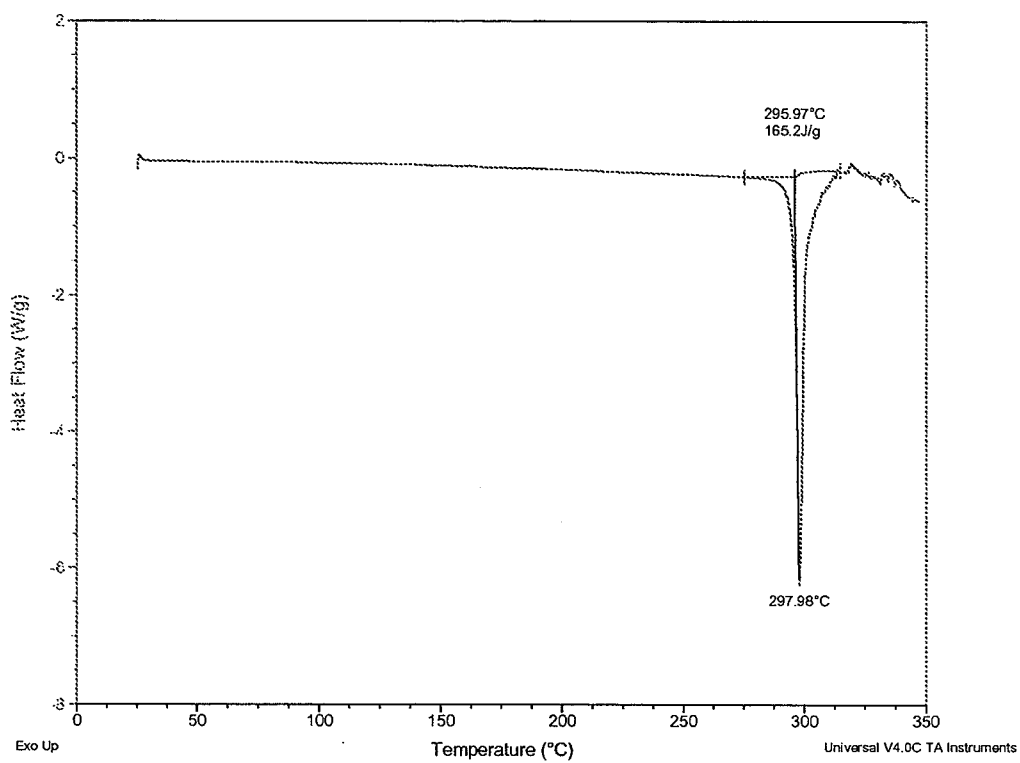


FIG. 9

TGA of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, di-besylate salt Form N-1 (Example 2a)

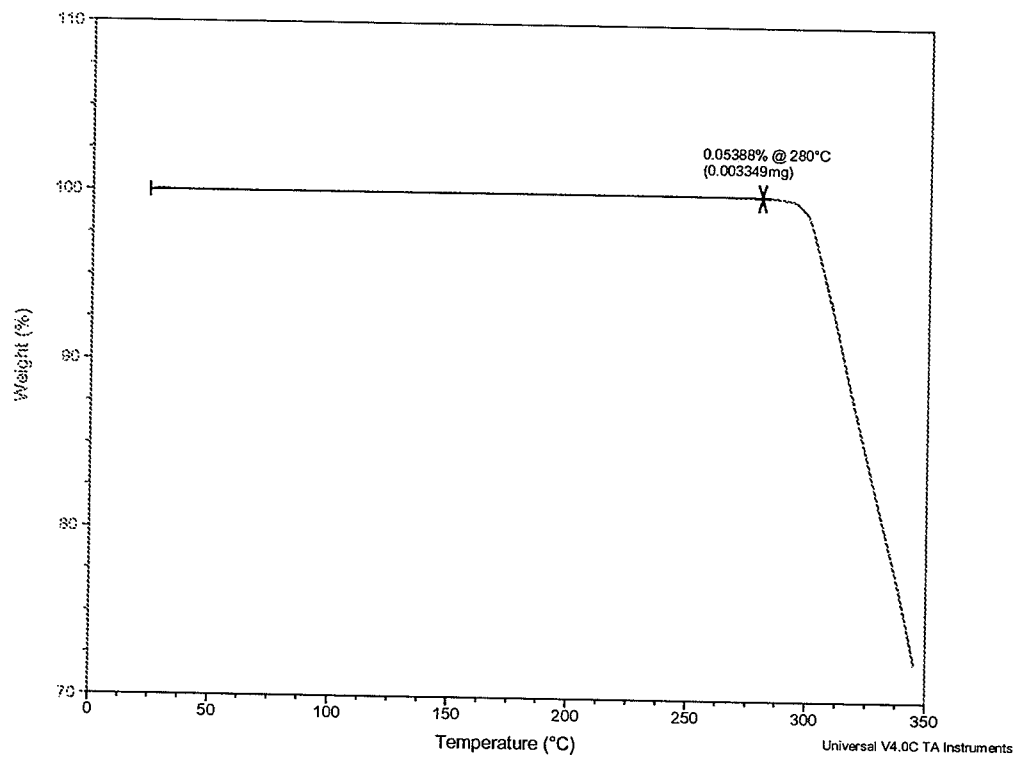


FIG. 10

DSC of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, free base Form N-2 (Example 2f)

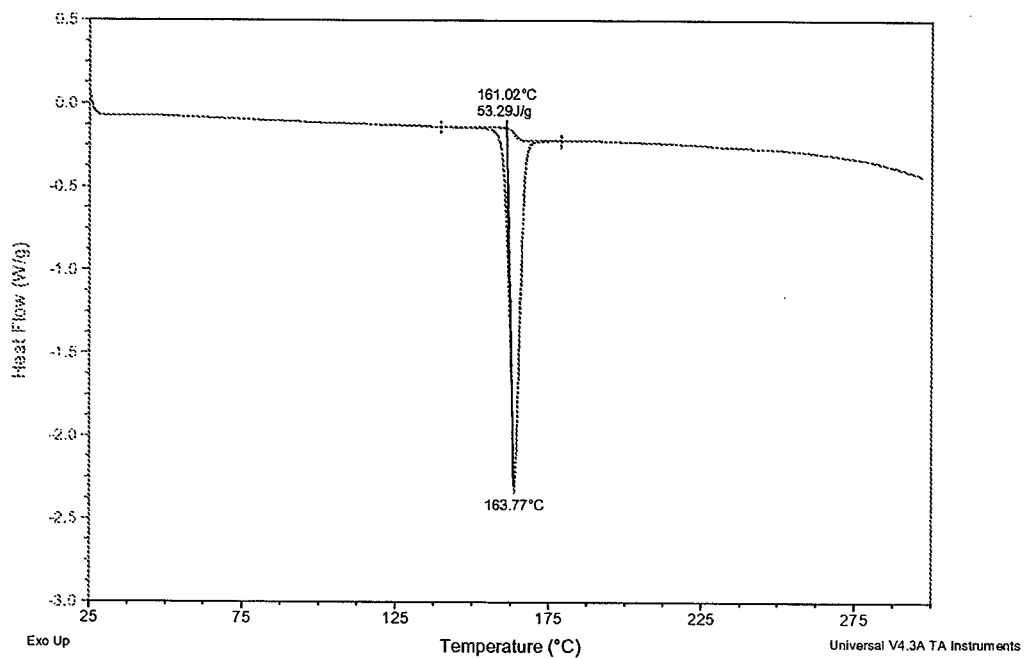


FIG. 11

TGA of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, free base Form N-2 (Example 2f)

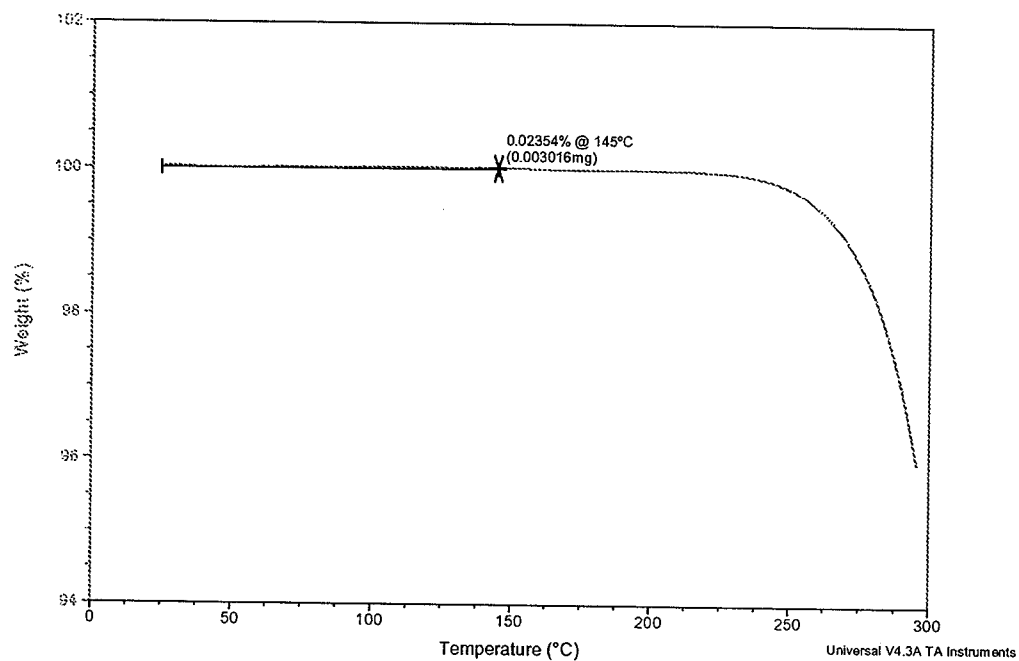


FIG. 12

Moisture Sorption Isotherm of N-((1R,2S,5R)-5-(isopropyl(methyl)amino)-2-((S)-2-oxo-3-(6-(trifluoromethyl)quinazolin-4-ylamino)pyrrolidin-1-yl)cyclohexyl)acetamide, free base Form N-2 (Example 2f)

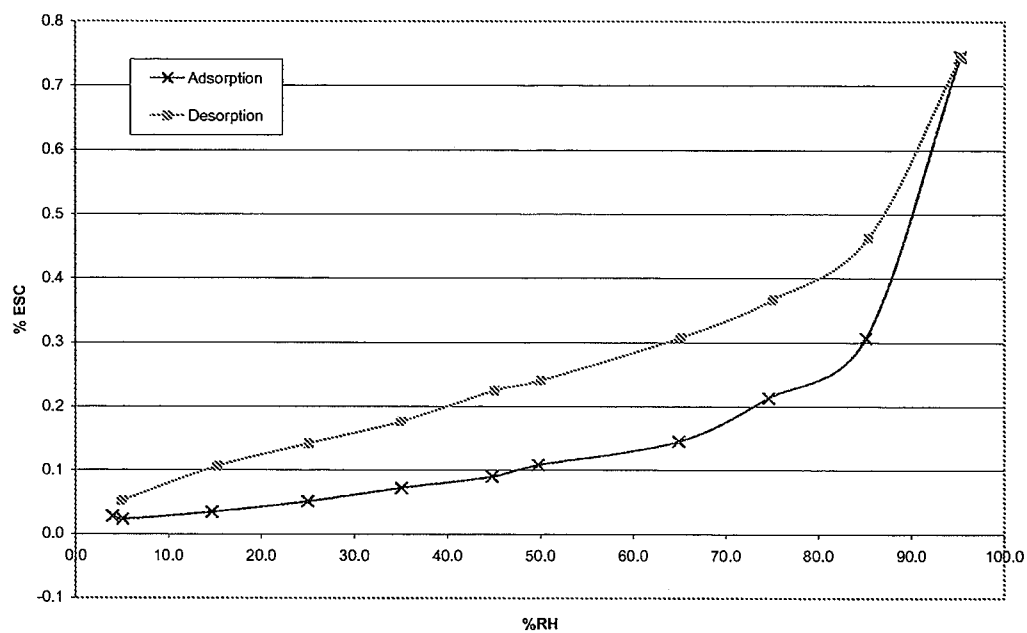


FIG. 13

X-ray crystal structure of *tert*-butyl (1*R*,3*R*,4*S*)-3-acetamido-4-((*S*)-3-(benzyloxycarbonylamino)-2-oxopyrrolidin-1-yl)cyclohexylcarbamate

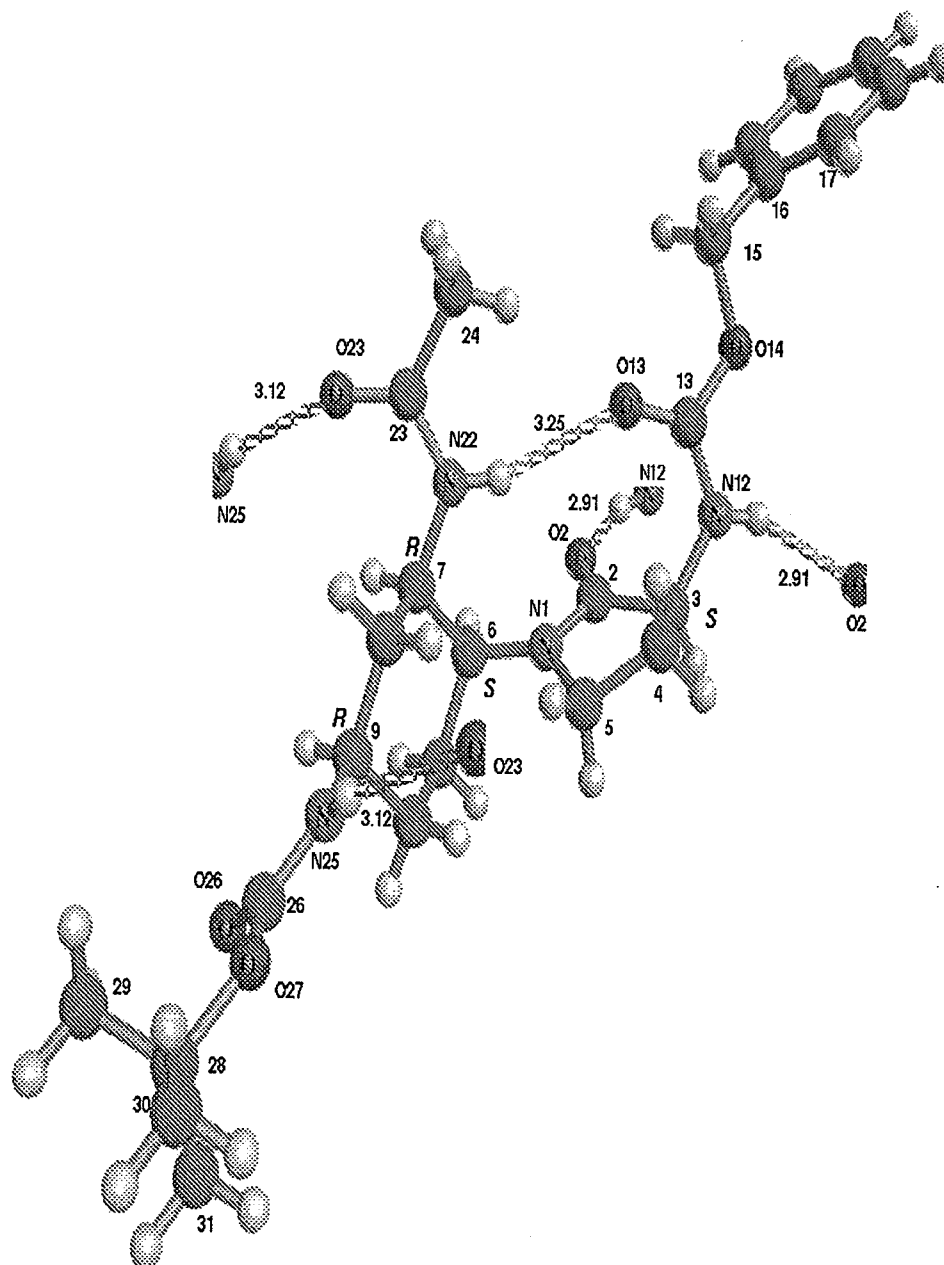
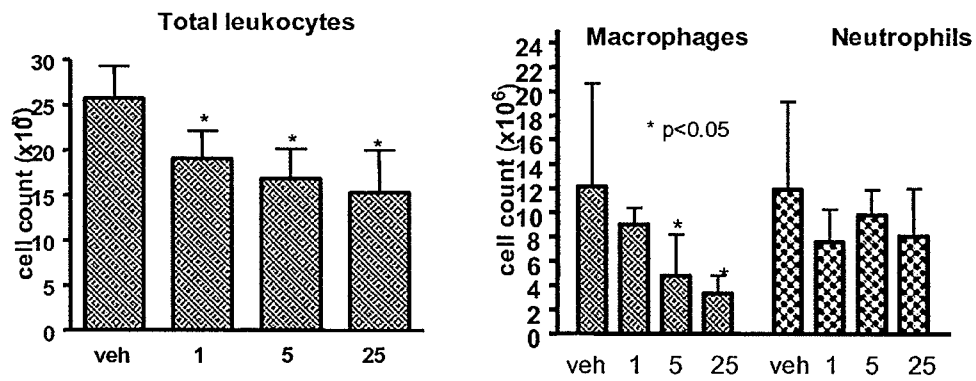


FIG. 14

48-hour TG peritonitis model in hCCR2 KI mice: Example 1 inhibition of monocyte/macrophage infiltration into peritoneal cavity (differential cell count)



Example 1 mg/kg p.o. BID

FIG. 15

48-hour TG peritonitis model in hCCR2 KI mice: Example 1 inhibition of monocyte/macrophage infiltration into peritoneal cavity (FACS analysis)

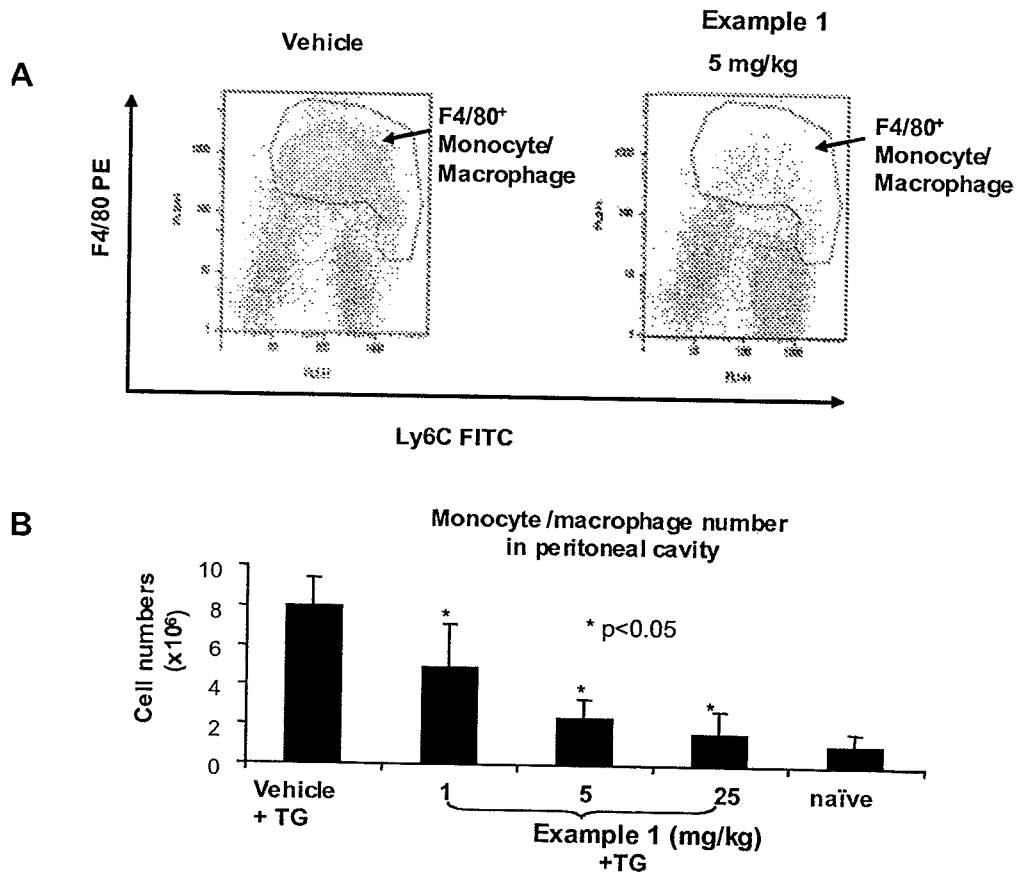


FIG. 16

EAE in hCCR2 KI mice: clinical score of Example 1 treatment

